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FILE COVERS 1907 - 5 Aug 2006  VOL 145 ISS 7
FILE LAST UPDATED: 4 Aug 2006  (20060804/ED)

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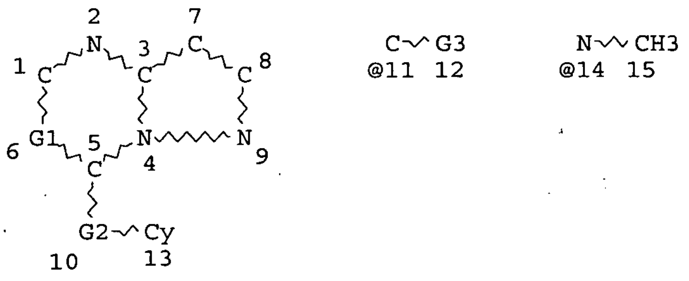

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DEFAULT ECLEVEL IS LIMITED

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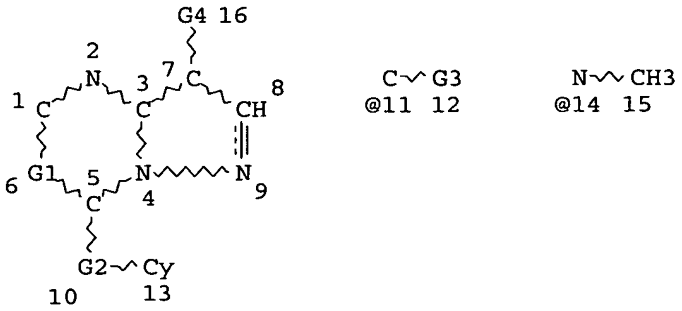

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STEREO ATTRIBUTES: NONE
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L6           15 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L5


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=> d ibib abs hitstr l6 1-15

L6   ANSWER 1 OF 15  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:600748  HCAPLUS
DOCUMENT NUMBER:          145:83365
TITLE:                    Adenosine A2a receptor agonistic condensed
                          heterocyclic compounds, compositions containing them,
                          and their use
INVENTOR(S):              Kato, Fuminori; Yamamoto, Kazuhiro; Yoneda, Tetsuo;
                          Miyamoto, Takashi; Okada, Takashi; Azuma, Kumiko
PATENT ASSIGNEE(S):       Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 20 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

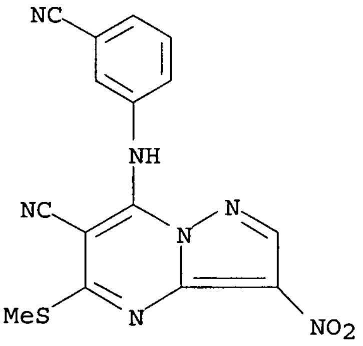
     PATENT NO.          KIND    DATE        APPLICATION NO.         DATE
     ---------------     ----    --------    --------------------    --------
     JP 2006160628        A2     20060622    JP 2004-351333          20041203
PRIORITY APPLN. INFO.:                       JP 2004-351333          20041203
OTHER SOURCE(S):          CASREACT 145:83365
AB   Seventy-five compds. including 5-(4-methoxyphenyl)-7-[2-(4-
     pyridyl)ethylamino]pyrazolo[1,5-a]pyrimidine-6-carbonitrile, etc., and
     their salts are claimed.  Also claimed are wound healing promoters, sleep
```

inducers, antipyretics, antihypertensives, and prophylactic or therapeutic agents for diseases due to hyperactivity of immune cells or cytokine overprodn., containing the compds. Thus, 1,1-dicyano-2-methoxy-3-methyl-1-butene was reacted with 3-aminopyrazole in EtOH under reflux overnight to give 7-amino-5-(2-propyl)pyrazolo[1,5-a]pyrimidine-6-carbonitrile, which was further treated with PhCH2Cl in MeCN containing NaHCO3 under reflux overnight to give 7-benzylamino-5-(2-propyl)pyrazolo[1,5-a]pyrimidine-6-carbonitrile (I). This showed 96% inhibition on [3H]CGS21680 binding to human recombinant adenosine A2a receptor. I also inhibited ConA-stimulated prodns. of IL-2, IL-4, and INF-γ by human peripheral blood monocytes.

IT 893424-20-9P 893424-22-1P 893424-24-3P
893424-26-5P 893424-28-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed heterocyclic compds. as adenosine A2a receptor agonists and their use as wound healing promoters, etc., and for treatment of immune diseases, etc.)

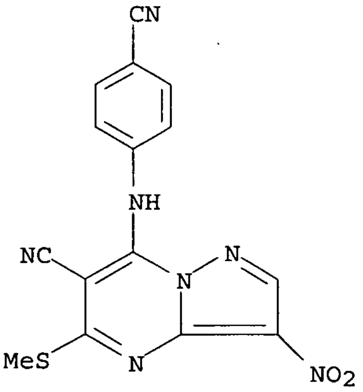
RN 893424-20-9 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(3-cyanophenyl)amino]-5-(methylthio)-3-nitro- (9CI) (CA INDEX NAME)



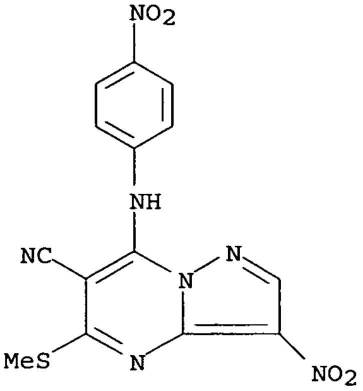
RN 893424-22-1 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(4-cyanophenyl)amino]-5-(methylthio)-3-nitro- (9CI) (CA INDEX NAME)

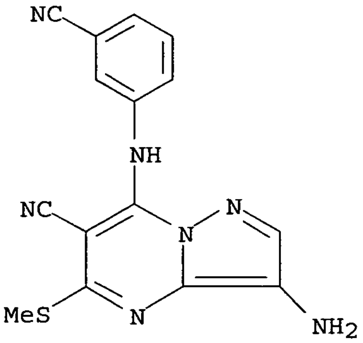


RN 893424-24-3 HCAPLUS

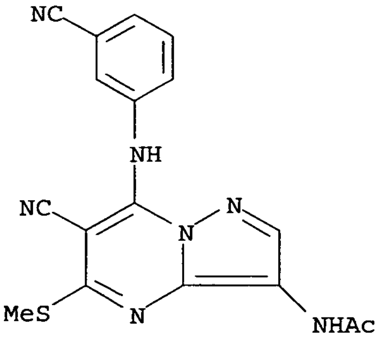
CN INDEX NAME NOT YET ASSIGNED



RN 893424-26-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 3-amino-7-[(3-cyanophenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



RN 893424-28-7 HCAPLUS
CN Acetamide, N-[6-cyano-7-[(3-cyanophenyl)amino]-5-(methylthio)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:170572 HCAPLUS

DOCUMENT NUMBER: 144:254146
TITLE: Preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 64 pp., Cont.-in-part of U.S. Ser. No. 654,157.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006040958	A1	20060223	US 2005-244776		20051006
US 2004102451	A1	20040527	US 2003-654157		20030903
US 7078525	B2	20060718			
PRIORITY APPLN. INFO.:			US 2002-408030P	P	20020904
			US 2003-654157	A2	20030903

OTHER SOURCE(S): MARPAT 144:254146
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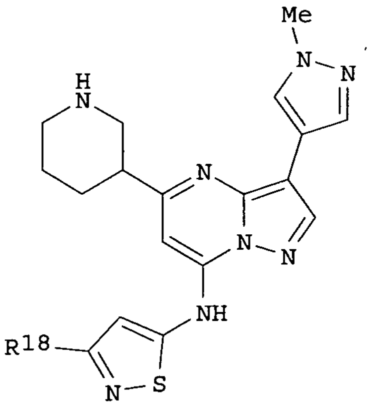

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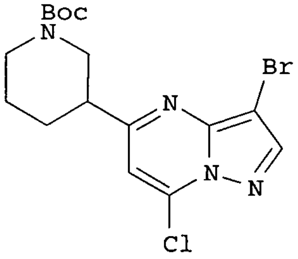


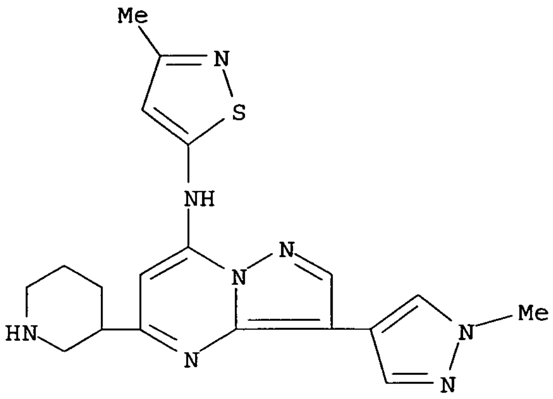
II

AB The title compds. I [R18 = alkyl or heterocyclyl (wherein heterocyclyl is linked to the isothiazole ring via a carbon atom on heterocyclyl)], useful as inhibitors of cyclin dependent kinases, were prepared Thus, reacting II (preparation given) with 5-amino-3-methylisothiazole hydrochloride followed by treating with Boc2O, coupling of the resulting intermediate with 1-methyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole and Boc-deprotection afforded I [R18 = Me]. The compds. I are tested in in vitro cyclin E/CDK2 kinase assay (no data given). The invention also provides pharmaceutical compns. containing one or more compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns.

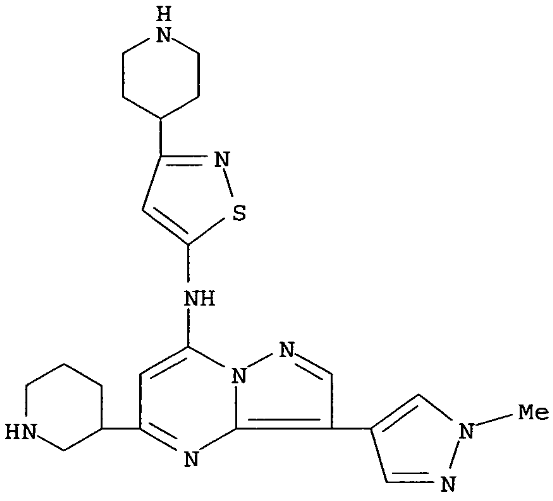
IT 877380-20-6P 877380-21-7P 877380-23-9P
877380-24-0P 877380-25-1P 877380-26-2P
877380-27-3P 877380-28-4P 877380-29-5P
877380-30-8P 877380-31-9P 877380-32-0P
877380-33-1P 877380-34-2P 877380-35-3P

877380-36-4P 877380-37-5P 877380-38-6P
877380-39-7P 877380-40-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of novel pyrazolopyrimidines as cyclin dependent kinase
inhibitors for treatment and prevention of diseases)

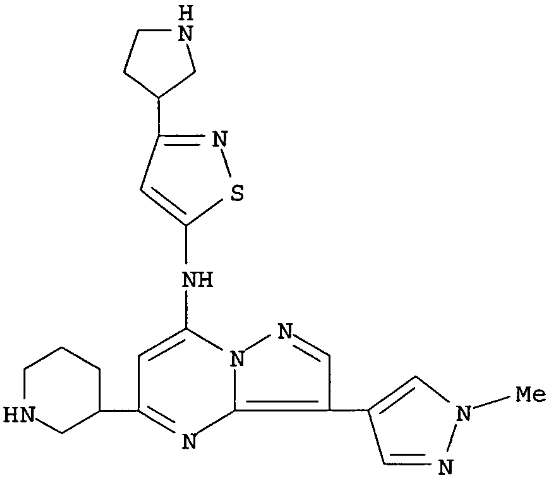
RN 877380-20-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(3-methyl-5-isothiazolyl)-3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)- (9CI) (CA INDEX NAME)



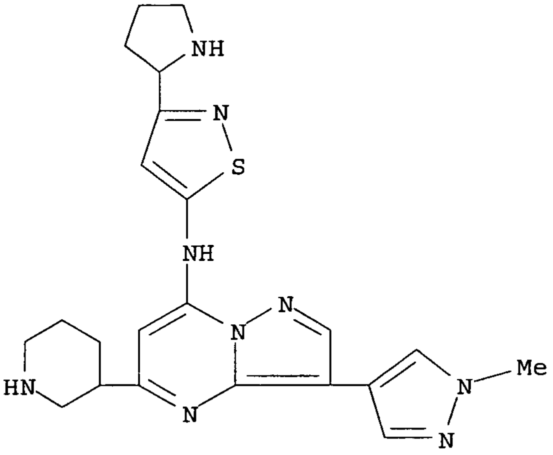
RN 877380-21-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(4-piperidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



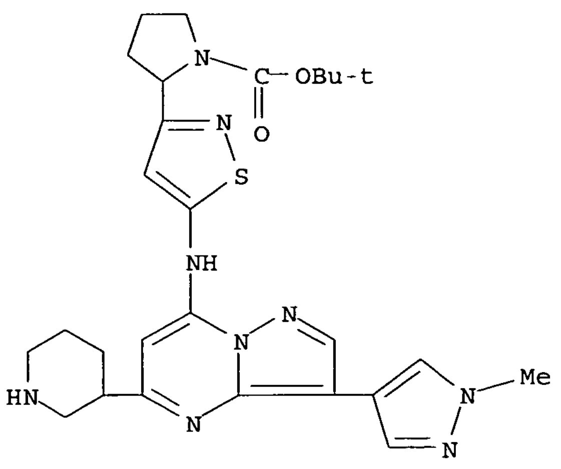
RN 877380-23-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(3-pyrrolidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



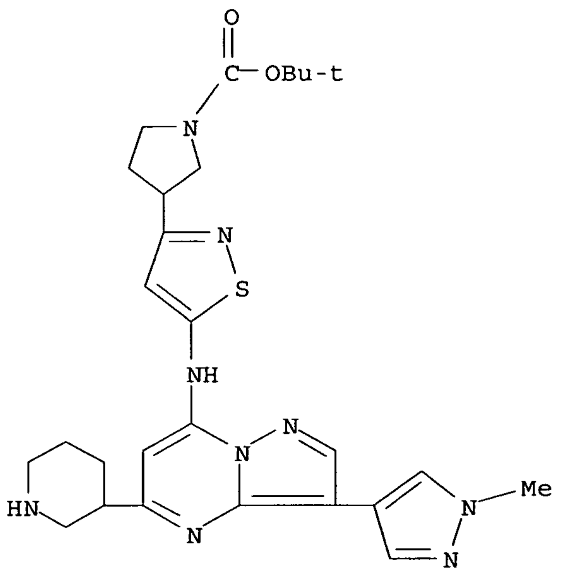
RN 877380-24-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(2-pyrrolidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



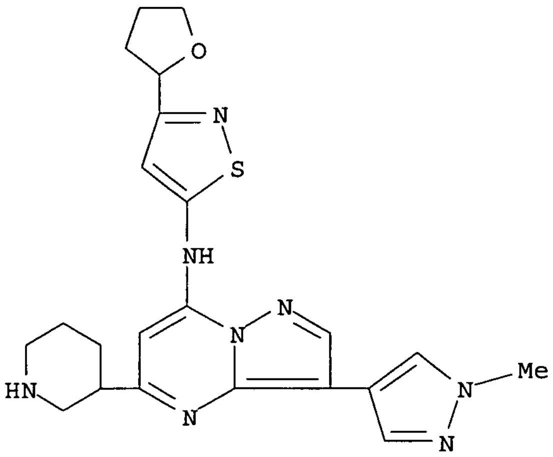
RN 877380-25-1 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[5-[[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-isothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



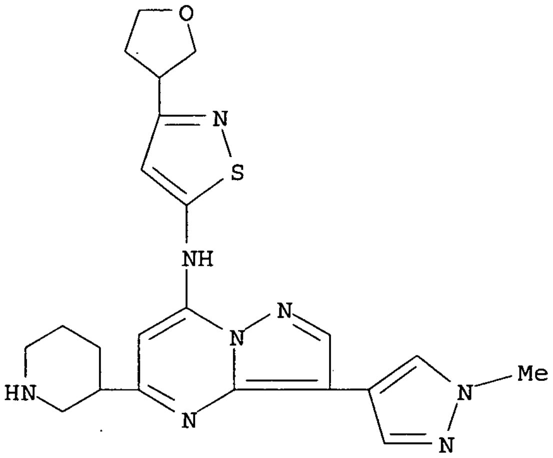
RN 877380-26-2 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[5-[[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-isothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



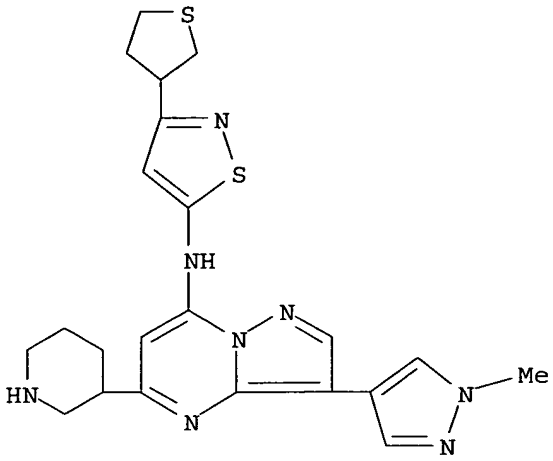
RN 877380-27-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2-furanyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



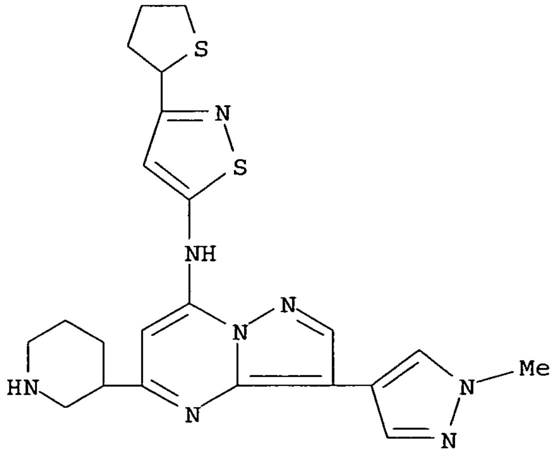
RN 877380-28-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-3-furanyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



RN 877380-29-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-3-thienyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



RN 877380-30-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2-thienyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



RN 877380-31-9 HCAPLUS
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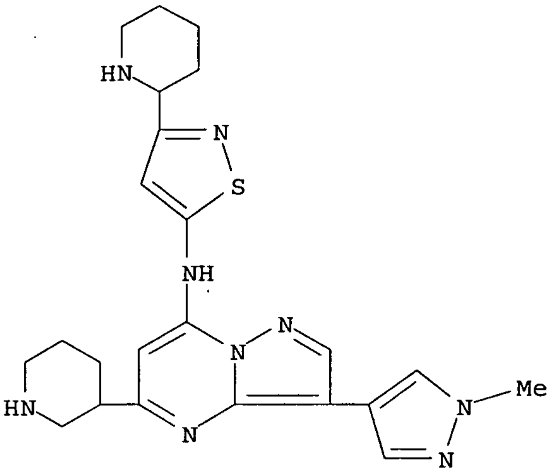
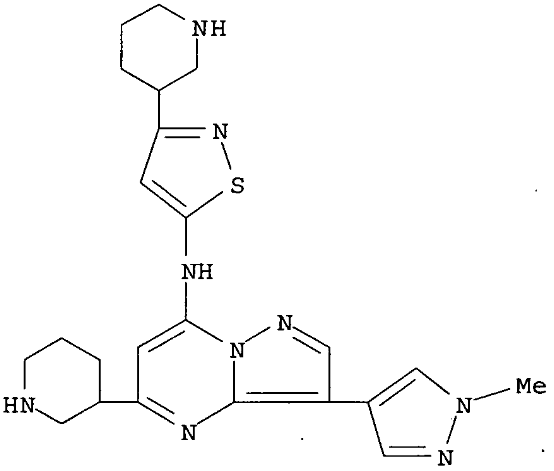


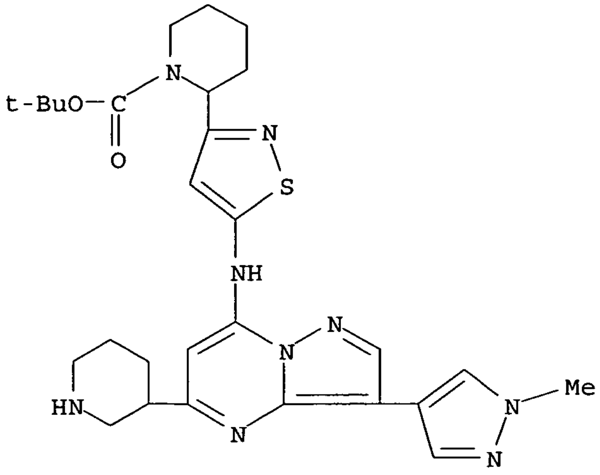
RN 877380-32-0 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(3-piperidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

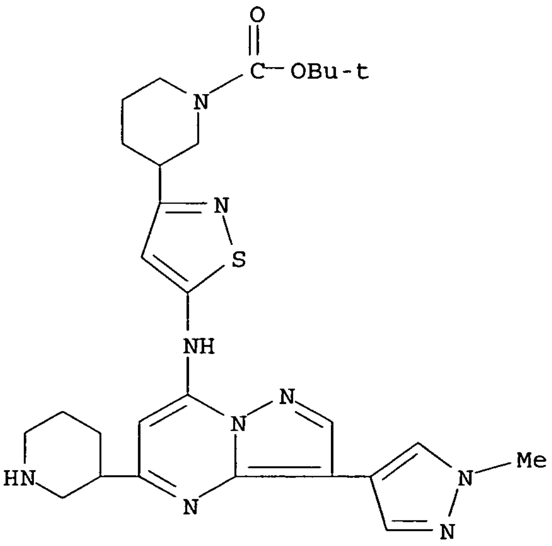


RN 877380-33-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 2-[5-[[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-isothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 877380-34-2 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3-[5-[[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-isothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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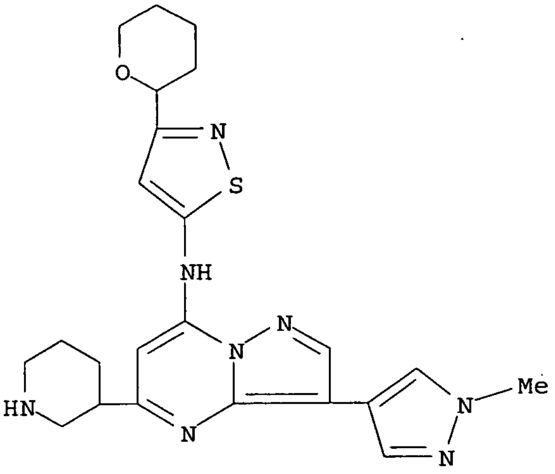

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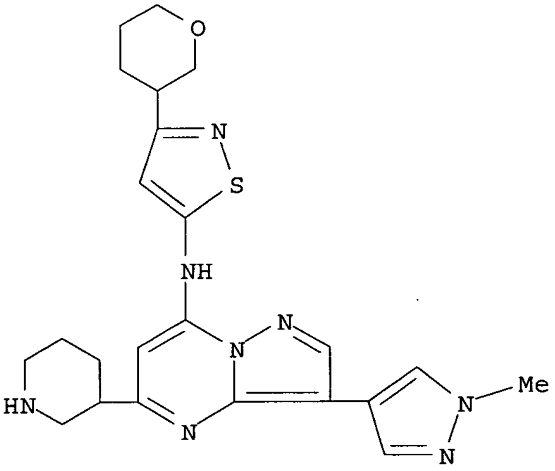
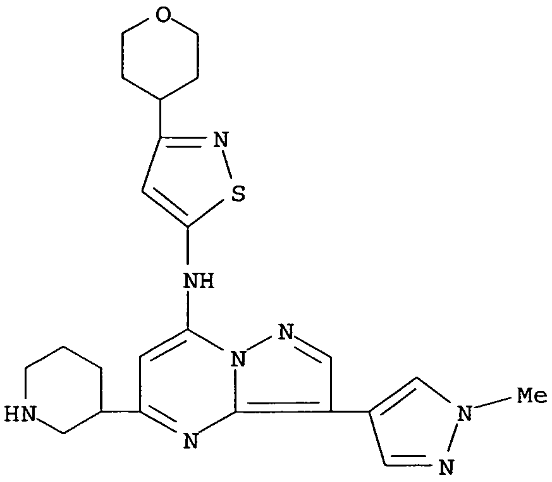
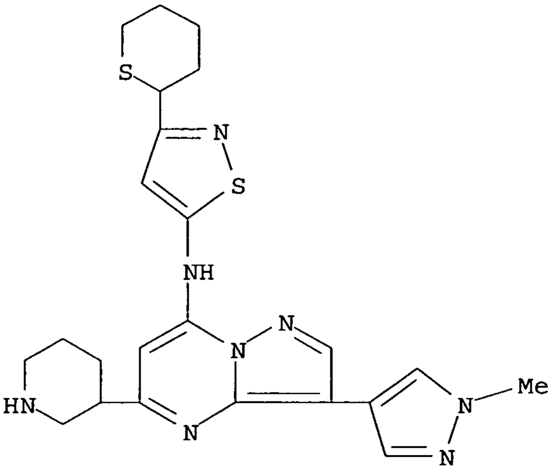
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-pyran-3-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



RN 877380-37-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-pyran-4-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



RN 877380-38-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-thiopyran-2-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)



RN 877380-39-7 HCAPLUS
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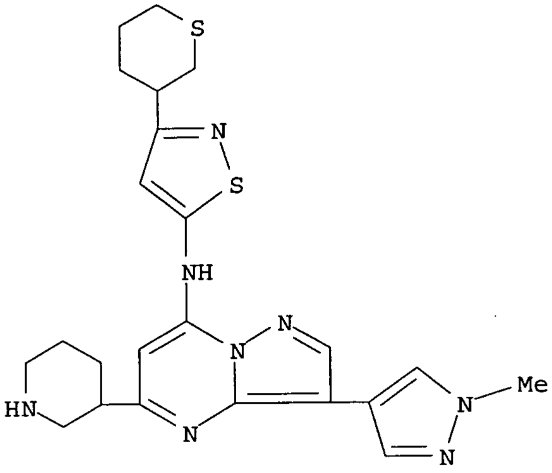

RN 877380-40-0 HCAPLUS
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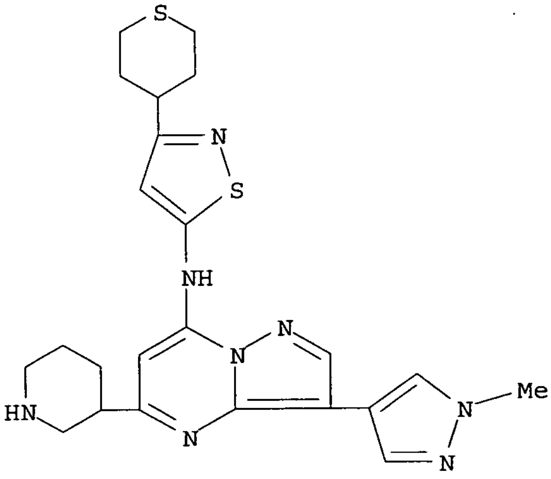

L6 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2006:167936 HCAPLUS
DOCUMENT NUMBER:	144:254145
TITLE:	Preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors
INVENTOR(S):	Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.
PATENT ASSIGNEE(S):	Schering Corporation, USA
SOURCE:	U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S. Ser. No. 653,776. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006041131	A1	20060223	US 2005-244772		20051006
US 2004106624	A1	20040603	US 2003-653776		20030903
US 7067661	B2	20060627			
PRIORITY APPLN. INFO.:			US 2002-408029P	P	20020904
			US 2003-653776	A2	20030903

OTHER SOURCE(S): MARPAT 144:254145

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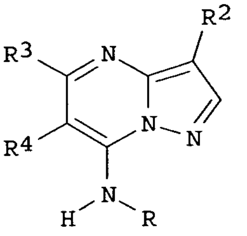

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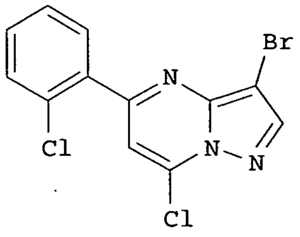
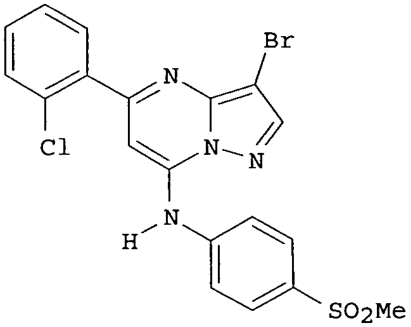


II



III

AB The title compds. I [R = aryl optionally substituted with one or more heteroaryl; R2 = alkyl, cycloalkyl, CF3, etc.; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases, were prepared Thus, reacting II (preparation given) with 4-methylsulfonylaniline hydrochloride in the presence of iPr2NEt afforded 23% III. The compds. I were tested in in vitro cyclin E/CDK2 kinase assay (biol. data given for representative compds. I). The invention also provides pharmaceutical compns. containing one or more compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns.

IT 676366-35-1P

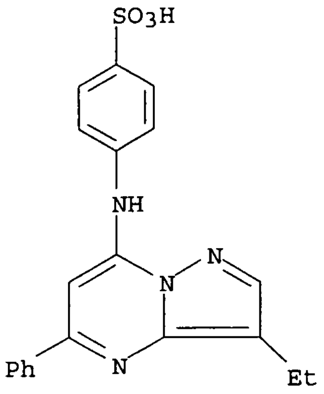
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors for treatment and prevention of diseases)

RN 676366-35-1 HCAPLUS

CN Benzenesulfonic acid, 4-[(3-ethyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-

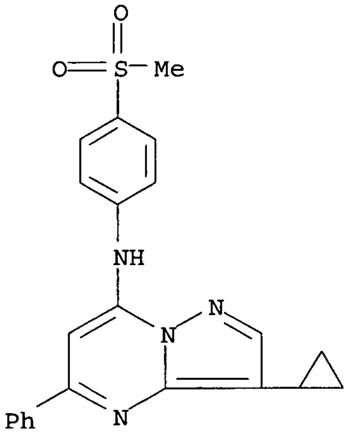
yl)amino]- (9CI) (CA INDEX NAME)



IT 676366-14-6P 676366-15-7P 676366-32-8P
676366-76-0P 877173-69-8P 877173-71-2P
877173-73-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors for treatment and prevention of diseases)

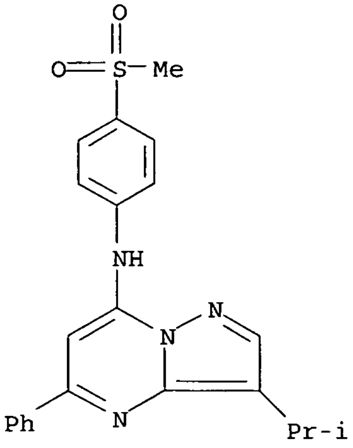
RN 676366-14-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-N-[4-(methylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

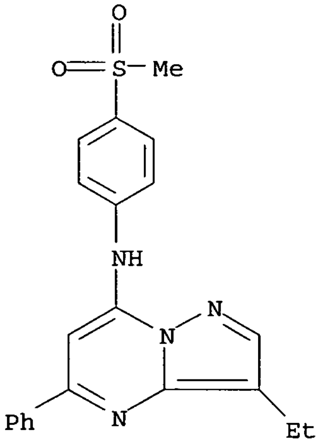


RN 676366-15-7 HCAPLUS

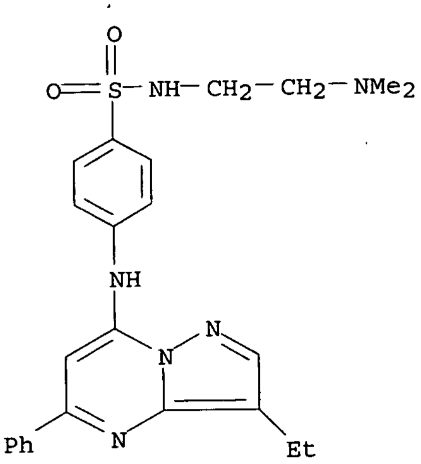
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)



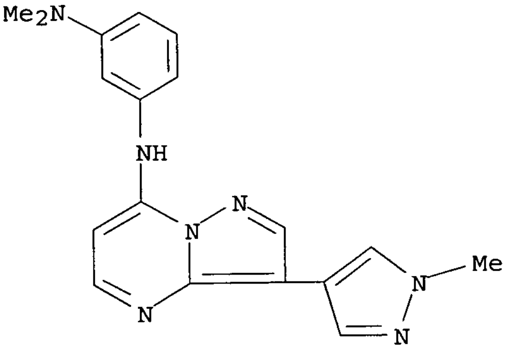
RN 676366-32-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-ethyl-N-[4-(methylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)



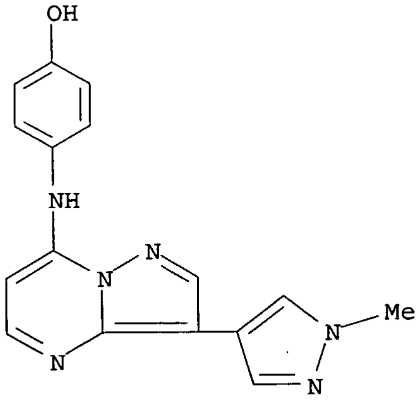
RN 676366-76-0 HCAPLUS
CN Benzenesulfonamide, N-[2-(dimethylamino)ethyl]-4-[(3-ethyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)



RN 877173-69-8 HCAPLUS
CN 1,3-Benzenediamine, N,N-dimethyl-N'-[3-(1-methyl-1H-pyrazol-4-yl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 877173-71-2 HCAPLUS
CN Phenol, 4-[[3-(1-methyl-1H-pyrazol-4-yl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



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RN   877173-73-4  HCAPLUS
CN   1,3-Benzenediamine, N,N-dimethyl-N'-[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-
     piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI)  (CA INDEX NAME)
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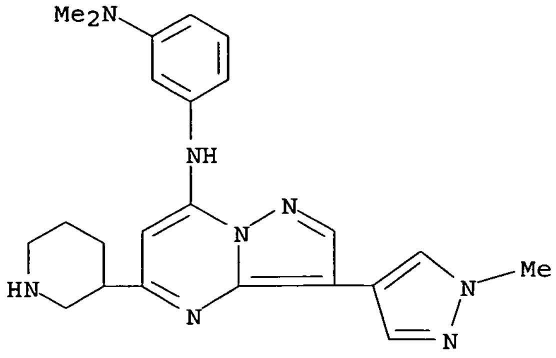

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L6   ANSWER 4 OF 15  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:152759  HCAPLUS
DOCUMENT NUMBER:          144:233090
TITLE:                    Preparation of pyrazolo[1,5-a]pyrimidine derivatives
                          as MAPKAP-K2 inhibitors
INVENTOR(S):              Kosugi, Tomomi; Imai, Minoru; Makino, Hiroaki;
                          Takakuwa, Mika; Unoki, Gen; Kataoka, Kenichiro;
                          Mitchell, Dale Robert; Simpson, Donald James; Harris,
                          Clifford John; Le, Joelle; Yamakoshi, Yuko
PATENT ASSIGNEE(S):       Teijin Pharma Limited, Japan
SOURCE:                   PCT Int. Appl., 124 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND    DATE       APPLICATION NO.         DATE
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     WO 2006016715        A1     20060216   WO 2005-JP15031         20050811
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     US 2006135514        A1     20060622   US 2005-202035          20050812
PRIORITY APPLN. INFO.:                      JP 2004-236035       A  20040813
                                            US 2004-603535P      P  20040824
OTHER SOURCE(S):          MARPAT 144:233090
GI
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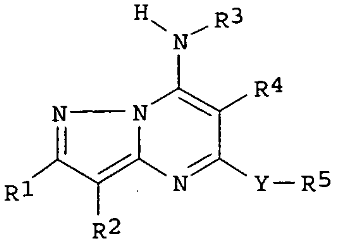


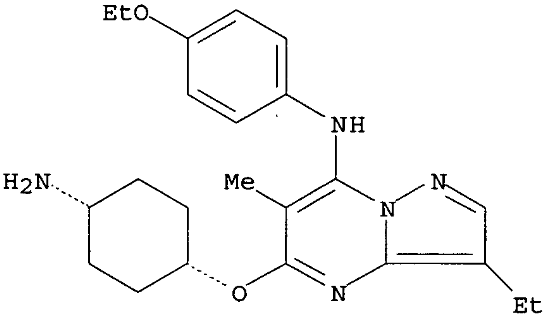
I

AB The title compds. I [R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, halo, CN, etc.; R3 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; R4 = H, halo, (un)substituted alkyl, etc.; R5 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; Y = O, S; a proviso is given] are prepared I are useful in the treatment of MAPKAP-K2 mediated diseases, for example, inflammatory diseases, autoimmune diseases, osteoclastic disorder, etc. Thus, (dl)-(4-ethoxyphenyl)-[6-methyl-5-(trans-4-propylpiperidin-3-yloxy)pyrazolo[1,5-a]pyrimidin-7-yl]amine was prepared by catalytic hydrogenation of (dl)-trans-4-Allyl-3-[7-(4-ethoxyphenylamino)-6-methylpyrazolo[1,5-a]pyrimidin-5-yloxy]piperidine-1-carboxylic acid benzyl ester. Many compds. of this invention showed IC50 values ≤ 2 μM against MAPKAP-K2 (mitogen activated protein kinase-activated protein kinase-2).

IT 876389-71-8P 876389-72-9P 876389-73-0P
876390-64-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. as MAPKAP-K2 inhibitors)

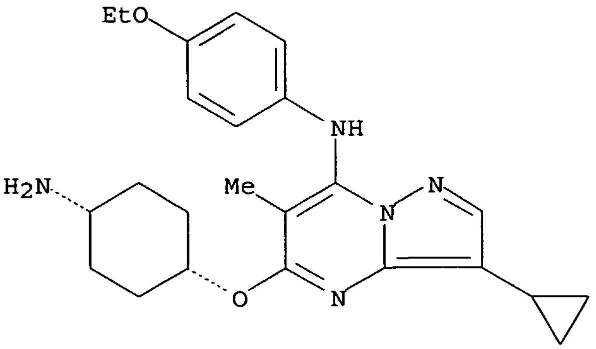
RN 876389-71-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-[(cis-4-aminocyclohexyl)oxy]-N-(4-ethoxyphenyl)-3-ethyl-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



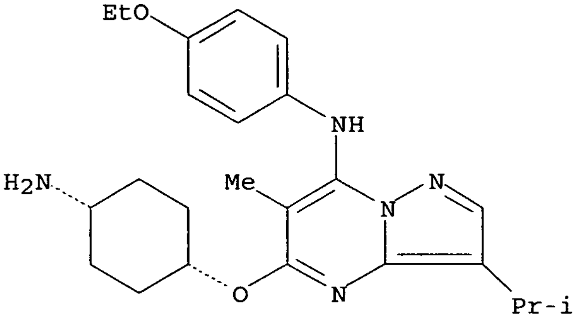
RN 876389-72-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-[(cis-4-aminocyclohexyl)oxy]-3-cyclopropyl-N-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



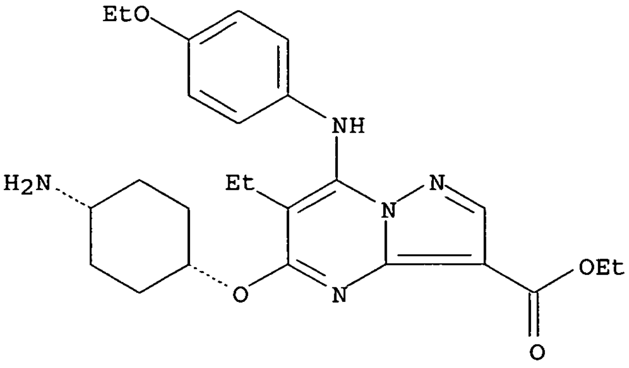
RN 876389-73-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-[(cis-4-aminocyclohexyl)oxy]-N-(4-ethoxyphenyl)-6-methyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 876390-64-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 5-[(cis-4-aminocyclohexyl)oxy]-7-[(4-ethoxyphenyl)amino]-6-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

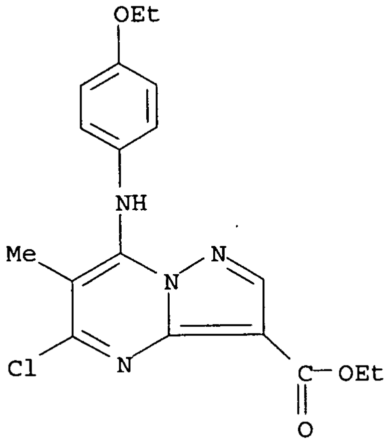


IT 754211-12-6P 754211-13-7P 754211-16-0P
754211-17-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolo[1,5-a]pyrimidine derivs. as MAPKAP-K2 inhibitors)

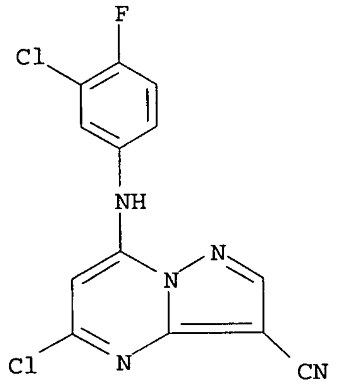
RN 754211-12-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 5-chloro-7-[(4-ethoxyphenyl)amino]-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



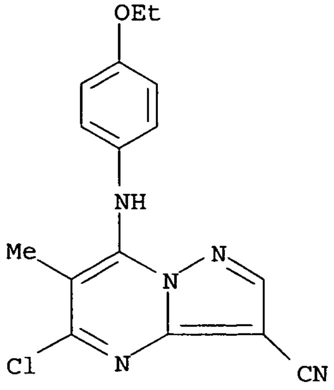
RN 754211-13-7 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-chloro-7-[(3-chloro-4-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

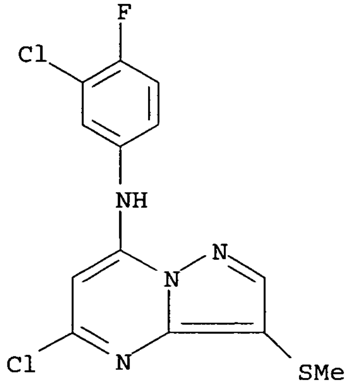


RN 754211-16-0 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-chloro-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)



RN 754211-17-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(3-chloro-4-fluorophenyl)-3-(methylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2005:612294 HCAPLUS
DOCUMENT NUMBER:	143:133390
TITLE:	Preparation of pyrazolopyrimidines as CRF receptor antagonists
INVENTOR(S):	Luo, Zhiyong; Slee, Deborah; Tellew, John Edward; Williams, John; Zhang, Xiahou
PATENT ASSIGNEE(S):	SB Pharmco Puerto Rico Inc., USA; Neurocrine Biosciences Inc.
SOURCE:	PCT Int. Appl., 79 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063756	A1	20050714	WO 2004-IB4293	20041220

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

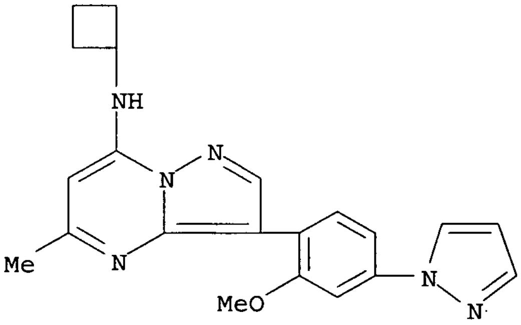
PRIORITY APPLN. INFO.: US 2003-532044P P 20031222
OTHER SOURCE(S): MARPAT 143:133390
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, NH2, (un)substituted alkyl, etc.; R2 = NR5R6 or OR7; R3 = H, alkyl or absent if double bond is present; Y = CO, =(CR4)-; R4 = H, thioalkyl, (un)substituted alkyl, etc.; Ar = (un)substituted Ph or pyridyl; Het = (un)substituted heteroaryl; R5 = H, (un)substituted alkyl, heterocycle, etc.; R6 = (un)substituted alkyl, heterocyclealkyl, aryl, etc.; R7 = (un)substituted alkyl, arylalkyl, heteroarylalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as CRF receptor antagonists. Thus, e.g., II was prepared by cyclization of III (preparation given) with Et acetoacetate followed by chlorination and subsequent substitution with isopropylamine. The CRF receptor binding activity of I was evaluated using radioligand binding assay (no data). I as CRF receptor antagonists should prove useful in the treatment of stroke, depression and anxiety. Pharmaceutical compns. comprising I are disclosed.

IT 858521-99-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as CRF receptor antagonists)

RN 858521-99-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6   ANSWER 6 OF 15  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:86349  HCAPLUS
DOCUMENT NUMBER:          142:253677
TITLE:                    Structure-guided design of pyrazolo[1,5-a]pyrimidines
                          as inhibitors of human cyclin-dependent kinase 2
AUTHOR(S):                Williamson, Douglas S.; Parratt, Martin J.; Bower,
                          Justin F.; Moore, Jonathan D.; Richardson, Christine
                          M.; Dokurno, Pawel; Cansfield, Andrew D.; Francis,
                          Geraint L.; Hebdon, Richard J.; Howes, Rob; Jackson,
                          Philip S.; Lockie, Andrea M.; Murray, James B.; Nunns,
                          Claire L.; Powles, Jenifer; Robertson, Alan; Surgenor,
                          Allan E.; Torrance, Christopher J.
CORPORATE SOURCE:         Granta Park, Vernalis (R&D) Ltd., Cambridge, CB1 6GB,
                          UK
SOURCE:                   Bioorganic & Medicinal Chemistry Letters (2005),
                          15(4), 863-867
                          CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:                Elsevier B.V.
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
OTHER SOURCE(S):          CASREACT 142:253677
AB   The protein structure guided design of a series of pyrazolo[1,5-
     a]pyrimidines with high potency for human cyclin-dependent kinase 2 (CDK2)
     is described.  Some examples were shown to inhibit the growth of human
     colon tumor cells, were equipotent for CDK1 and were selective against
     GSK-3β and other kinases.
IT   771499-44-6P 771499-95-7P 771500-00-6P
     771503-67-4P 771504-46-2P 771504-52-0P
     771506-54-8P 771507-72-3P 771509-91-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (structure-guided design of pyrazolo[1,5-a]pyrimidines as CDK2
        inhibitors)
RN   771499-44-6  HCAPLUS
CN   Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(1-
     methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI)  (CA
     INDEX NAME)

Relative stereochemistry.
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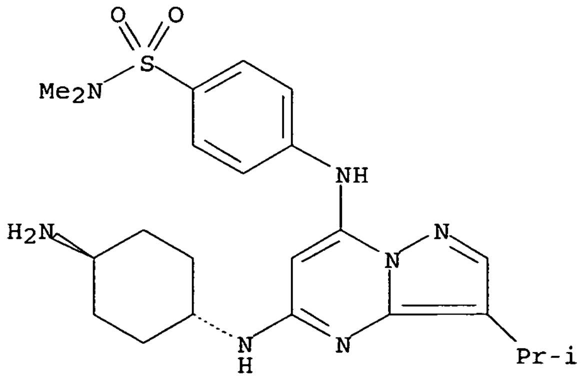

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RN   771499-95-7  HCAPLUS
CN   Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-(2-
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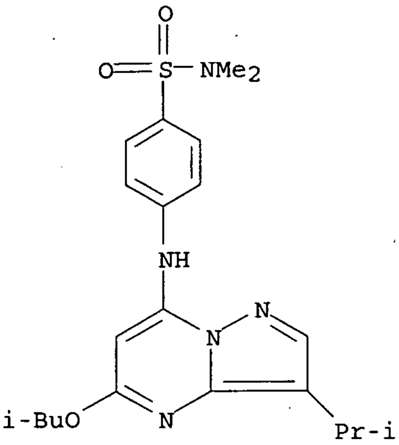
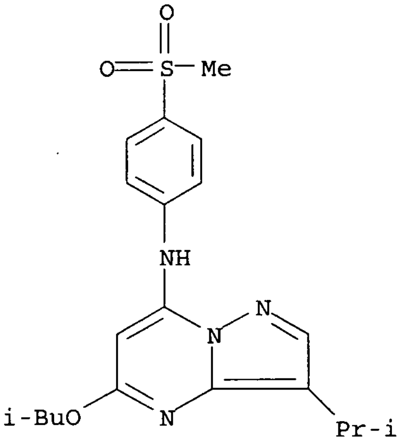
methylpropoxy)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

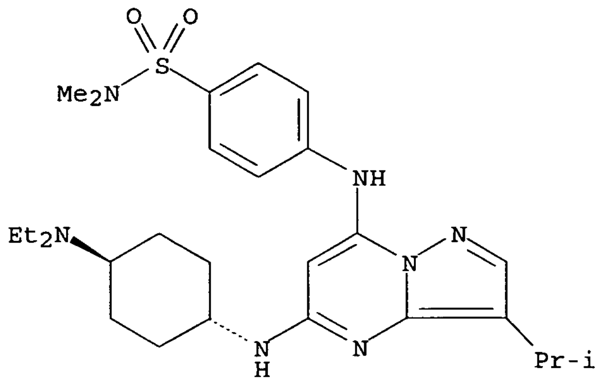


RN 771500-00-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methylethyl)-5-(2-methylpropoxy)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

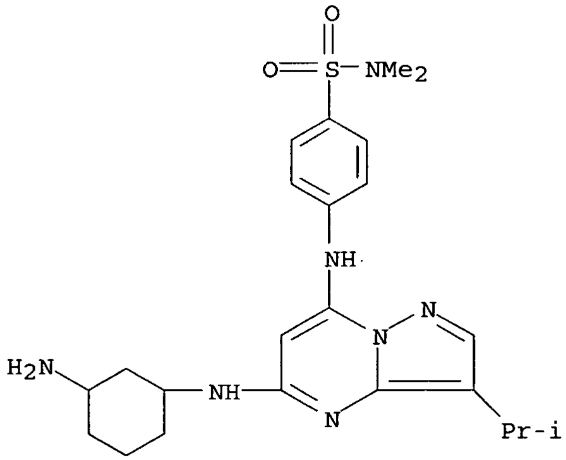


RN 771503-67-4 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[trans-4-(diethylamino)cyclohexyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

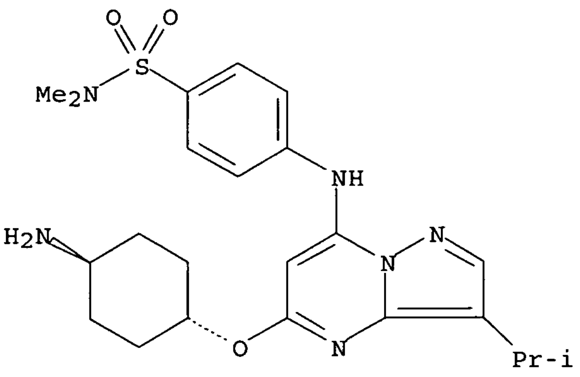


RN 771504-46-2 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(3-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



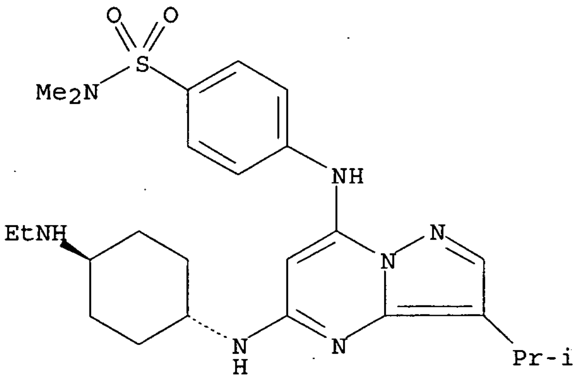
RN 771504-52-0 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)oxy]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



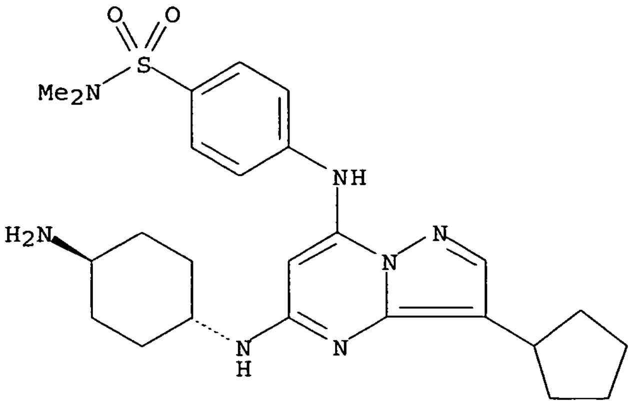
RN 771506-54-8 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[trans-4-(ethylamino)cyclohexyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 771507-72-3 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-cyclopentylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

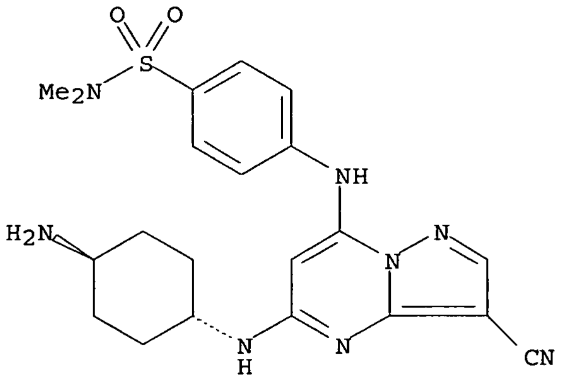
Relative stereochemistry.



RN 771509-91-2 HCAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-cyanopyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

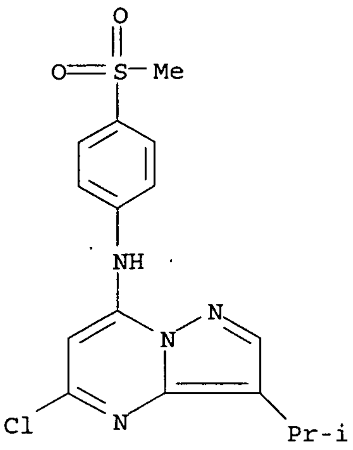
Relative stereochemistry.



IT 771499-17-3P 771499-34-4P 845895-96-7P
845895-97-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-guided design of pyrazolo[1,5-a]pyrimidines as CDK2 inhibitors)

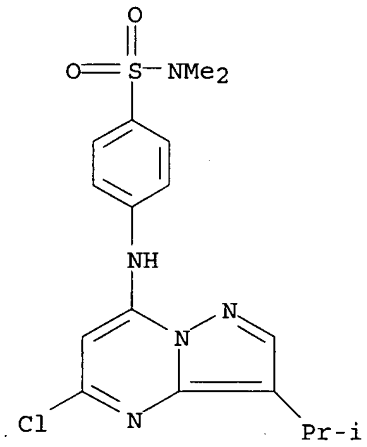
RN 771499-17-3 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 771499-34-4 HCAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 845895-96-7 HCAPLUS

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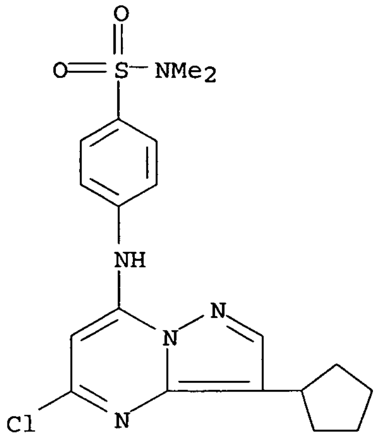

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CN   Benzenesulfonamide, 4-[(5-chloro-3-cyanopyrazolo[1,5-a]pyrimidin-7-
     yl)amino]-N,N-dimethyl- (9CI)   (CA INDEX NAME)
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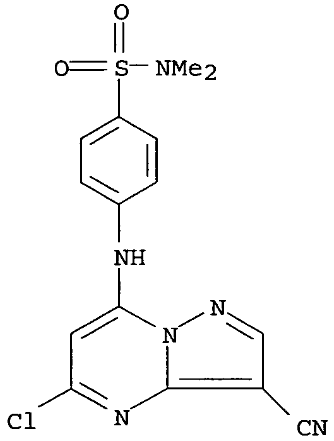

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REFERENCE COUNT:         19    THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
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L6   ANSWER 7 OF 15  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        2004:857603  HCAPLUS
DOCUMENT NUMBER:         141:332189
TITLE:                   Pyrazolopyrimidine compounds and their use in medicine
INVENTOR(S):             Parratt, Martin; Bower, Justin Fairfield; Williamson,
                         Douglas; Cansfield, Andrew
PATENT ASSIGNEE(S):      Vernalis Cambridge Limited, UK
SOURCE:                  PCT Int. Appl., 90 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND    DATE          APPLICATION NO.        DATE
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PRIORITY APPLN. INFO.:                            GB 2003-7389        A  20030331
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OTHER SOURCE(S):            MARPAT 141:332189
GI
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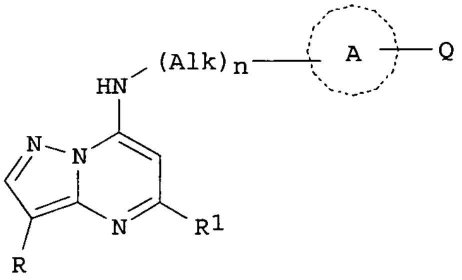

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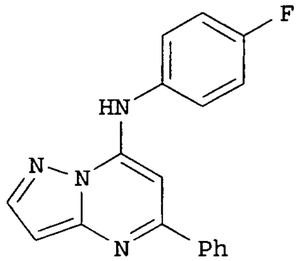


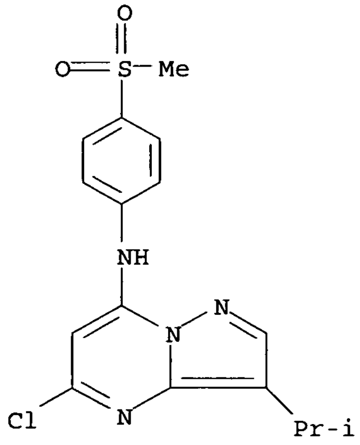
II

AB Compds. of formula (I) or salts, N-oxides, hydrates or solvates thereof are disclosed as inhibitors of kinase activity, and useful for the treatment of, for example, cancer, psoriasis or restenosis: wherein ring A is an optionally substituted carbocyclic or heterocyclic radical. Alk represents an optionally substituted divalent C1-C6 alkylene radical; n is 0 or 1. Q represents a radical of formula -(Alk1)p (X)r-(Alk2)s -Z wherein in any compatible combination Z is hydrogen or an optionally substituted carbocyclic or heterocyclic ring; Alk1 and Alk2 are optionally substituted divalent C1-C6 alkylene radicals which may contain a -O-, -S- or -NRA- link, wherein RA is hydrogen or C1-C6 alkyl; X represents -O-, -S-, -(C=O)-, -(C=S)-, -SO2-, -SO-, -C(=O)O-, -OC(=O)-, -C(=O)NRA-, -NRAC(=O)-,-C(=S)NRA-, -NRAC(=S)-, -SO2NRA-, -NRASO2-, -OC(=O)NRA-, -NRAC(=O)O-, or -NRA- wherein RA is hydrogen or C1-C6 alkyl; p, r and s are independently 0 or 1. R1 represents a radical -(Alk3)a-(Y)b-(Alk4)d-B wherein a, b and d are independently 0 or 1; Alk3 and Alk4 are optionally substituted divalent C1-C3 alkylene radicals; Y represents a monocyclic divalent carbocyclic or heterocyclic radical having from 5 to 8 ring atoms, -O-, -S-, or -NRA- wherein RA is hydrogen or C1-C6 alkyl; B represents hydrogen or halo, or an optionally substituted monocyclic carbocyclic or heterocyclic ring having from 5 to 8 ring atoms, or in the case where Y is -NRA- and b is 1, then RA and the radical -(Alk4)d-B taken together with the nitrogen to which they are attached may form an optionally substituted heterocyclic ring. R represents H, halo, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkylthio, Ph, benzyl, cycloalkyl with 3 to 6 ring atoms, or a monocyclic heterocyclic group having 5 or 6 ring atoms. Preparation of I is also disclosed; thus, e.g., the HCl salt of II was prepared from 5,7-dichloropyrazolo[1,5-a]pyrimidine via substitution with 4-fluoroaniline followed by N-protection with di-t-Bu dicarbonate, coupling with Ph boronic acid, and deprotection. I were assayed for CDK2 inhibition and were identified to possess IC50 values ranging from 0.004-24.866 µM. Data for assays of CHK1 kinase activity and PDK dependent kinase activity were given as well as growth inhibition assay data for select example compds.

IT 771499-17-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aminopyrazolopyrimidines with kinase inhibitory activity)

RN 771499-17-3 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminopyrazolopyrimidines with kinase inhibitory activity)

RN 771499-12-8 HCAPLUS
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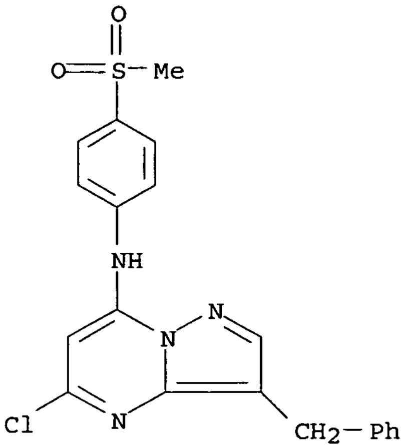
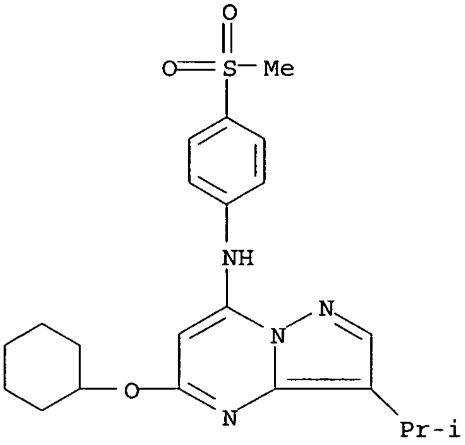
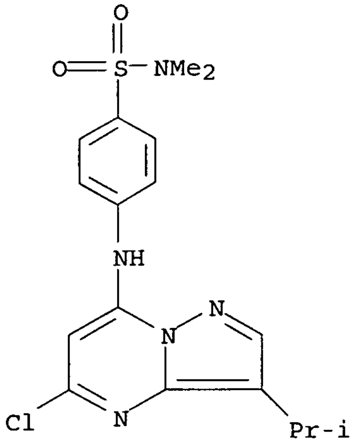


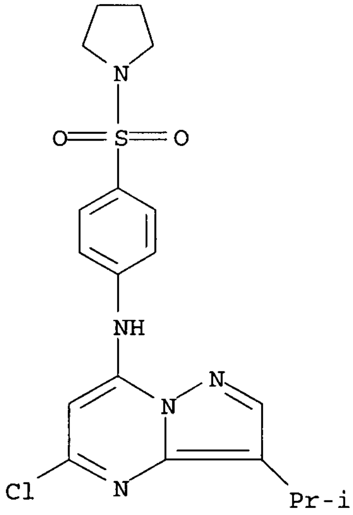
RN 771499-22-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(cyclohexyloxy)-3-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 771499-34-4 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

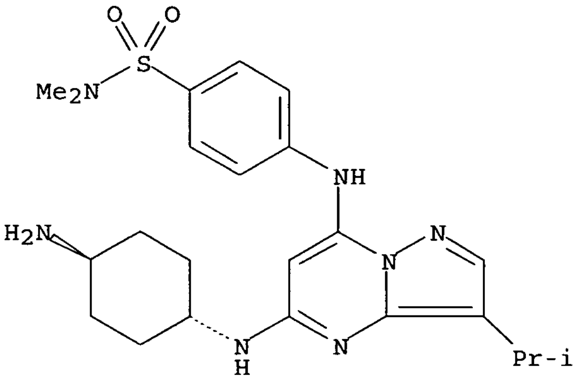


RN   771499-39-9   HCAPLUS
CN   Pyrrolidine, 1-[[4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]phenyl]sulfonyl]- (9CI)   (CA INDEX NAME)

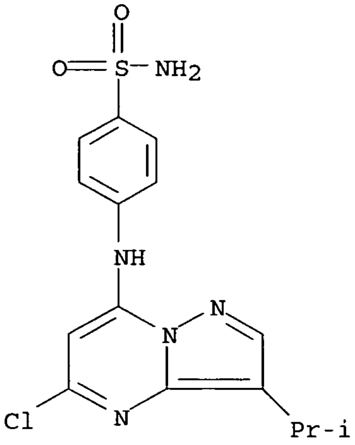


RN   771499-44-6   HCAPLUS
CN   Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI)   (CA INDEX NAME)

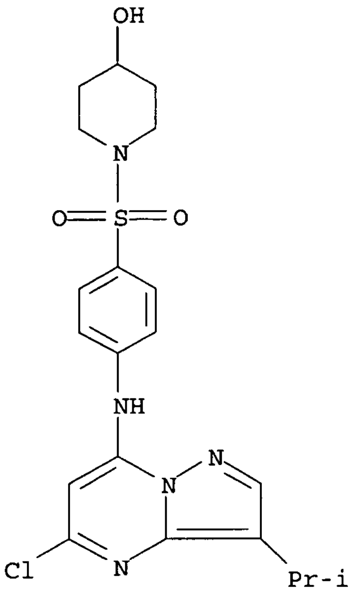
Relative stereochemistry.



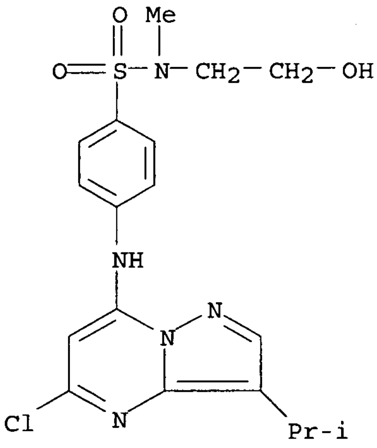
RN 771499-55-9 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



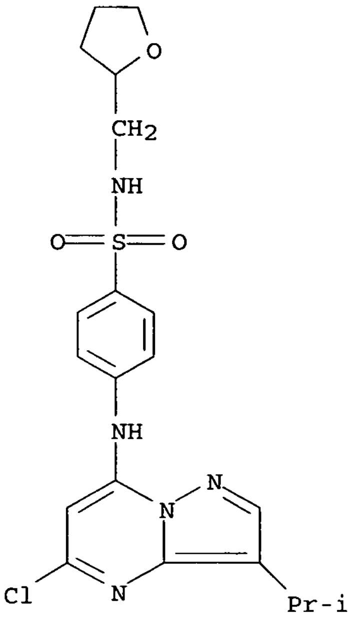
RN 771499-60-6 HCAPLUS
CN 4-Piperidinol, 1-[[4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



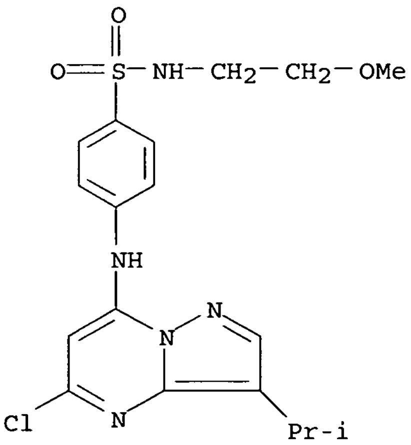
RN 771499-65-1 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)



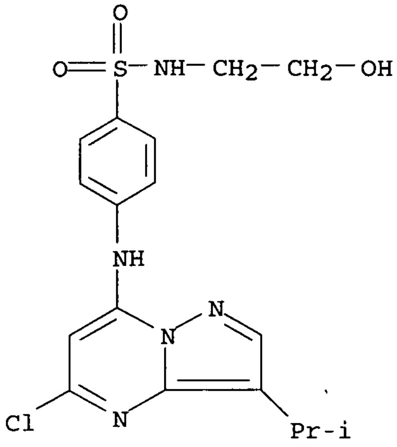
RN 771499-70-8 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



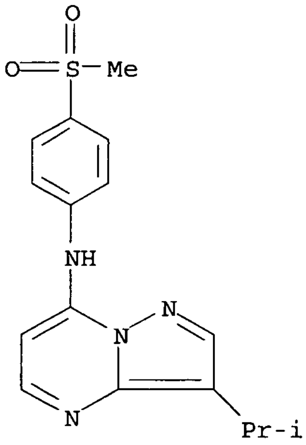
RN 771499-75-3 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



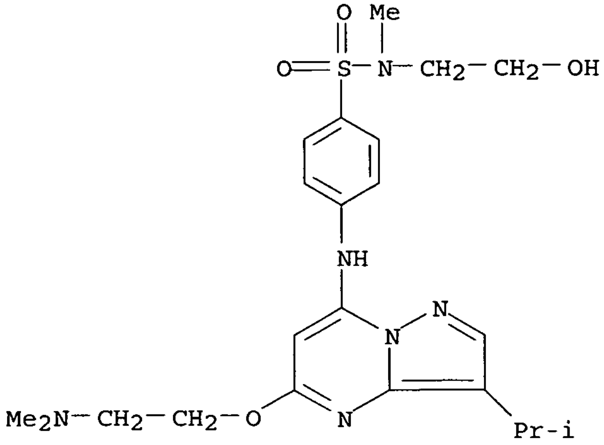
RN 771499-80-0 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 771499-85-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

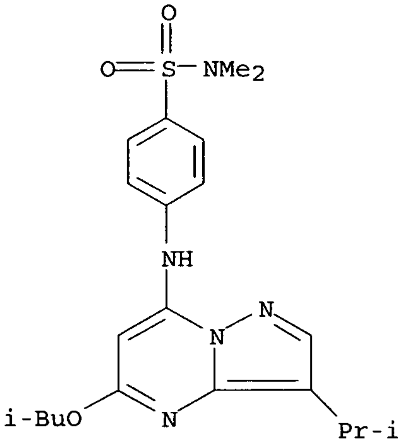


RN 771499-90-2 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[2-(dimethylamino)ethoxy]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)



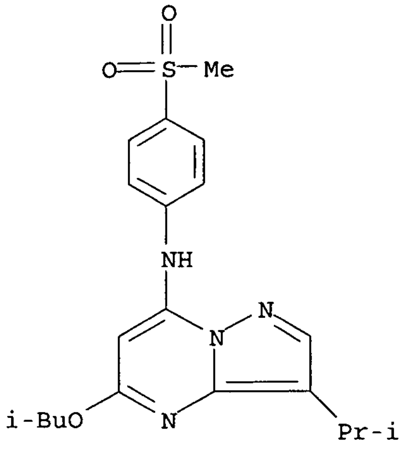
RN 771499-95-7 HCAPLUS

CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-(2-methylpropoxy)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

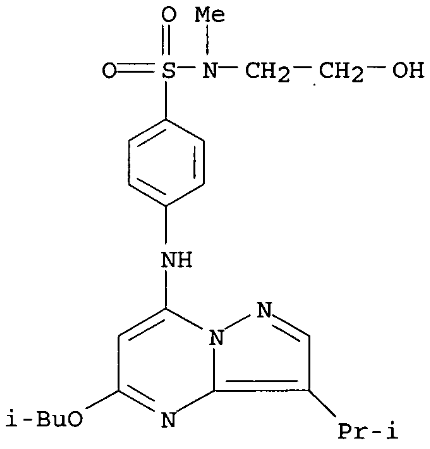


RN 771500-00-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methylethyl)-5-(2-methylpropoxy)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 771500-05-1 HCAPLUS
CN Benzenesulfonamide, N-(2-hydroxyethyl)-N-methyl-4-[[3-(1-methylethyl)-5-(2-methylpropoxy)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 771500-11-9 HCAPLUS
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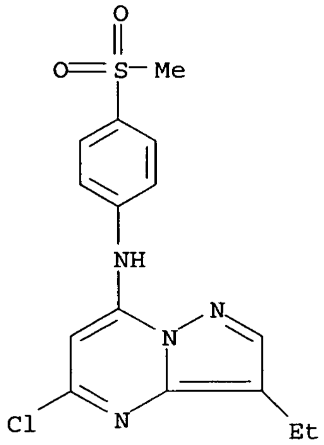

RN 771500-16-4 HCAPLUS
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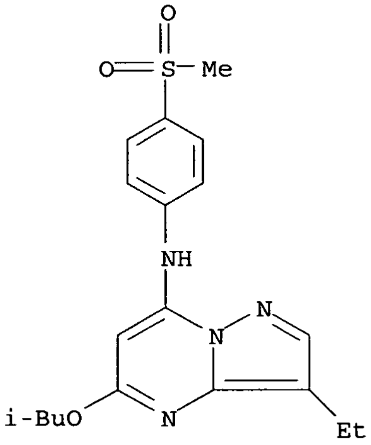

RN 771500-21-1 HCAPLUS
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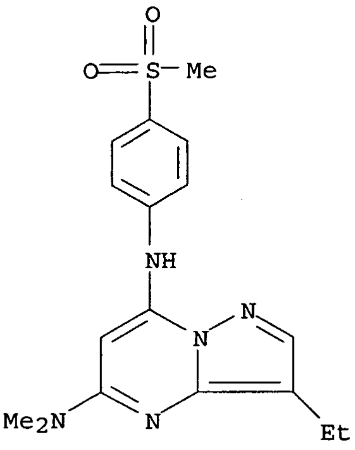

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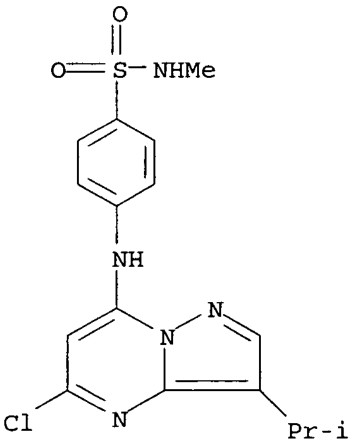
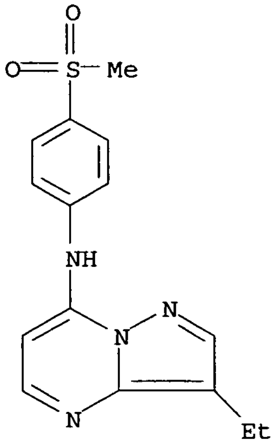
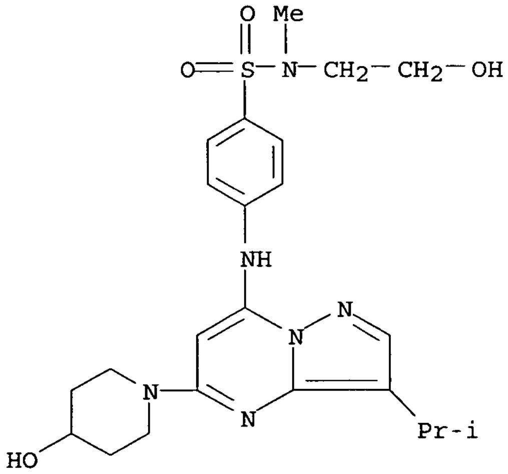


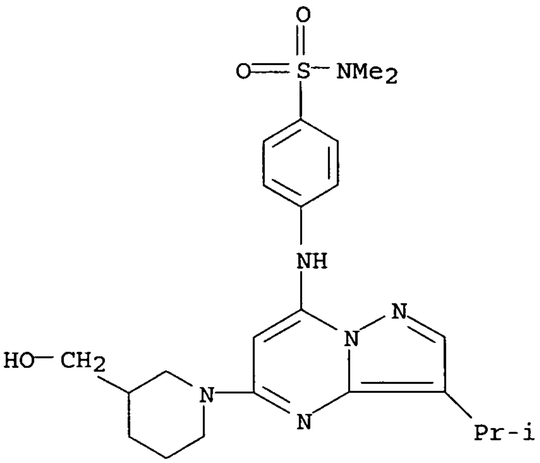
RN 771500-30-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-ethyl-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



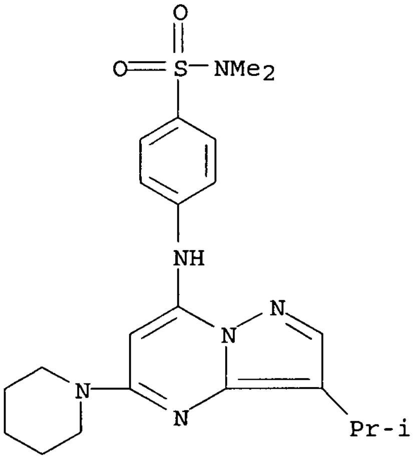
RN 771500-36-8 HCAPLUS
CN Benzenesulfonamide, N-(2-hydroxyethyl)-4-[[5-(4-hydroxy-1-piperidinyl)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)



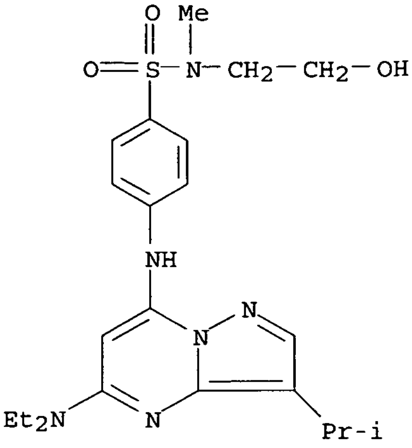
RN 771500-41-5 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[3-(hydroxymethyl)-1-piperidinyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



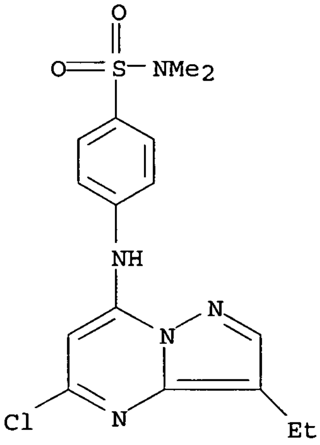
RN 771500-46-0 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-(1-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



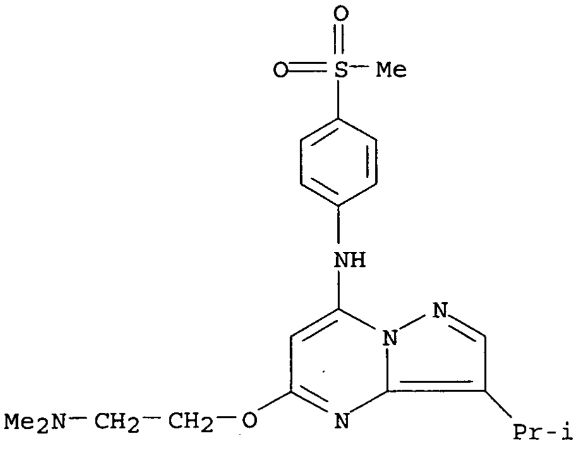
RN 771500-51-7 HCAPLUS
CN Benzenesulfonamide, 4-[[5-(diethylamino)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)



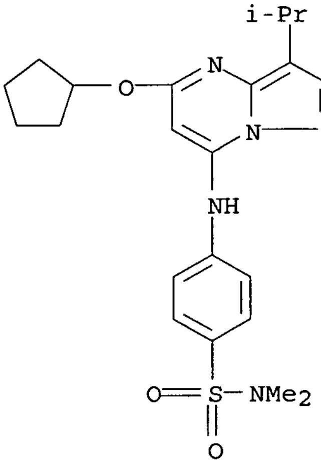
RN 771500-57-3 HCAPLUS
CN Benzenesulfonamide, 4-[(5-chloro-3-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



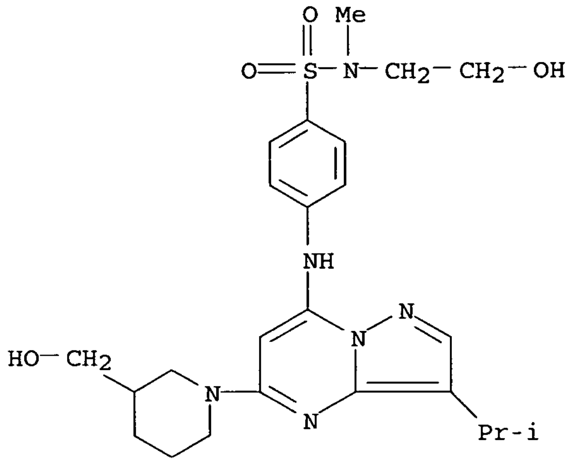
RN 771500-64-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-[2-(dimethylamino)ethoxy]-3-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



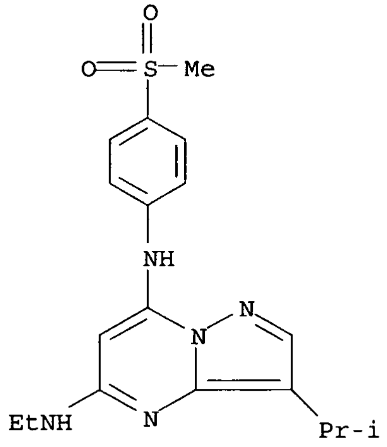
RN 771500-70-0 HCAPLUS
CN Benzenesulfonamide, 4-[[5-(cyclopentyloxy)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 771500-75-5 HCAPLUS
CN Benzenesulfonamide, N-(2-hydroxyethyl)-4-[[5-[3-(hydroxymethyl)-1-piperidinyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)

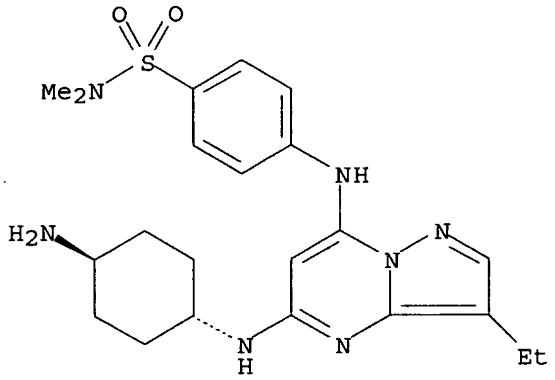


RN 771500-83-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-ethyl-3-(1-methylethyl)-N7-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

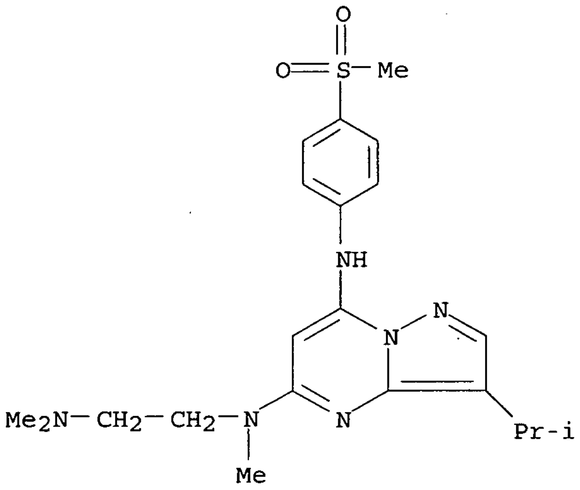


RN 771500-89-1 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-ethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

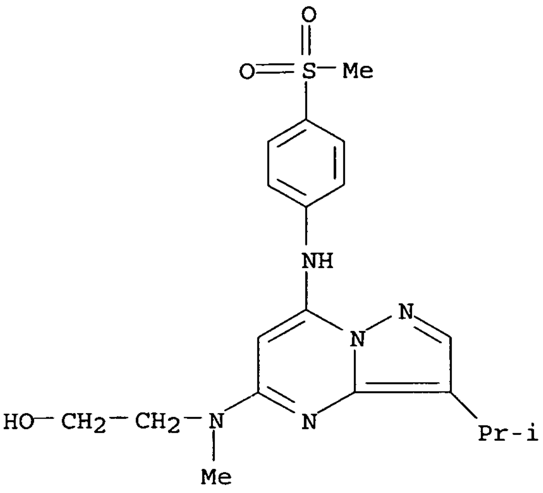
Relative stereochemistry.



RN 771500-95-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-[2-(dimethylamino)ethyl]-N5-methyl-3-(1-methylethyl)-N7-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

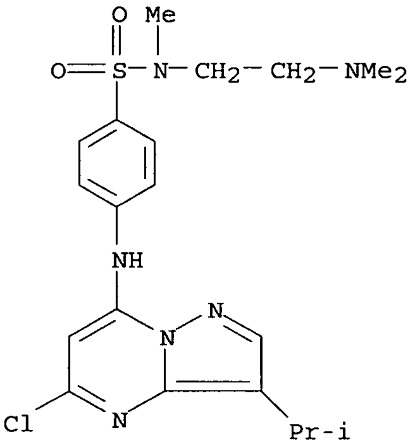


RN 771501-01-0 HCAPLUS
CN Ethanol, 2-[methyl[3-(1-methylethyl)-7-[[4-(methylsulfonyl)phenyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]amino]- (9CI) (CA INDEX NAME)



RN 771501-07-6 HCAPLUS

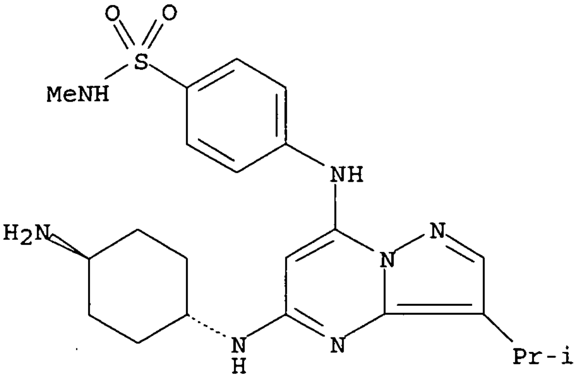
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 771501-12-3 HCAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)

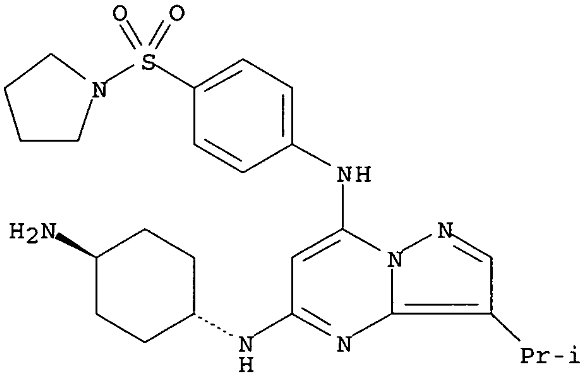
Relative stereochemistry.



RN 771501-17-8 HCAPLUS

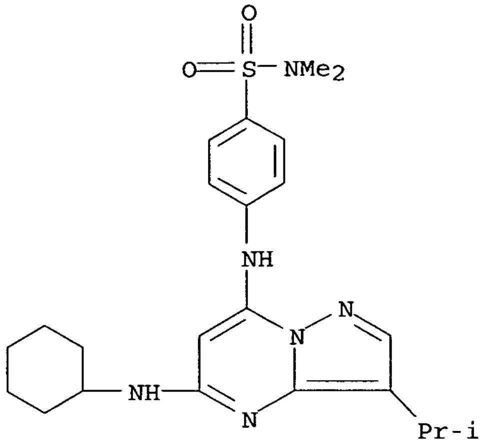
CN Pyrrolidine, 1-[[4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



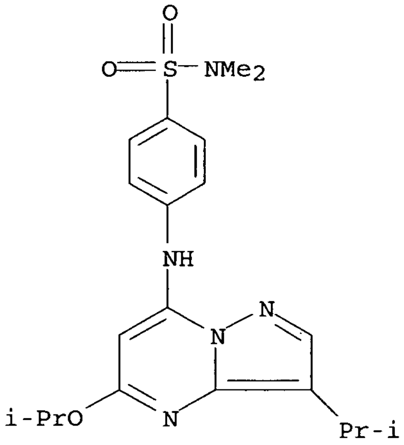
RN 771501-23-6 HCAPLUS

CN Benzenesulfonamide, 4-[[5-(cyclohexylamino)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



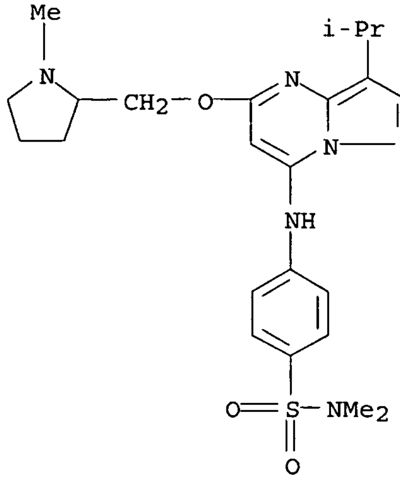
RN 771501-28-1 HCAPLUS

CN Benzenesulfonamide, N,N-dimethyl-4-[[5-(1-methylethoxy)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

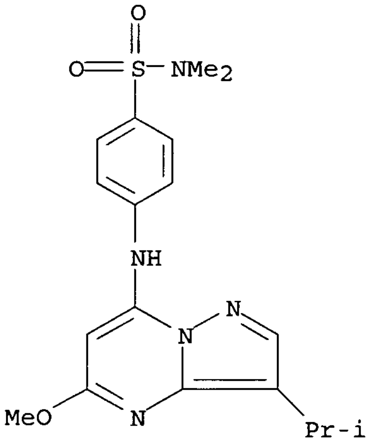


RN 771501-34-9 HCAPLUS

CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[(1-methyl-2-pyrrolidinyl)methoxy]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

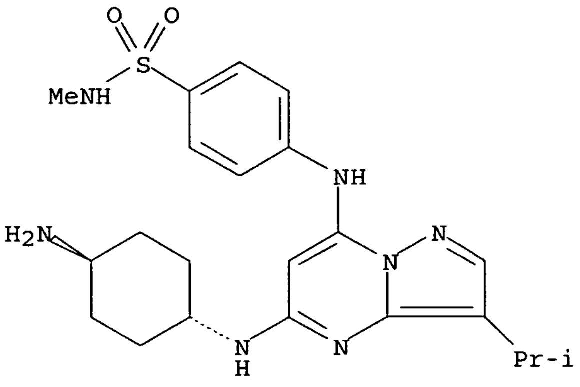


RN 771501-40-7 HCAPLUS
CN Benzenesulfonamide, 4-[[5-methoxy-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



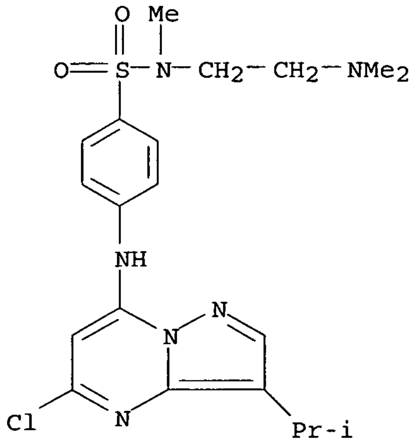
RN 771501-46-3 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



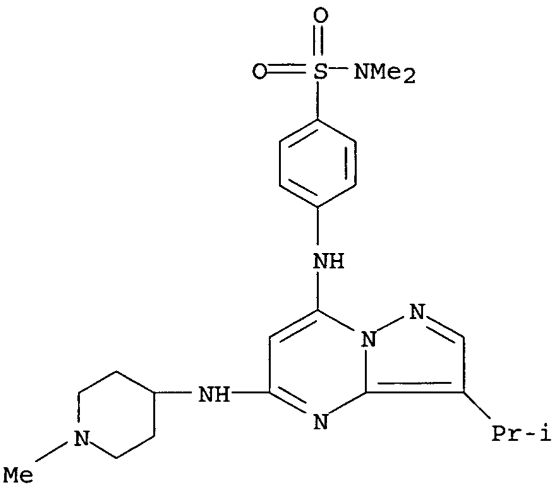
● HCl

RN 771501-53-2 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-[2-(dimethylamino)ethyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

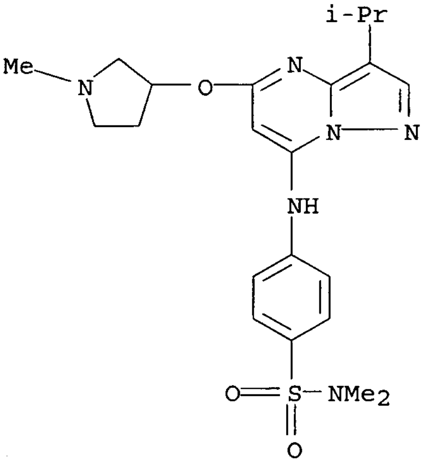


● HCl

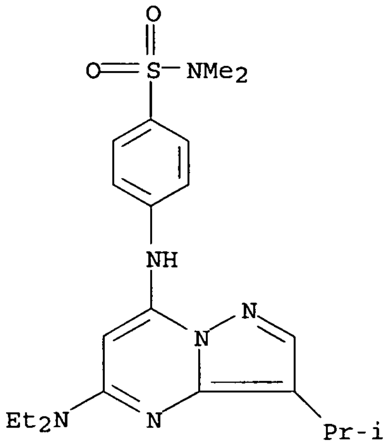
RN 771501-59-8 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[(1-methyl-4-piperidinyl)amino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



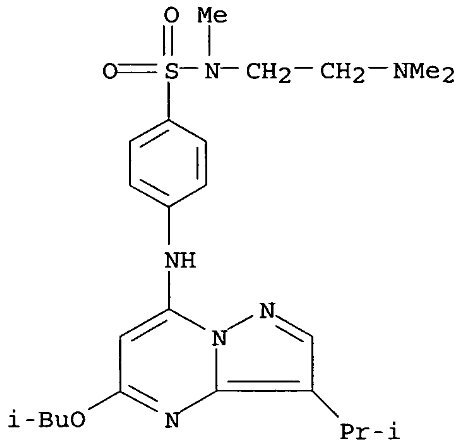
RN 771501-66-7 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[(1-methyl-3-pyrrolidinyl)oxy]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



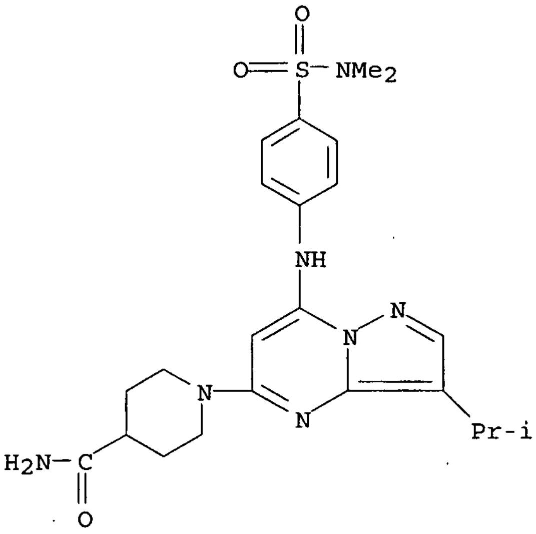
RN 771501-72-5 HCAPLUS
CN Benzenesulfonamide, 4-[[5-(diethylamino)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



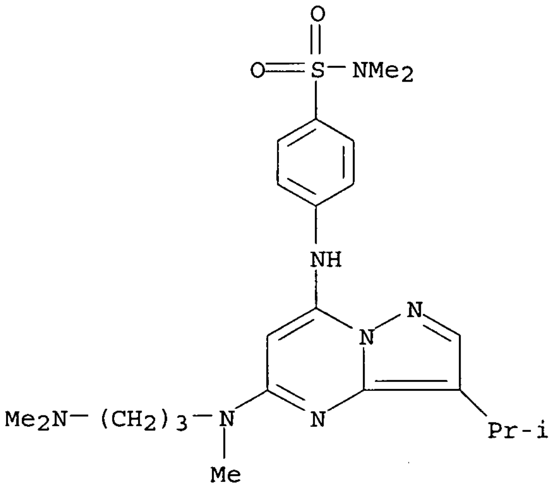
RN 771501-78-1 HCAPLUS
CN Benzenesulfonamide, N-[2-(dimethylamino)ethyl]-N-methyl-4-[[3-(1-methylethyl)-5-(2-methylpropoxy)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



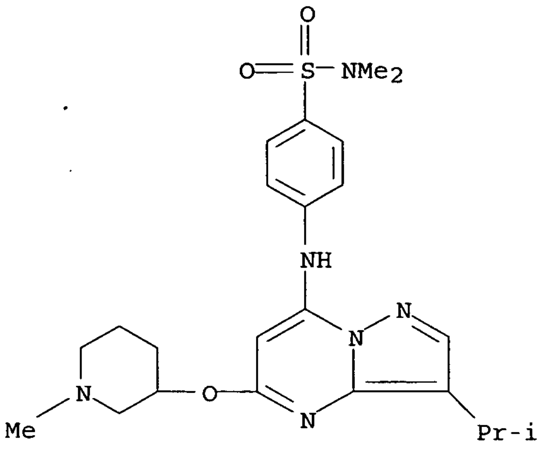
RN 771501-84-9 HCAPLUS
CN 4-Piperidinecarboxamide, 1-[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



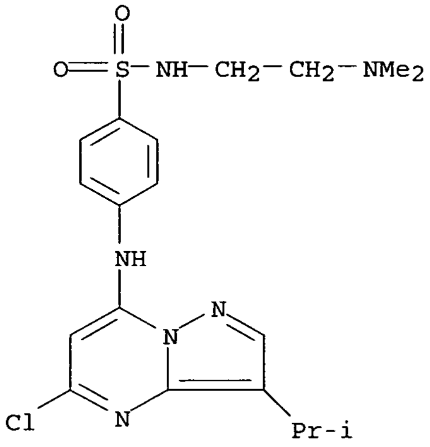
RN 771501-90-7 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[3-(dimethylamino)propyl]methylamino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



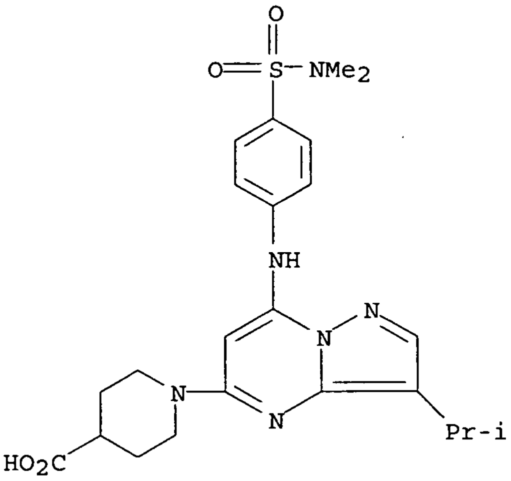
RN 771501-96-3 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[(1-methyl-3-piperidinyl)oxy]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 771502-27-3 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

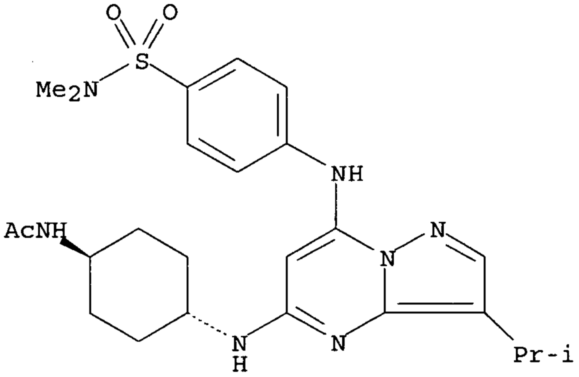


RN 771502-33-1 HCAPLUS
CN 4-Piperidinecarboxylic acid, 1-[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

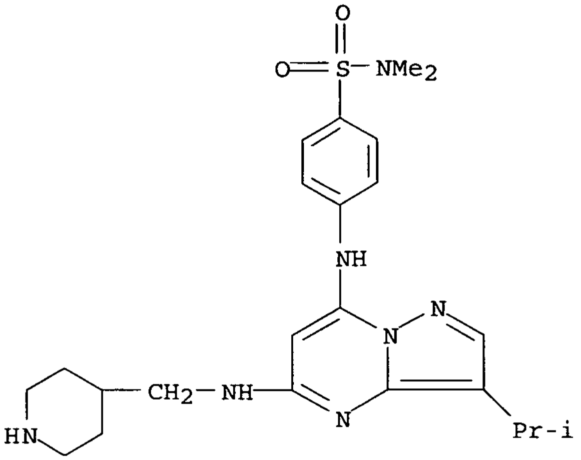


RN 771502-39-7 HCAPLUS
CN Acetamide, N-[trans-4-[[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

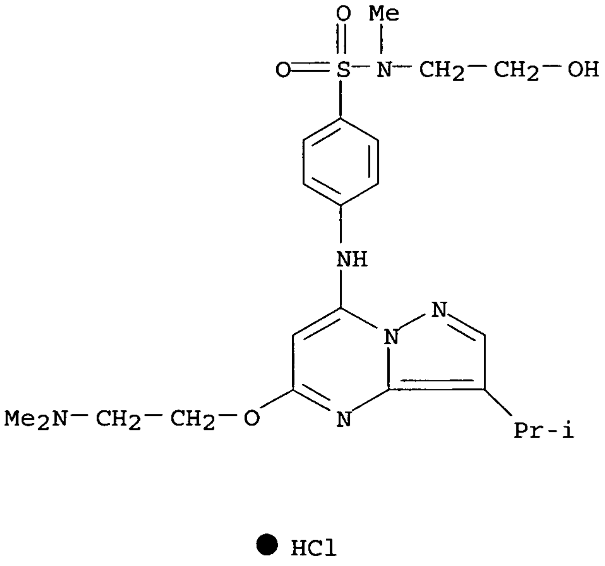
Relative stereochemistry.



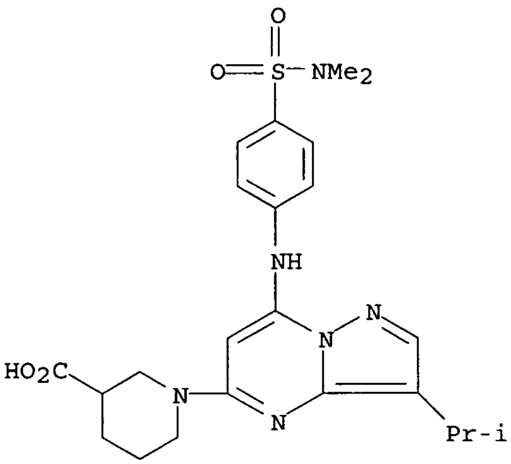
RN 771502-45-5 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[(4-piperidinylmethyl)amino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 771502-51-3 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[2-(dimethylamino)ethoxy]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-(2-hydroxyethyl)-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

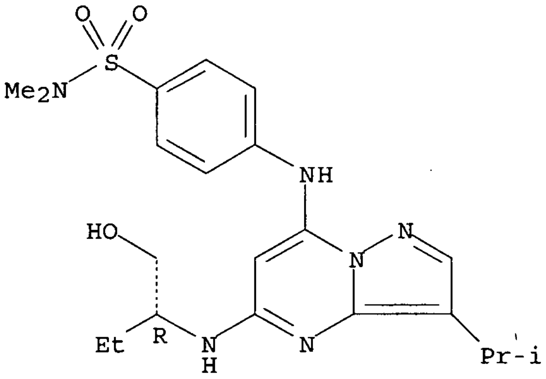


RN 771502-57-9 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

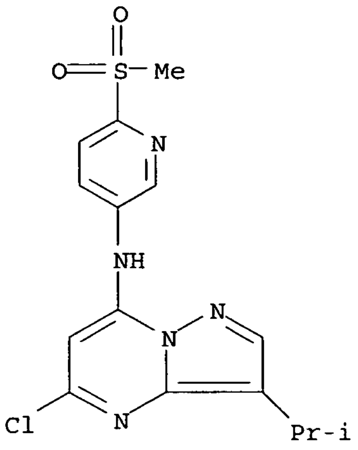


RN 771502-63-7 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[(1R)-1-(hydroxymethyl)propyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

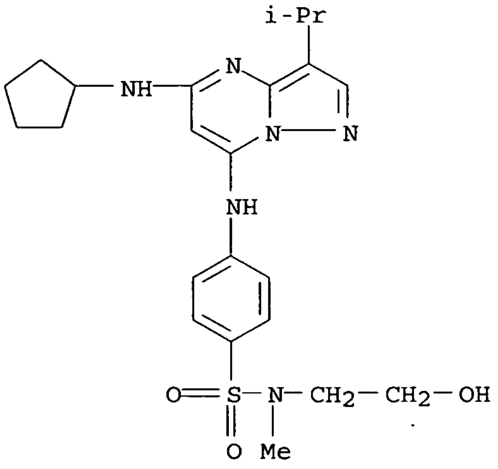
Absolute stereochemistry.



RN 771502-69-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-(1-methylethyl)-N-[6-(methylsulfonyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

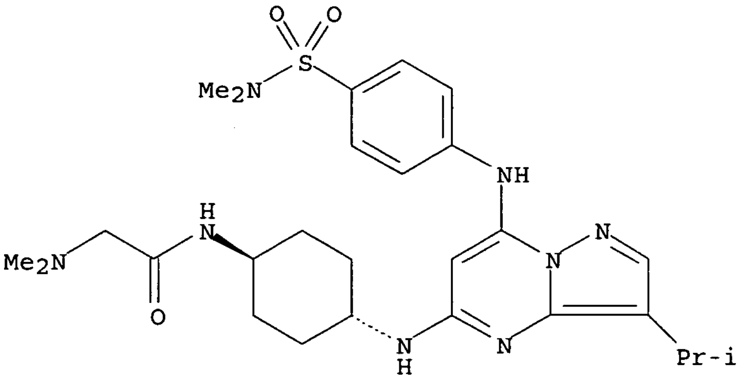


RN 771502-75-1 HCAPLUS
CN Benzenesulfonamide, 4-[[5-(cyclopentylamino)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)

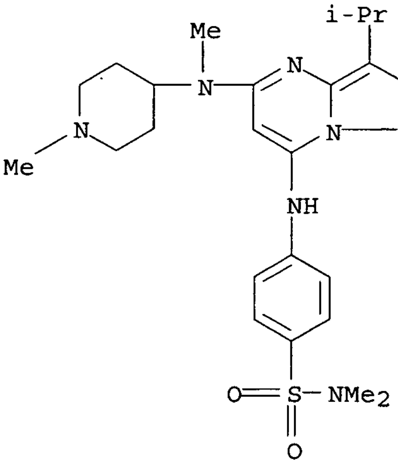


RN 771502-81-9 HCAPLUS
CN Acetamide, 2-(dimethylamino)-N-[trans-4-[[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

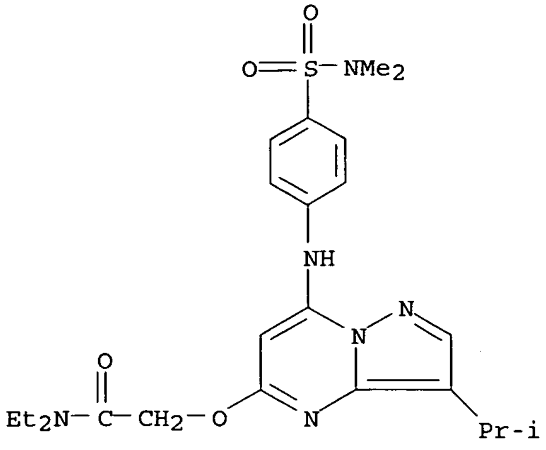
Relative stereochemistry.



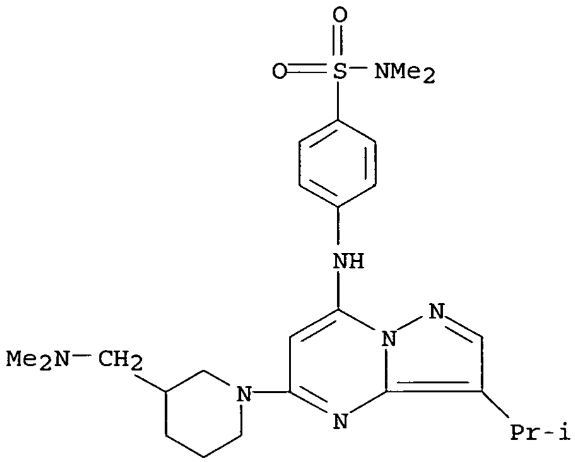
RN 771502-87-5 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[methyl(1-methyl-4-piperidinyl)amino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



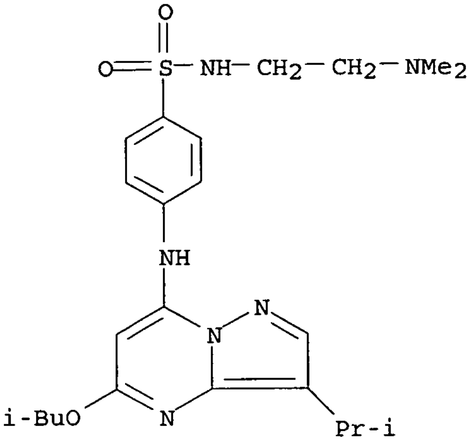
RN 771502-93-3 HCAPLUS
CN Acetamide, 2-[[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]oxy]-N,N-diethyl- (9CI) (CA INDEX NAME)



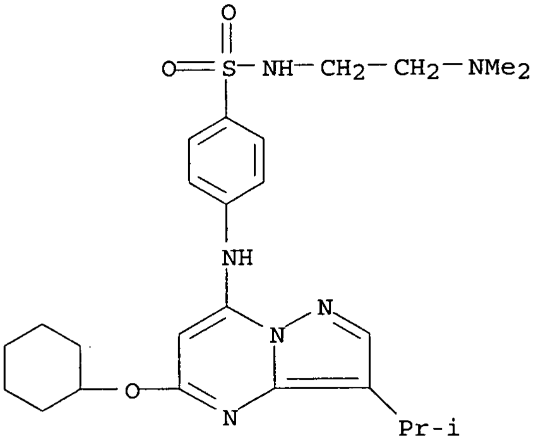
RN   771502-99-9   HCAPLUS
CN   Benzenesulfonamide, 4-[[5-[3-[(dimethylamino)methyl]-1-piperidinyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



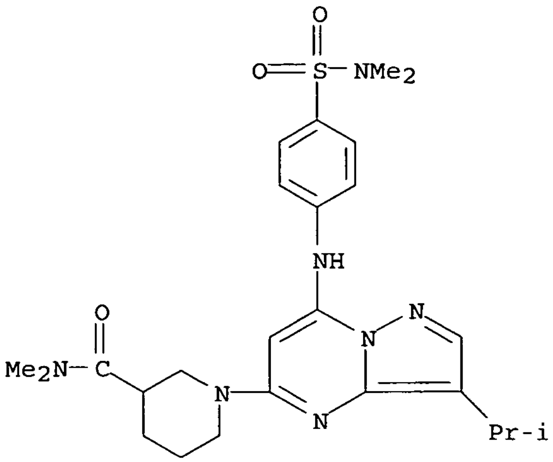
RN   771503-05-0   HCAPLUS
CN   Benzenesulfonamide, N-[2-(dimethylamino)ethyl]-4-[[3-(1-methylethyl)-5-(2-methylpropoxy)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 771503-12-9 HCAPLUS
CN Benzenesulfonamide, 4-[[5-(cyclohexyloxy)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

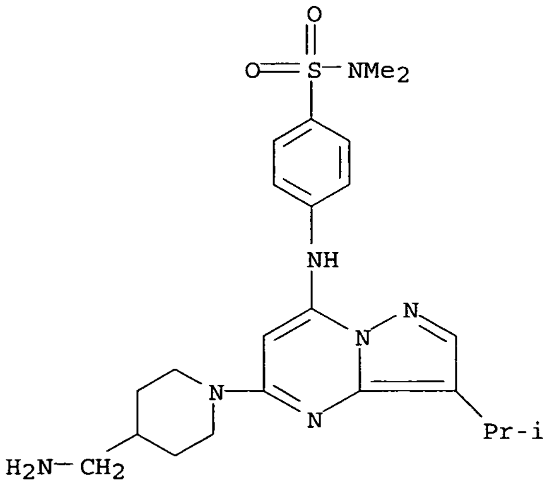


RN 771503-18-5 HCAPLUS
CN 3-Piperidinecarboxamide, 1-[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



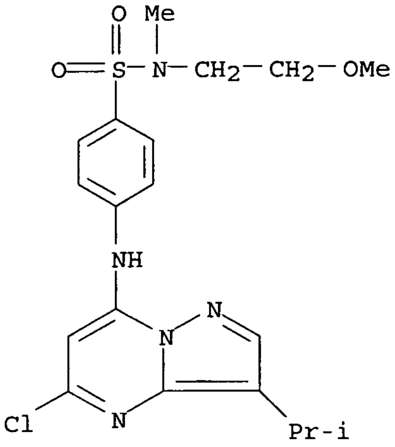
RN 771503-36-7 HCAPLUS

CN Benzenesulfonamide, 4-[[5-[4-(aminomethyl)-1-piperidinyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



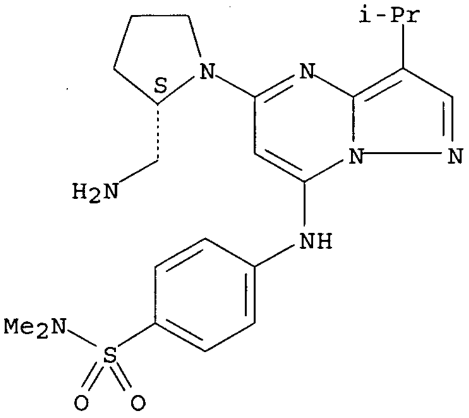
RN 771503-42-5 HCAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-(2-methoxyethyl)-N-methyl- (9CI) (CA INDEX NAME)

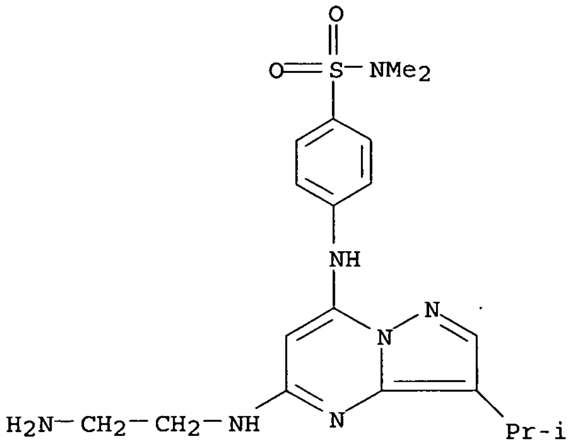


RN 771503-48-1 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(2S)-2-(aminomethyl)-1-pyrrolidinyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 771503-54-9 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(2-aminoethyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



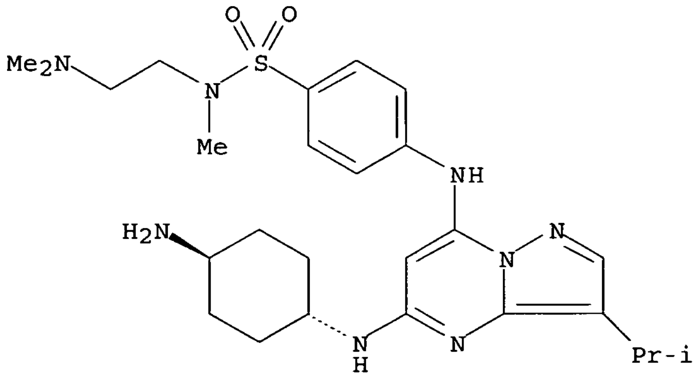
RN 771503-61-8 HCAPLUS

CN Formic acid, compd. with 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N-[2-(dimethylamino)ethyl]-N-methylbenzenesulfonamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 771503-60-7
CMF C26 H40 N8 O2 S

Relative stereochemistry.



CM 2

CRN 64-18-6
CMF C H2 O2

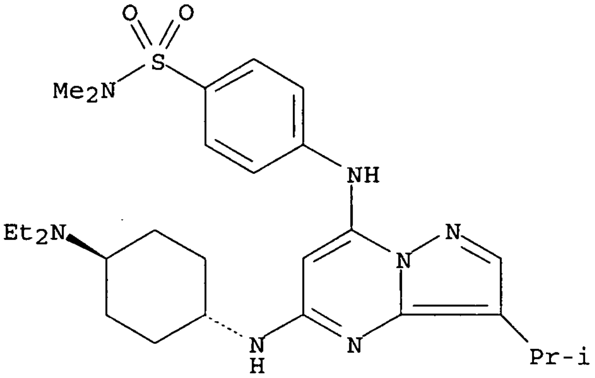
O═CH—OH

RN 771503-67-4 HCAPLUS

CN Benzenesulfonamide, 4-[[5-[[trans-4-(diethylamino)cyclohexyl]amino]-3-(1-

methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

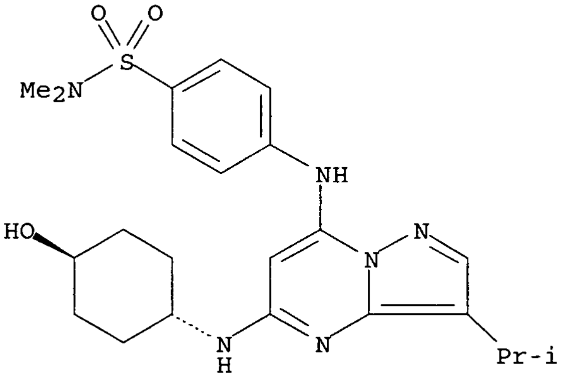
Relative stereochemistry.



RN 771503-73-2 HCAPLUS

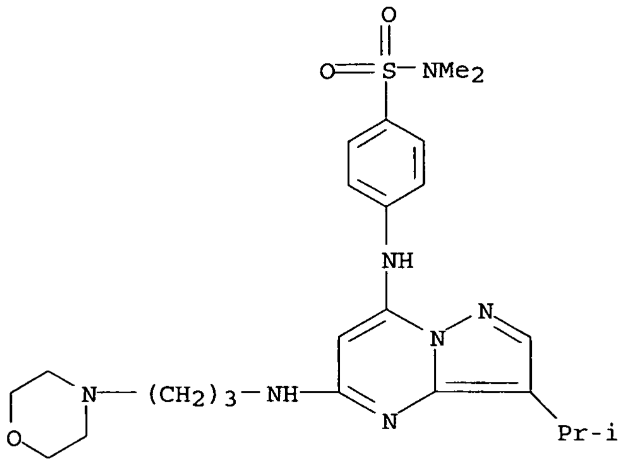
CN Benzenesulfonamide, 4-[[5-[(trans-4-hydroxycyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

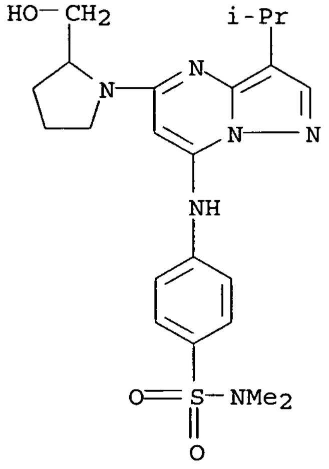


RN 771503-79-8 HCAPLUS

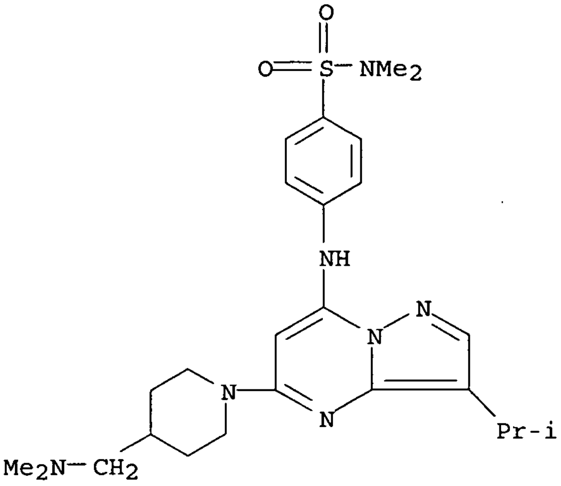
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[[3-(4-morpholinyl)propyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 771503-91-4 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[2-(hydroxymethyl)-1-pyrrolidinyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

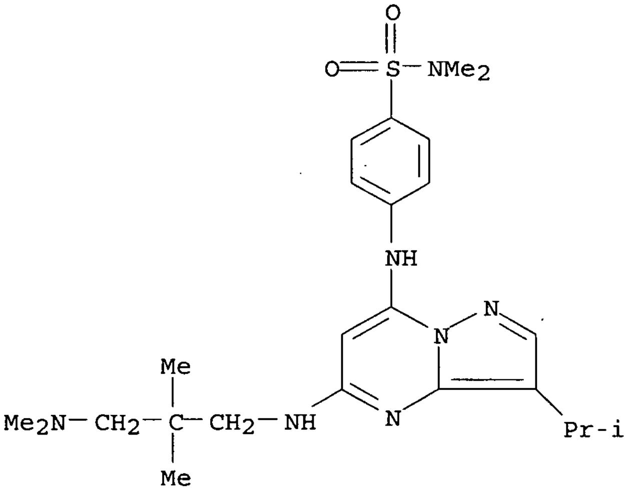


RN 771503-97-0 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[4-[(dimethylamino)methyl]-1-piperidinyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 771504-03-1 HCAPLUS

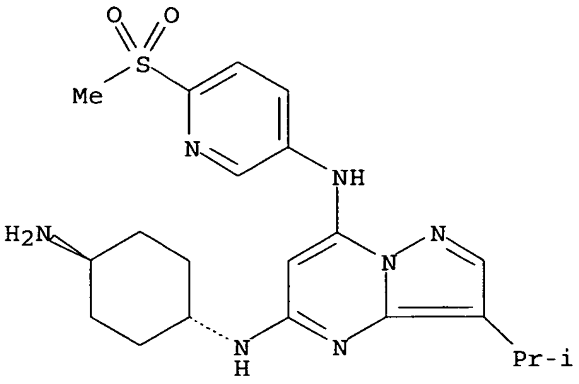
CN Benzenesulfonamide, 4-[[5-[[3-(dimethylamino)-2,2-dimethylpropyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 771504-09-7 HCAPLUS

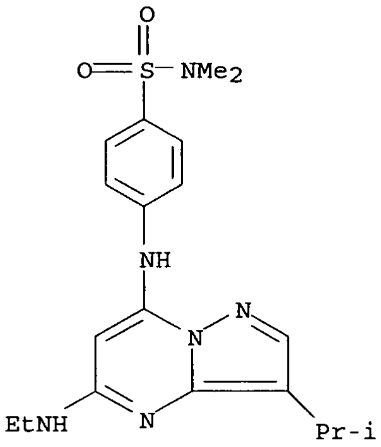
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-(1-methylethyl)-N7-[6-(methylsulfonyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



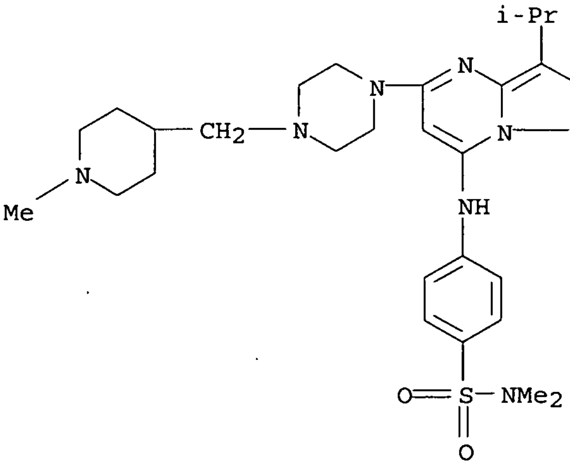
RN 771504-15-5 HCAPLUS

CN Benzenesulfonamide, 4-[[5-(ethylamino)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



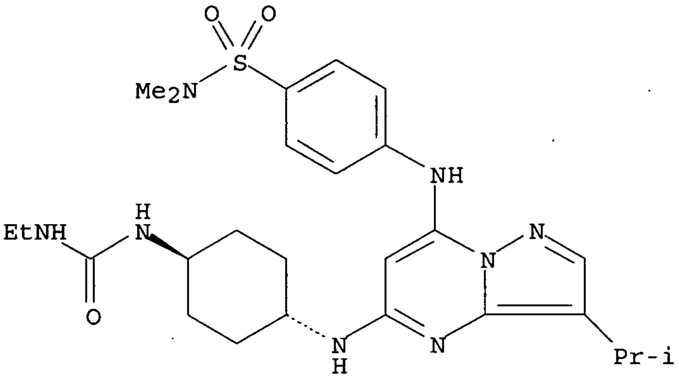
RN 771504-21-3 HCAPLUS

CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[4-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 771504-27-9 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[trans-4-[[(ethylamino)carbonyl]amino]cyclohexyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

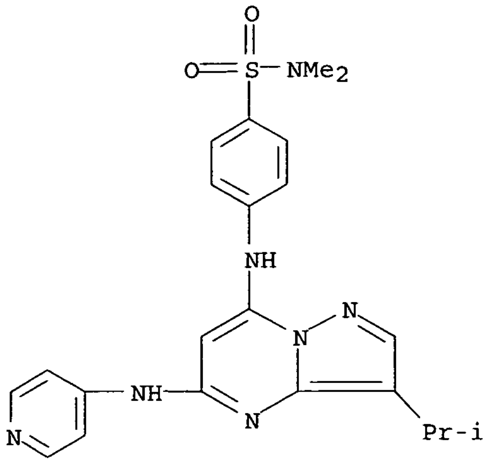
Relative stereochemistry.



RN 771504-34-8 HCAPLUS
CN Formic acid, compd. with N,N-dimethyl-4-[[3-(1-methylethyl)-5-(4-pyridinylamino)pyrazolo[1,5-a]pyrimidin-7-yl]amino]benzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 771504-33-7
CMF C22 H25 N7 O2 S

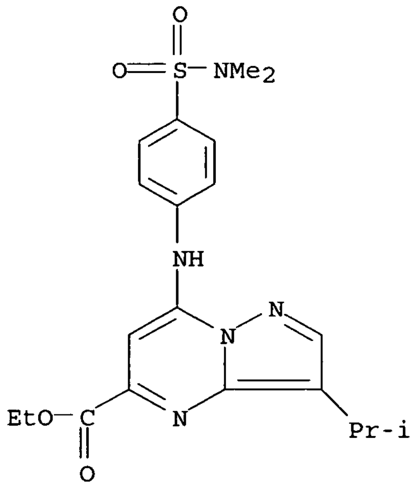


CM 2

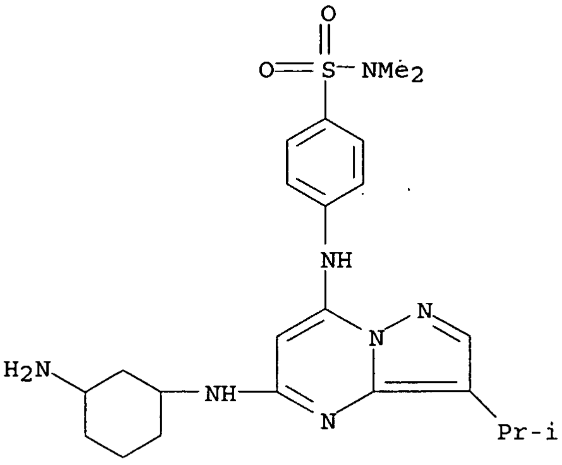
CRN 64-18-6
CMF C H2 O2

O═CH─OH

RN 771504-40-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

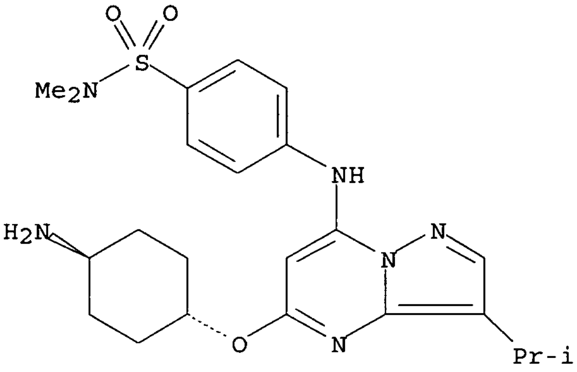


RN 771504-46-2 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(3-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

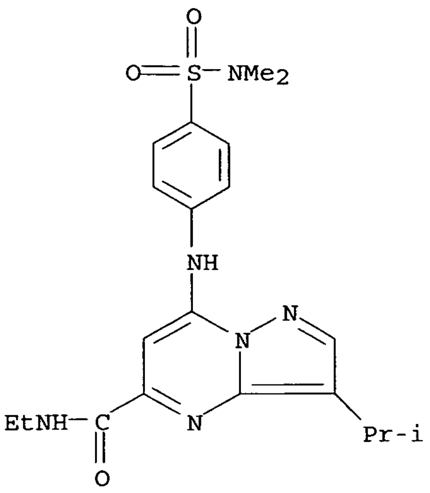


RN 771504-52-0 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)oxy]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

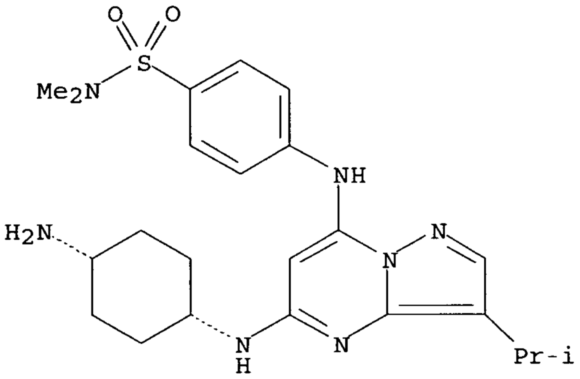


RN 771504-58-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-N-ethyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

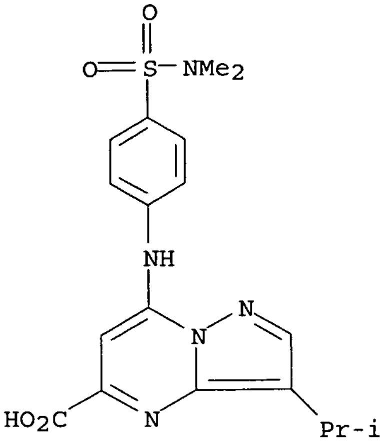


RN 771504-64-4 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(cis-4-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

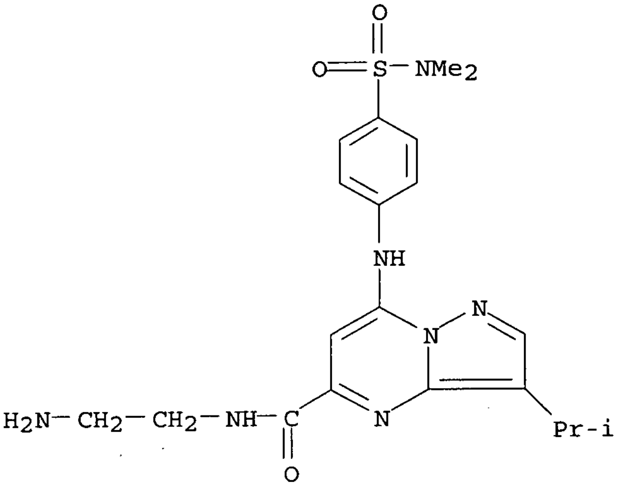


RN 771504-70-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 771504-77-9 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, N-(2-aminoethyl)-7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



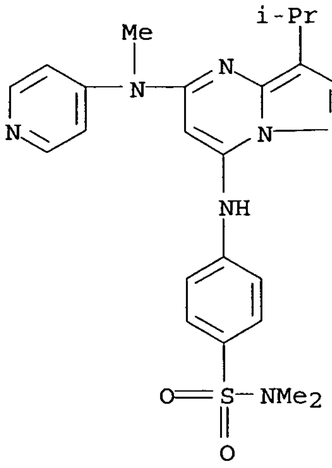
RN 771504-86-0 HCAPLUS

CN Formic acid, compd. with N,N-dimethyl-4-[[3-(1-methylethyl)-5-(methyl-4-pyridinylamino)pyrazolo[1,5-a]pyrimidin-7-yl]amino]benzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 771504-85-9

CMF C23 H27 N7 O2 S

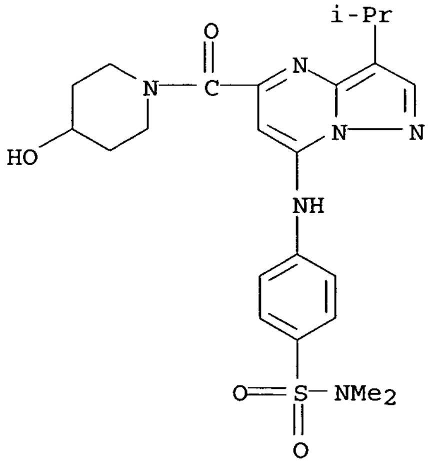


CM 2

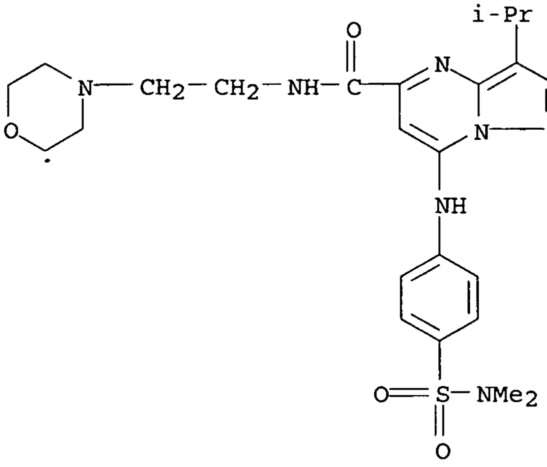
CRN 64-18-6
CMF C H2 O2

O═CH─OH

RN 771504-93-9 HCAPLUS
CN 4-Piperidinol, 1-[[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

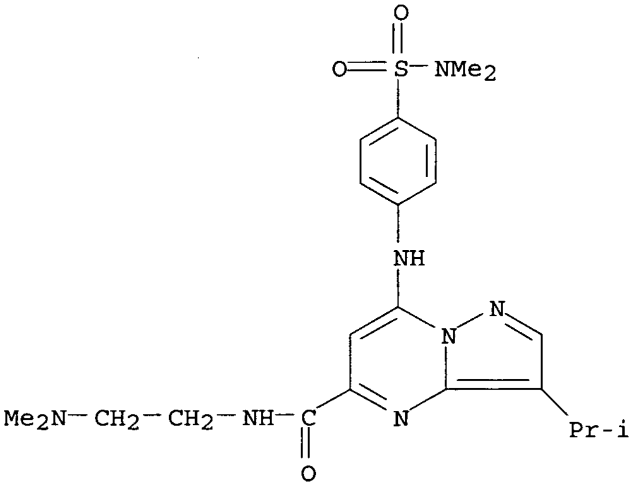


RN 771505-00-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



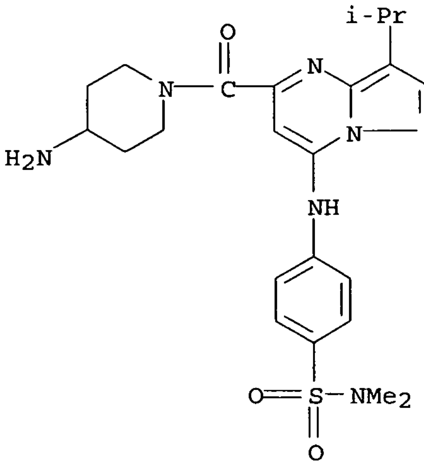
RN 771505-08-9 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, N-[2-(dimethylamino)ethyl]-7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

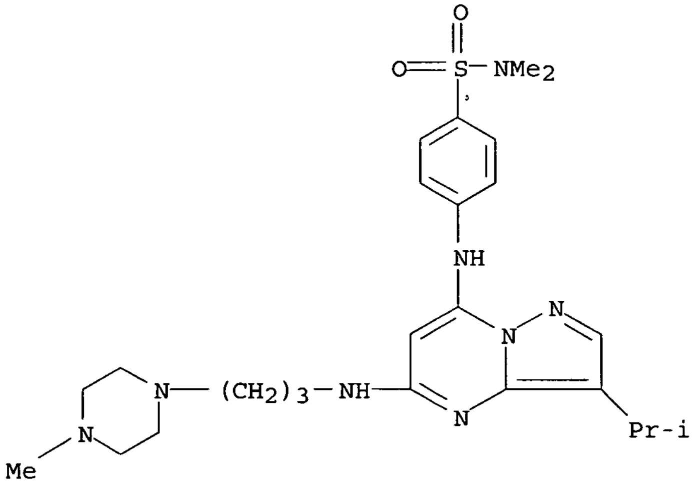


RN 771505-14-7 HCAPLUS

CN 4-Piperidinamine, 1-[[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

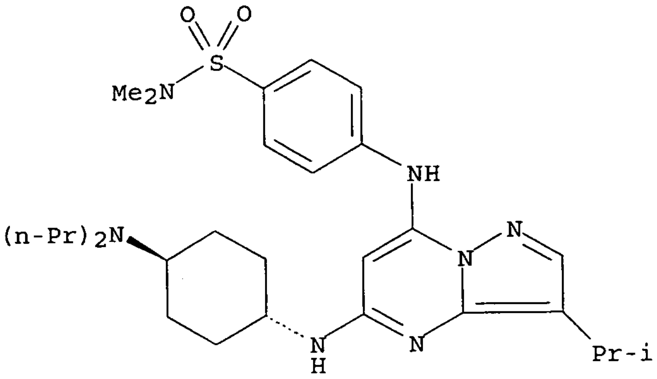


RN 771505-20-5 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



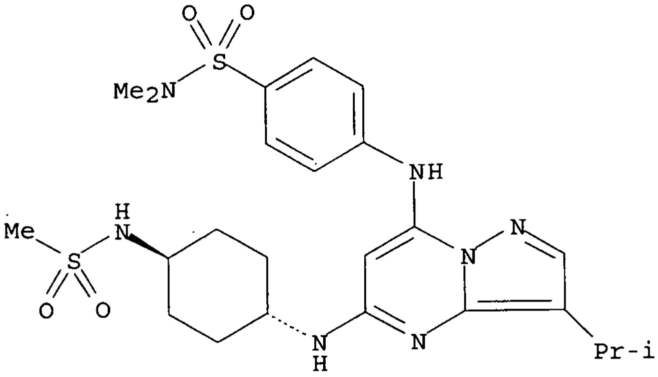
RN 771505-26-1 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[trans-4-(dipropylamino)cyclohexyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

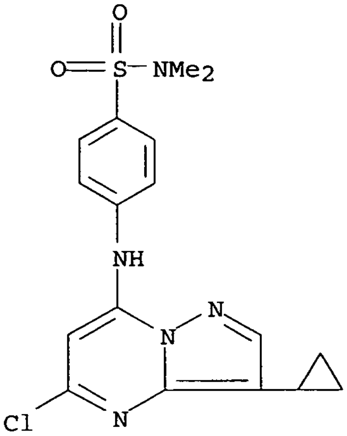


RN 771505-32-9 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[[trans-4-[(methylsulfonyl)amino]cyclohexyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

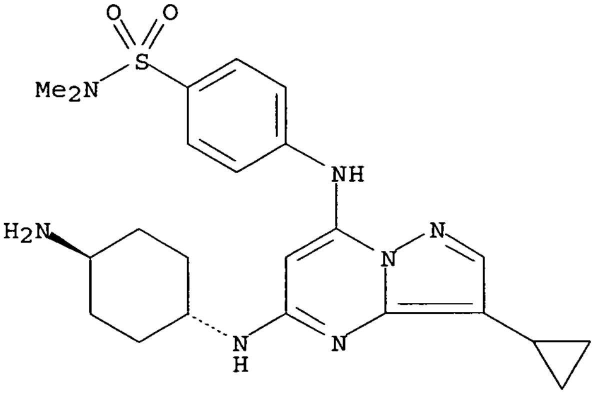


RN 771505-38-5 HCAPLUS
CN Benzenesulfonamide, 4-[(5-chloro-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

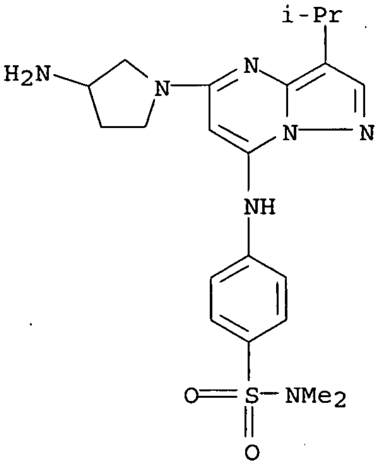


RN 771505-44-3 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

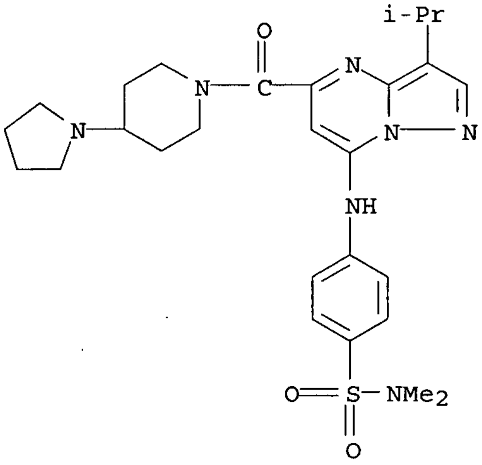
Relative stereochemistry.



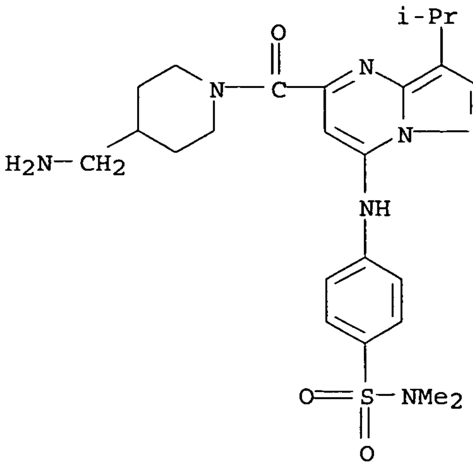
RN 771505-50-1 HCAPLUS
CN Benzenesulfonamide, 4-[[5-(3-amino-1-pyrrolidinyl)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 771505-56-7 HCAPLUS
CN Piperidine, 1-[[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



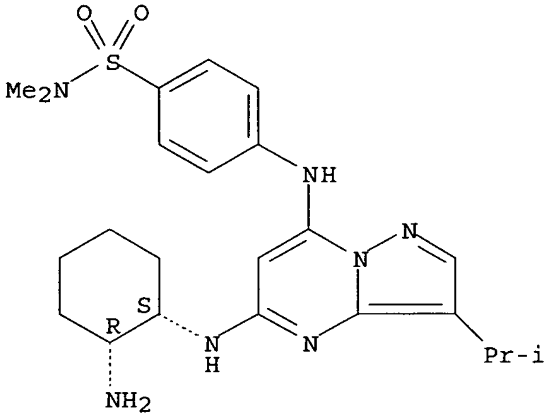
RN 771505-61-4 HCAPLUS
CN 4-Piperidinemethanamine, 1-[[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 771505-67-0 HCAPLUS

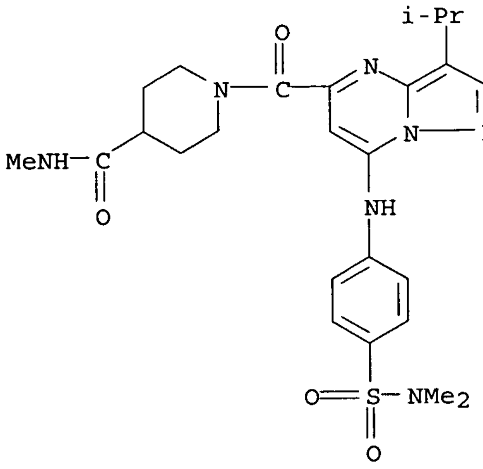
CN Benzenesulfonamide, 4-[[5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



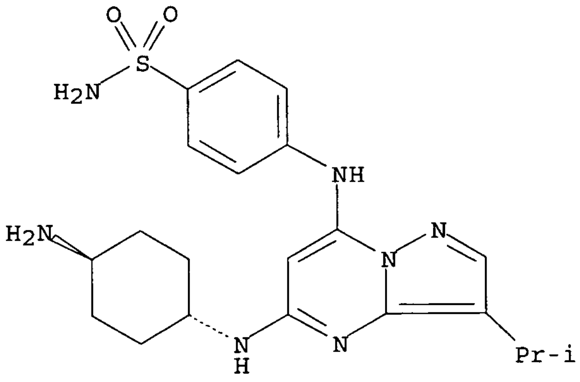
RN 771505-73-8 HCAPLUS

CN 4-Piperidinecarboxamide, 1-[[7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-5-yl]carbonyl]-N-methyl- (9CI) (CA INDEX NAME)



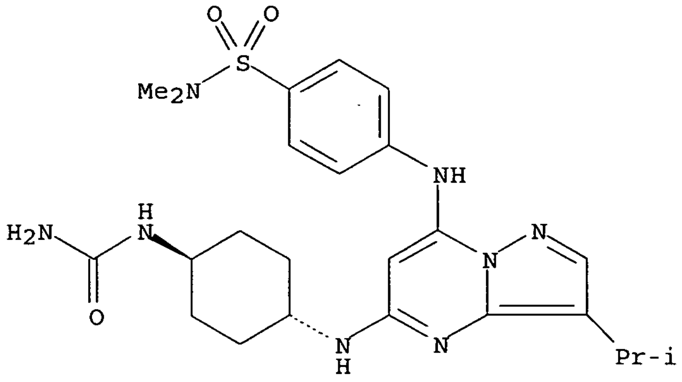
RN 771505-79-4 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

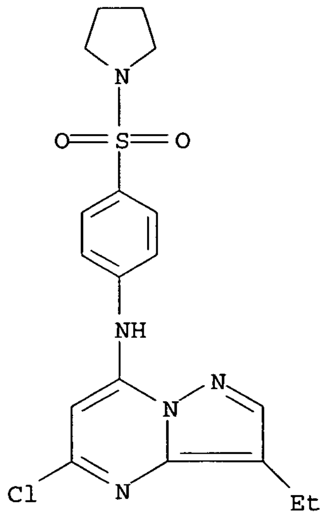


RN 771506-19-5 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[trans-4-[(aminocarbonyl)amino]cyclohexyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

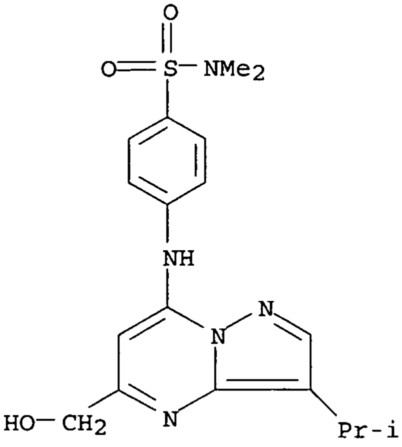
Relative stereochemistry.



RN 771506-25-3 HCAPLUS
CN Pyrrolidine, 1-[[4-[(5-chloro-3-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

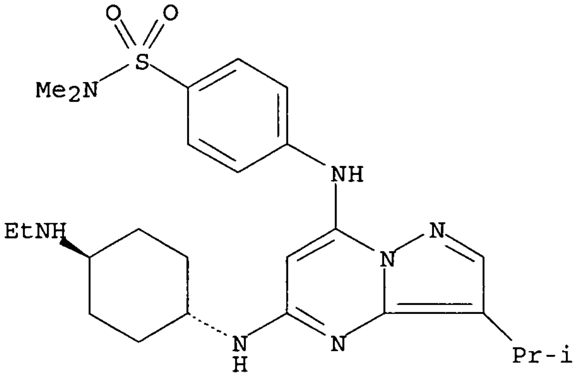


RN 771506-48-0 HCAPLUS
CN Benzenesulfonamide, 4-[[5-(hydroxymethyl)-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 771506-54-8 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[trans-4-(ethylamino)cyclohexyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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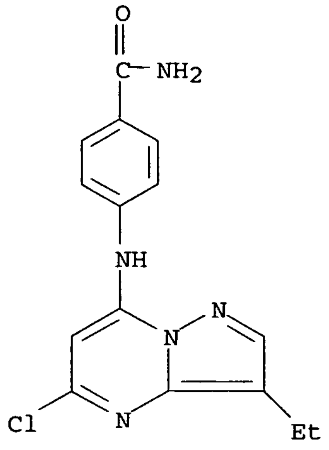
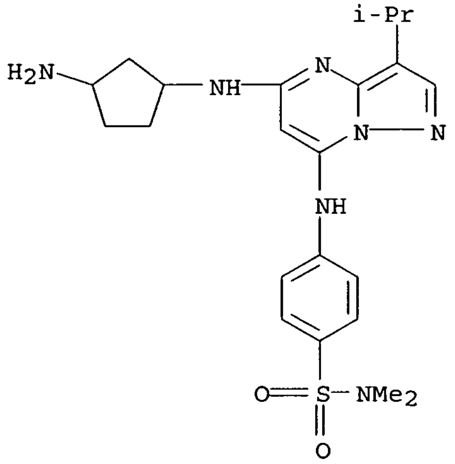
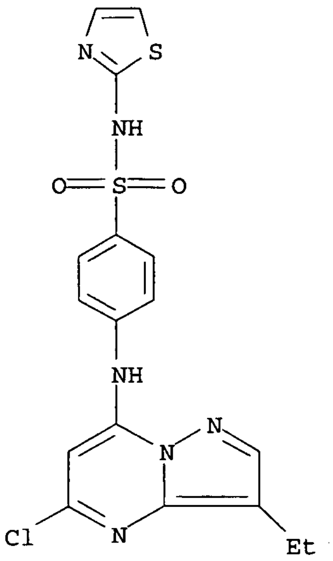
CN Benzamide, 4-[(5-chloro-3-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)



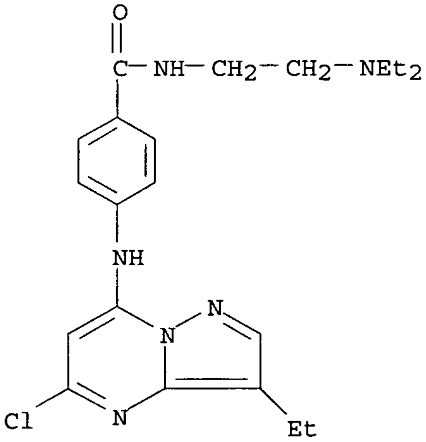
RN 771506-72-0 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(3-aminocyclopentyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



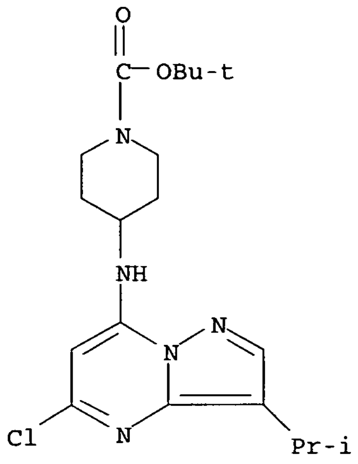
RN 771506-78-6 HCAPLUS
CN Benzenesulfonamide, 4-[(5-chloro-3-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-N-2-thiazolyl- (9CI) (CA INDEX NAME)



RN 771506-84-4 HCAPLUS
CN Benzamide, 4-[(5-chloro-3-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

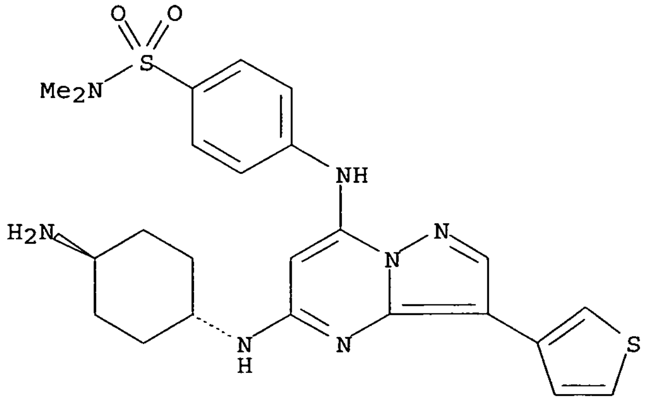


RN 771506-90-2 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

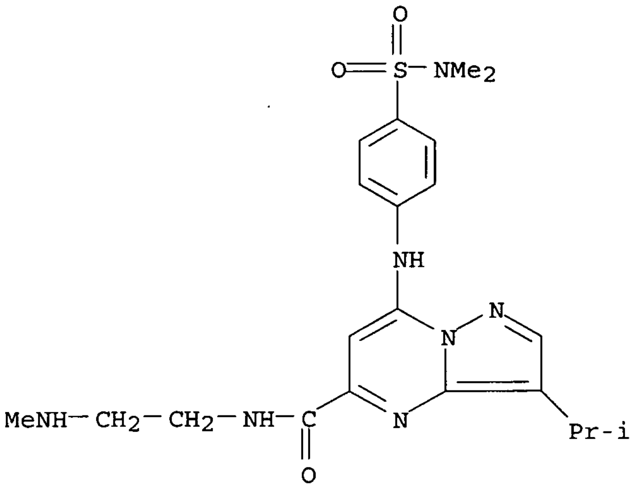


RN 771506-96-8 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

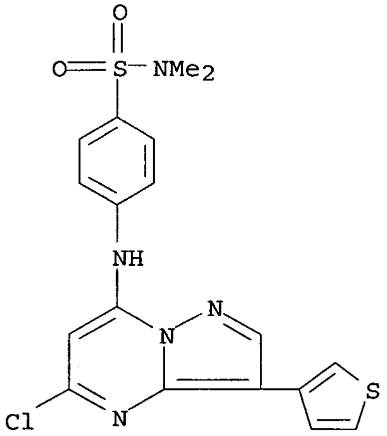
Relative stereochemistry.



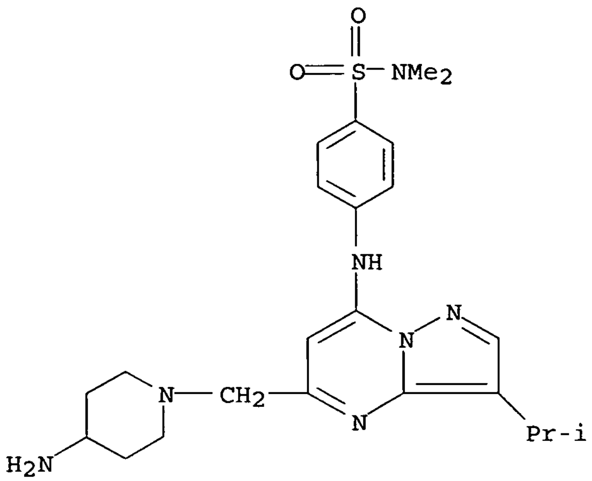
RN 771507-02-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 7-[[4-[(dimethylamino)sulfonyl]phenyl]amino]-N-[2-(methylamino)ethyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



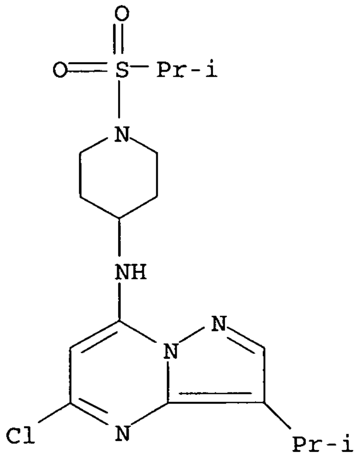
RN 771507-07-4 HCAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 771507-13-2 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(4-amino-1-piperidinyl)methyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

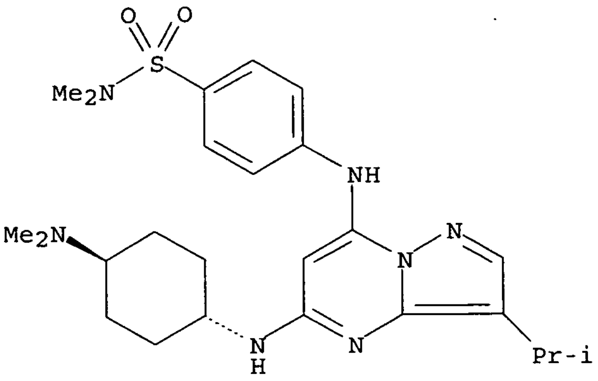


RN 771507-25-6 HCAPLUS
CN 4-Piperidinamine, N-[5-chloro-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 771507-42-7 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[[trans-4-(dimethylamino)cyclohexyl]amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

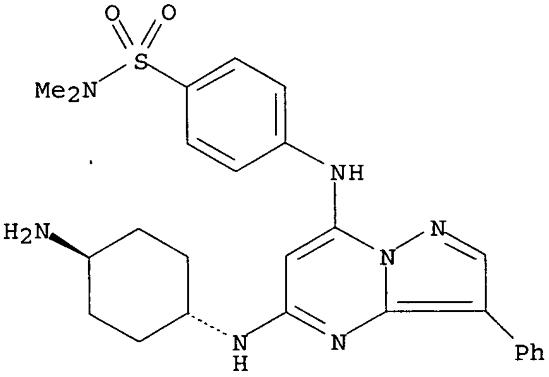
Relative stereochemistry.



RN 771507-48-3 HCAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

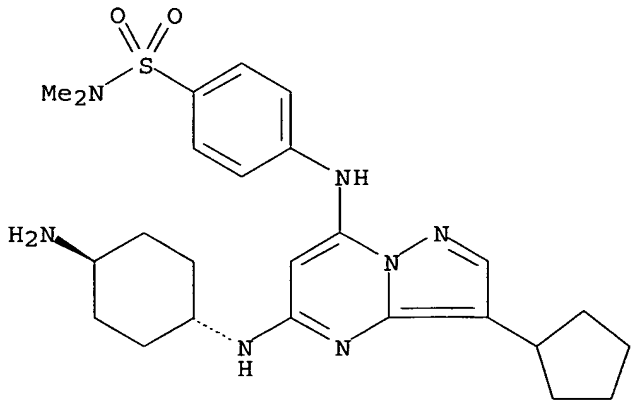
Relative stereochemistry.



RN 771507-72-3 HCAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-cyclopentylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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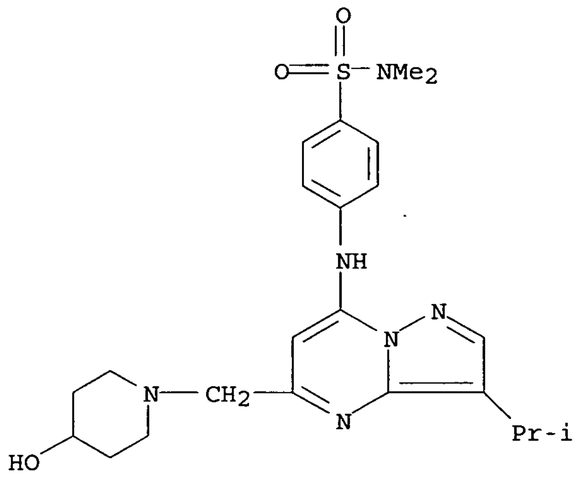
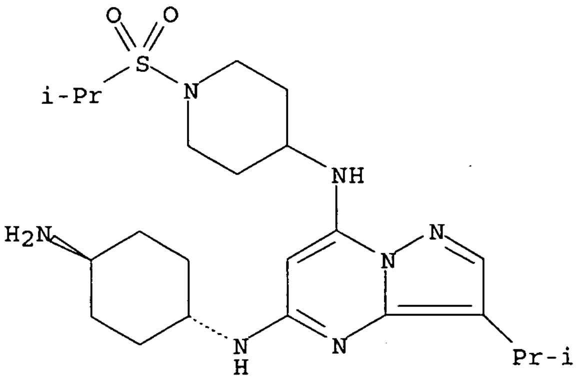
CN Benzenesulfonamide, 4-[[5-[(4-hydroxy-1-piperidinyl)methyl]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



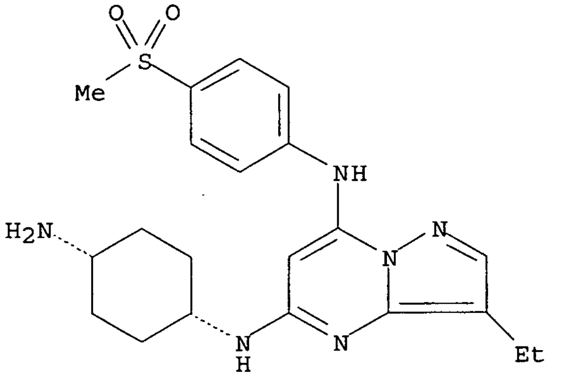
RN 771507-96-1 HCAPLUS
CN 4-Piperidinamine, N-[5-[(trans-4-aminocyclohexyl)amino]-3-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



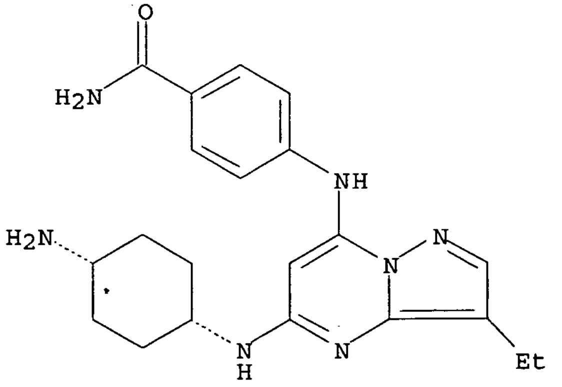
RN 771508-08-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(cis-4-aminocyclohexyl)-3-ethyl-N7-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

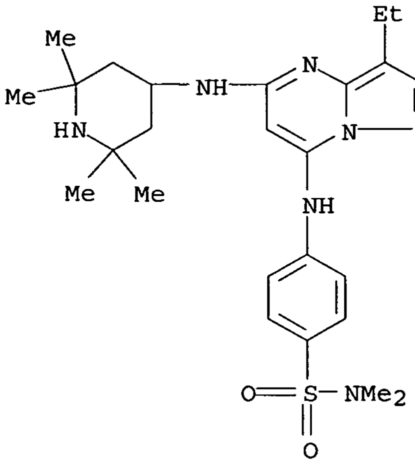


RN 771508-14-6 HCAPLUS
CN Benzamide, 4-[[5-[(cis-4-aminocyclohexyl)amino]-3-ethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

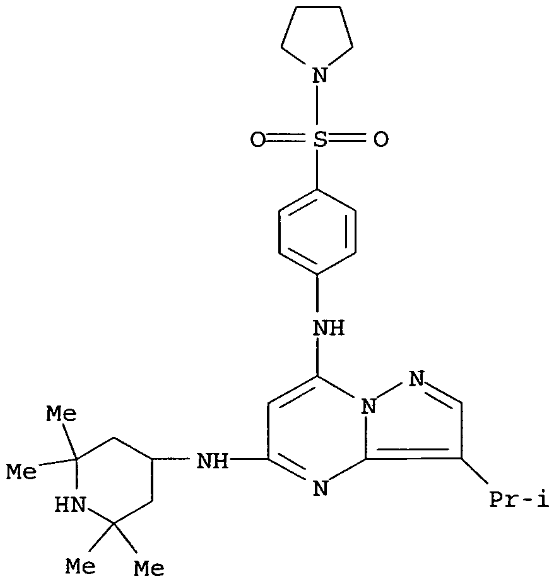
Relative stereochemistry.



RN 771508-20-4 HCAPLUS
CN Benzenesulfonamide, 4-[[3-ethyl-5-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

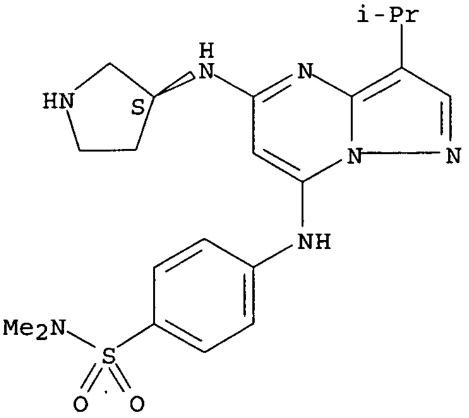


RN 771508-44-2 HCAPLUS
CN Pyrrolidine, 1-[[4-[[3-(1-methylethyl)-5-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



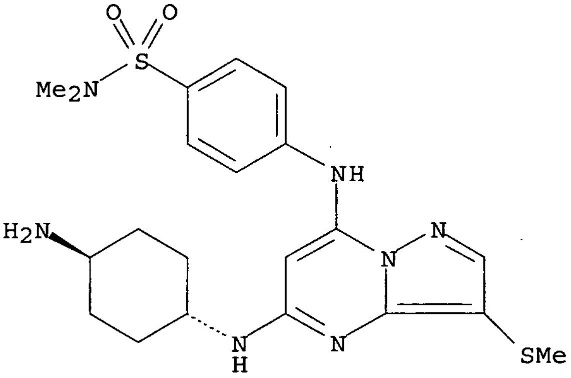
RN 771509-61-6 HCAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[3-(1-methylethyl)-5-[(3S)-3-pyrrolidinylamino]pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



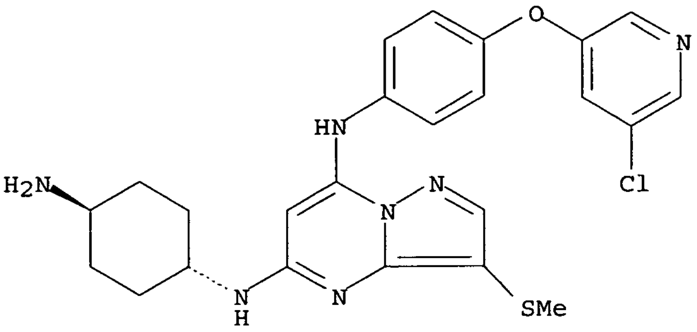
RN 771509-79-6 HCAPLUS
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 771509-85-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-[4-[(5-chloro-3-pyridinyl)oxy]phenyl]-3-(methylthio)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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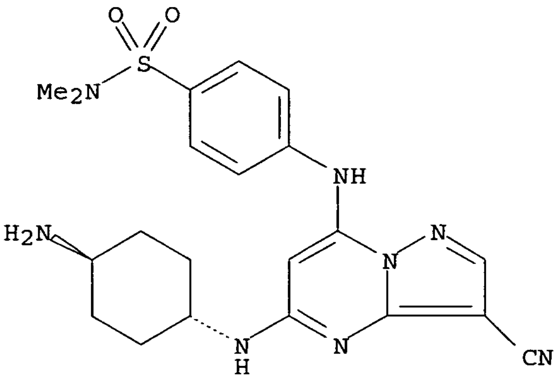
CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-cyanopyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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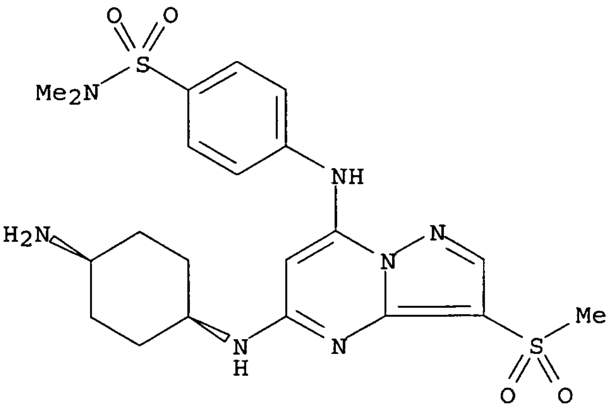
CN Benzenesulfonamide, 4-[[5-[(cis-4-aminocyclohexyl)amino]-3-(methylsulfonyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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REFERENCE COUNT:         34    THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS
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ACCESSION NUMBER:        2004:740331  HCAPLUS
DOCUMENT NUMBER:         141:260763
TITLE:                   Preparation of pyrazolo[1,5-a]pyrimidines for treating
                         or preventing protein kinase mediated disorders
INVENTOR(S):             Kataoka, Kenichiro; Suzuki, Naotaka; Kosugi, Tomomi;
                         Imai, Minoru; Makino, Hiroaki; Takakuwa, Mika; Unoki,
                         Gen; Fujino, Aiko; Oue, Yasuhiro; Yamakoshi, Yuko;
                         Sugiura, Satoshi; Mitchell, Dale Robert; Simpson,
                         Donald James; Harris, Clifford John; Le, Joelle
PATENT ASSIGNEE(S):      Teijin Pharma Limited, Japan
SOURCE:                  PCT Int. Appl., 380 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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OTHER SOURCE(S):         MARPAT 141:260763
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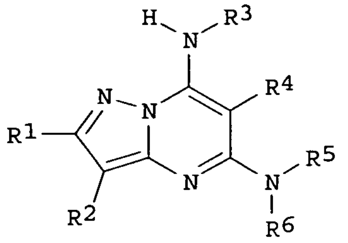

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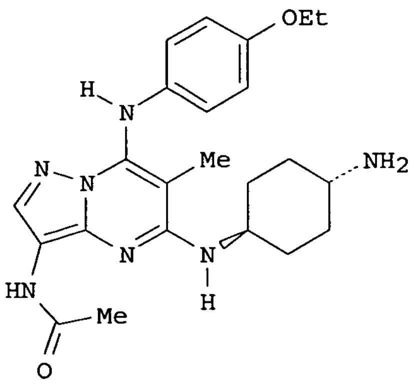

II

AB The tile compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl, etc.; R2 = H, halo, CN, NO2, CHO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, halo, alkyl, cycloalkyl, etc.; R5 = alkyl, alkenyl, cycloalkyl, heterocyclyl, etc.; R6 = H, alkyl, cycloalkyl, aryl, etc.; with the provisos] which exhibit excellent kinase inhibiting activity (particularly MAPKAP-K2 inhibiting activity) and therefore are expected to be useful as therapeutic or prophylactic agents for a protein kinase mediated disorder in which kinase is implicated, such as inflammatory disease, autoimmune disease, destructive bone disorder, cancer and/or tumor growth, were prepared E.g., a multi-step synthesis of II which was active at 1-100 μM against MAPKAP-K2, was given.

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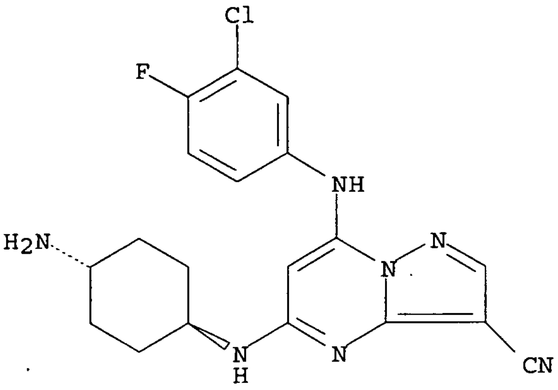
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidines for treating or preventing protein kinase mediated disorders)

RN 754204-50-7 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-[(trans-4-aminocyclohexyl)amino]-7-[(3-chloro-4-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

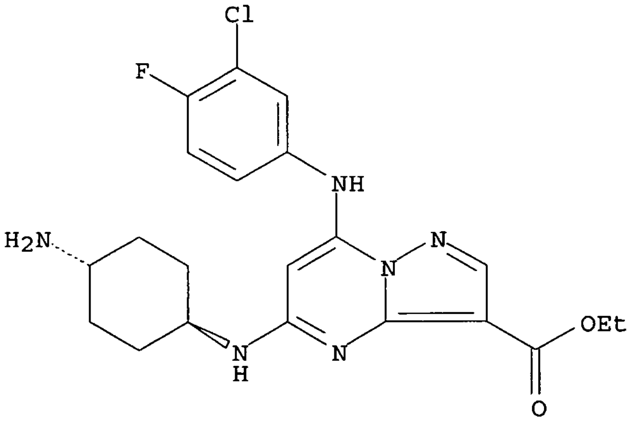
Relative stereochemistry.



RN 754204-62-1 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 5-[(trans-4-aminocyclohexyl)amino]-7-[(3-chloro-4-fluorophenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

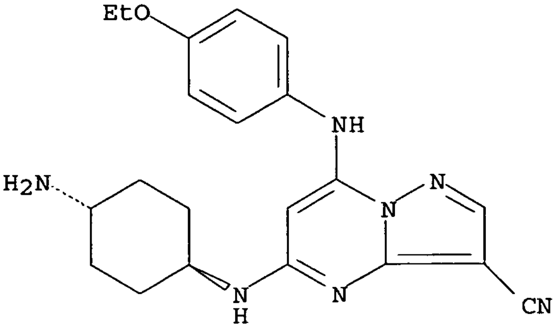
Relative stereochemistry.



RN 754205-48-6 HCAPLUS

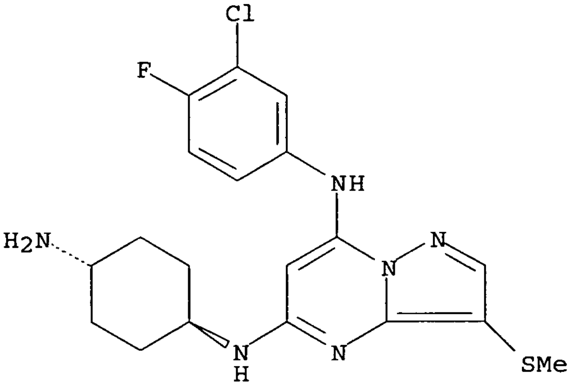
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



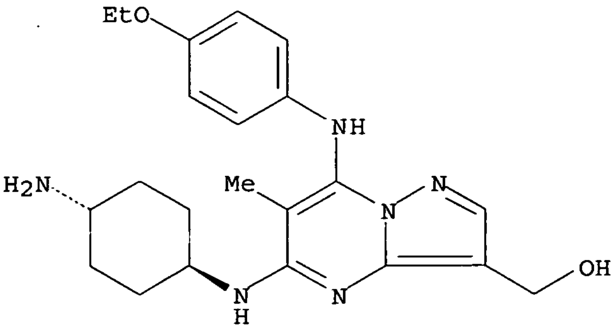
RN 754205-65-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(3-chloro-4-fluorophenyl)-3-(methylthio)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



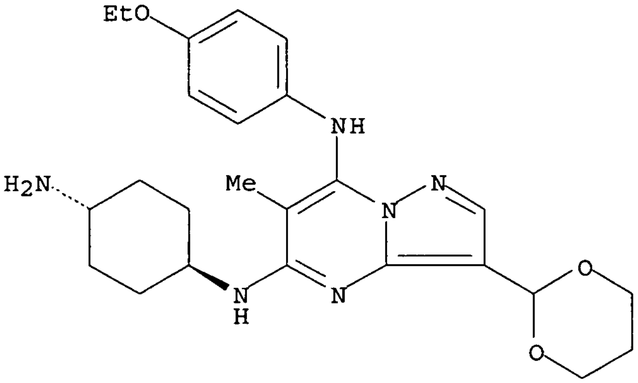
RN 754205-83-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



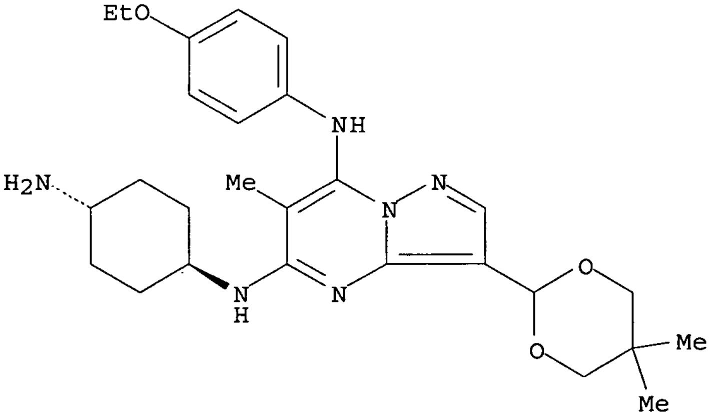
RN 754205-87-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-(1,3-dioxan-2-yl)-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



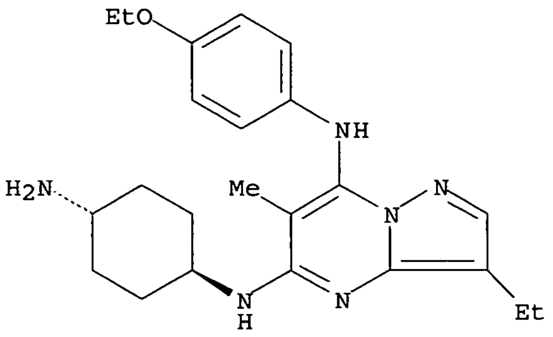
RN 754206-42-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-(5,5-dimethyl-1,3-dioxan-2-yl)-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

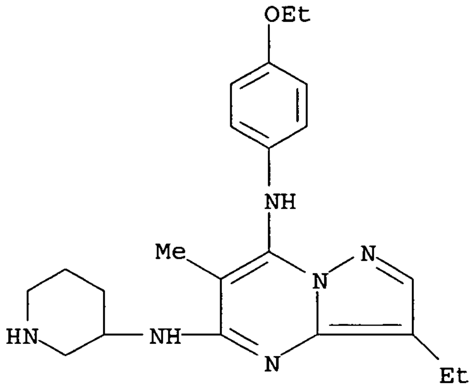


RN 754206-70-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-3-ethyl-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

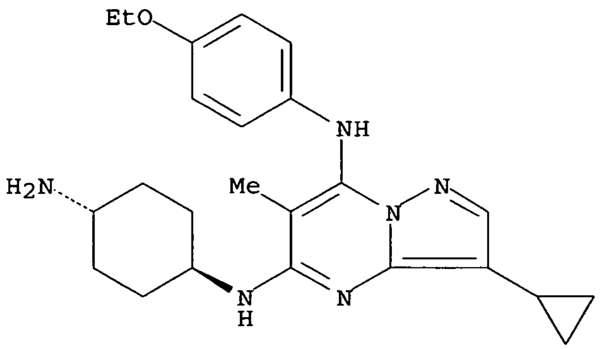


RN 754206-74-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N7-(4-ethoxyphenyl)-3-ethyl-6-methyl-N5-3-piperidinyl- (9CI) (CA INDEX NAME)

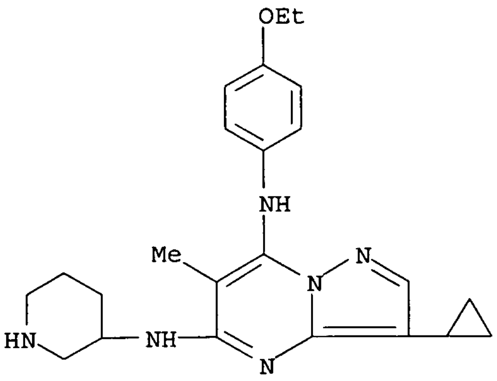


RN 754206-79-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-cyclopropyl-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

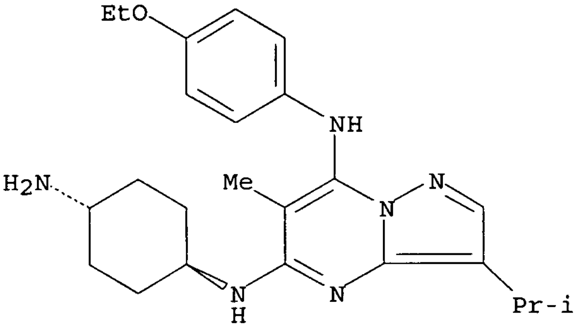


RN 754206-80-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, 3-cyclopropyl-N7-(4-ethoxyphenyl)-6-methyl-N5-3-piperidinyl- (9CI) (CA INDEX NAME)

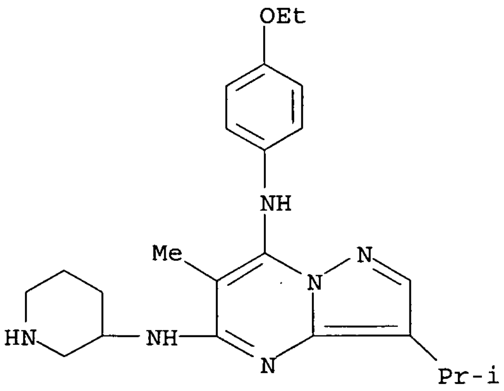


RN 754206-81-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-6-methyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

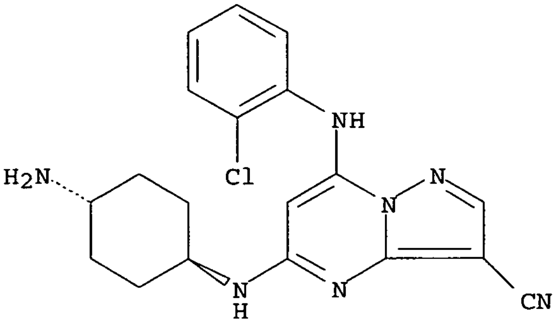


RN 754206-82-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N7-(4-ethoxyphenyl)-6-methyl-3-(1-methylethyl)-N5-3-piperidinyl- (9CI) (CA INDEX NAME)



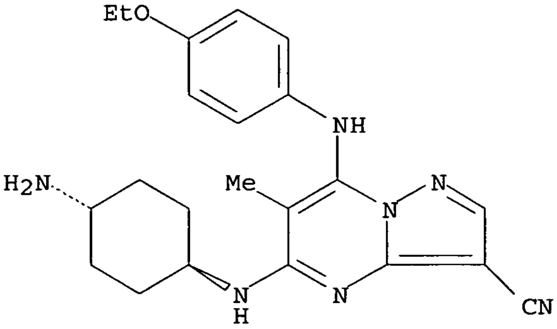
RN 754206-86-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-[(trans-4-aminocyclohexyl)amino]-7-[(2-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

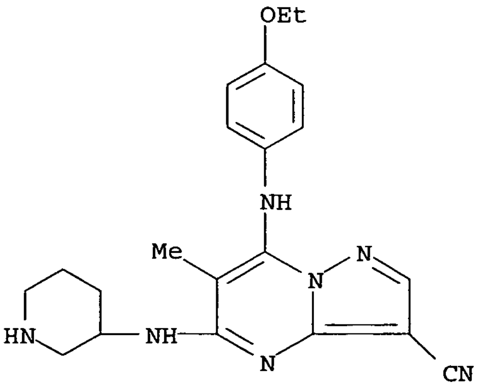


RN 754208-22-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



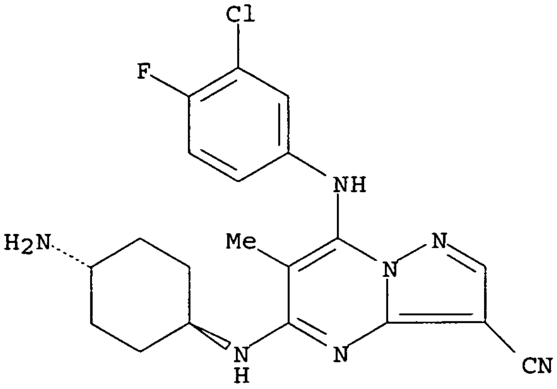
RN 754208-23-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 7-[(4-ethoxyphenyl)amino]-6-methyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



RN 754208-24-7 HCAPLUS

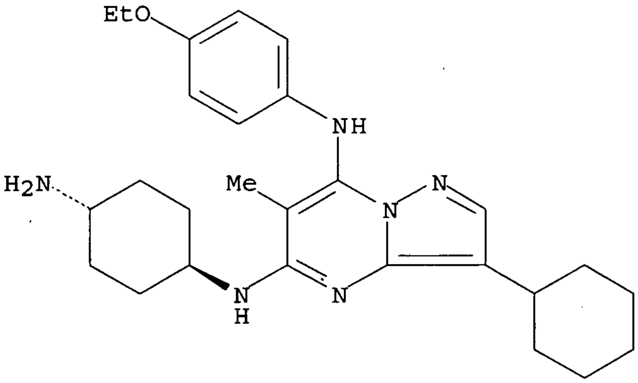
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-[(trans-4-aminocyclohexyl)amino]-7-[(3-chloro-4-fluorophenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

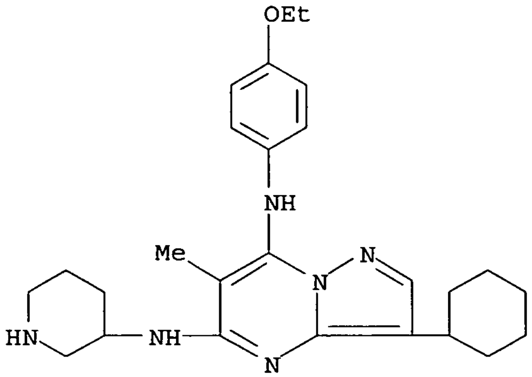


RN 754208-54-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-cyclohexyl-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

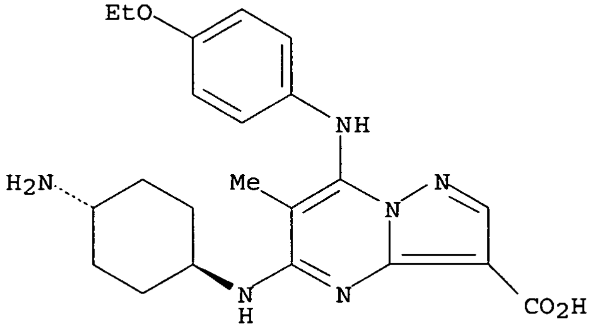


RN 754208-55-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, 3-cyclohexyl-N7-(4-ethoxyphenyl)-6-methyl-N5-3-piperidinyl- (9CI) (CA INDEX NAME)



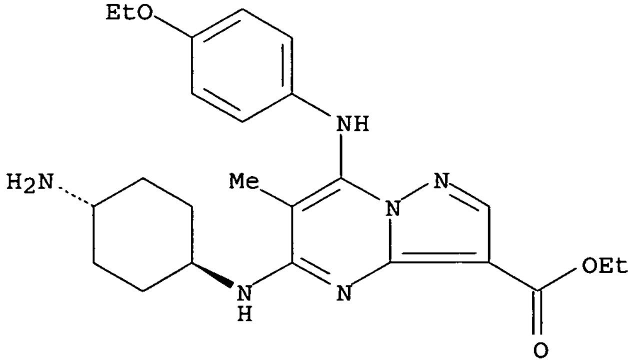
RN   754208-56-5   HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN   754208-57-6   HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

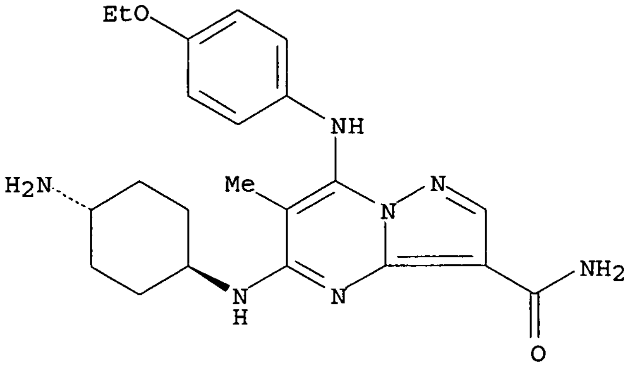
Relative stereochemistry.



RN   754208-58-7   HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

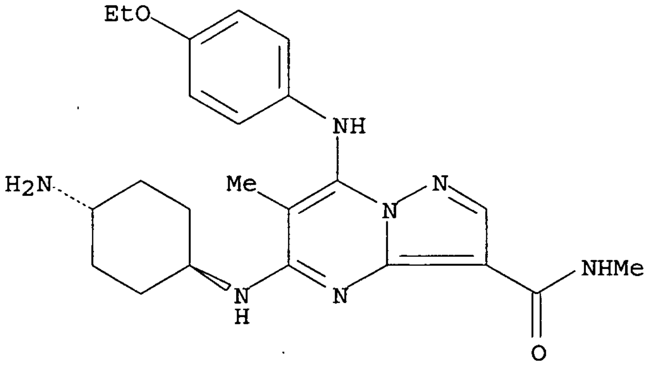
Relative stereochemistry.



RN 754208-59-8 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N,6-dimethyl- (9CI) (CA INDEX NAME)

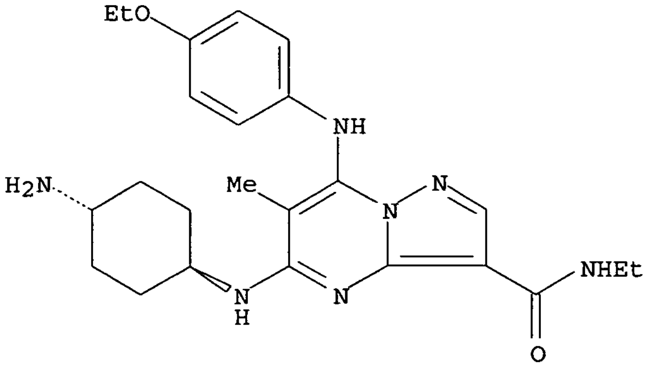
Relative stereochemistry.



RN 754208-60-1 HCAPLUS

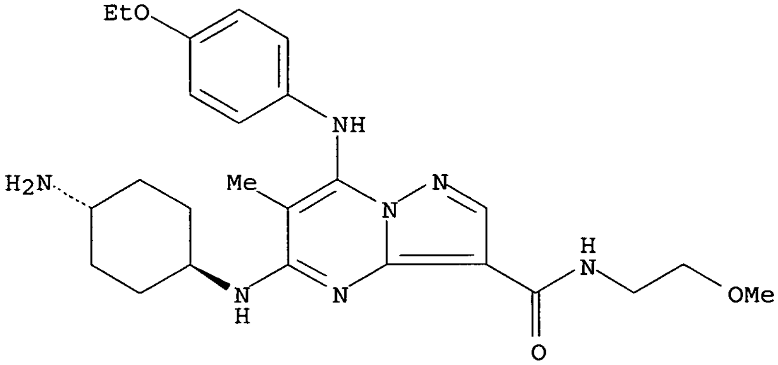
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N-ethyl-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



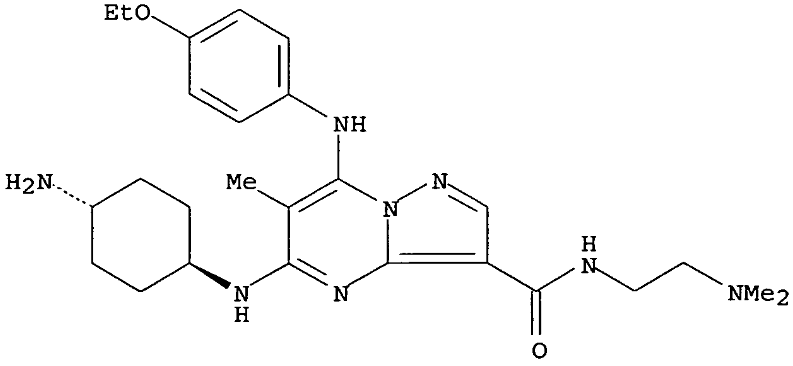
RN 754208-61-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N-(2-methoxyethyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 754208-62-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-N-[2-(dimethylamino)ethyl]-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

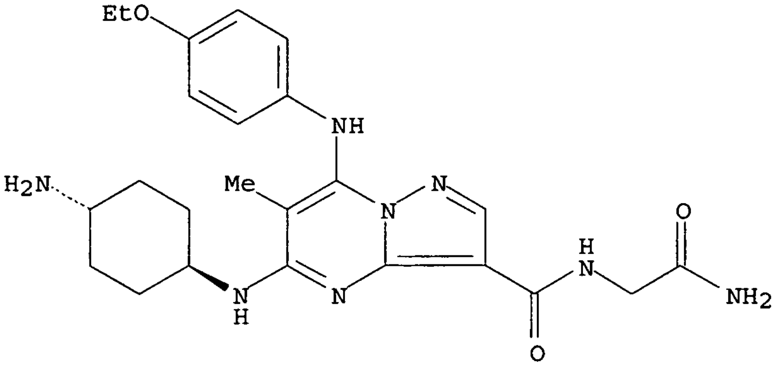
Relative stereochemistry.



RN 754208-63-4 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-N-(2-amino-2-oxoethyl)-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

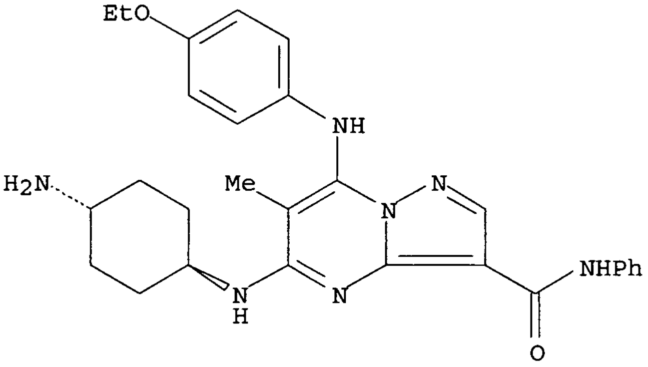
Relative stereochemistry.



RN 754208-64-5 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-phenyl- (9CI) (CA INDEX NAME)

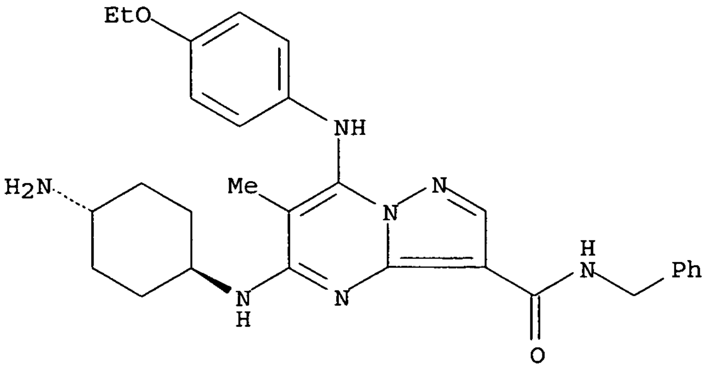
Relative stereochemistry.



RN 754208-65-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

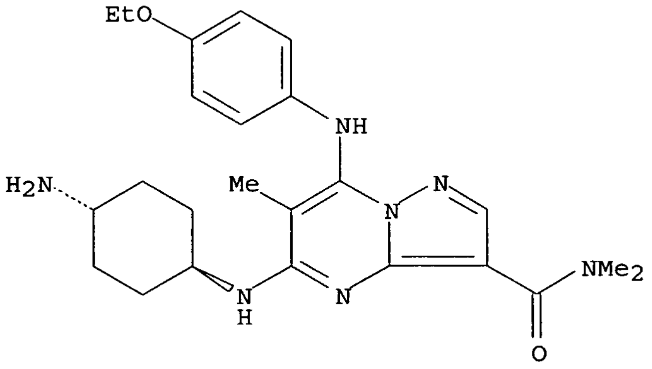
Relative stereochemistry.



RN 754208-66-7 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N,N,6-trimethyl- (9CI) (CA INDEX NAME)

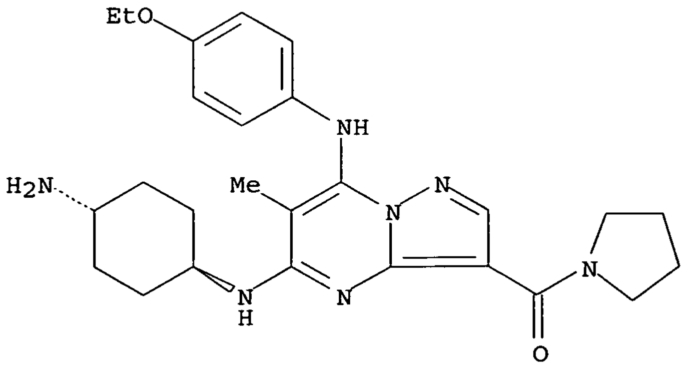
Relative stereochemistry.



RN 754208-67-8 HCAPLUS

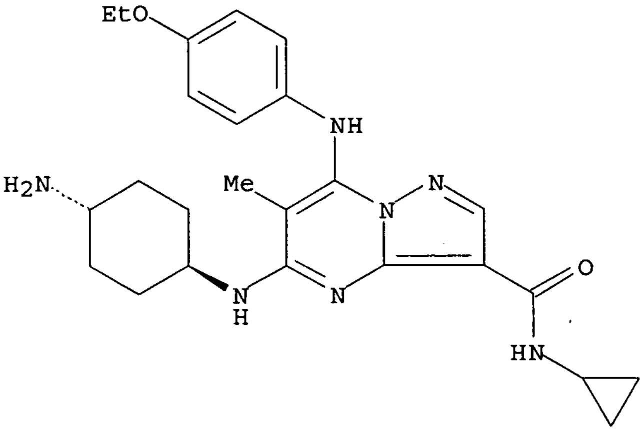
CN Pyrrolidine, 1-[[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



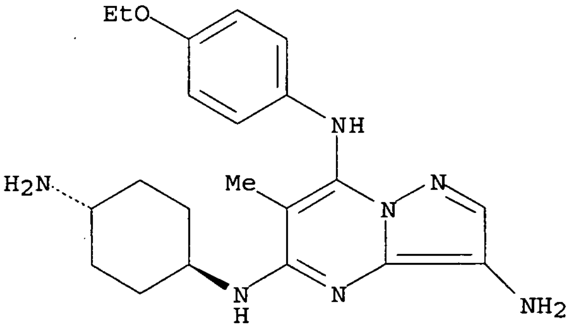
RN 754208-68-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-N-cyclopropyl-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



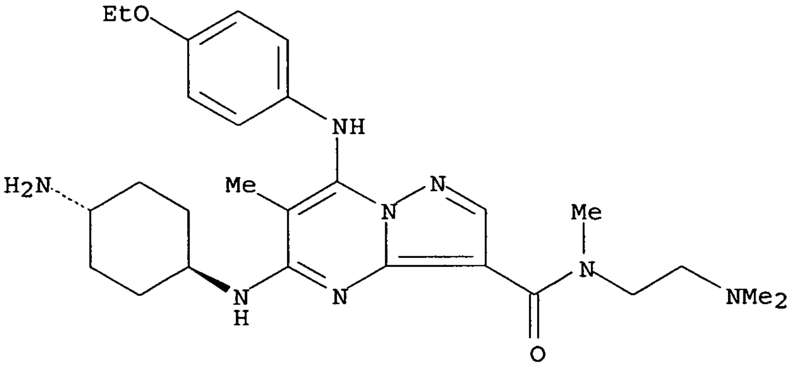
RN 754208-72-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3,5,7-triamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



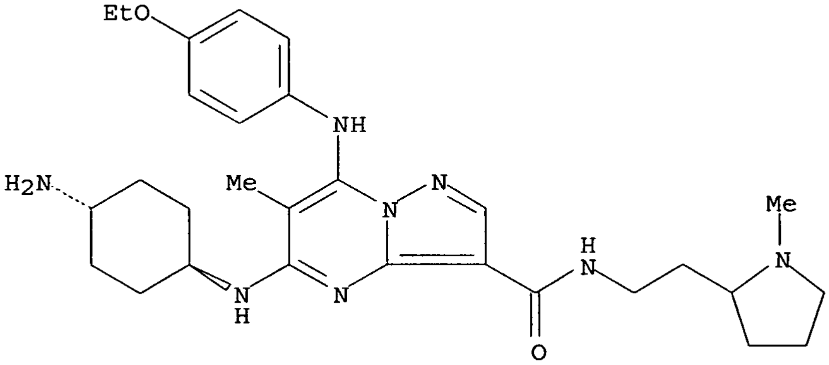
RN 754208-73-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-N-[2-(dimethylamino)ethyl]-7-[(4-ethoxyphenyl)amino]-N,6-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



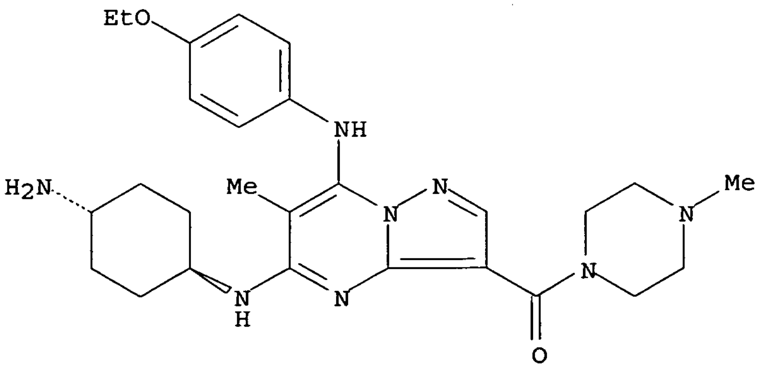
RN 754208-74-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



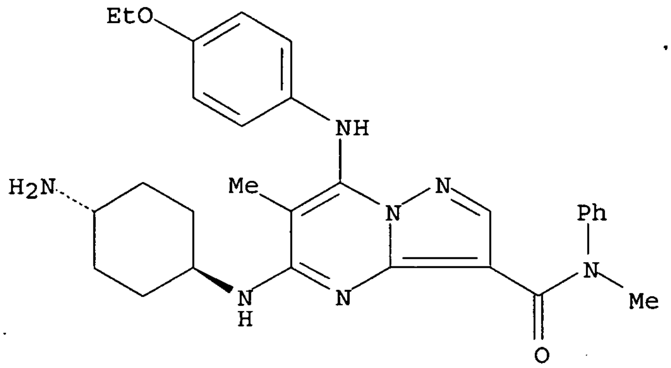
RN 754208-75-8 HCAPLUS
CN Piperazine, 1-[[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



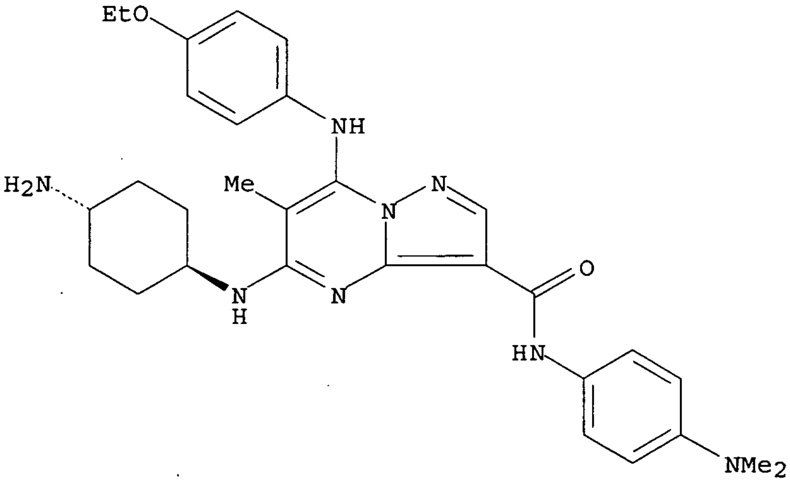
RN 754208-76-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N,6-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



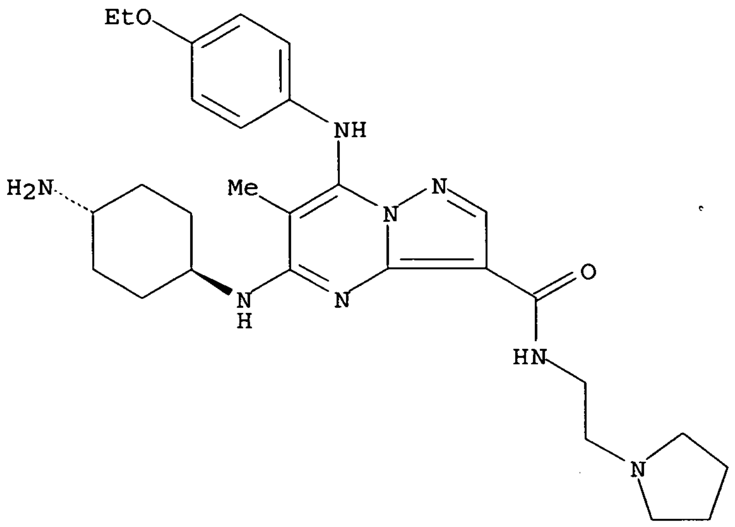
RN 754208-77-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-N-[4-(dimethylamino)phenyl]-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



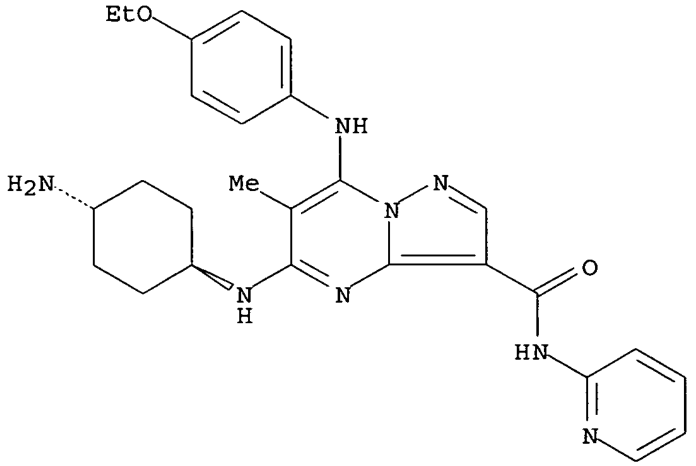
RN 754208-86-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



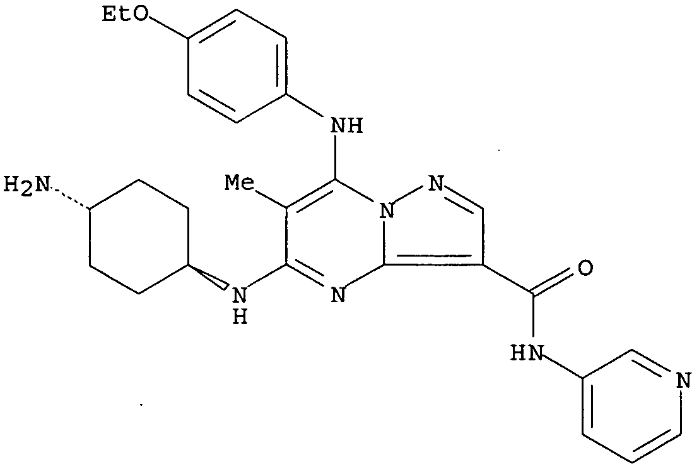
RN 754208-87-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



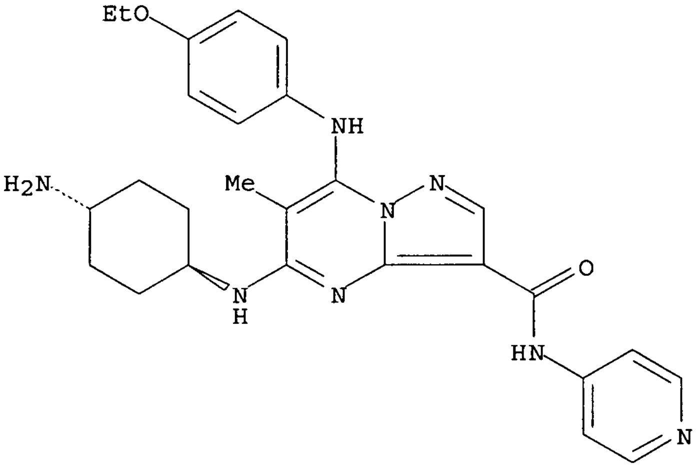
RN 754208-88-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 754208-89-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

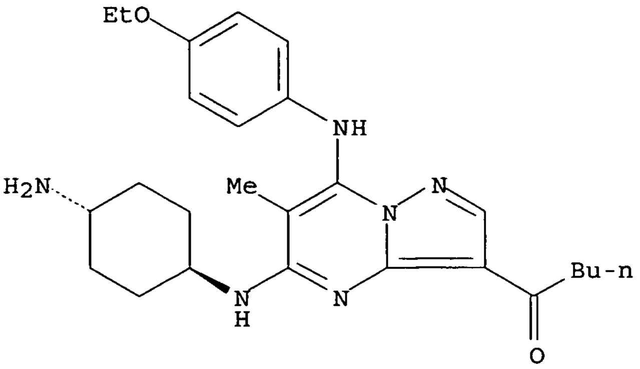


RN 754208-91-8 HCAPLUS
CN 1-Pentanone, 1-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

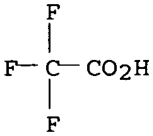
CRN 754208-90-7
CMF C26 H36 N6 O2

Relative stereochemistry.



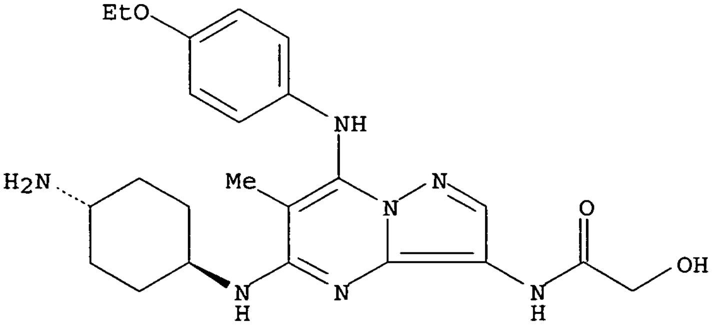
CM 2

CRN 76-05-1
CMF C2 H F3 O2



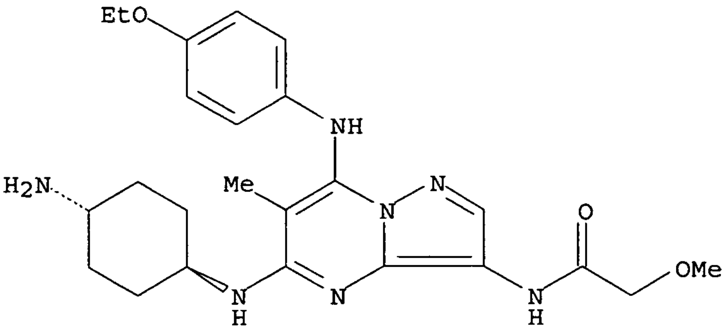
RN 754208-92-9 HCAPLUS
CN Acetamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



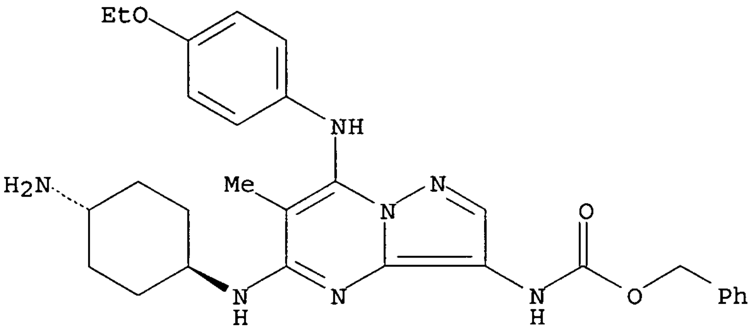
RN 754208-93-0 HCAPLUS
CN Acetamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 754208-94-1 HCAPLUS
CN Carbamic acid, [5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

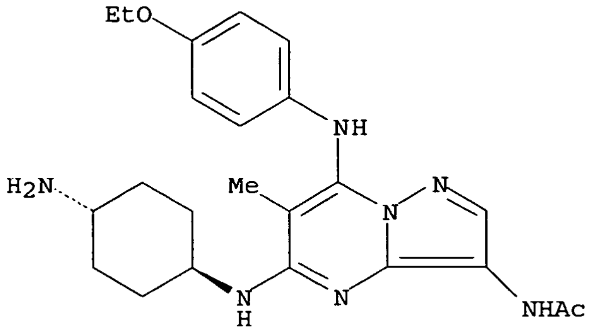


RN 754209-09-1 HCAPLUS
CN Acetamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

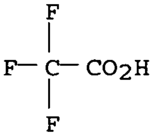
CRN 754209-08-0
CMF C23 H31 N7 O2

Relative stereochemistry.



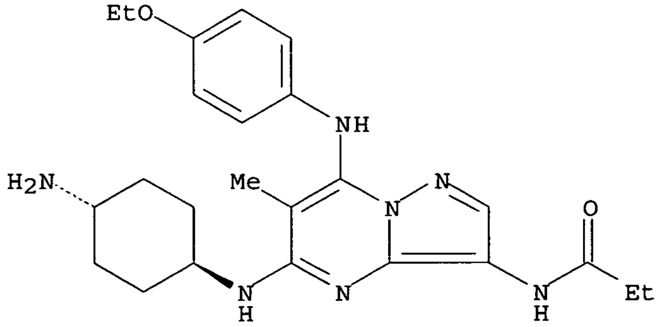
CM 2

CRN 76-05-1
CMF C2 H F3 O2



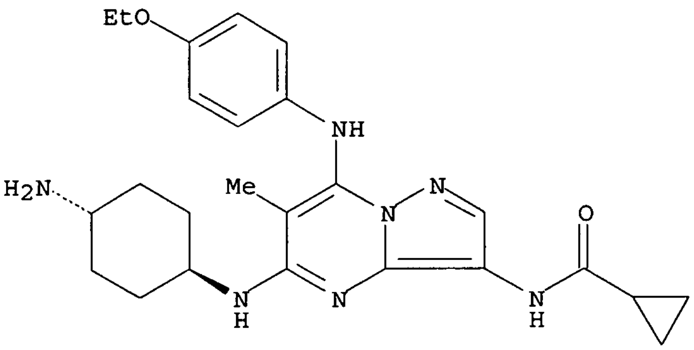
RN 754209-10-4 HCAPLUS
CN Propanamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



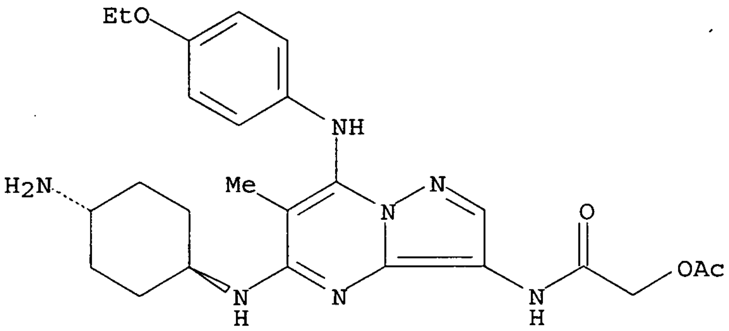
RN 754209-11-5 HCAPLUS
CN Cyclopropanecarboxamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



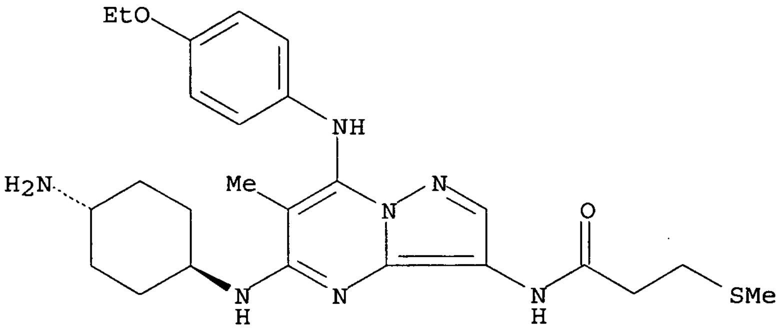
RN 754209-12-6 HCAPLUS
CN Acetamide, 2-(acetyloxy)-N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



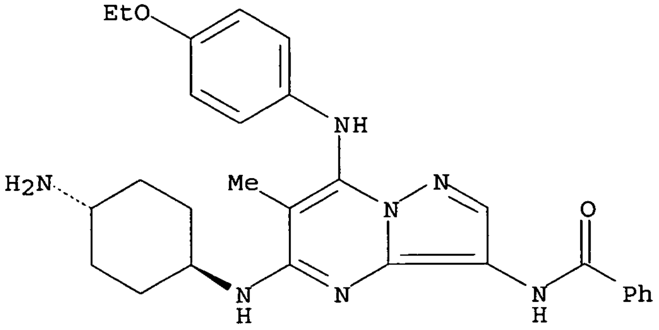
RN 754209-13-7 HCAPLUS
CN Propanamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-3-(methylthio)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



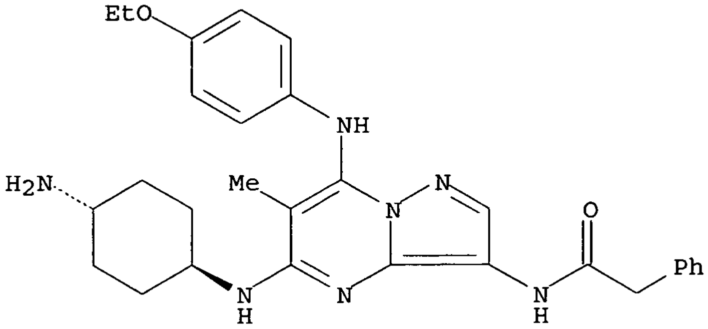
RN 754209-14-8 HCAPLUS
CN Benzamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



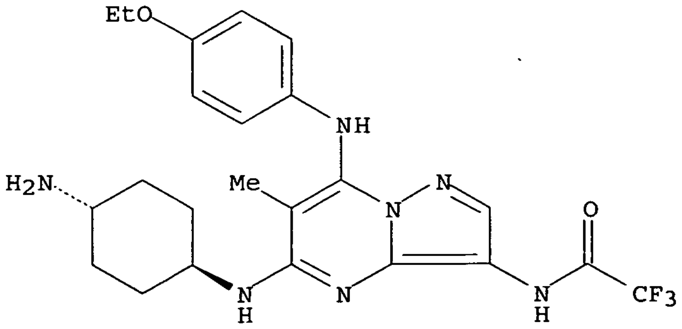
RN 754209-15-9 HCAPLUS
CN Benzeneacetamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 754209-16-0 HCAPLUS
CN Acetamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.



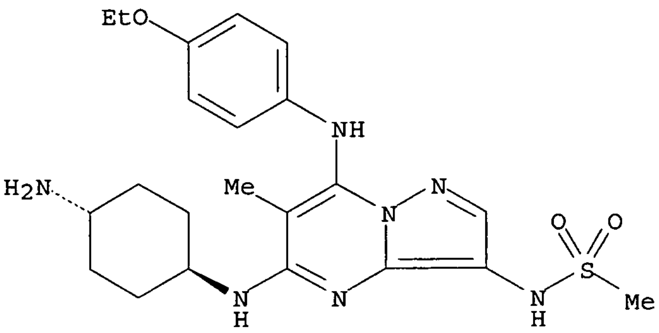
RN 754209-18-2 HCAPLUS

CN Methanesulfonamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

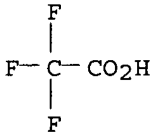
CRN 754209-17-1
CMF C22 H31 N7 O3 S

Relative stereochemistry.



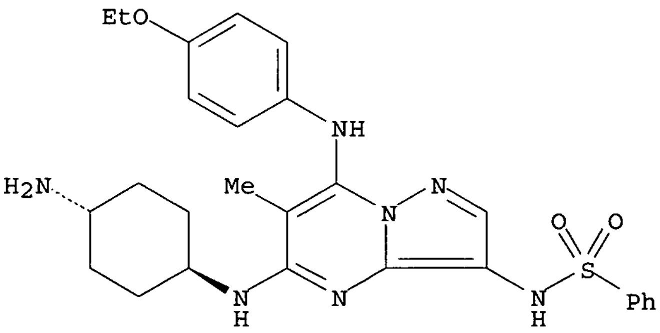
CM 2

CRN 76-05-1
CMF C2 H F3 O2



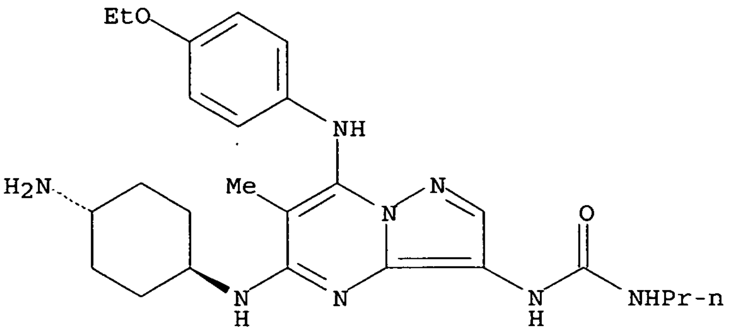
RN 754209-19-3 HCAPLUS
CN Benzenesulfonamide, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 754209-20-6 HCAPLUS
CN Urea, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-N'-propyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

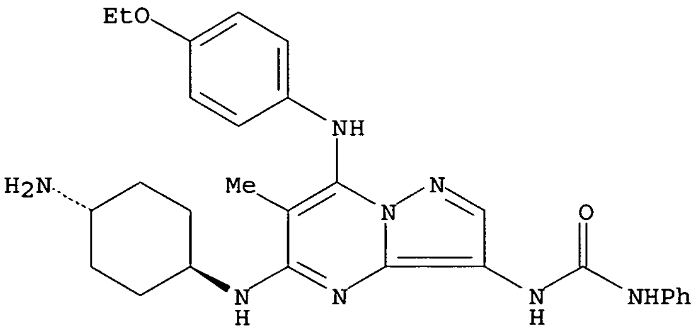


RN 754209-22-8 HCAPLUS
CN Urea, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-N'-phenyl-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

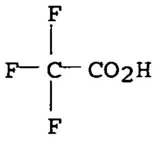
CRN 754209-21-7
CMF C28 H34 N8 O2

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



$$\mathrm{F}-\overset{\mathrm{F}}{\underset{\mathrm{F}}{\mathrm{C}}}-\mathrm{CO_2H}$$

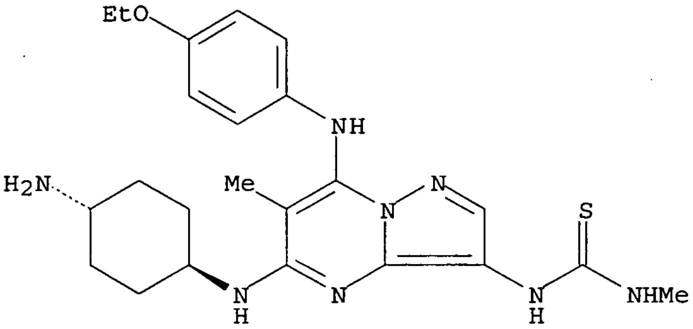
RN 754209-24-0 HCAPLUS

CN Thiourea, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-N'-methyl-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

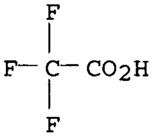
CRN 754209-23-9
CMF C23 H32 N8 O S

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

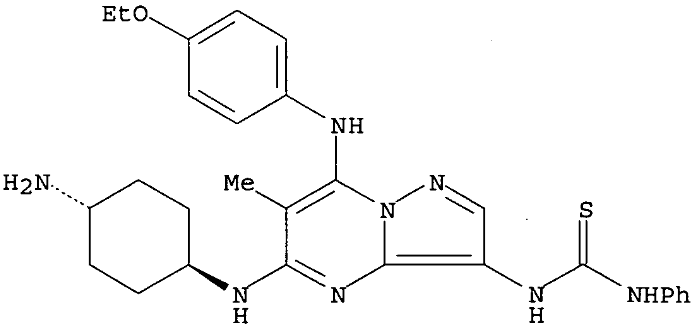


$$\mathrm{F-\overset{\displaystyle F}{\underset{\displaystyle F}{C}}-CO_2H}$$

RN 754209-25-1 HCAPLUS

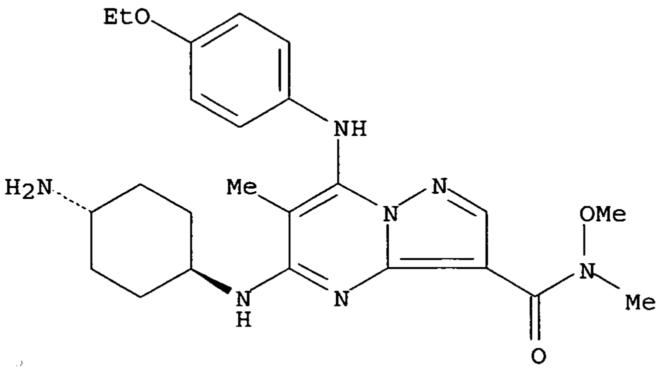
CN Thiourea, N-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



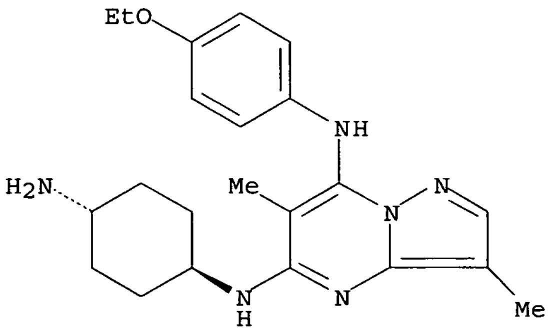
RN 754209-26-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N-methoxy-N,6-dimethyl-(9CI) (CA INDEX NAME)

Relative stereochemistry.

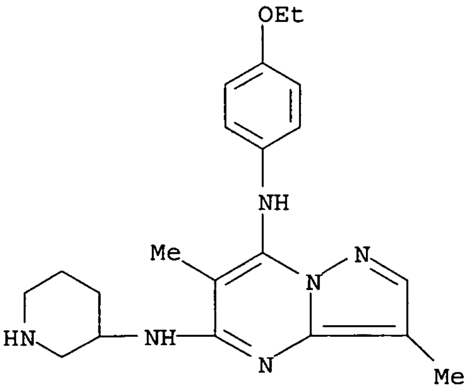


RN 754209-47-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-3,6-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

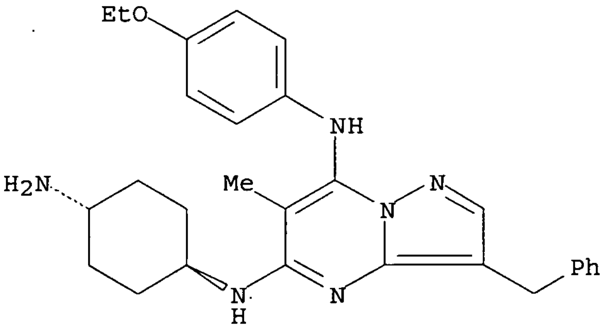


RN 754209-48-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N7-(4-ethoxyphenyl)-3,6-dimethyl-N5-3-piperidinyl- (9CI) (CA INDEX NAME)

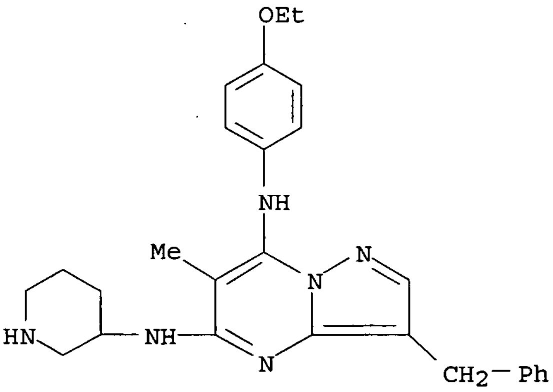


RN 754209-49-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-6-methyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 754209-50-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N7-(4-ethoxyphenyl)-6-methyl-3-(phenylmethyl)-N5-3-piperidinyl- (9CI) (CA INDEX NAME)



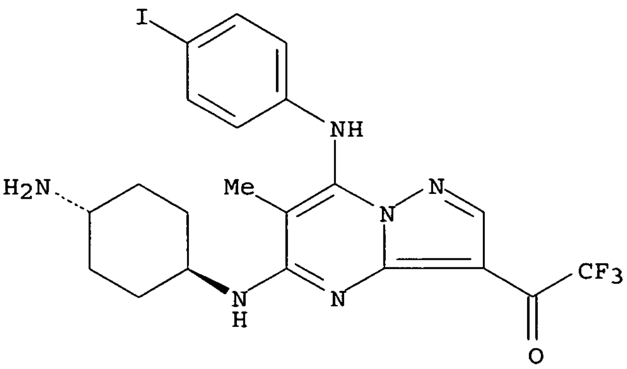
RN 754209-52-4 HCAPLUS
CN Ethanone, 1-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-iodophenyl)amino]-6-

methylpyrazolo[1,5-a]pyrimidin-3-yl]-2,2,2-trifluoro-,
tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

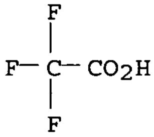
CRN 754209-51-3
CMF C21 H22 F3 I N6 O

Relative stereochemistry.



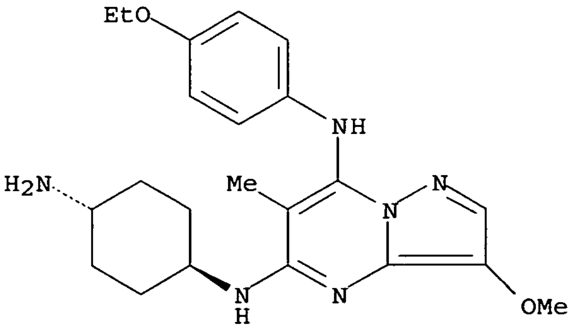
CM 2

CRN 76-05-1
CMF C2 H F3 O2


$$F_3C{-}CO_2H$$

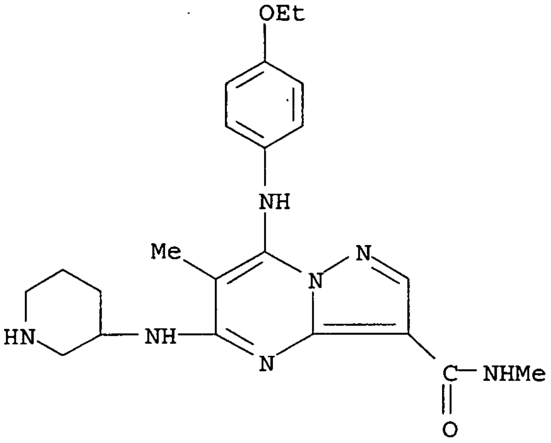
RN 754209-59-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(4-
ethoxyphenyl)-3-methoxy-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



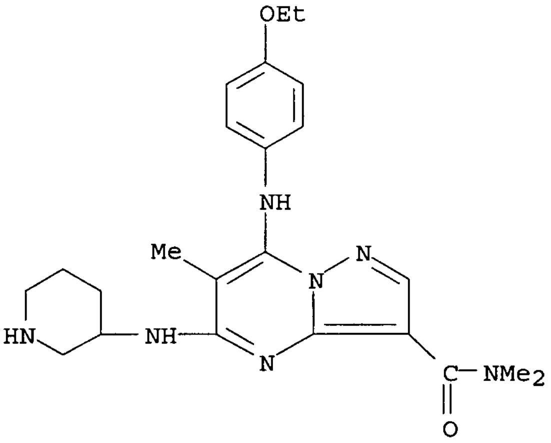
RN 754209-60-4 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-N,6-dimethyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



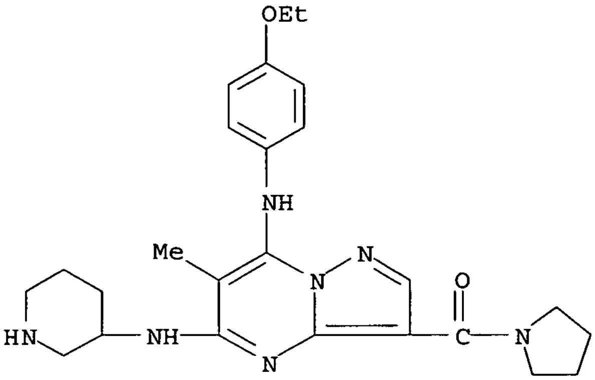
RN 754209-61-5 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-N,N,6-trimethyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)

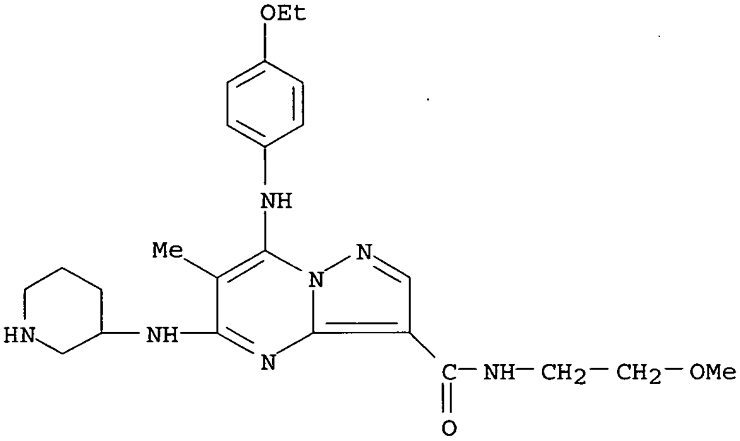


RN 754209-62-6 HCAPLUS

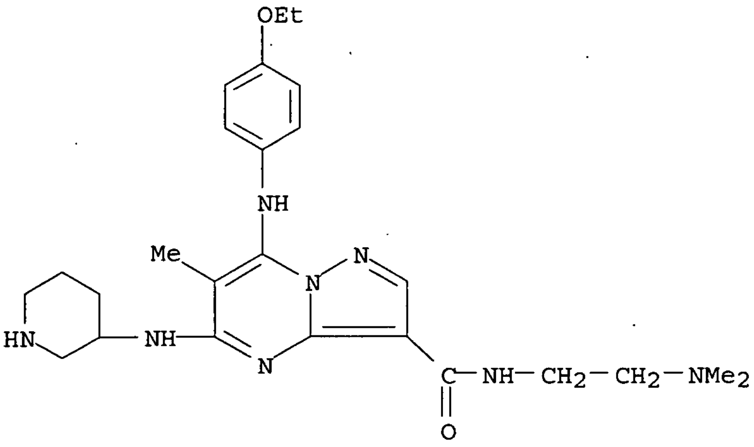
CN Pyrrolidine, 1-[[7-[(4-ethoxyphenyl)amino]-6-methyl-5-(3-piperidinylamino)pyrazolo[1,5-a]pyrimidin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



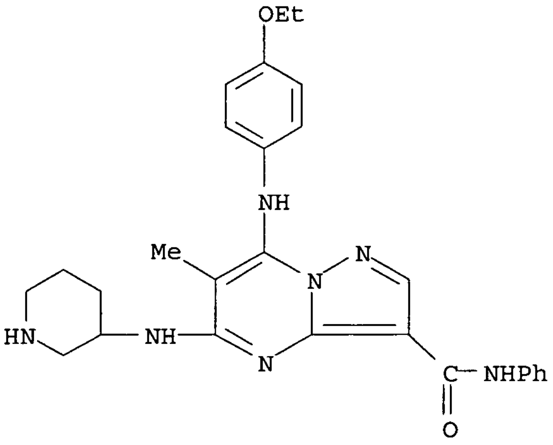
RN 754209-63-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-N-(2-methoxyethyl)-6-methyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



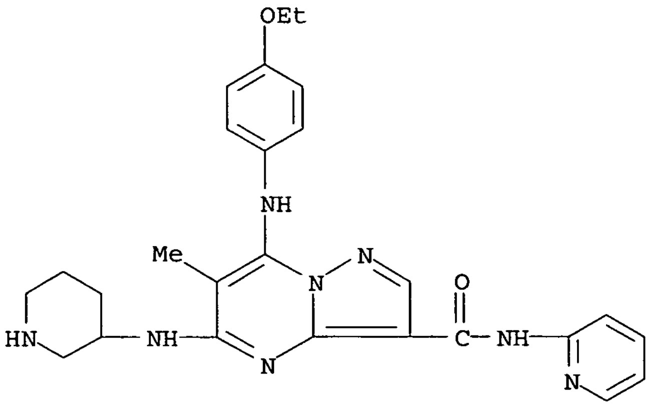
RN 754209-64-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, N-[2-(dimethylamino)ethyl]-7-[(4-ethoxyphenyl)amino]-6-methyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



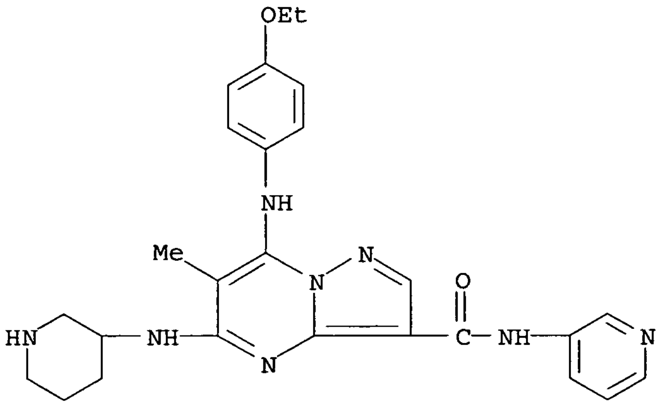
RN 754209-65-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-6-methyl-N-phenyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



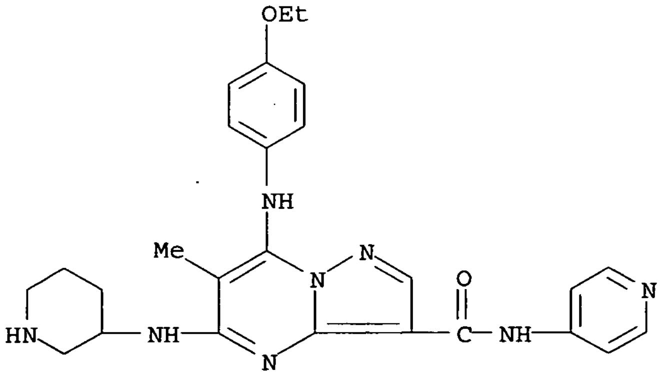
RN 754209-66-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-6-methyl-5-(3-piperidinylamino)-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 754209-67-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-6-methyl-5-(3-piperidinylamino)-N-3-pyridinyl- (9CI) (CA INDEX NAME)

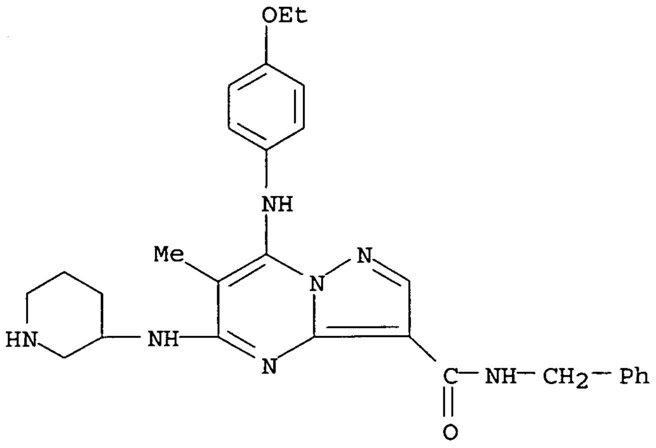


RN 754209-68-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-6-methyl-5-(3-piperidinylamino)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 754209-69-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-6-

methyl-N-(phenylmethyl)-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)

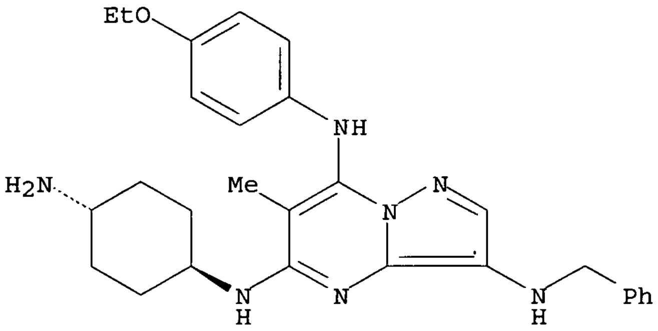


RN 754209-71-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3,5,7-triamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-6-methyl-N3-(phenylmethyl)-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

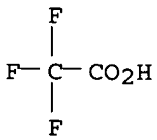
CRN 754209-70-6
CMF C28 H35 N7 O

Relative stereochemistry.



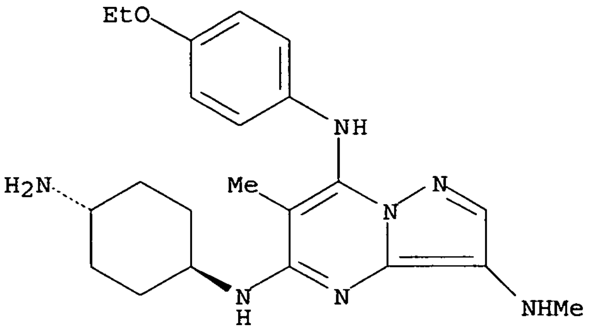
CM 2

CRN 76-05-1
CMF C2 H F3 O2



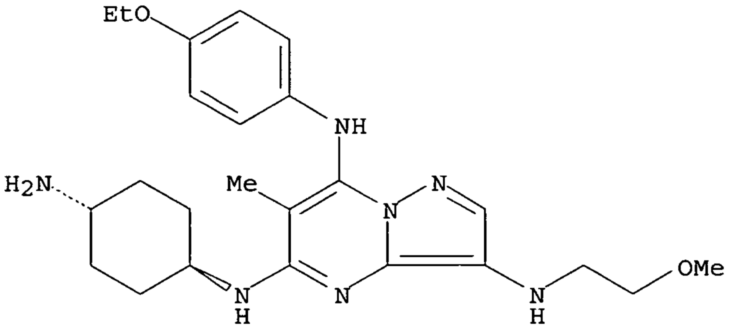
RN 754209-72-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3,5,7-triamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-N3,6-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

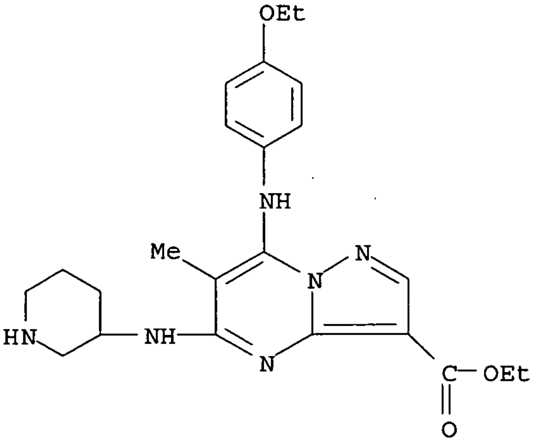


RN 754209-73-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3,5,7-triamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-N3-(2-methoxyethyl)-6-methyl- (9CI) (CA INDEX NAME)

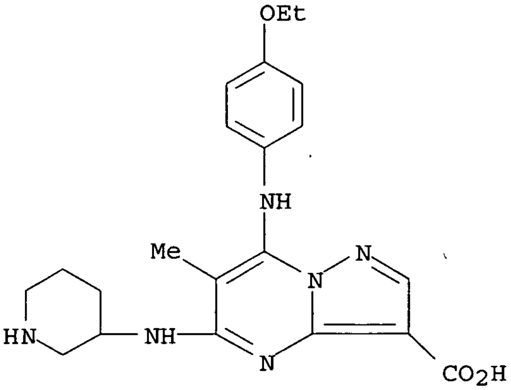
Relative stereochemistry.



RN 754209-74-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[(4-ethoxyphenyl)amino]-6-methyl-5-(3-piperidinylamino)-, ethyl ester (9CI) (CA INDEX NAME)

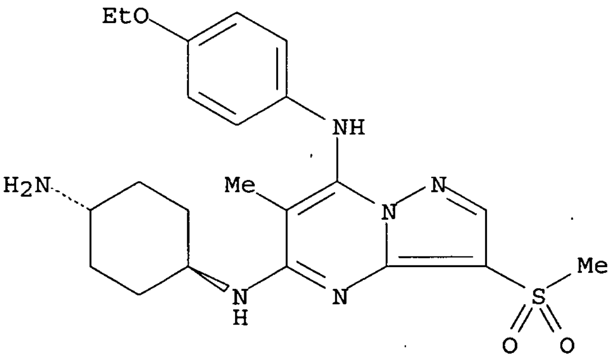


RN 754209-75-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[(4-ethoxyphenyl)amino]-6-methyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)

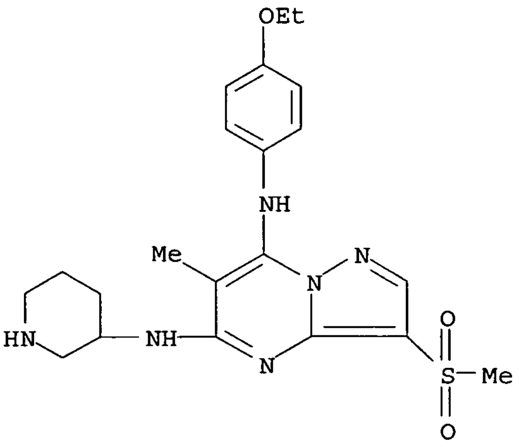


RN 754210-42-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-6-methyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

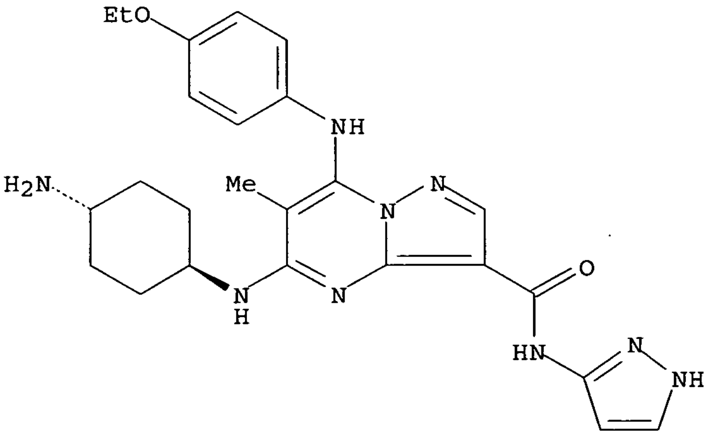


RN 754210-43-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N7-(4-ethoxyphenyl)-6-methyl-3-(methylsulfonyl)-N5-3-piperidinyl- (9CI) (CA INDEX NAME)



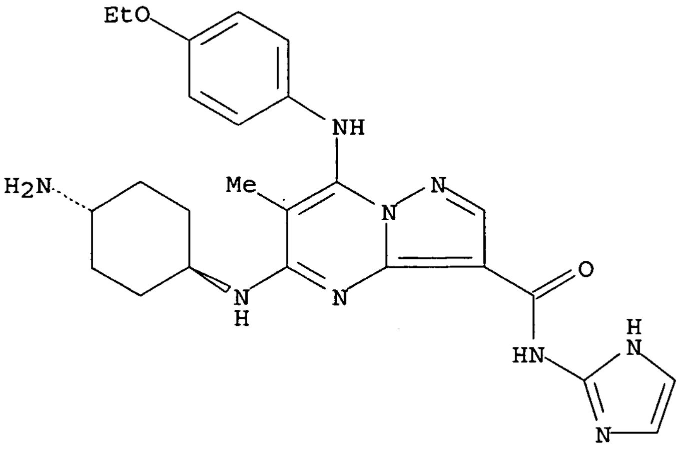
RN 754210-44-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-1H-pyrazol-3-yl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



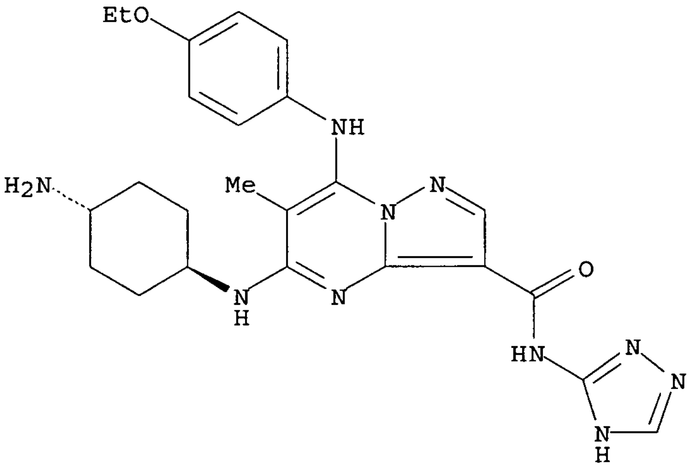
RN 754210-45-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N-1H-imidazol-2-yl-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



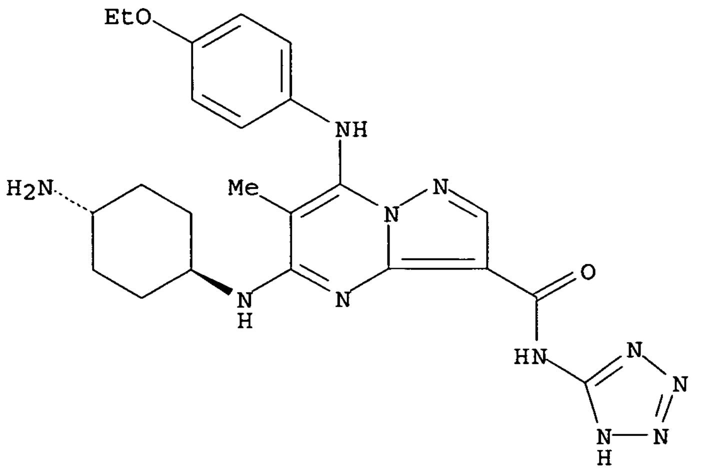
RN 754210-46-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-1H-1,2,4-triazol-3-yl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 754210-47-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

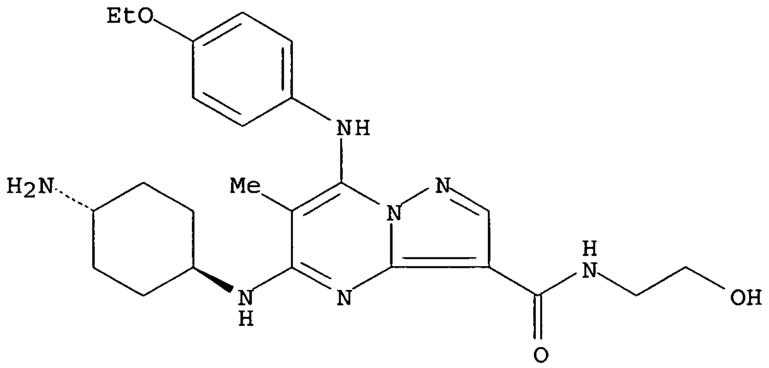
Relative stereochemistry.



RN 754210-48-5 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N-(2-hydroxyethyl)-6-methyl- (9CI) (CA INDEX NAME)

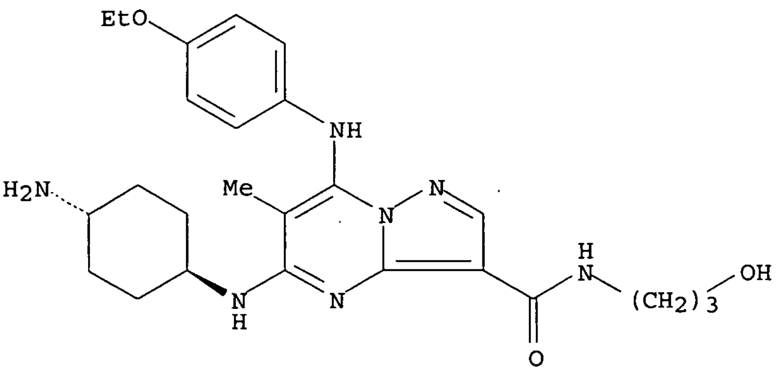
Relative stereochemistry.



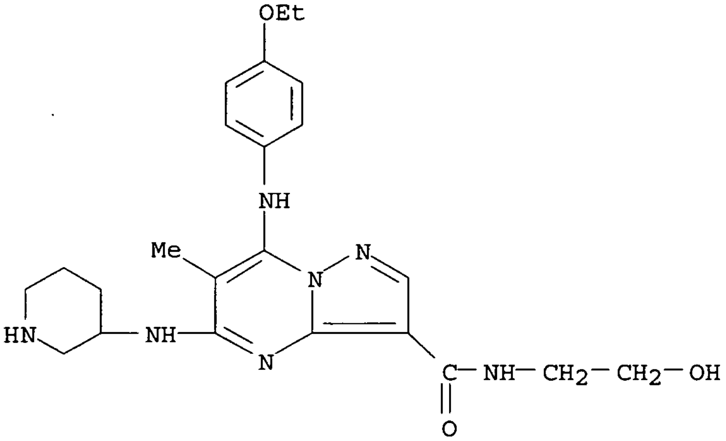
RN 754210-49-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-N-(3-hydroxypropyl)-6-methyl- (9CI) (CA INDEX NAME)

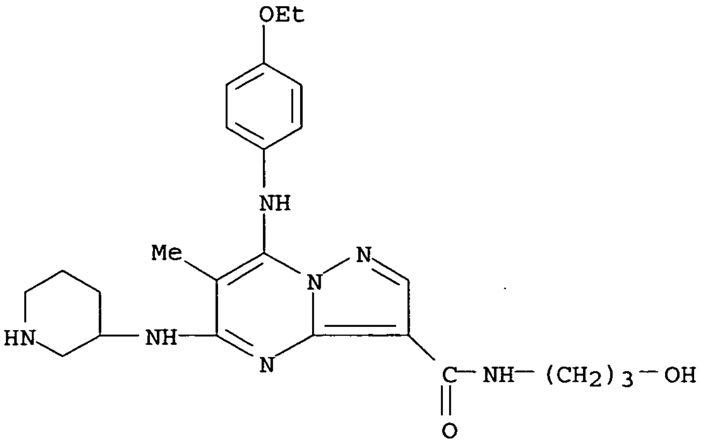
Relative stereochemistry.



RN 754210-50-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-N-(2-hydroxyethyl)-6-methyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



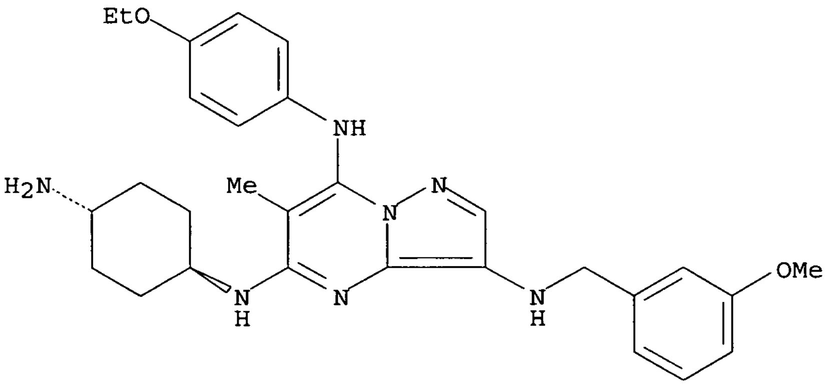
RN 754210-51-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxamide, 7-[(4-ethoxyphenyl)amino]-N-(3-hydroxypropyl)-6-methyl-5-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



RN 754210-70-3 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3,5,7-triamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-N3-[(3-methoxyphenyl)methyl]-6-methyl- (9CI) (CA INDEX NAME)

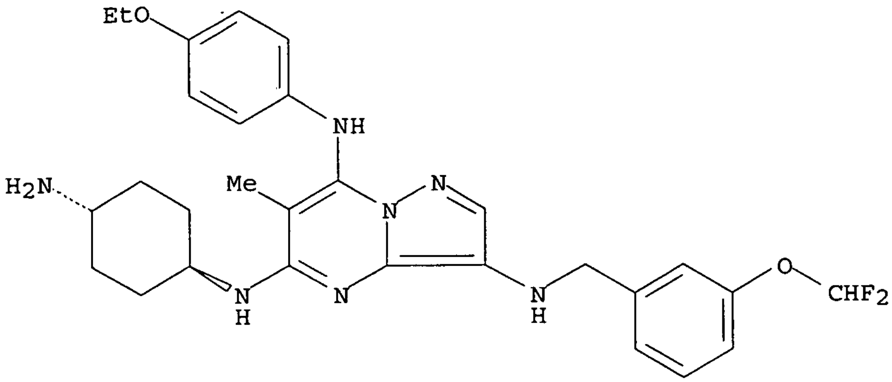
Relative stereochemistry.



RN 754210-71-4 HCAPLUS

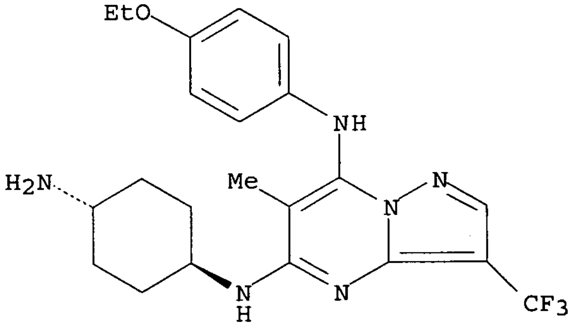
CN Pyrazolo[1,5-a]pyrimidine-3,5,7-triamine, N5-(trans-4-aminocyclohexyl)-N3-[[3-(difluoromethoxy)phenyl]methyl]-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

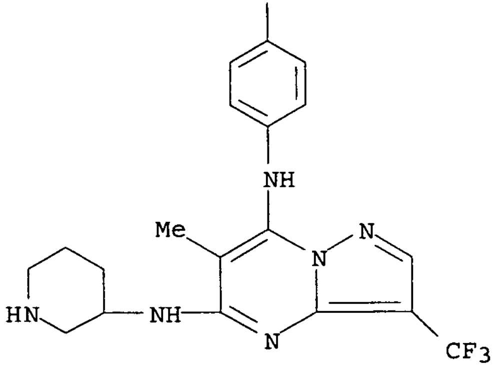


RN 754210-95-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(4-ethoxyphenyl)-6-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



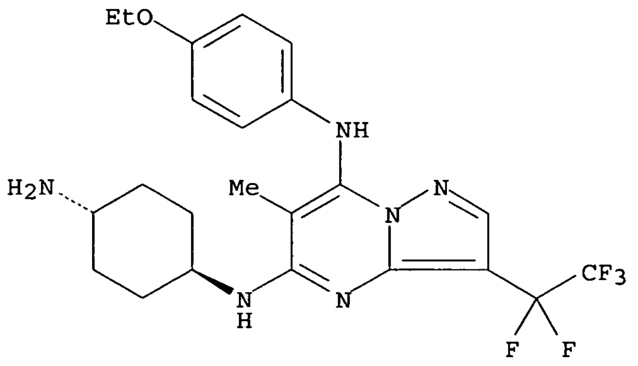
RN 754210-96-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N7-(4-ethoxyphenyl)-6-methyl-N5-3-piperidinyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



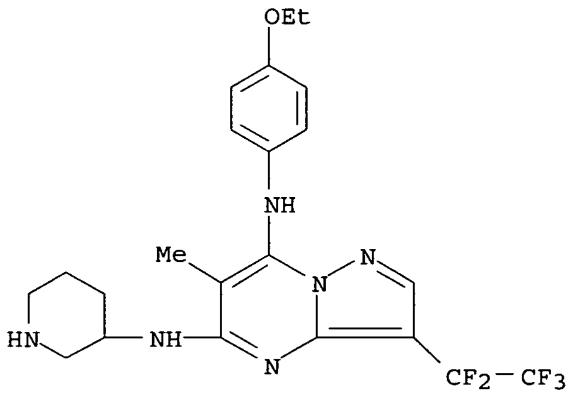
RN 754210-97-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-N7-(4-

ethoxyphenyl)-6-methyl-3-(pentafluoroethyl)- (9CI) (CA INDEX NAME)

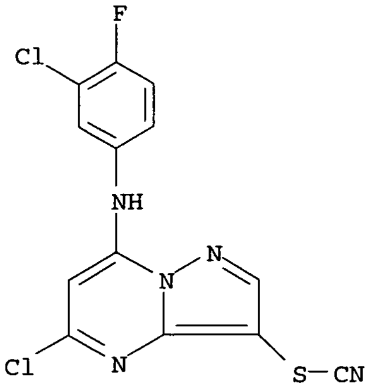
Relative stereochemistry.



RN 754210-98-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N7-(4-ethoxyphenyl)-6-methyl-3-(pentafluoroethyl)-N5-3-piperidinyl- (9CI) (CA INDEX NAME)



IT 754211-39-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolo[1,5-a]pyrimidines for treating or preventing protein kinase mediated disorders)
RN 754211-39-7 HCAPLUS
CN Thiocyanic acid, 5-chloro-7-[(3-chloro-4-fluorophenyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl ester (9CI) (CA INDEX NAME)



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IT     754211-12-6P 754211-13-7P 754211-16-0P
       754211-17-1P
       RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
       (Reactant or reagent)
          (preparation of pyrazolo[1,5-a]pyrimidines for treating or preventing
          protein kinase mediated disorders)
RN     754211-12-6  HCAPLUS
CN     Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 5-chloro-7-[(4-
       ethoxyphenyl)amino]-6-methyl-, ethyl ester (9CI)  (CA INDEX NAME)
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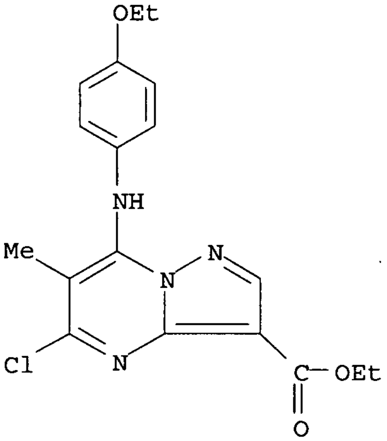

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RN     754211-13-7  HCAPLUS
CN     Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-chloro-7-[(3-chloro-4-
       fluorophenyl)amino]- (9CI)  (CA INDEX NAME)
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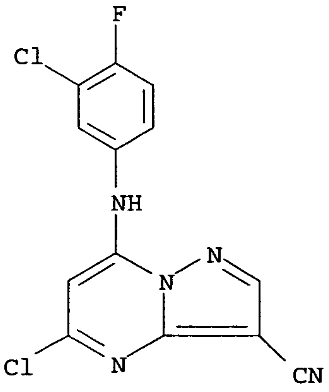
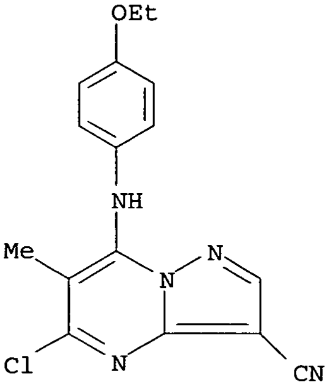
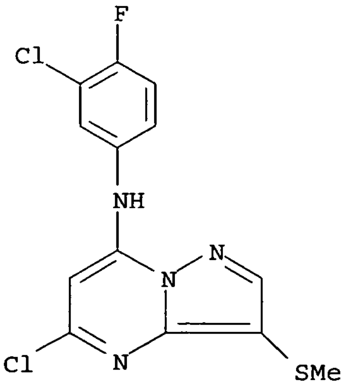


RN 754211-16-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-chloro-7-[(4-ethoxyphenyl)amino]-6-methyl- (9CI) (CA INDEX NAME)



RN 754211-17-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(3-chloro-4-fluorophenyl)-3-(methylthio)- (9CI) (CA INDEX NAME)



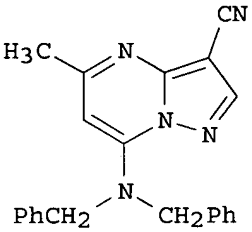
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2004:725256 HCAPLUS
DOCUMENT NUMBER:	142:219227
TITLE:	Design, synthesis, and hypnotic activity of pyrazolo[1,5-a]pyrimidine derivatives
AUTHOR(S):	Wang, Song Qing; Fang, Lin; Liu, Xiu Jie; Zhao, Kang
CORPORATE SOURCE:	College of Pharmaceutical Engineering, Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China
SOURCE:	Chinese Chemical Letters (2004), 15(8), 885-888 CODEN: CCLEE7; ISSN: 1001-8417
PUBLISHER:	Chinese Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 142:219227

GI



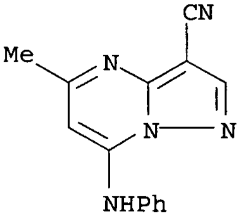
I

AB On the basis of the Zaleplon structure, novel pyrazolo[1,5-a]pyrimidines were designed and prepared for studies of their hypnotic activity. This paper reported the synthesis of twelve new 5-methyl-7-substituted-pyrazolo[1,5-a]pyrimidine-3-carbonitrile derivs. by using simple starting materials such as propane dinitrile and tri-Et orthoformate. The structures of the derived target compds. were confirmed by their IR and 1H-NMR spectroscopic data. The preliminary pharmacol. evaluations indicated that some compds. showed hypnotic activity, while derivative I was the most potent one.

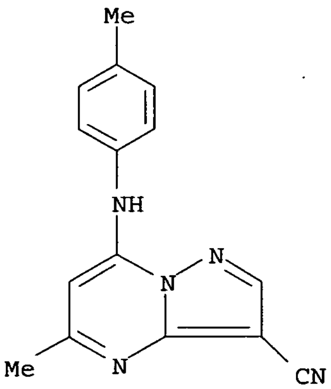
IT 842170-63-2P 842170-64-3P 842170-65-4P
842170-66-5P 842170-67-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and hypnotic activity of pyrazolopyrimidines via substitution of chloropyrazolopyrimidine with amines)

RN 842170-63-2 HCAPLUS

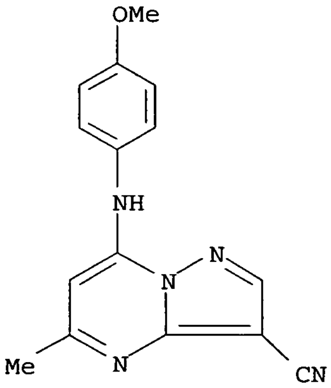
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-methyl-7-(phenylamino)- (9CI) (CA INDEX NAME)



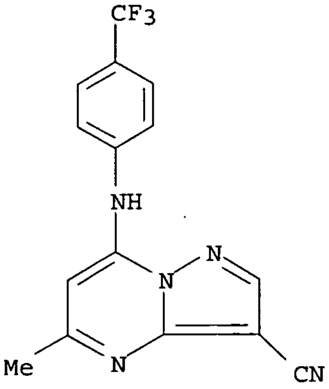
RN 842170-64-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-methyl-7-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



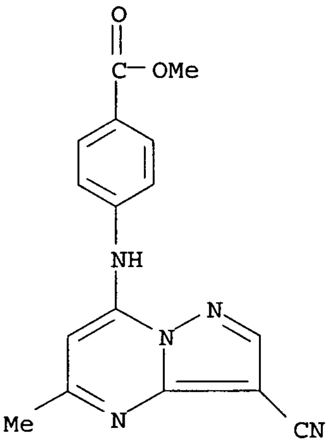
RN 842170-65-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 7-[(4-methoxyphenyl)amino]-5-methyl- (9CI) (CA INDEX NAME)



RN 842170-66-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-methyl-7-[[4-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 842170-67-6 HCAPLUS
CN Benzoic acid, 4-[(3-cyano-5-methylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:269996 HCAPLUS
DOCUMENT NUMBER: 140:303691
TITLE: Preparation and pharmaceutical compositions of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Alvarez, Carmen S.; Chan, Tin-Yau; Knutson, Chad; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

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FAMILY ACC. NUM. COUNT:  2
PATENT INFORMATION:

     PATENT NO.          KIND   DATE         APPLICATION NO.        DATE
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     WO 2004026229        A2    20040401     WO 2003-US27491        20030903
     WO 2004026229        A3    20040617
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
             SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2497544           AA    20040401      CA 2003-2497544        20030903
     AU 2003298571        A1    20040408      AU 2003-298571         20030903
     EP 1534712           A2    20050601      EP 2003-796321         20030903
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     CN 1701073           A     20051123      CN 2003-824701         20030903
     JP 2006502184        T2    20060119      JP 2004-537708         20030903
     ZA 2005001846        A     20050912      ZA 2005-1846           20050303
PRIORITY APPLN. INFO.:                       US 2002-408029P     P  20020904
                                             WO 2003-US27491     W  20030903
OTHER SOURCE(S):         MARPAT 140:303691
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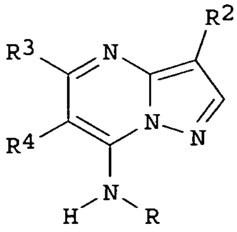

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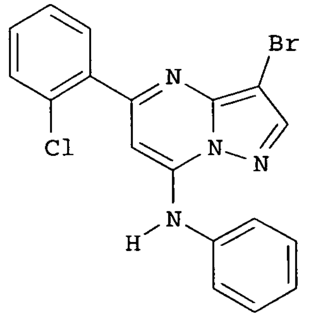


II

AB In its many embodiments, the present invention provides a novel class of pyrazolo[1,5-a]pyrimidine compds. I [R = (un)substituted aryl; R2 = halo, CN, (un)substituted alkyl, etc.; R3 = H, halo, (un)substituted-alkyl, -alkynyl, -aryl, etc.; R4 = H, halo or alkyl] as inhibitors of cyclin dependent kinases, methods of preparing such compds., pharmaceutical compns. containing one or more such compds., methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns. Thus, e.g., II was prepared by substitution of 3-bromo-7-chloro-5-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidine (preparation given) with aniline. I exhibit excellent CDK inhibitory properties as demonstrated by II which possessed a IC50 value of 0.51 µM in kinase activity assays.

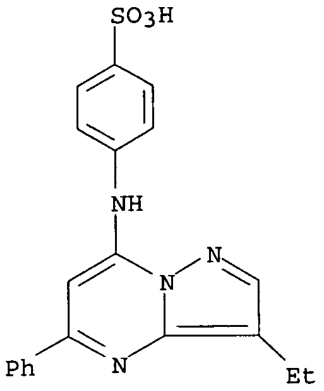
IT 676366-35-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyrazolopyrimidines as cyclin dependent kinase inhibitors)

RN 676366-35-1 HCAPLUS

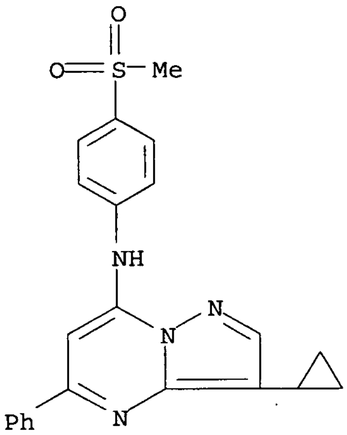
CN Benzenesulfonic acid, 4-[(3-ethyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)



IT 676366-14-6P 676366-15-7P 676366-32-8P
676366-76-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazolopyrimidines as cyclin dependent kinase inhibitors)

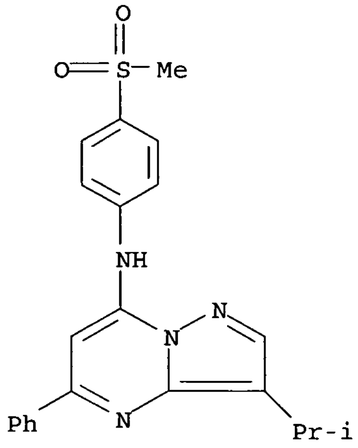
RN 676366-14-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-N-[4-(methylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

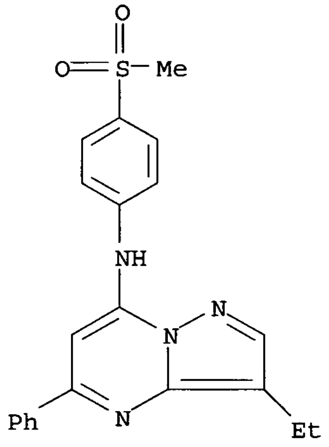


RN 676366-15-7 HCAPLUS

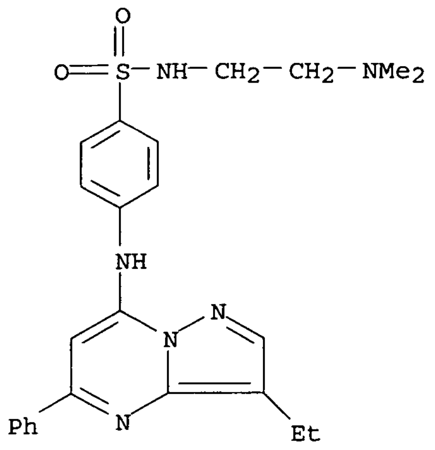
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 676366-32-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-ethyl-N-[4-(methylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 676366-76-0 HCAPLUS
CN Benzenesulfonamide, N-[2-(dimethylamino)ethyl]-4-[(3-ethyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)



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L6   ANSWER 11 OF 15  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2004:220334  HCAPLUS
DOCUMENT NUMBER:          140:270871
TITLE:                    Preparation of pyrazolo[1,5-a]pyrimidines as cyclin
                          dependent kinase inhibitors and anticancer agents
INVENTOR(S):              Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;
                          Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil;
                          Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min;
                          James, Ray Anthony; Park, Haengsoon
PATENT ASSIGNEE(S):       Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE:                   PCT Int. Appl., 83 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.          KIND    DATE          APPLICATION NO.        DATE
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     WO 2004022559        A1     20040318      WO 2003-US27405        20030903
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
             SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2497444           AA     20040318      CA 2003-2497444        20030903
     AU 2003268357        A1     20040329      AU 2003-268357         20030903
     EP 1534709           A1     20050601      EP 2003-749317         20030903
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006501260        T2     20060112      JP 2004-534424         20030903
     CN 1738821           A      20060222      CN 2003-824448         20030903
     ZA 2005001851        A      20050908      ZA 2005-1851           20050303
PRIORITY APPLN. INFO.:                         US 2002-408030P     P  20020904
                                               WO 2003-US27405     W  20030903
OTHER SOURCE(S):          MARPAT 140:270871
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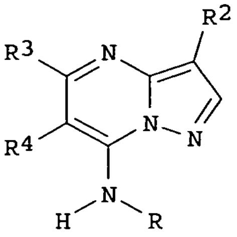


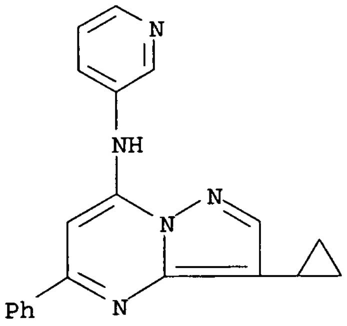
I

AB The title compds. [I; R = (un)substituted heteroaryl; R2 = (un)substituted alkyl, alkynyl, aryl, heteroaryl, alkynylalkyl, CF3, heterocyclylalkyl, alkynylalkyl, cycloalkyl, CO2R4, etc., wherein aryl is optionally substituted; R3 = H, halogen, NR5R6, CO2R4, CONR5R6, each (un)substituted alkyl, alkynyl, cycloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, or heteroaryl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un)substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un)substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

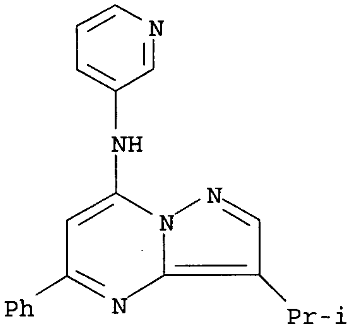
IT 674334-56-6P 674334-57-7P 674334-58-8P
674334-59-9P 674334-60-2P 674334-61-3P
674334-84-0P 674334-87-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

RN 674334-56-6 HCAPLUS

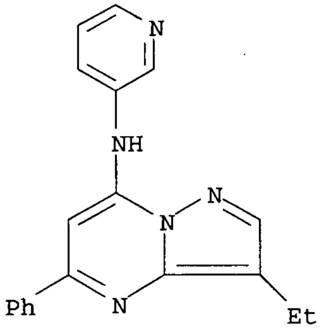
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



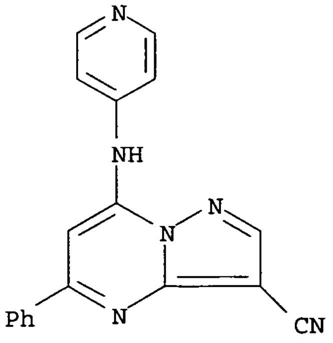
RN 674334-57-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methylethyl)-5-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



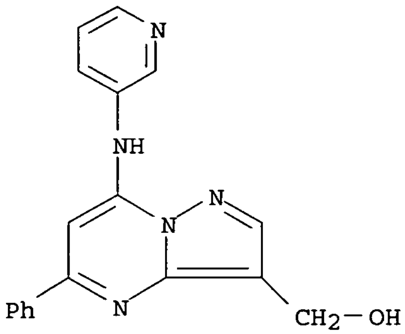
RN 674334-58-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-ethyl-5-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



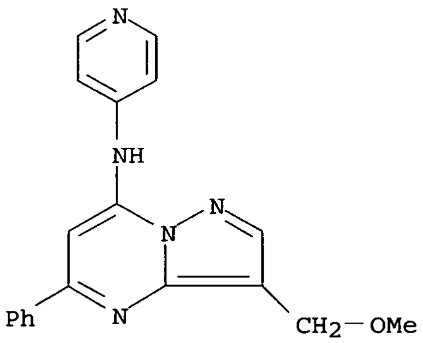
RN 674334-59-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5-phenyl-7-(4-pyridinylamino)- (9CI) (CA INDEX NAME)



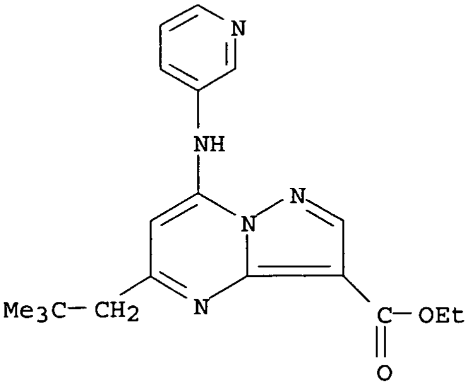
RN 674334-60-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-(3-pyridinylamino)- (9CI)
(CA INDEX NAME)



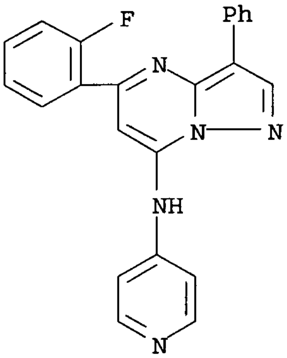
RN 674334-61-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(methoxymethyl)-5-phenyl-N-4-pyridinyl-
(9CI) (CA INDEX NAME)



RN 674334-84-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 5-(2,2-dimethylpropyl)-7-(3-
pyridinylamino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 674334-87-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2003:875291 HCAPLUS
DOCUMENT NUMBER:	139:350751
TITLE:	Preparation of pyrazolo[1,5-a]pyrimidine derivatives as NAD(P)H oxidase inhibitors
INVENTOR(S):	Seno, Kaoru; Nishi, Koichi; Matsuo, Yoshiyuki; Fujishita, Toshio
PATENT ASSIGNEE(S):	Shionogi & Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 240 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

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PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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WO 2003091256        A1    20031106   WO 2003-JP5024         20030418
    W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
        CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2483306           AA     20031106    CA 2003-2483306        20030418
     AU 2003227437        A1     20031110    AU 2003-227437         20030418
     EP 1505068           A1     20050209    EP 2003-717663         20030418
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003009475        A      20050301    BR 2003-9475           20030418
     CN 1662537           A      20050831    CN 2003-814483         20030418
     US 2006089362        A1     20060427    US 2005-511840         20050923
PRIORITY APPLN. INFO.:                       JP 2002-121519      A  20020423
                                             WO 2003-JP5024      W  20030418
OTHER SOURCE(S):         MARPAT 139:350751
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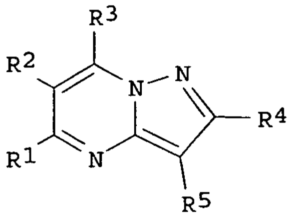

I

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AB   Title compds. I (R1, R2, R3, R4, R5 = H, halo, alkyl, alkenyl, alkynyl,
     cycloalkyl, , aryl, heteroaryl, etc.) and their pharmaceutically
     acceptable salts, useful in the prevention of or treatments for diseases
     relating to NAD(P)H, are prepared  Thus, N-2-cyclohexylphenyl
     3-(3-chlorophenyl)pyrazolo[1,5-a]pyrimidin-5-amide was prepared in several
     steps from Et 7-chloropyrazolo[1,5-a]pyrimidine-5-carboxylate.
IT   619306-08-0 619306-32-0
     RL: PAC (Pharmacological activity); BIOL (Biological study)
        (preparation of pyrazolo[1,5-a]pyrimidine derivs. as NAD(P)H oxidase
        inhibitors)
RN   619306-08-0  HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-
     (cyclopropylamino)-N-[3-(1-piperazinyl)phenyl]- (9CI)  (CA INDEX NAME)
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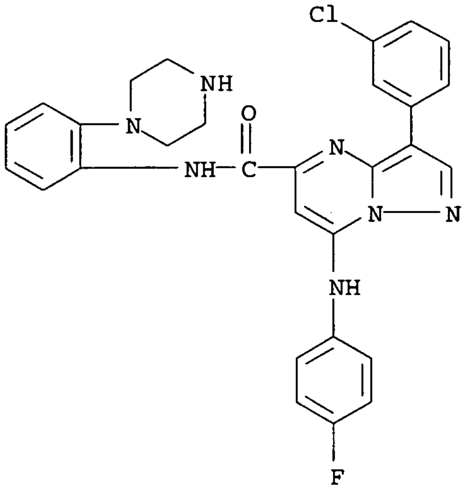

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REFERENCE COUNT:         109   THERE ARE 109 CITED REFERENCES AVAILABLE FOR
                               THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
                               FORMAT

L6   ANSWER 13 OF 15  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1993:213102  HCAPLUS
DOCUMENT NUMBER:         118:213102
TITLE:                   Preparation of pyrazolo[1,5-a]pyrimidine derivatives
                         antiinflammatory agents
INVENTOR(S):             Inoue, Makoto; Hashimoto, Kinji; Kuwahara, Toshiko;
                         Sugimoto, Yukio; Uesako, Takuji; Funato, Toshiaki
PATENT ASSIGNEE(S):      Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE:                  PCT Int. Appl., 48 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
     WO 9218504           A1    19921029    WO 1991-JP1043          19910806
         W:  AU, CA, KR, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
     CA 2107479           AA    19921023    CA 1991-2107479         19910806
     CA 2107479           C     19971216
     AU 9182958           A1    19921117    AU 1991-82958           19910806
     AU 651986            B2    19940811
     EP 591528            A1    19940413    EP 1991-913666          19910806
     EP 591528            B1    19981223
         R:  AT, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE
     AT 174917            E     19990115    AT 1991-913666          19910806
     ES 2126573           T3    19990401    ES 1991-913666          19910806
     JP 05070353          A2    19930323    JP 1992-55370           19920313
     US 5688949           A     19971118    US 1993-133086          19931007
PRIORITY APPLN. INFO.:                      JP 1991-90707        A  19910422
                                            WO 1991-JP1043       A  19910806
OTHER SOURCE(S):         MARPAT 118:213102
GI
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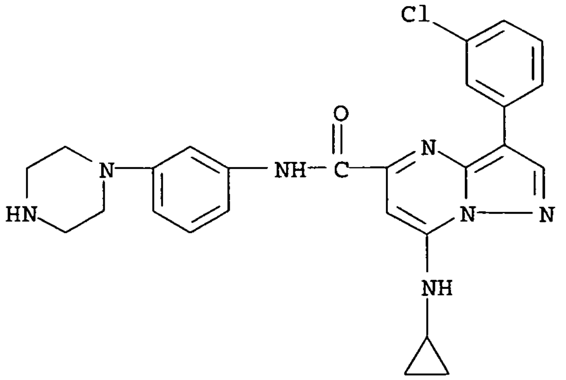
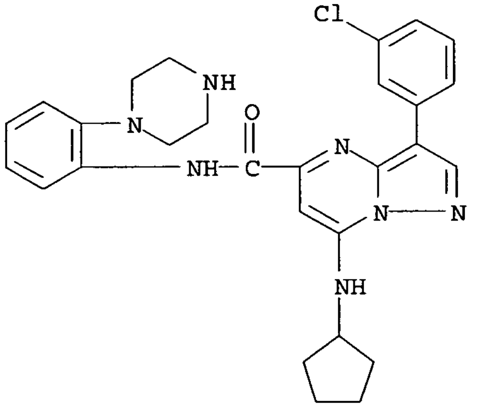


RN 619306-32-0 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-(cyclopentylamino)-N-[2-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



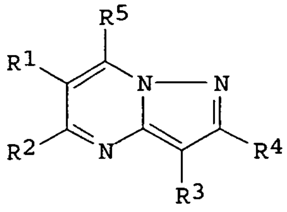
IT 619304-52-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidine derivs. as NAD(P)H oxidase inhibitors)

RN 619304-52-8 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-[(4-fluorophenyl)amino]-N-[2-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)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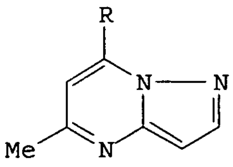
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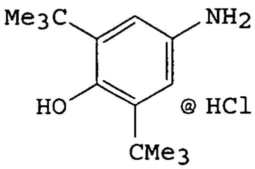
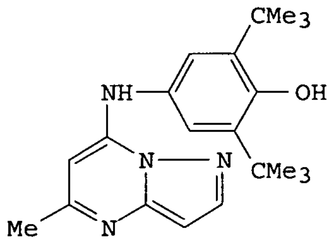

III

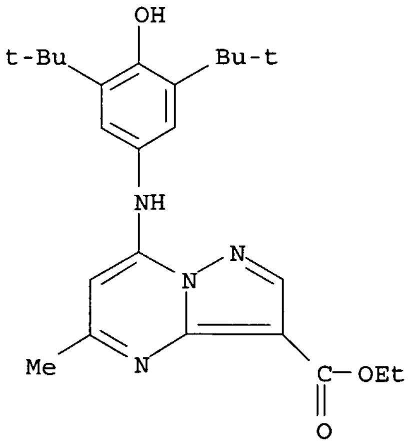

IV

AB The title compds. [I; R1-R4 = H, CO2H, Ph, alkoxycarbonyl, alkyl, cycloalkyl, etc.; R1R2 = alkylene; R5 = SR6, NR7R8 (wherein R6 = pyridyl, Ph or substituted Ph; R7, R8 = H, Ph or substituted Ph, etc.)] are prepared A suspension of Cl compound II (R = Cl) 3.5, aniline salt III 6.0, and PhNEt2 6.0 in MePh was heated at 120° to give 4.7 g IV, which showed IC50 of 3 + 10-7M against cyclooxygenase. IV showed 65.0% inhibition against cyclooxygenase at 3 + 10-7M, vs. 12.4% with indomethacin.

IT 137739-37-8P 137739-40-3P 137739-41-4P
137739-43-6P 137739-44-7P 137739-55-0P
137739-56-1P 137739-57-2P 137739-58-3P
137739-59-4P 137739-61-8P 146992-62-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory agent)

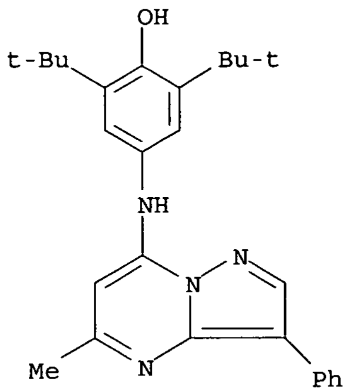
RN 137739-37-8 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



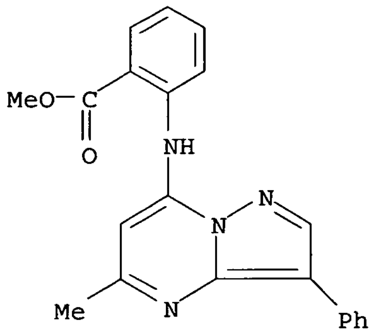
RN 137739-40-3 HCAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)



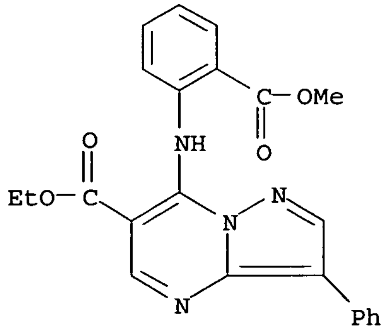
RN 137739-41-4 HCAPLUS

CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)



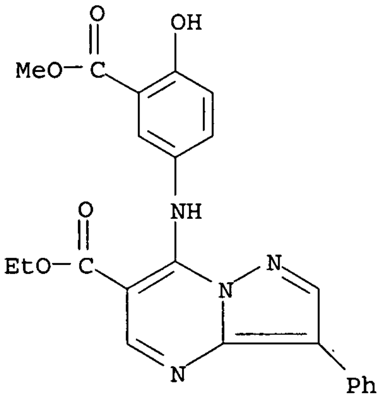
RN 137739-43-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[2-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



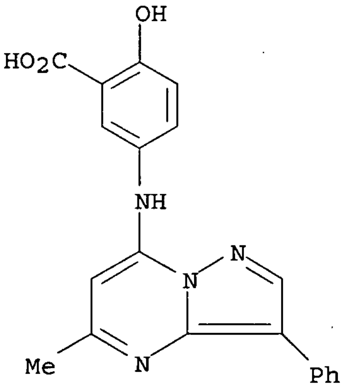
RN 137739-44-7 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[4-hydroxy-3-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



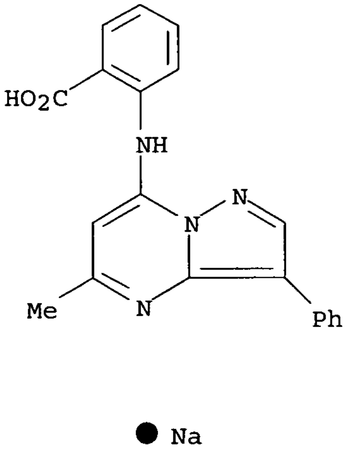
RN 137739-55-0 HCAPLUS

CN Benzoic acid, 2-hydroxy-5-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

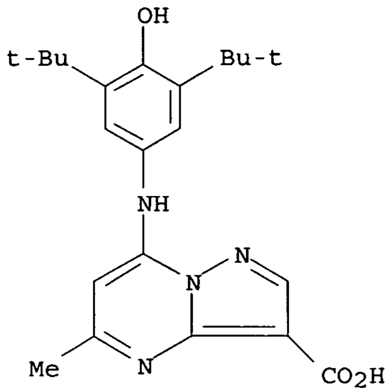


RN 137739-56-1 HCAPLUS

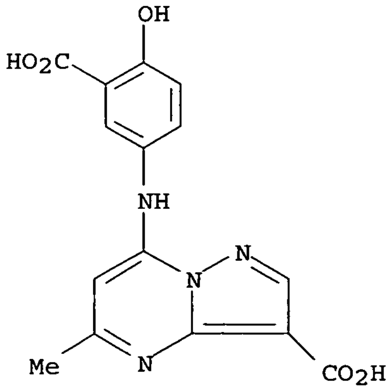
CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, monosodium salt (9CI) (CA INDEX NAME)



RN 137739-57-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-methyl- (9CI) (CA INDEX NAME)

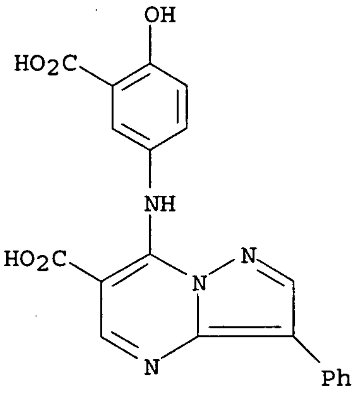


RN 137739-58-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[(3-carboxy-4-hydroxyphenyl)amino]-5-methyl- (9CI) (CA INDEX NAME)



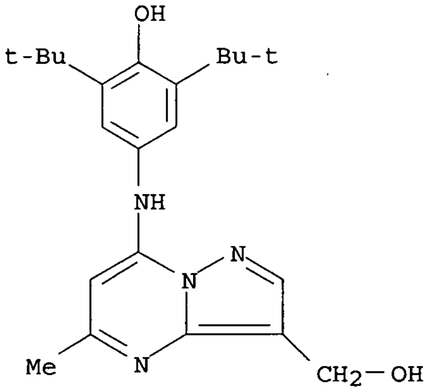
RN 137739-59-4 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-carboxy-4-hydroxyphenyl)amino]-3-phenyl- (9CI) (CA INDEX NAME)



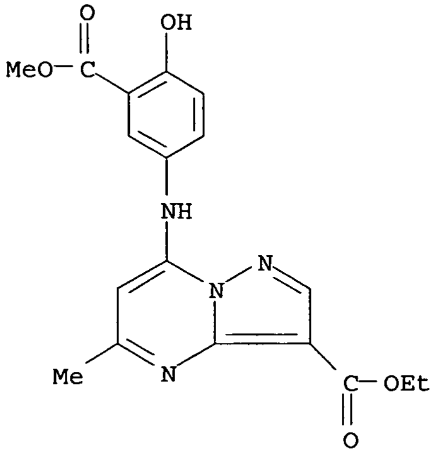
RN 137739-61-8 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 7-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



RN 146992-62-3 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[[4-hydroxy-3-(methoxycarbonyl)phenyl]amino]-5-methyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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L6   ANSWER 14 OF 15  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1992:6580  HCAPLUS
DOCUMENT NUMBER:          116:6580
TITLE:                    Preparation of pyrazolo[1,5-a]pyrimidine derivatives
                          as drugs
INVENTOR(S):              Inoue, Makoto; Hashimoto, Kinji
PATENT ASSIGNEE(S):       Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 10 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND    DATE        APPLICATION NO.         DATE
     ---------------      ----    --------    --------------------    --------
     JP 03204877           A2     19910906    JP 1990-289769          19901025
     JP 2585462            B2     19970226
PRIORITY APPLN. INFO.:                        JP 1989-277566      A1  19891025
OTHER SOURCE(S):          MARPAT 116:6580
GI
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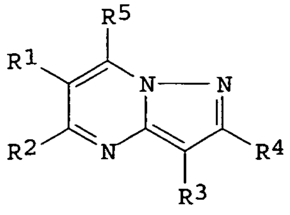

I

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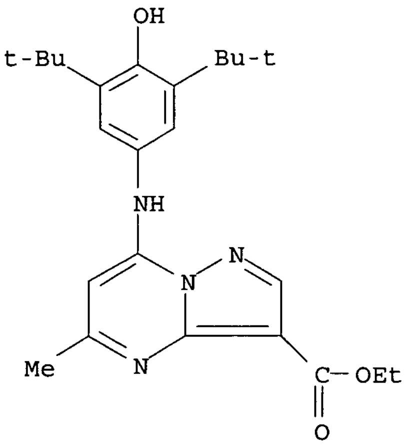
AB   The title compds. [I; R1-R4 = H, CO2H, alkoxycarbonyl, Ph, (HO-, HO2C-, or
     alkoxycarbonyl-substituted)alkyl, cycloalkyl; or R1R2 = alkylene; R5 =
```

SR6, NR7R8; R6 = pyridyl, (1-3 HO- or alkyl-substituted) Ph; R7, R8 = H, (1-3 HO-, alkyl-, alkoxycarbonyl-, or HO2C-substituted) Ph; or NR7R8 = 1-pyrrolidinyl, 2-oxo-1-pyrrolidinyl, (un)substituted 1-piperazinyl], useful as antiinflammatories, antirheumatics, antiasthmatics, allergy inhibitors, antipyretics, and analgesics and for improvement of ischemia (no data), are prepared Thus, a suspension of 1.0 g 7-chloropyrazolo[1,5-pyrimidine, 1.8 g 3,5-di-tert-butyl-4-hydroxyaniline-HCl, and 2.3 mL PhNEt2 in PhMe was heated 30 min at 120° to give 890 mg I (R1-R4 = H, R5 = 3,5-di-tert-butyl-4-hydroxyphenylamino). A total of 48 I were prepared

IT 137739-37-8P 137739-39-0P 137739-40-3P
137739-41-4P 137739-43-6P 137739-44-7P
137739-55-0P 137739-56-1P 137739-57-2P
137739-58-3P 137739-59-4P 137739-61-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

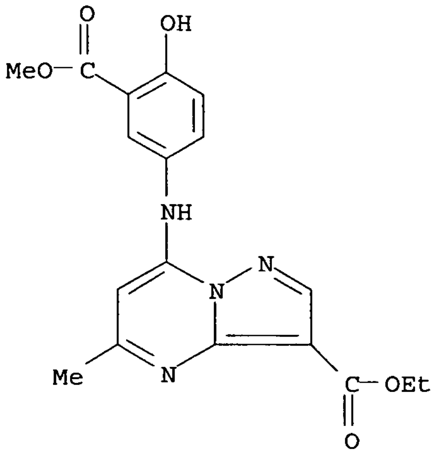
RN 137739-37-8 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

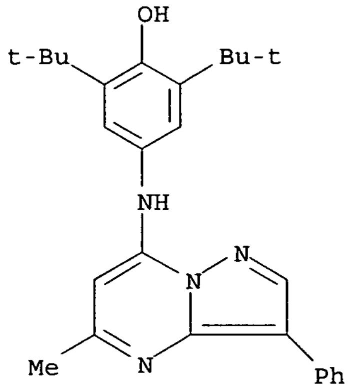


RN 137739-39-0 HCAPLUS

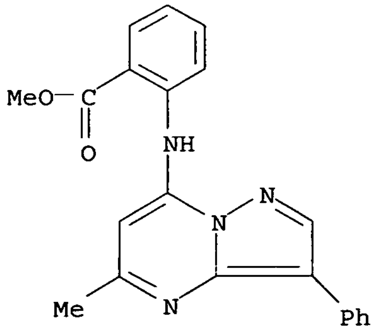
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[[4-hydroxy-3-(methoxycarbonyl)phenyl]amino]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 137739-40-3 HCAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

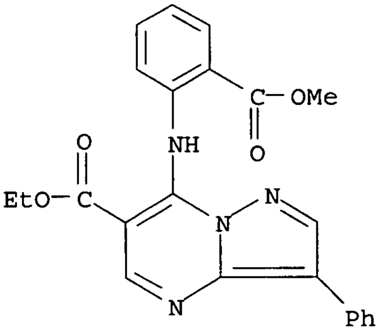


RN 137739-41-4 HCAPLUS
CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)



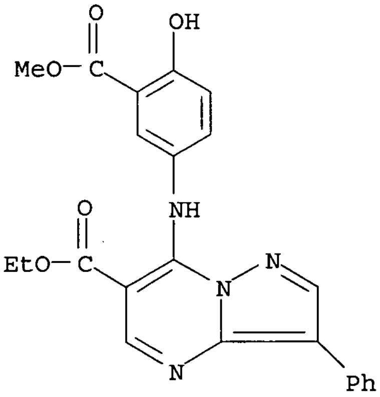
RN 137739-43-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[2-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



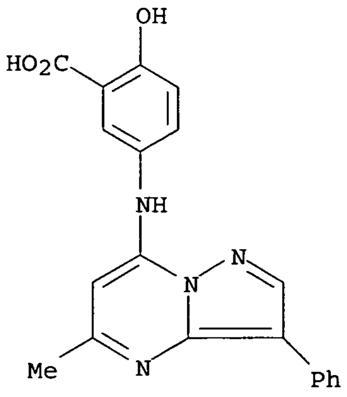
RN 137739-44-7 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[4-hydroxy-3-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

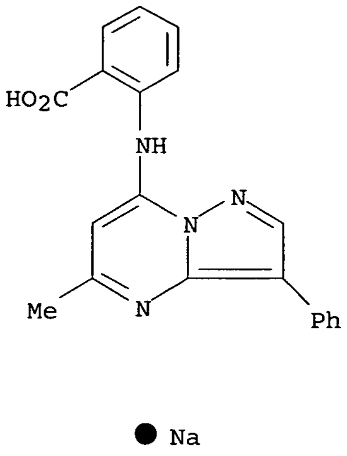


RN 137739-55-0 HCAPLUS

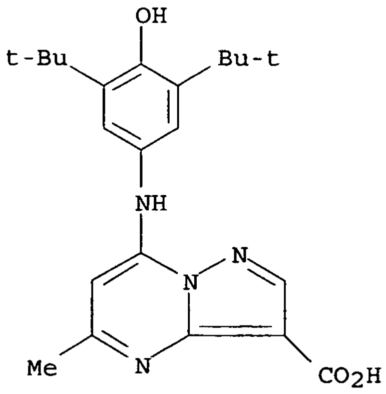
CN Benzoic acid, 2-hydroxy-5-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)



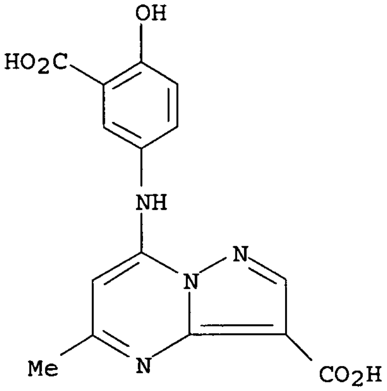
RN 137739-56-1 HCAPLUS
CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, monosodium salt (9CI) (CA INDEX NAME)



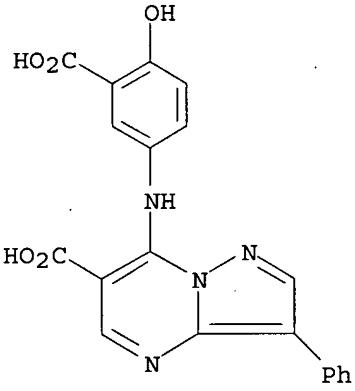
RN 137739-57-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



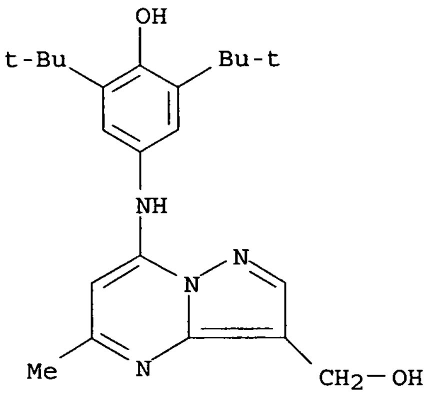
RN 137739-58-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, 7-[(3-carboxy-4-hydroxyphenyl)amino]-5-methyl- (9CI) (CA INDEX NAME)



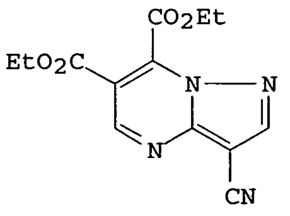
RN 137739-59-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-carboxy-4-hydroxyphenyl)amino]-3-phenyl- (9CI) (CA INDEX NAME)



RN 137739-61-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 7-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:72032 HCAPLUS
DOCUMENT NUMBER: 98:72032
TITLE: Reactions of pyrazolo[1,5-a]pyrimidine derivatives with nucleophiles. III. Nucleophilic addition to 6,7-bis(ethoxycarbonyl)pyrazolo[1,5-a]pyrimidine-3-carbonitrile in the presence of triethyloxonium fluoroborate
AUTHOR(S): Kurihara, Takushi; Nasu, Keiko
CORPORATE SOURCE: Osaka Coll. Pharm., Osaka, 580, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1982), 30(8), 2723-31
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:72032
GI



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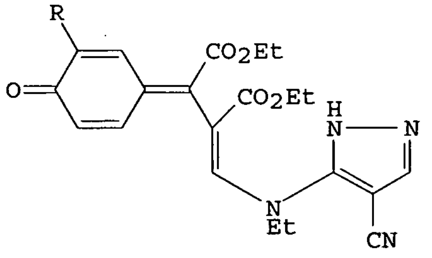

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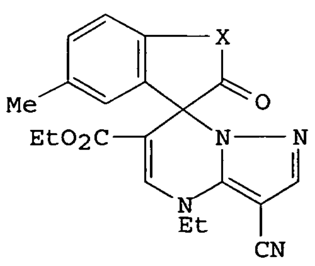

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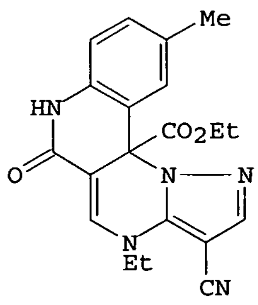
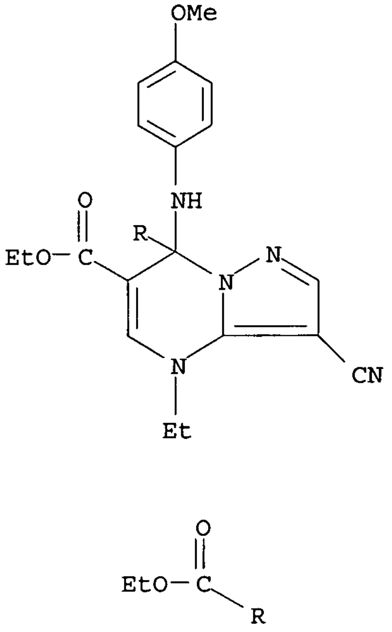


V

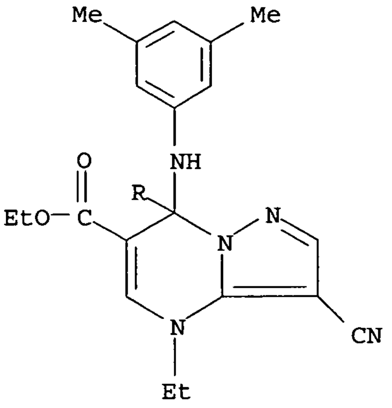
AB Phenol and aniline analogs undergo nucleophilic addition to title pyrazolopyrimidinecarbonitrile I in the presence of triethyloxonium fluoroborate. Thus, PhOH or o-cresol, which are para-unsubstituted, reacted with I to give cyclohexadienylidene derivs. II (R = H, Me), whereas p- or m-cresols, p-MeOC6H4OH, and α- or β-naphthol gave spiro lactones, e.g. III (X = O). Treating I with aniline analogs gave three types of products. Thus, PhNH2 or o-toluidine gave 7-(4-aminophenyl) adducts, but p-anisidine, p-chloroaniline, or p-nitroaniline gave 7-anilino derivs. Treating p-toluidine with I similarly gave spiroindole-pyrazolopyrimidinone III (X = NH) and pyrazolopyrimidoquinoline V.

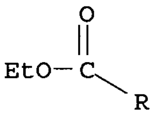
IT 84490-57-3P 84490-58-4P 84490-59-5P
84490-60-8P 84490-67-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 84490-57-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6,7-dicarboxylic acid, 3-cyano-4-ethyl-4,7-dihydro-7-[(4-methoxyphenyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)

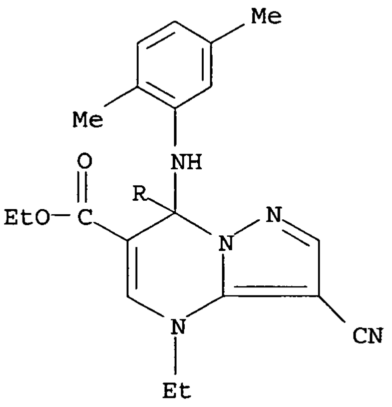


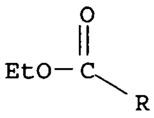
RN 84490-58-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6,7-dicarboxylic acid, 3-cyano-7-[(3,5-dimethylphenyl)amino]-4-ethyl-4,7-dihydro-, diethyl ester (9CI) (CA INDEX NAME)



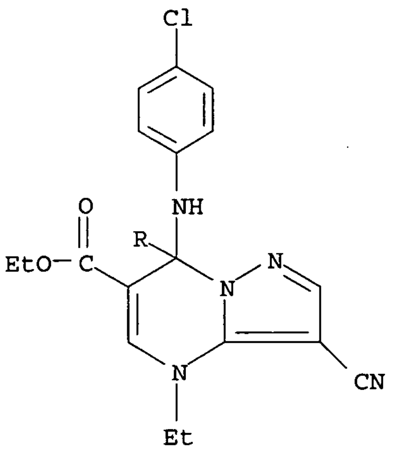


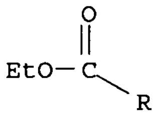
RN 84490-59-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6,7-dicarboxylic acid, 3-cyano-7-[(2,5-dimethylphenyl)amino]-4-ethyl-4,7-dihydro-, diethyl ester (9CI) (CA INDEX NAME)





RN 84490-60-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6,7-dicarboxylic acid, 7-[(4-chlorophenyl)amino]-3-cyano-4-ethyl-4,7-dihydro-, diethyl ester (9CI) (CA INDEX NAME)





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RN   84490-67-5  HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6,7-dicarboxylic acid, 3-cyano-4-ethyl-4,7-
     dihydro-7-[(4-nitrophenyl)amino]-, diethyl ester (9CI)  (CA INDEX NAME)
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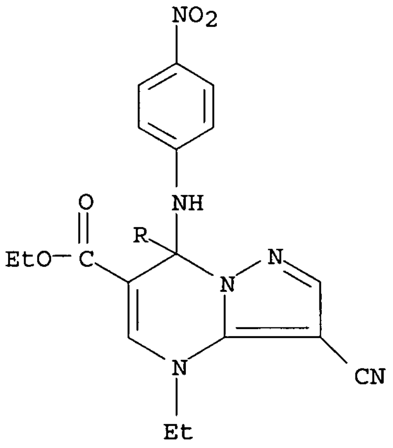

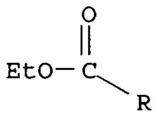

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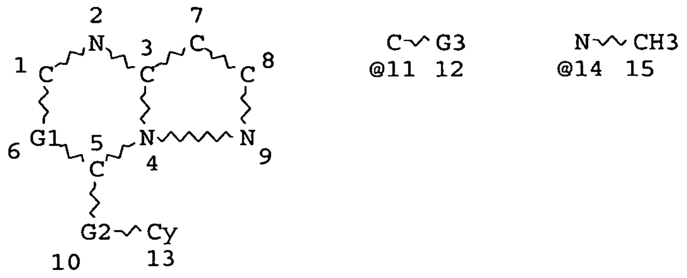

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VAR G2=NH/14
VAR G3=X/AK
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DEFAULT ECLEVEL IS LIMITED

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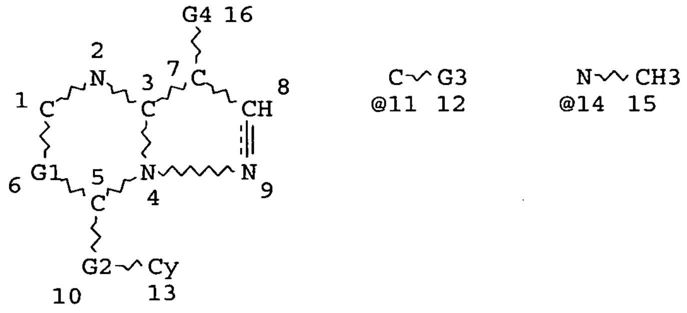

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VAR G4=C/N/S/O/CY
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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STEREO ATTRIBUTES: NONE
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MF   C21 H18 Cl N5 O
SR   Chemical Library
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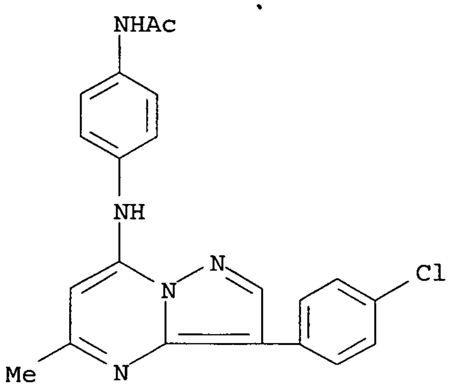

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8   ANSWER 10 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   896817-15-5  REGISTRY
ED   Entered STN:  28 Jul 2006
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CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C23 H23 Cl N4 O
SR   Chemical Library
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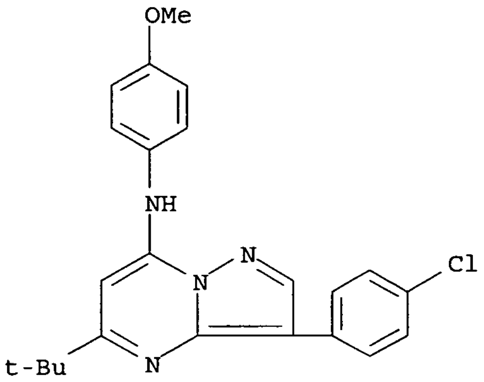

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8   ANSWER 20 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890636-13-2  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C20 H17 Cl N4 O
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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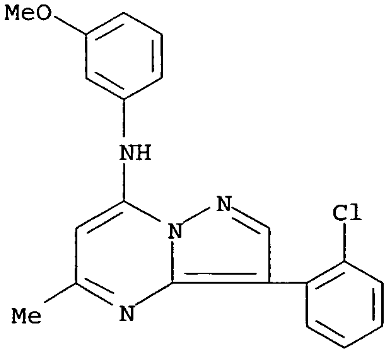

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8   ANSWER 30 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890635-18-4  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
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FS    3D CONCORD
MF    C21 H18 Cl N5 O
SR    Chemical Library
        Supplier: AKos Consulting and Solutions GmbH
LC    STN Files:   CHEMCATS
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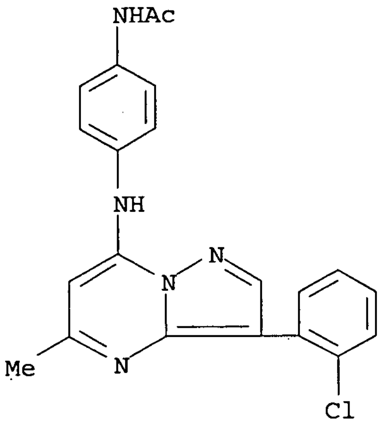

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8    ANSWER 40 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN    890629-77-3  REGISTRY
ED    Entered STN:  05 Jul 2006
CN    INDEX NAME NOT YET ASSIGNED
FS    3D CONCORD
MF    C24 H26 N4
SR    Chemical Library
        Supplier: AKos Consulting and Solutions GmbH
LC    STN Files:   CHEMCATS
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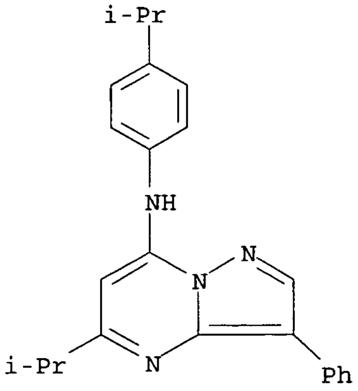

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8    ANSWER 50 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
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RN   890628-88-3  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C22 H22 N4
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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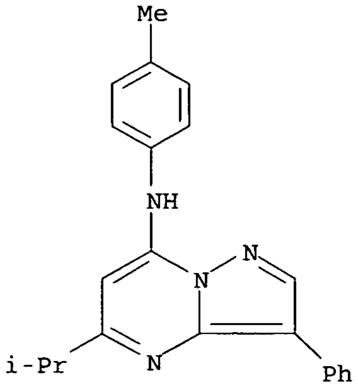

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8   ANSWER 60 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890627-50-6  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C20 H24 N4
SR   Chemical Library
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LC   STN Files:   CHEMCATS
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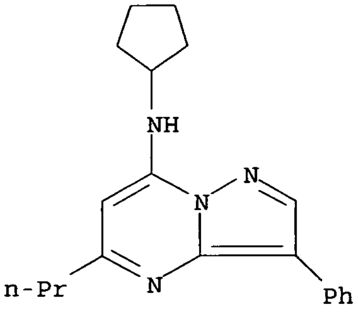

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8   ANSWER 70 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890626-72-9  REGISTRY
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ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C22 H22 N4 O
SR   Chemical Library
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LC   STN Files:   CHEMCATS
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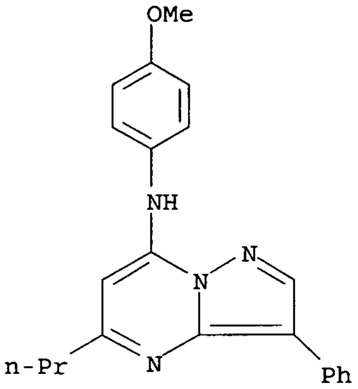

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L8   ANSWER 80 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890622-25-0  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C20 H16 Cl2 N4 O
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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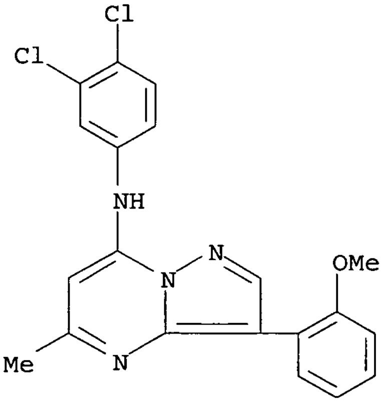

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L8   ANSWER 90 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890621-36-0  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C20 H17 Cl N4 O
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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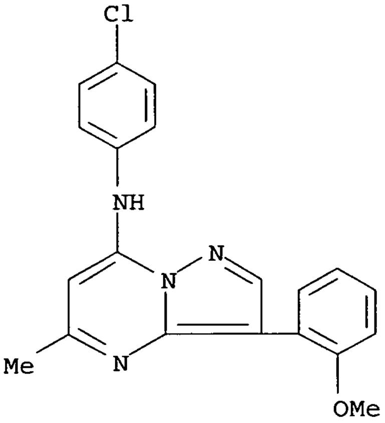

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L8   ANSWER 100 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890618-31-2  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C21 H20 N4 O
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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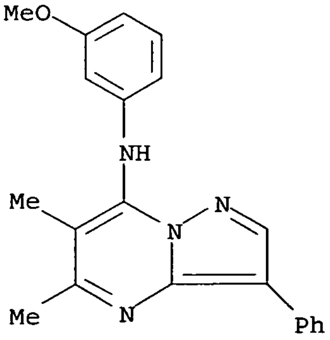

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L8   ANSWER 110 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890617-12-6  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C21 H20 N4
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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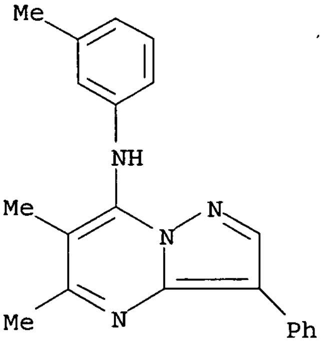

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
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L8   ANSWER 120 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890615-49-3  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C23 H22 N4
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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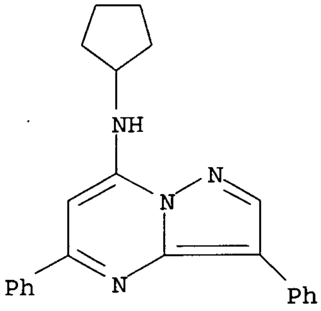

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
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L8   ANSWER 130 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890614-36-5  REGISTRY
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ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C25 H20 N4 O
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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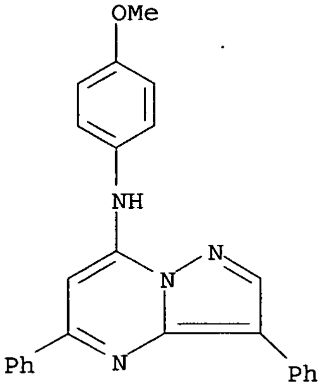

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L8   ANSWER 140 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890612-29-0  REGISTRY
ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C22 H22 N4
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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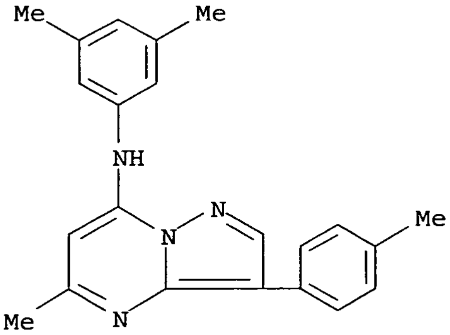

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L8   ANSWER 150 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   890611-46-8  REGISTRY
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ED   Entered STN:  05 Jul 2006
CN   INDEX NAME NOT YET ASSIGNED
FS   3D CONCORD
MF   C21 H20 N4
SR   Chemical Library
       Supplier: AKos Consulting and Solutions GmbH
LC   STN Files:   CHEMCATS
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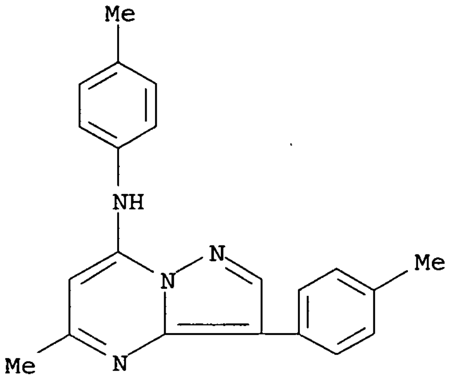

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8   ANSWER 160 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   877798-89-5  REGISTRY
ED   Entered STN:  23 Mar 2006
CN   Pyrazolo[1,5-a]pyrimidin-7-amine, N-(4-bromophenyl)-5-methyl-3-phenyl-
     (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C19 H15 Br N4
SR   Chemical Library
       Supplier: Interbioscreen Ltd.
LC   STN Files:   CHEMCATS
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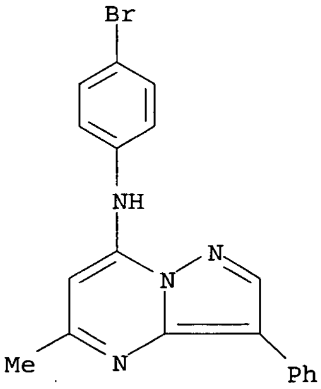

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
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L8   ANSWER 170 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   850764-75-9  REGISTRY
ED   Entered STN:  19 May 2005
CN   Pyrazolo[1,5-a]pyrimidin-7-amine, N-(4-butylphenyl)-5-methyl-3-phenyl-
     (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C23 H24 N4
SR   Chemical Library
       Supplier: Ambinter
LC   STN Files:   CHEMCATS
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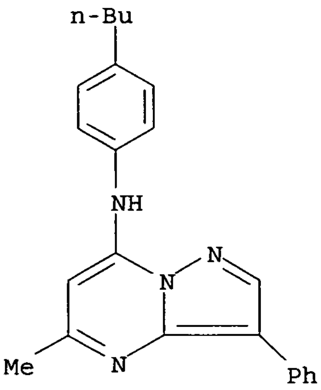

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
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L8   ANSWER 180 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   754209-70-6  REGISTRY
ED   Entered STN:  30 Sep 2004
CN   Pyrazolo[1,5-a]pyrimidine-3,5,7-triamine, N5-(trans-4-aminocyclohexyl)-N7-
     (4-ethoxyphenyl)-6-methyl-N3-(phenylmethyl)- (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C28 H35 N7 O
CI   COM
SR   CA
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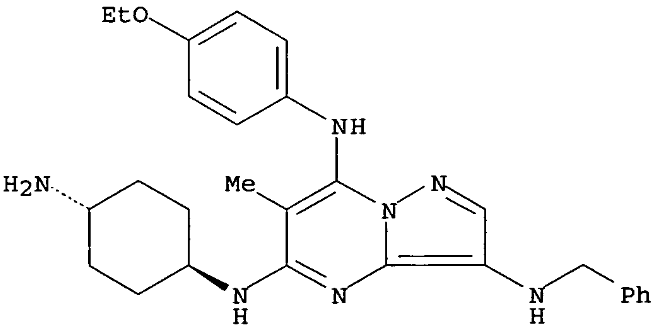
Relative stereochemistry.



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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L8   ANSWER 186 OF 186  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   754208-90-7  REGISTRY
ED   Entered STN:  30 Sep 2004
CN   1-Pentanone, 1-[5-[(trans-4-aminocyclohexyl)amino]-7-[(4-
     ethoxyphenyl)amino]-6-methylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI)  (CA
     INDEX NAME)
FS   STEREOSEARCH
MF   C26 H36 N6 O2
CI   COM
SR   CA

Relative stereochemistry.
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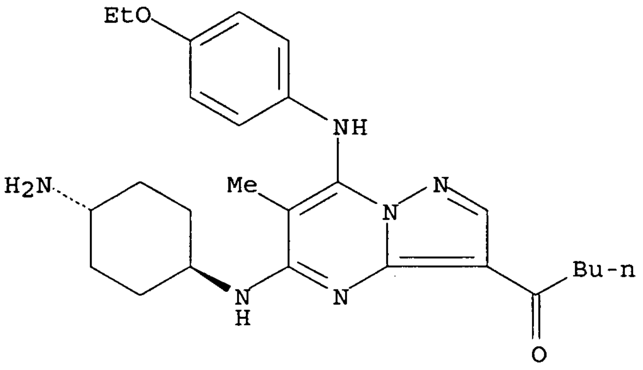

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**



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FILE 'HCAPLUS' ENTERED AT 09:44:48 ON 05 AUG 2006
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FILE COVERS 1907 - 5 Aug 2006  VOL 145 ISS 7
FILE LAST UPDATED: 4 Aug 2006  (20060804/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.
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L1                STR
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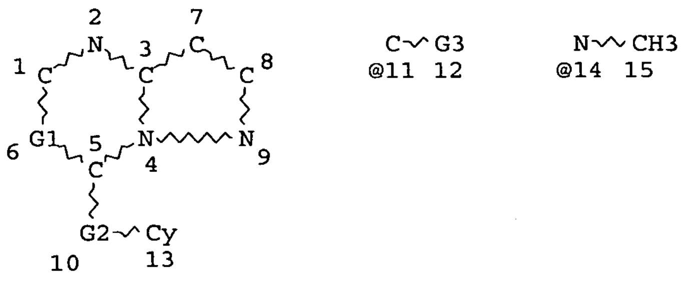

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VAR G2=NH/14
VAR G3=X/AK
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  15

STEREO ATTRIBUTES: NONE
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L4                STR
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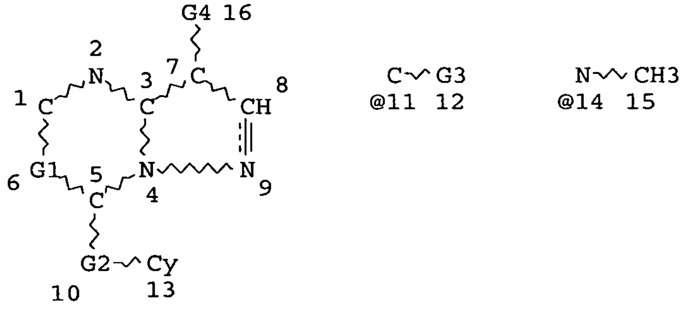

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VAR G1=CH/11
VAR G2=NH/14
VAR G3=X/AK
VAR G4=C/N/S/O/CY
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
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L6            15 SEA FILE=HCAPLUS ABB=ON   PLU=ON   L5
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L10           43 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9
L11           31 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10 NOT L6
L12           14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND PD=<OCTOBER 1, 2002


=> d ibib abs hitstr l12 1-14

L12  ANSWER 1 OF 14  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2002:391719  HCAPLUS
DOCUMENT NUMBER:          136:401776
TITLE:                    Preparation of preventive or therapeutic medicines for
                          diabetes containing fused-heterocycle compounds such
                          as pyrazolopyrimidines
INVENTOR(S):              Kato, Fuminori; Kimura, Hirohiko; Omatsu, Masato;
                          Yamamoto, Kazuhiro; Miyamoto, Ryuji
PATENT ASSIGNEE(S):       Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE:                   PCT Int. Appl., 102 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     WO 2002040485        A1    20020523   WO 2001-JP10061        20011116 <--
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     JP 2002212076        A2    20020731   JP 2001-346339         20011112 <--
     CA 2429067           AA    20020523   CA 2001-2429067        20011116 <--
     AU 2002015223        A5    20020527   AU 2002-15223          20011116 <--
     EP 1334973           A1    20030813   EP 2001-983816         20011116
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2004043998        A1    20040304   US 2003-416164         20030515
     US 7067520           B2    20060627
PRIORITY APPLN. INFO.:                     JP 2000-351764      A  20001117
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OTHER SOURCE(S):          CASREACT 136:401776; MARPAT 136:401776
GI
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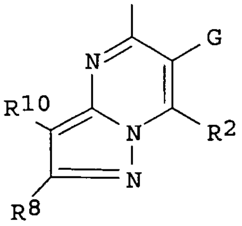

I

AB The title compds. I [G is CN, NO2, etc.; R1 is halogeno, etc.; R2 is halogeno, optionally substituted amino, etc.; and R8 and R10 are each independently hydrogen, halogeno, or alkyl] are prepared Processes for preparing I are disclosed. Compds. of this invention at 50 mg/kg orally gave statistically significant decreases of blood sugar in diabetic mice.

IT 429695-39-6P 429695-40-9P 429695-41-0P
429695-61-4P 429695-74-9P 429695-75-0P
429695-82-9P 429695-83-0P 429695-86-3P
429695-91-0P 429695-94-3P 429695-97-6P
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429696-20-8P 429696-21-9P 429696-22-0P
429696-23-1P 429696-27-5P 429696-28-6P
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429696-41-3P 429696-44-6P 429696-46-8P
429696-47-9P 429696-51-5P 429696-53-7P
429696-54-8P 429696-56-0P 429696-58-2P
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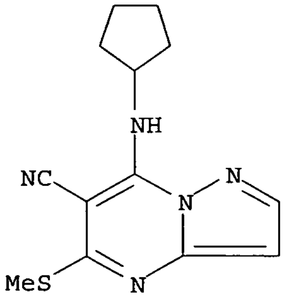
429696-80-0P 429696-88-8P 429696-97-9P
429696-99-1P 429697-01-8P 429697-02-9P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of preventive or therapeutic medicines for diabetes containing fused-heterocycle compds. or their salts)

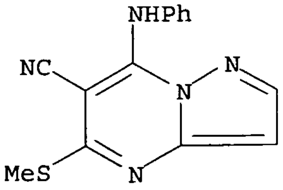
RN 429695-39-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-(cyclopentylamino)-5-(methylthio)- (9CI) (CA INDEX NAME)

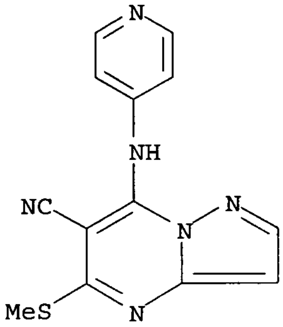


RN 429695-40-9 HCAPLUS

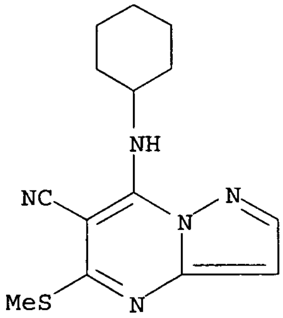
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-(phenylamino)- (9CI) (CA INDEX NAME)



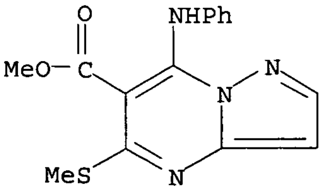
RN 429695-41-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-(4-pyridinylamino)- (9CI) (CA INDEX NAME)



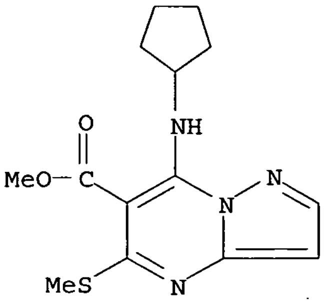
RN 429695-61-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-(cyclohexylamino)-5-(methylthio)- (9CI) (CA INDEX NAME)



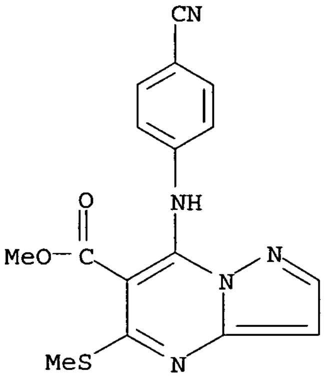
RN 429695-74-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 5-(methylthio)-7-(phenylamino)-, methyl ester (9CI) (CA INDEX NAME)



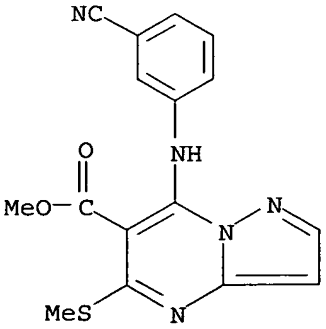
RN 429695-75-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(cyclopentylamino)-5-(methylthio)-, methyl ester (9CI) (CA INDEX NAME)



RN 429695-82-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(4-cyanophenyl)amino]-5-(methylthio)-, methyl ester (9CI) (CA INDEX NAME)

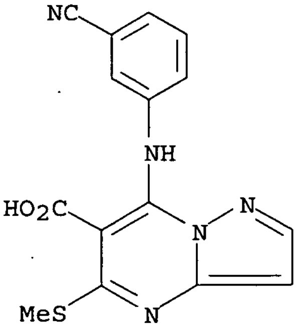


RN 429695-83-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-cyanophenyl)amino]-5-(methylthio)-, methyl ester (9CI) (CA INDEX NAME)

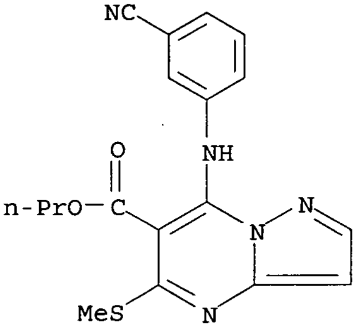


RN 429695-86-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-cyanophenyl)amino]-5-

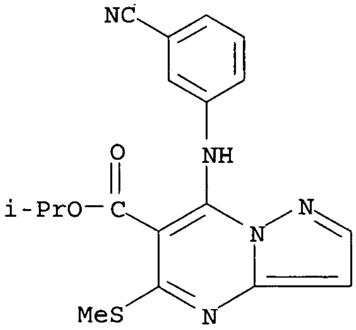
(methylthio)- (9CI) (CA INDEX NAME)



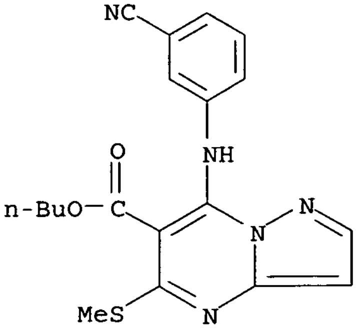
RN 429695-91-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-cyanophenyl)amino]-5-(methylthio)-, propyl ester (9CI) (CA INDEX NAME)



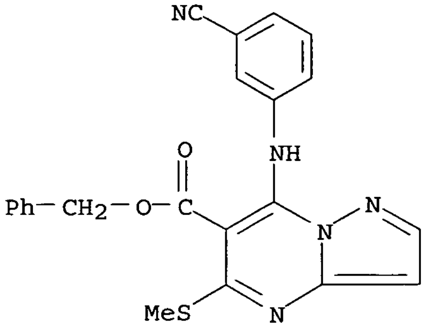
RN 429695-94-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-cyanophenyl)amino]-5-(methylthio)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



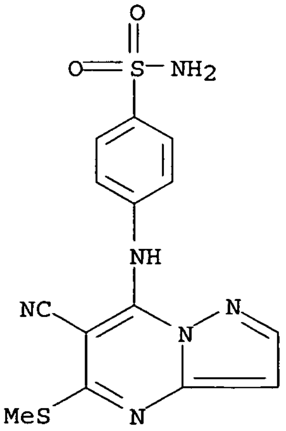
RN 429695-97-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-cyanophenyl)amino]-5-(methylthio)-, butyl ester (9CI) (CA INDEX NAME)



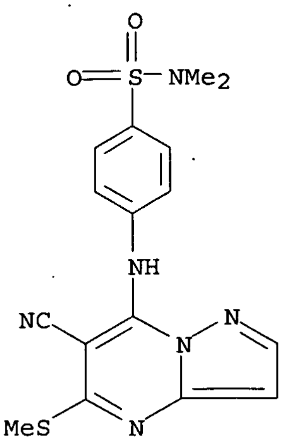
RN 429696-00-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-cyanophenyl)amino]-5-(methylthio)-, phenylmethyl ester (9CI) (CA INDEX NAME)



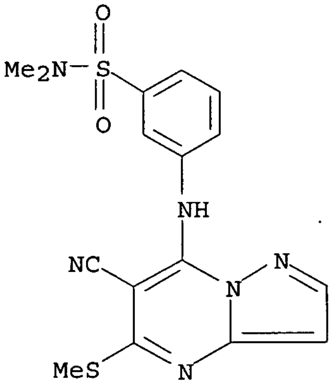
RN 429696-01-5 HCAPLUS
CN Benzenesulfonamide, 4-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



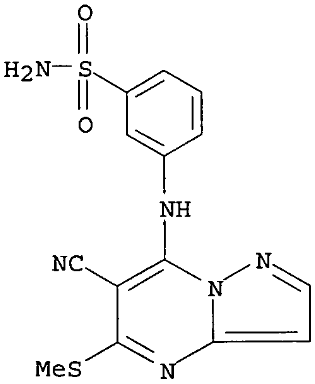
RN 429696-02-6 HCAPLUS
CN Benzenesulfonamide, 4-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 429696-03-7 HCAPLUS
CN Benzenesulfonamide, 3-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

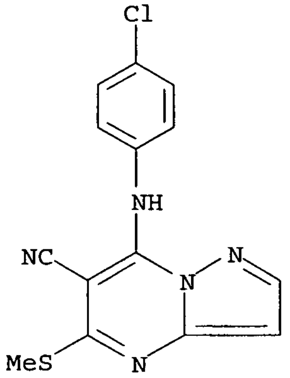


RN 429696-04-8 HCAPLUS
CN Benzenesulfonamide, 3-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

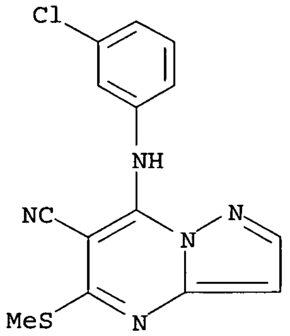


RN 429696-13-9 HCAPLUS

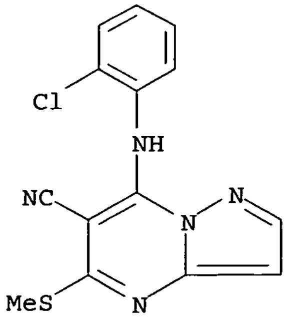
CN   Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(4-chlorophenyl)amino]-5-(methylthio)- (9CI)   (CA INDEX NAME)



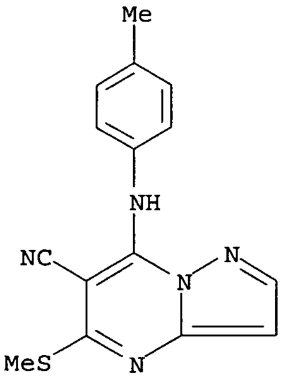
RN   429696-14-0   HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(3-chlorophenyl)amino]-5-(methylthio)- (9CI)   (CA INDEX NAME)



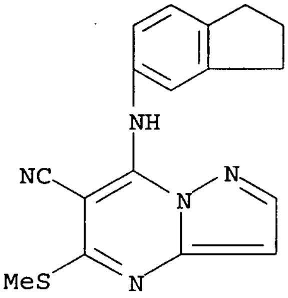
RN   429696-15-1   HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(2-chlorophenyl)amino]-5-(methylthio)- (9CI)   (CA INDEX NAME)



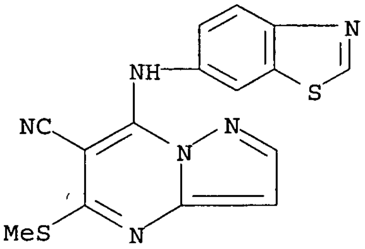
RN   429696-16-2   HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(4-methylphenyl)amino]-5-(methylthio)- (9CI)   (CA INDEX NAME)



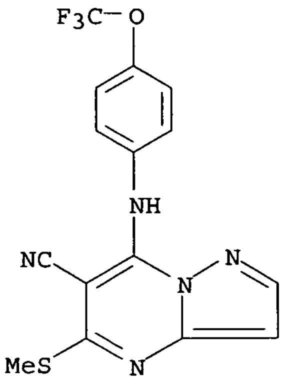
RN 429696-17-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(2,3-dihydro-1H-inden-5-yl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



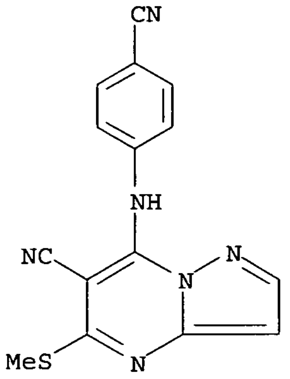
RN 429696-18-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-(6-benzothiazolylamino)-5-(methylthio)- (9CI) (CA INDEX NAME)



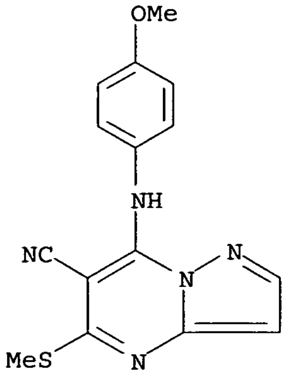
RN 429696-19-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-[[4-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)



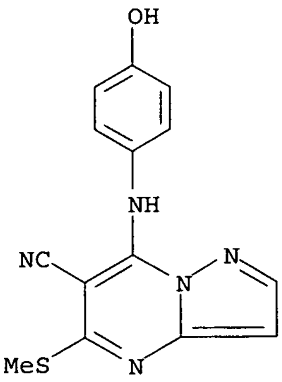
RN 429696-20-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(4-cyanophenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



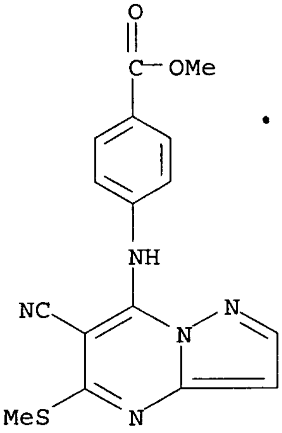
RN 429696-21-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(4-methoxyphenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



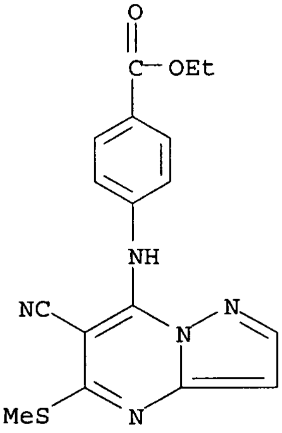
RN 429696-22-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(4-hydroxyphenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



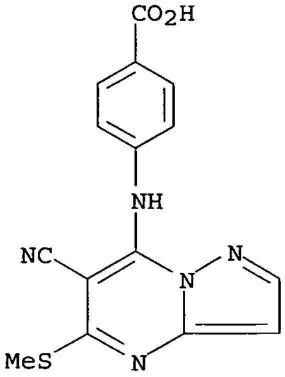
RN 429696-23-1 HCAPLUS
CN Benzoic acid, 4-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)



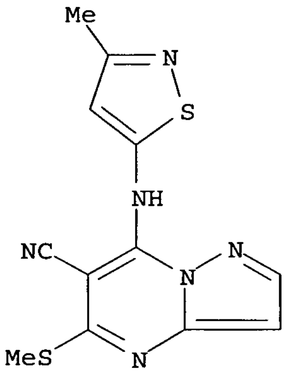
RN 429696-27-5 HCAPLUS
CN Benzoic acid, 4-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



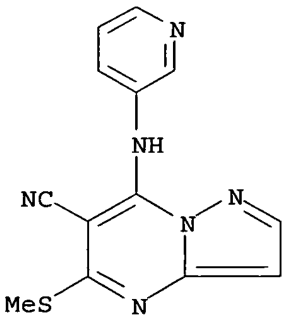
RN 429696-28-6 HCAPLUS
CN Benzoic acid, 4-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



RN 429696-29-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(3-methyl-5-isothiazolyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)

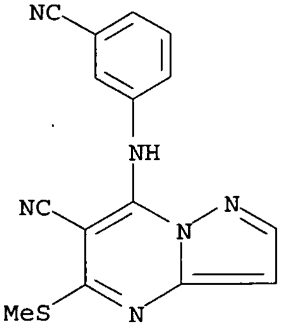


RN 429696-30-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-(3-pyridinylamino)- (9CI) (CA INDEX NAME)

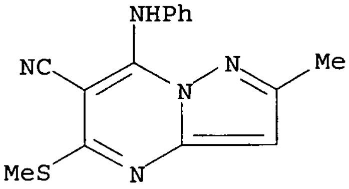


RN 429696-38-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(3-cyanophenyl)amino]-5-

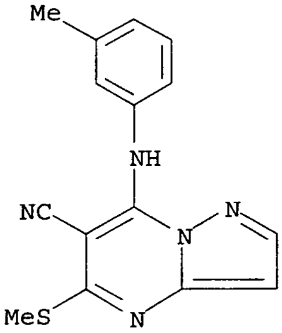
(methylthio)- (9CI) (CA INDEX NAME)



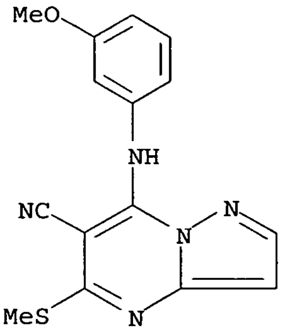
RN 429696-41-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2-methyl-5-(methylthio)-7-(phenylamino)- (9CI) (CA INDEX NAME)



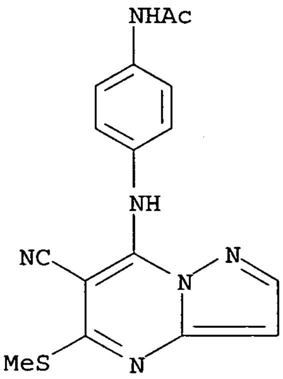
RN 429696-44-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(3-methylphenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



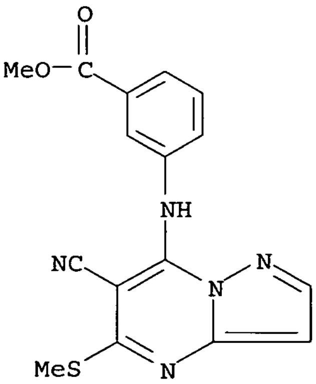
RN 429696-46-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(3-methoxyphenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



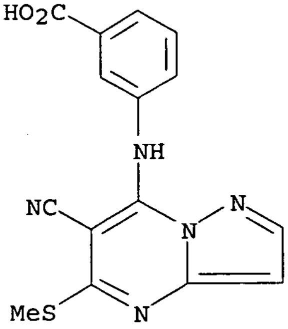
RN 429696-47-9 HCAPLUS
CN Acetamide, N-[4-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



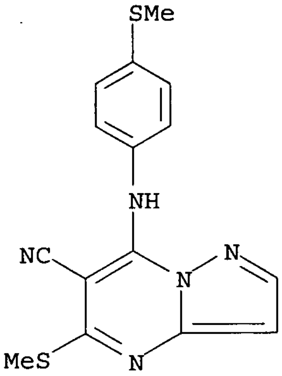
RN 429696-51-5 HCAPLUS
CN Benzoic acid, 3-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)



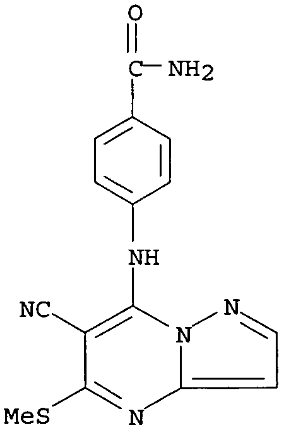
RN 429696-53-7 HCAPLUS
CN Benzoic acid, 3-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



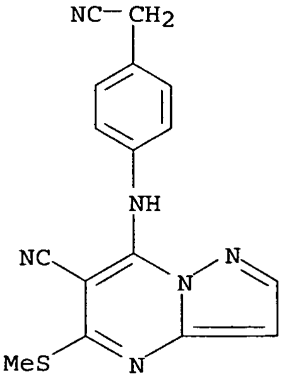
RN 429696-54-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-[[4-(methylthio)phenyl]amino]- (9CI) (CA INDEX NAME)



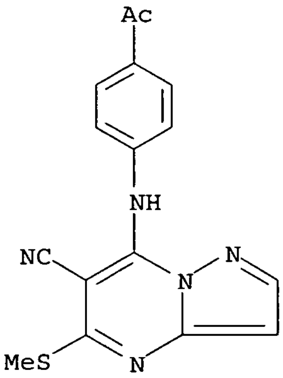
RN 429696-56-0 HCAPLUS
CN Benzamide, 4-[[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)



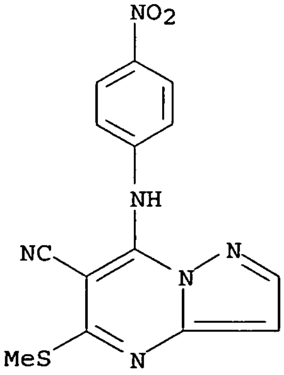
RN 429696-58-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[[4-(cyanomethyl)phenyl]amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



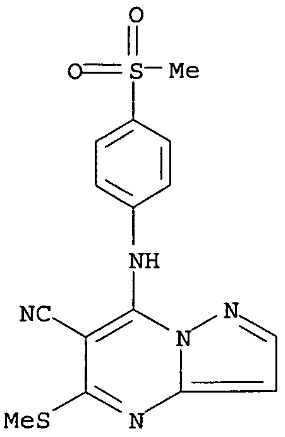
RN 429696-59-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(4-acetylphenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



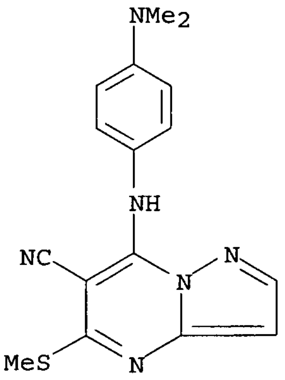
RN 429696-60-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-[(4-nitrophenyl)amino]- (9CI) (CA INDEX NAME)



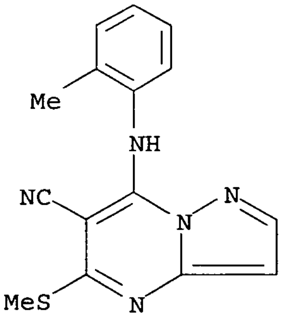
RN 429696-62-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[[4-(methylsulfonyl)phenyl]amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



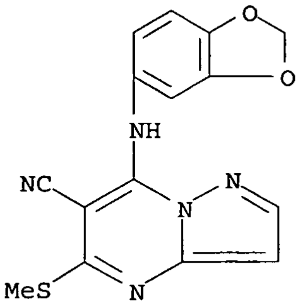
RN 429696-64-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[[4-(dimethylamino)phenyl]amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



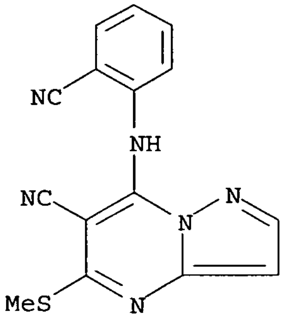
RN 429696-66-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(2-methylphenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



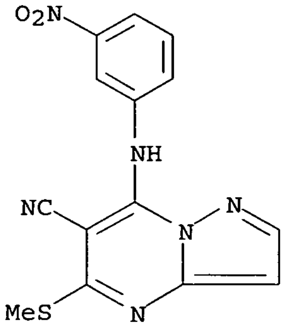
RN 429696-75-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-(1,3-benzodioxol-5-ylamino)-5-(methylthio)- (9CI) (CA INDEX NAME)



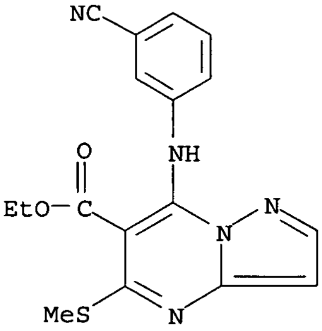
RN 429696-80-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[(2-cyanophenyl)amino]-5-(methylthio)- (9CI) (CA INDEX NAME)



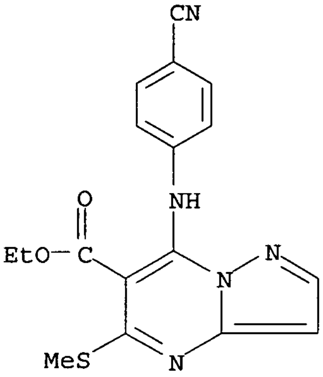
RN 429696-88-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)



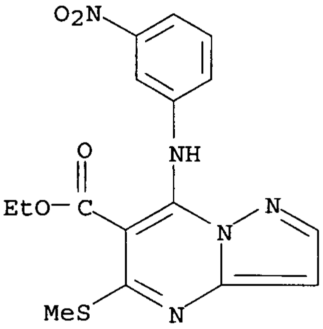
RN 429696-97-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-cyanophenyl)amino]-5-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



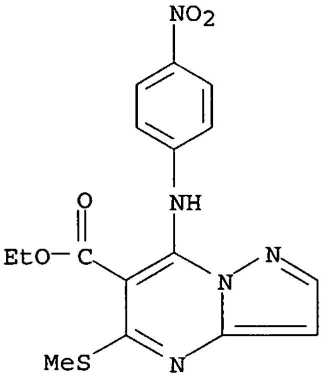
RN 429696-99-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(4-cyanophenyl)amino]-5-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



RN 429697-01-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 5-(methylthio)-7-[(3-nitrophenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 429697-02-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 5-(methylthio)-7-[(4-nitrophenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:516900 HCAPLUS

DOCUMENT NUMBER: 135:272933

TITLE: Some reactions with ketene dithioacetals. Part I. Synthesis of antimicrobial pyrazolo[1,5-a]pyrimidines via the reaction of ketene dithioacetals and 5-aminopyrazoles

AUTHOR(S): Zaharan, Medhat A.; El-Sharief, Ahmed M. Sh.; El-Gaby, Mohamed S. A.; Ammar, Yousry A.; El-Said, Usama H.

CORPORATE SOURCE: Chemistry Department, Faculty of Science, Al-Azhar University, Nasr City, Egypt

SOURCE: Farmaco (2001), 56(4), 277-283
CODEN: FRMCE8; ISSN: 0014-827X

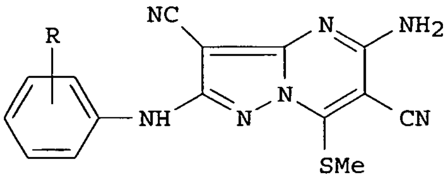
PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:272933

GI



I

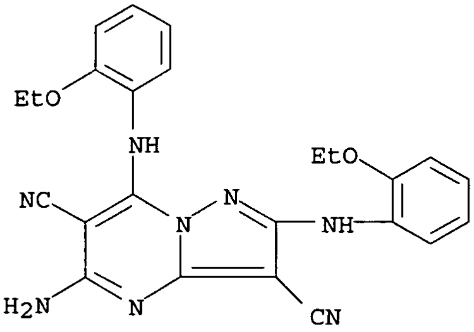
AB Pyrazolo[1,5-a]pyrimidines such as I (R = 2-, 4-OEt) were synthesized via the reaction of ketene dithioacetals and 5-aminopyrazoles. The antibacterial and antifungal activities of some selected compds. were reported.

IT 364043-41-4P 364043-42-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of antimicrobial pyrazolo[1,5-a]pyrimidines via reaction of ketene dithioacetals with 5-aminopyrazoles)

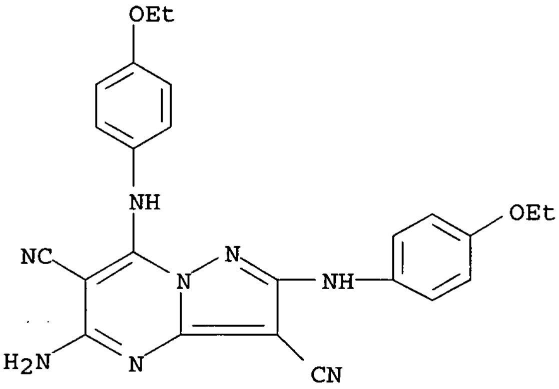
RN 364043-41-4 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3,6-dicarbonitrile, 5-amino-2,7-bis[(2-

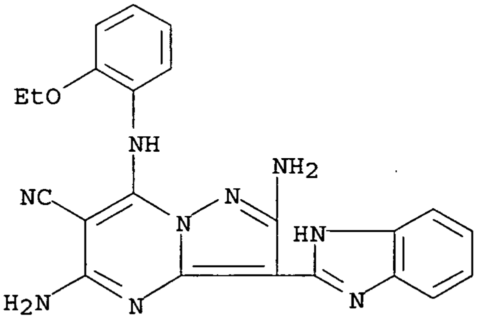
ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)



RN 364043-42-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3,6-dicarbonitrile, 5-amino-2,7-bis[(4-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)



IT 364043-51-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of antimicrobial pyrazolo[1,5-a]pyrimidines via reaction of ketene dithioacetals with 5-aminopyrazoles)
RN 364043-51-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-(1H-benzimidazol-2-yl)-7-[(2-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)



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REFERENCE COUNT:          12    THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12  ANSWER 3 OF 14  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2000:898234  HCAPLUS
DOCUMENT NUMBER:          134:175411
TITLE:                    Studies on aminopyrazoles: antibacterial activity of
                          some novel pyrazolo[1,5-a]pyrimidines containing
                          sulfonamido moieties
AUTHOR(S):                El-Gaby, M. S. A.; Atalla, A. A.; Gaber, A. M.;
                          Al-Wahab, K. A. Abd
CORPORATE SOURCE:         Department of Chemistry, Faculty of Science, Al-Azhar
                          University at Assiut, Assiut, 71524, Egypt
SOURCE:                   Farmaco (2000), 55(9-10), 596-602
                          CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER:                Elsevier Science S.A.
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB   Hydrazones were prepared from diazotization of sulfanilamide or its derivs.
     followed by coupling with Et cyanoacetate.  3-Aminopyrazoles were obtained
     by refluxing of hydrazones with hydrazine hydrate in ethanol.
     [Bis(methylthio)methylene]malononitrile was reacted with the
     3-aminopyrazoles in refluxing DMF containing triethylamine to yield novel
     pyrazolo[1,5-a]pyrimidines.  The anilino derivs. were obtained by fusion
     of the 3-aminopyrazoles with aromatic amines.  When compds.
     pyrazolo[1,5-a]pyrimidines were subjected to the reaction with hydrazine
     hydrate, the hydrazino derivs. were isolated.  Also, the aminopyrazoles
     were reacted with some electrophiles such as arylidenemalononitriles,
     ethoxymethylene malononitrile and Et ethoxymethylene cyanoacetate to yield
     novel substituted pyrazolo[1,5-a]pyrimidines.  Structures of the new
     compds. were established by their elemental analyses and spectral data.
     Most of these compds. were also tested in vitro for their antibacterial
     activity against some Gram pos. and Gram neg. bacteria.
IT   326926-81-2P 326926-85-6P 326926-87-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL
     (Biological study); PREP (Preparation); RACT (Reactant or reagent)
        (preparation and antibacterial activity of some novel pyrazolopyrimidines
        containing sulfonamido moieties)
RN   326926-81-2  HCAPLUS
CN   Benzenesulfonamide, 4-[[5-amino-6-cyano-1,2-dihydro-7-[(4-
     methoxyphenyl)amino]-2-oxopyrazolo[1,5-a]pyrimidin-3-yl]azo]- (9CI)  (CA
     INDEX NAME)
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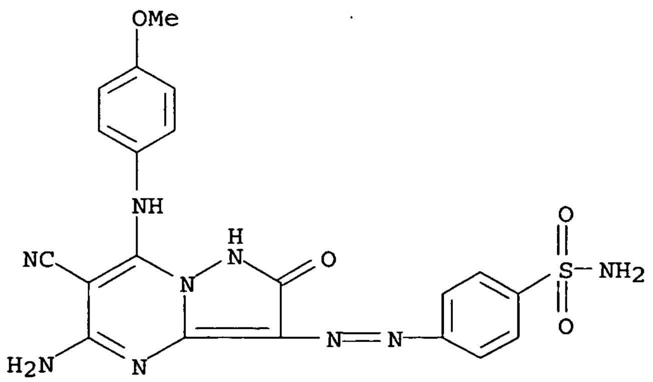
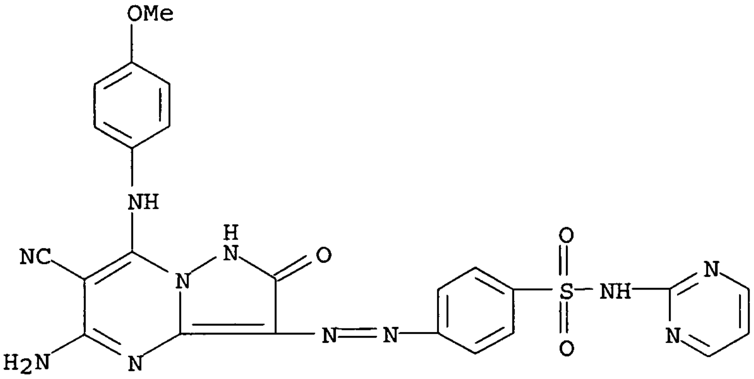
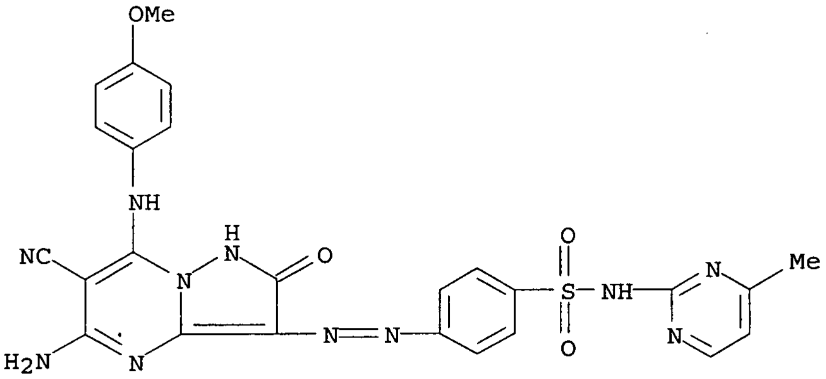


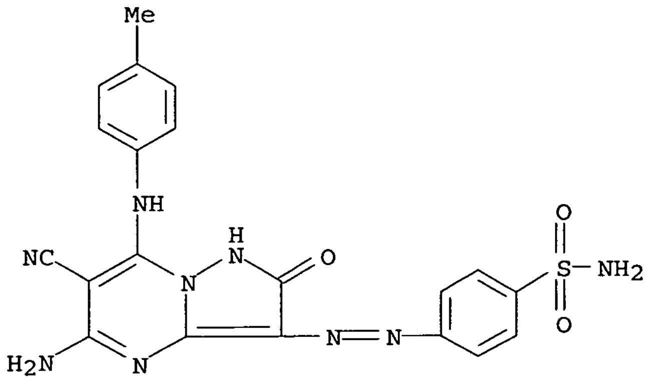
RN 326926-85-6 HCAPLUS
CN Benzenesulfonamide, 4-[[5-amino-6-cyano-1,2-dihydro-7-[(4-methoxyphenyl)amino]-2-oxopyrazolo[1,5-a]pyrimidin-3-yl]azo]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



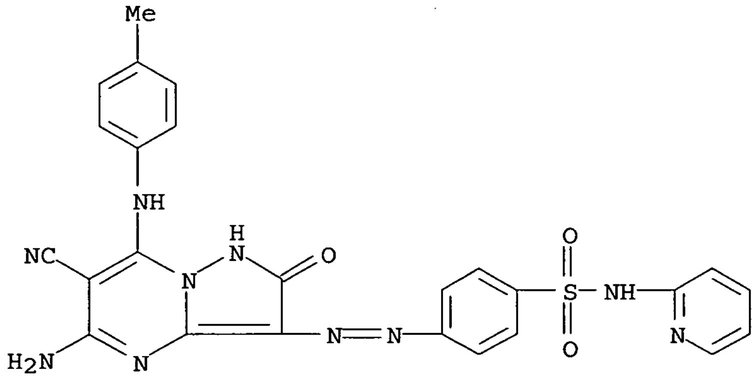
RN 326926-87-8 HCAPLUS
CN Benzenesulfonamide, 4-[[5-amino-6-cyano-1,2-dihydro-7-[(4-methoxyphenyl)amino]-2-oxopyrazolo[1,5-a]pyrimidin-3-yl]azo]-N-(4-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



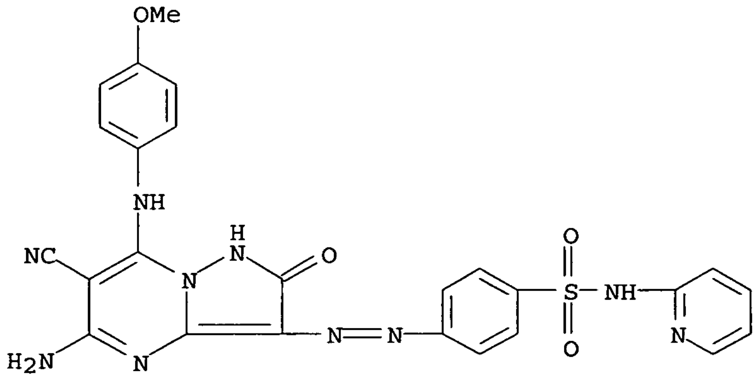
IT 326926-80-1P 326926-82-3P 326926-83-4P
326926-84-5P 326926-86-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of some novel pyrazolopyrimidines containing sulfonamido moieties)
RN 326926-80-1 HCAPLUS
CN Benzenesulfonamide, 4-[[5-amino-6-cyano-1,2-dihydro-7-[(4-methylphenyl)amino]-2-oxopyrazolo[1,5-a]pyrimidin-3-yl]azo]- (9CI) (CA INDEX NAME)



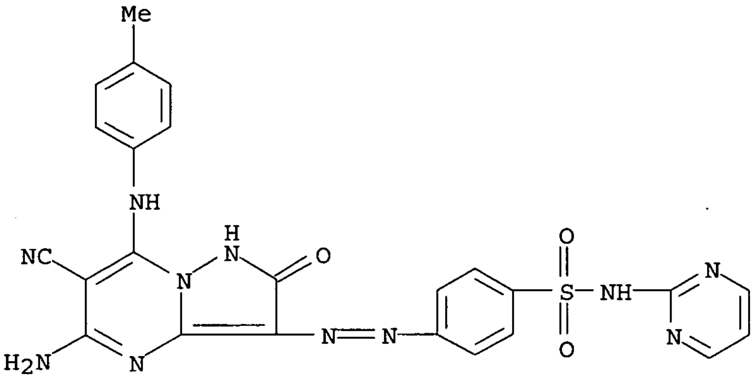
RN 326926-82-3 HCAPLUS
CN Benzenesulfonamide, 4-[[5-amino-6-cyano-1,2-dihydro-7-[(4-methylphenyl)amino]-2-oxopyrazolo[1,5-a]pyrimidin-3-yl]azo]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



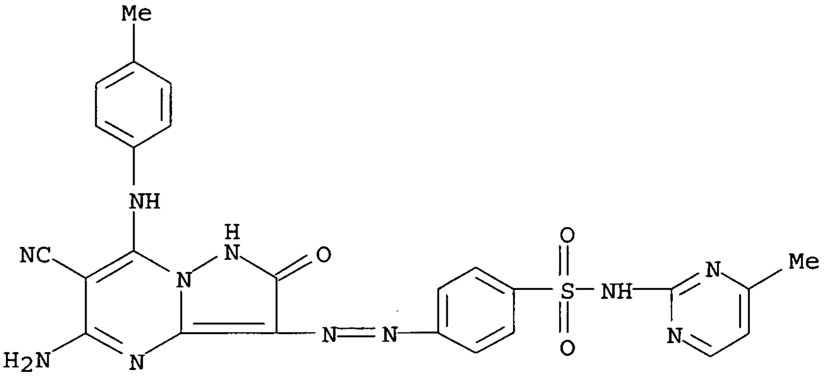
RN 326926-83-4 HCAPLUS
CN Benzenesulfonamide, 4-[[5-amino-6-cyano-1,2-dihydro-7-[(4-methoxyphenyl)amino]-2-oxopyrazolo[1,5-a]pyrimidin-3-yl]azo]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 326926-84-5 HCAPLUS
CN Benzenesulfonamide, 4-[[5-amino-6-cyano-1,2-dihydro-7-[(4-methylphenyl)amino]-2-oxopyrazolo[1,5-a]pyrimidin-3-yl]azo]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 326926-86-7 HCAPLUS
CN Benzenesulfonamide, 4-[[5-amino-6-cyano-1,2-dihydro-7-[(4-methylphenyl)amino]-2-oxopyrazolo[1,5-a]pyrimidin-3-yl]azo]-N-(4-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

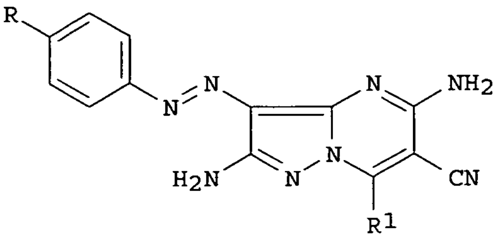


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2000:848766 HCAPLUS
DOCUMENT NUMBER:	134:147565
TITLE:	Studies on the synthesis of some pyrazolo[1,5-a]pyrimidines bearing sulfonamido moieties
AUTHOR(S):	El-Gaby, Mohamed S. A.; Sayed, Ahmed Z.; Abu-Shanab, Fathi A.; Hussein, Abdel M.
CORPORATE SOURCE:	Chemistry Department, Faculty of Science, Al-Azhar University, at Assiut, Assiut, 71524, Egypt
SOURCE:	Phosphorus, Sulfur and Silicon and the Related Elements (2000), 164, 1-10 CODEN: PSSLEC; ISSN: 1042-6507

PUBLISHER: Gordon & Breach Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:147565
GI



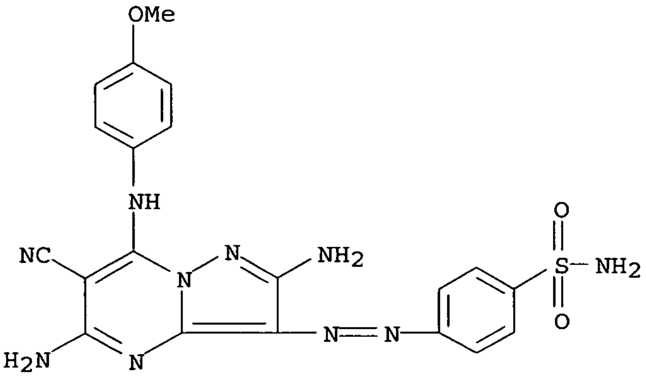
I

AB Preparation of sulfonamido pyrazolo[1,5-a]pyrimidines, such as I [R = SO2NH2, SO2NHC(:NH)NH2, 2-thiazolylaminosulfonyl; R1 = NHC6H4-4-OMe, NHC6H4-4-OEt, SMe], was described. E.g., 4-[(3,5-diamino-1H-pyrazol-4-yl)azo]benzenesulfonamide and MeO-4-C6H4C(SMe):C(CN)2 were cyclized to form I (R = SO2NH2, R1 = NHC6H4-4-OMe) in 87% yield.

IT 324542-13-4P 324542-18-9P 324542-19-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazolo[1,5-a]pyrimidines bearing sulfonamido moieties)

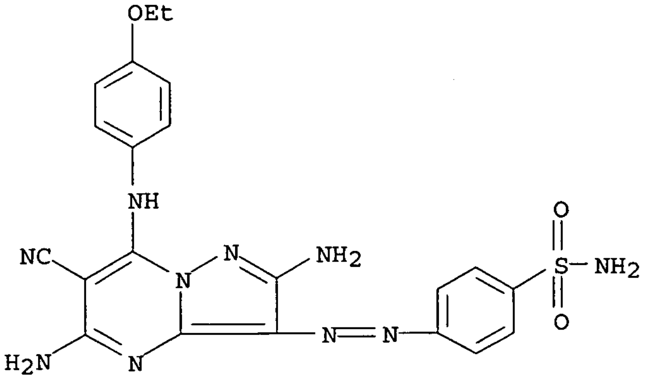
RN 324542-13-4 HCAPLUS

CN Benzenesulfonamide, 4-[[2,5-diamino-6-cyano-7-[(4-methoxyphenyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl]azo]- (9CI) (CA INDEX NAME)



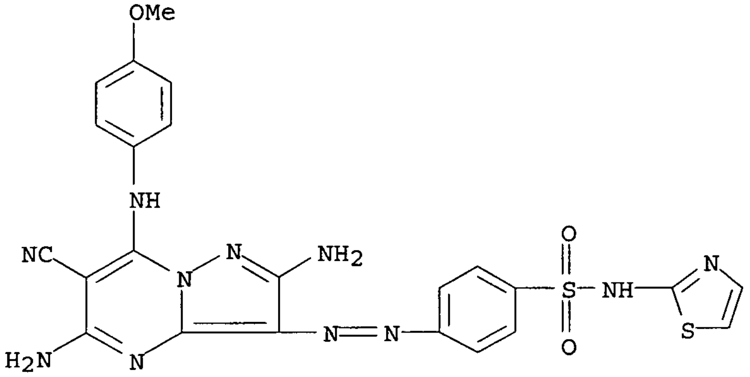
RN 324542-18-9 HCAPLUS

CN Benzenesulfonamide, 4-[[2,5-diamino-6-cyano-7-[(4-ethoxyphenyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl]azo]- (9CI) (CA INDEX NAME)



RN 324542-19-0 HCAPLUS

CN Benzenesulfonamide, 4-[[2,5-diamino-6-cyano-7-[(4-methoxyphenyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl]azo]-N-2-thiazolyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2000:307132 HCAPLUS
DOCUMENT NUMBER:	132:321873
TITLE:	Azolo triazines and pyrimidines useful as corticotropin releasing factor (CRF) antagonists
INVENTOR(S):	Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios
PATENT ASSIGNEE(S):	DuPont Pharmaceuticals Co., USA
SOURCE:	U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 899,242. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6060478	A	20000509	US 1998-15001	19980128 <--

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     CA 2532925           AA    19980129    CA 1997-2532925        19970723 <--
     US 6124289           A     20000926    US 1997-899242         19970723 <--
     ZA 9706603           A     19990125    ZA 1997-6603           19970724 <--
     US 6136809           A     20001024    US 1998-14999          19980128 <--
     LT 4680              B     20000725    LT 1999-8              19990125 <--
     CA 2314613           AA    19990805    CA 1999-2314613        19990128 <--
     WO 9938868           A1    19990805    WO 1999-US1824         19990128 <--
         W:  AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL,
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         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
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     AU 748818            B2    20020613
     EP 1049699           A1    20001108    EP 1999-904382         19990128 <--
     EP 1049699           B1    20040421
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     BR 9908206           A     20001205    BR 1999-8206           19990128 <--
     JP 2002501922        T2    20020122    JP 2000-529335         19990128 <--
     NZ 505079            A     20030829    NZ 1999-505079         19990128
     EP 1344779           A1    20030917    EP 2003-75887          19990128
     EP 1344779           B1    20050810
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     AT 264860            E     20040515    AT 1999-904382         19990128
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     CN 1542010           A     20041103    CN 2003-10122546       19990128
     ES 2218991           T3    20041116    ES 1999-904382         19990128
     SG 111076            A1    20050530    SG 2002-200204556      19990128
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     TW 520372            B     20030211    TW 1999-88102636       19990223
     HK 1060348           A1    20051021    HK 2004-101985         20040317
     JP 2005097257        A2    20050414    JP 2004-216483         20040723
PRIORITY APPLN. INFO.:                      US 1996-23290P      P  19960724
                                            US 1997-899242      A2 19970723
                                            US 1996-686047      A  19960724
                                            CA 1997-2259583     A3 19970723
                                            JP 1998-507233      A3 19970723
                                            US 1998-14734       A  19980128
                                            US 1998-15001       A  19980128
                                            US 1998-15002       A  19980128
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OTHER SOURCE(S):         MARPAT 132:321873
GI
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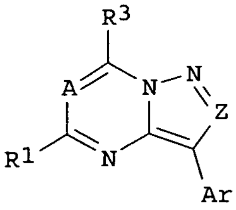
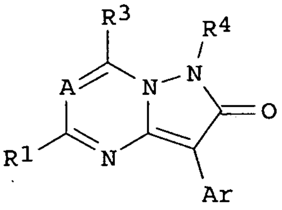
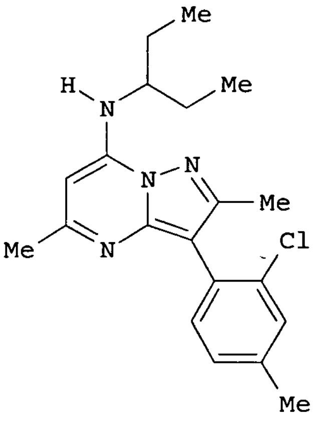


I



II



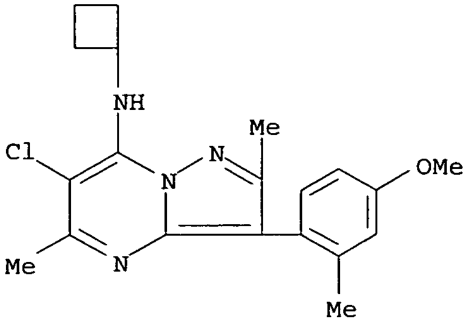
III

AB Corticotropin releasing factor (CRF) antagonists (no data) of formulas I and II are disclosed [wherein A = N or CR; Z = N or CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, indanyl, tetralinyl, addnl. selected heterocycles; R = H, alk(en/yn)yl, cycloalkyl(alkyl), halo, cyano, haloalkyl; R1, R2 = H, groups listed for R, NH2 or derivs., OH or derivs., SH or derivs., addnl. substituted alkyls; R3 = H, OH or derivs., SH or derivs., acyl, CO2H or esters, NH2 or derivs., aryl, heteroaryl, alk(en/yn)yl, etc.; R4 = (un)substituted alk(en/yn)yl or cycloalkyl(alkyl)]. The compds. are of use in the treatment of CRF-related disorders, particularly anxiety and depression, as well as other psychiatric, neurol., immunol., cardiovascular, and psychopathol. disorders. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-5-methyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POCl3 and PhNEt2 to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound III.

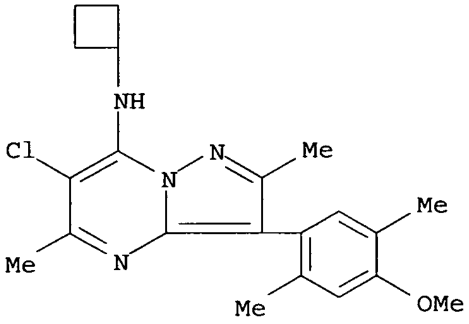
IT 234778-12-2P 234778-20-2P 234778-28-0P
234778-36-0P 234778-44-0P 234778-52-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of azolo-fused triazines and pyrimidines as
CRF
antagonists)

RN 234778-12-2 HCAPLUS

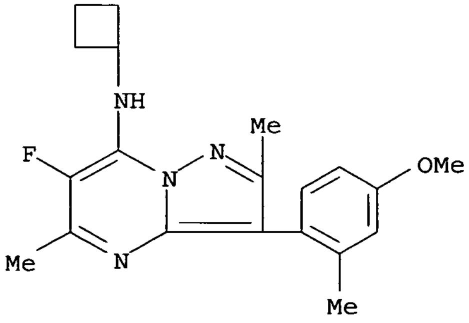
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



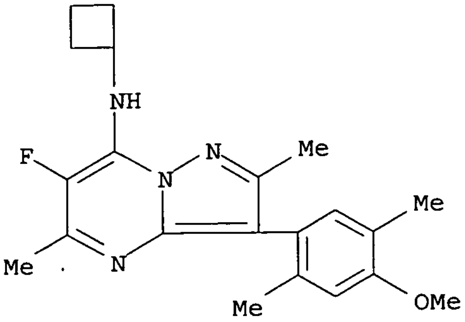
RN 234778-20-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



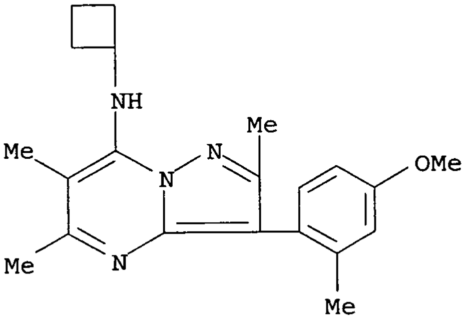
RN 234778-28-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



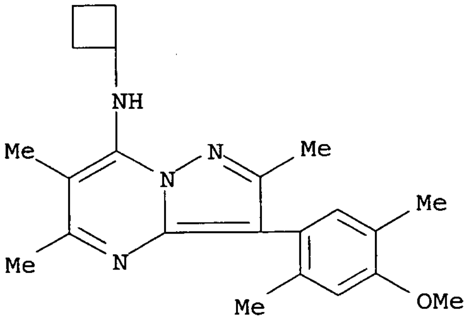
RN 234778-36-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 234778-44-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)



RN 234778-52-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:276372 HCAPLUS
DOCUMENT NUMBER: 133:73973
TITLE: The uses of 4-aryl-3-thiosemicarbazides in heterocyclic synthesis: synthesis of coumarin, pyrazole, thiazole and thiophene derivatives
AUTHOR(S): Mohareb, Rafat Milad; Ghabrial, Sami Selim; Wardakhan,

```
                         Wagnat Wahba
CORPORATE SOURCE:        Chemistry Department, Faculty of Science, Cairo
                         University, Giza, Egypt
SOURCE:                  Phosphorus, Sulfur and Silicon and the Related
                         Elements (1998), 134/135, 119-136
                         CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER:               Gordon & Breach Science Publishers
DOCUMENT TYPE:           Journal
LANGUAGE:                English
OTHER SOURCE(S):         CASREACT 133:73973
AB   The reaction of 4-(R-substituted)-phenyl-3-thiosemicarbazide derivs. (R =
     H, p-Me, o-Me, p-OMe, p-Cl) with 3-aminoacetonitrile gave the condensed
     products RC6H4NHCSNHNHCMeCH2CN (I).  The reactivity of I toward variety of
     chemical reagents was studied.  Also, the reaction of I (R = p-Me) with Ph
     isothiocyanate, followed by cyclization with α-haloketones, gave the
     thiazole and thiophene derivs.
IT   278780-29-3P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN   278780-29-3  HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 3,5-dihydro-2-methyl-5-oxo-7-
     (phenylamino)-3-(phenylmethylene)-  (9CI)   (CA INDEX NAME)
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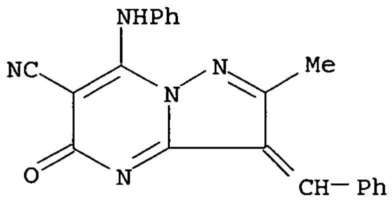

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REFERENCE COUNT:         20    THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12  ANSWER 7 OF 14  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1999:495296  HCAPLUS
DOCUMENT NUMBER:         131:144616
TITLE:                   Preparation of azolotriazines and -pyrimidines as
                         corticotropin releasing factor (CRF) antagonists
INVENTOR(S):             He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis,
                         Argyrios Georgios
PATENT ASSIGNEE(S):      Du Pont Pharmaceuticals Company, USA
SOURCE:                  PCT Int. Appl., 245 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  5
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     WO 9938868           A1    19990805    WO 1999-US1824         19990128 <--
         W:  AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL,
             RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
     US 6060478           A     20000509    US 1998-15001          19980128 <--
     US 6191131           B1    20010220    US 1998-15002          19980128 <--
     US 6313124          B1    20011106    US 1998-14734        19980128 <--
     CA 2314613          AA    19990805    CA 1999-2314613      19990128 <--
     AU 9924787          A1    19990816    AU 1999-24787        19990128 <--
     AU 748818           B2    20020613
     EP 1049699          A1    20001108    EP 1999-904382       19990128 <--
     EP 1049699          B1    20040421
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             SI, LT, LV, FI, RO
     BR 9908206          A     20001205    BR 1999-8206         19990128 <--
     JP 2002501922       T2    20020122    JP 2000-529335       19990128 <--
     NZ 505079           A     20030829    NZ 1999-505079       19990128
     AT 264860           E     20040515    AT 1999-904382       19990128
     ZA 9900843          A     20000802    ZA 1999-843          19990203 <--
PRIORITY APPLN. INFO.:                     US 1998-14734     A  19980128
                                           US 1998-15001     A  19980128
                                           US 1998-15002     A  19980128
                                           US 1996-23290P    P  19960724
                                           US 1997-899242    A2 19970723
                                           WO 1999-US1824    W  19990128
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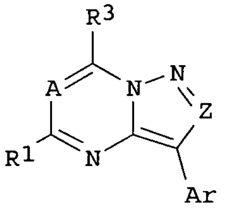
GI



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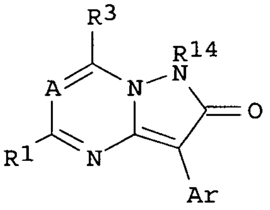


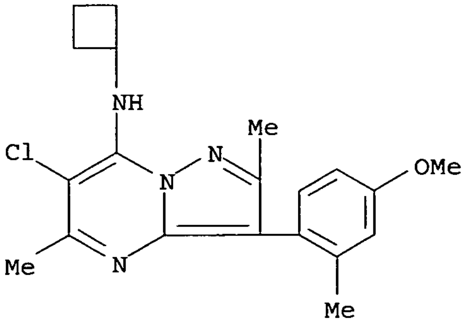
II

AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl3 and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-Cl2C6H3].

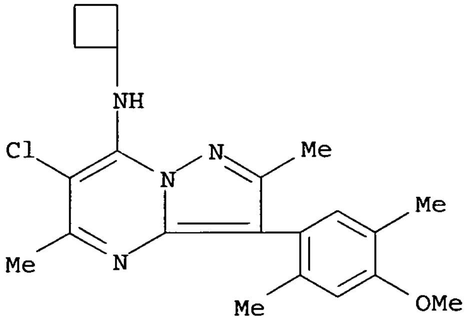
IT 234778-12-2P 234778-20-2P 234778-28-0P
234778-36-0P 234778-44-0P 234778-52-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolotriazines and -pyrimidines as CRF antagonists for treatment of anxiety, depression, and other psychiatric, neurol. disorders)

RN 234778-12-2 HCAPLUS

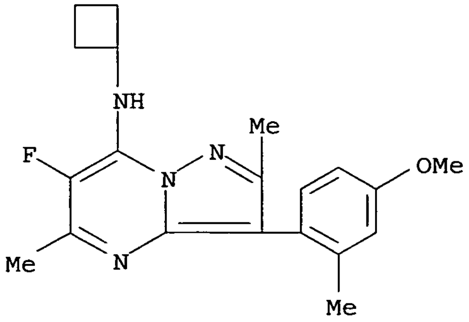
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



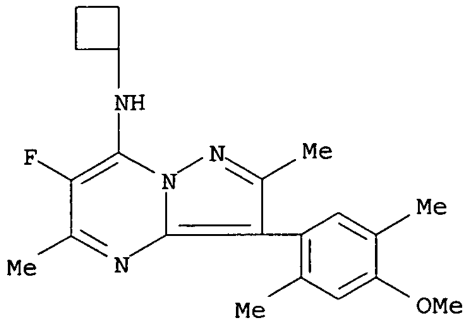
RN 234778-20-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



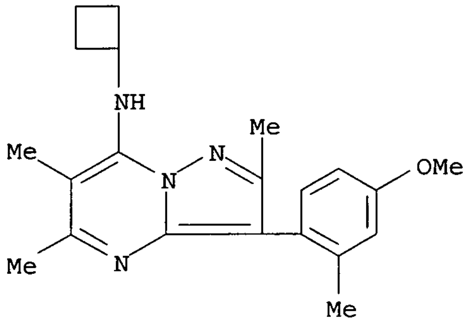
RN 234778-28-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



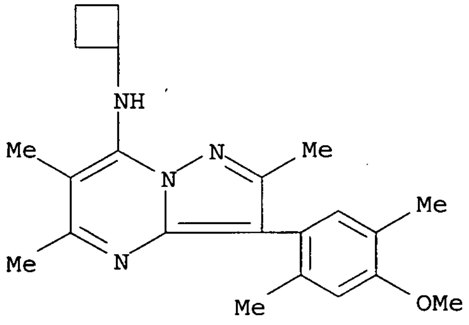
RN 234778-36-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 234778-44-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)



RN 234778-52-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)



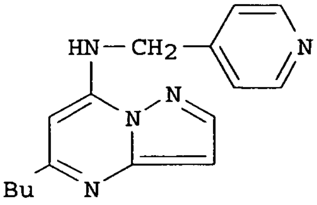
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:277367 HCAPLUS
DOCUMENT NUMBER: 129:609
TITLE: Pyrazolopyrimidine derivatives as nitric oxide synthase induction inhibitors
INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 10114774	A2	19980506	JP 1997-211590		19970806 <--
PRIORITY APPLN. INFO.:			JP 1996-218356	A	19960820

OTHER SOURCE(S): MARPAT 129:609
GI



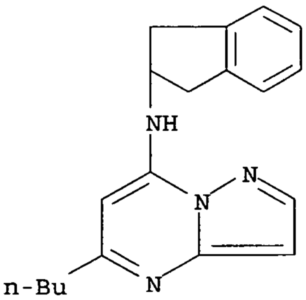
I

AB The title compds. (Markush structure given) are nitric oxide synthase induction inhibitors. In an in vitro assay, the title compound I at 30 μM gave 54.2% inhibition of LPS induction of inducible NO synthase (iNOS). Formulations containing the title compds. are given.

IT 174668-37-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrazolopyrimidine derivs. as nitric oxide synthase induction inhibitors)

RN 174668-37-2 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-butyl-N-(2,3-dihydro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)

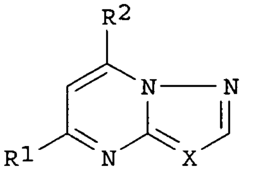


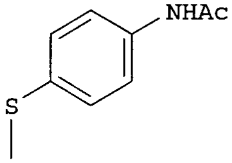
L12 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:181559 HCAPLUS
DOCUMENT NUMBER: 124:317190
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as analgesics
INVENTOR(S): Inoe, Makoto; Shoji, Yasuo; Okamura, Takashi;

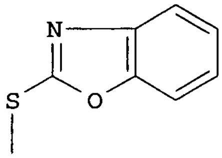
Hashimoto, Kinji; Obara, Masayuki; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Otsuka Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	JP 07309872	A2	19951128	JP 1995-45596		19950306 <--
PRIORITY APPLN. INFO.:				JP 1995-45596	A	19950306
				JP 1994-53583		19940324

OTHER SOURCE(S): MARPAT 124:317190
GI


I

Q=


Q1=


AB The title compds. [I; X = N, CH; R1 = alkyl; R2 = NRR3, NR4R5, SR6; R = H, alkyl; R3 = phenylalkyl or indanyl having alkoxy, 1-2 halo, or methylenedioxy group on the Ph ring; R4 = pyridyl; R5 = 5-alkylpyrazolo[1,5a]pyrimidin-7-yl; when X = N, R6 = pyridyl or alkanoylaminophenyl; when X = CH, R6 = naphthyl, substituted Ph, or (un)substituted heterocyclyl], which are also useful as antiinflammatory, antiasthmatic, antipyretic, antirheumatic, and antiallergy agents, etc., are prepared Thus, a suspension of 3-aminopyrazole and Me 3-oxoheptanoate in PhMe was refluxed for 3 h to give 5-butyl-7-hydroxypyrazolo[1,5-a]pyrimidine, which was chlorinated by POCl3 in a mixture of Et3N and toluene under reflux for 4 h to give 5-butyl-7-chloropyrazolo[1,5-a]pyrimidine. To a solution of the latter compound and 4-(acetylamino)thiophenol in MeOH was added NaHCO3 and the resulting mixture was stirred at room temperature for 2 h to give the title compound I (X = CH, R1 =
Bu, R2 = Q). The latter compound and I (X = CH, R1 = Bu, R2 = Q1) (II) at 10 mg/kg p.o showed the recovery ratio of pressure-induced pain thresh hold value of 48.5 and 86.1%, resp., in the Randall and Sellitto assay using rats (Arch. INt. Pharmacodyn., 1957). A capsule formulation containing II was given.

IT 174668-37-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. as analgesics, antiinflammatory, antiasthmatic, antipyretic, antirheumatic, and

```
      antiallergy agents)
RN    174668-37-2  HCAPLUS
CN    Pyrazolo[1,5-a]pyrimidin-7-amine, 5-butyl-N-(2,3-dihydro-1H-inden-2-yl)-
      (9CI)  (CA INDEX NAME)
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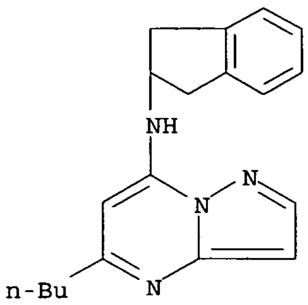

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L12  ANSWER 10 OF 14  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1995:777657  HCAPLUS
DOCUMENT NUMBER:          123:169666
TITLE:                    pyrazolo[1,5-a]pyrimidine derivatives and their use as
                          angiotensin antagonists
INVENTOR(S):              Ruehter, Gerd; Schotten, Theo; Stenzel, Wolfgang;
                          Paal, Michael
PATENT ASSIGNEE(S):       Beiersdorf-Lilly GmbH, Germany
SOURCE:                   Eur. Pat. Appl., 63 pp.
                          CODEN: EPXXDW
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND    DATE         APPLICATION NO.        DATE
     ---------------     ----    --------     --------------------   --------
     EP 628559            A1     19941214     EP 1994-304104         19940607 <--
     EP 628559            B1     20020403
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
     US 5571813           A      19961105     US 1994-254803         19940606 <--
     ES 2174863           T3     20021116     ES 1994-304104         19940607
     CA 2125458           AA     19941211     CA 1994-2125458        19940608 <--
     JP 07002860          A2     19950106     JP 1994-127441         19940609 <--
     US 5602136           A      19970211     US 1995-451586         19950526 <--
     US 5602137           A      19970211     US 1995-451799         19950526 <--
PRIORITY APPLN. INFO.:                        EP 1993-304513      A  19930610
                                              US 1994-254803      A3 19940606
OTHER SOURCE(S):          MARPAT 123:169666
GI
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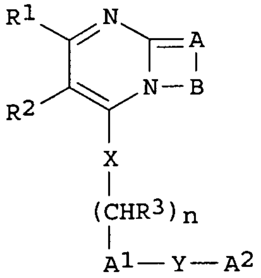
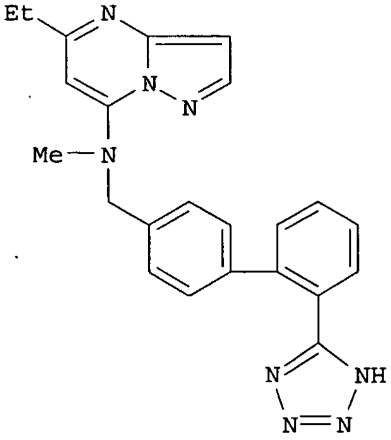

I

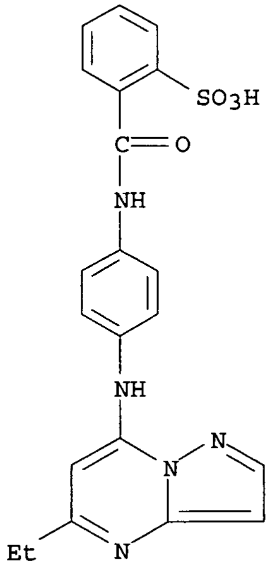

II

AB Fused pyrimidines I (R1-R3 = H, alkyl, etc.; A, B = methine, nitrogen; AB together form pyrazolo, triazolo, imidazo group; X = oxygen, sulfur, nitrogen; A1, A2 = arylene; n = integer; Y = alkyl, alkoxy, alkylthio, etc.) were disclosed as angiotensin antagonists. I are selected from the group of pyrazolo[1,5-a]pyrimidines, 1,2,4-triazolo[1,5-a]pyrimidines, or imidazo[1,5-a]pyrimidines. A prepared example compound is 5-ethyl-N-methyl-N-[[(1H-tetrazol-5-yl)biphenyl-4-yl]methyl]pyrazolo[1,5-a]pyrimidin-7-amine (II).

IT 167371-82-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. angiotensin antagonists)

RN 167371-82-6 HCAPLUS

CN Benzenesulfonic acid, 2-[[[4-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

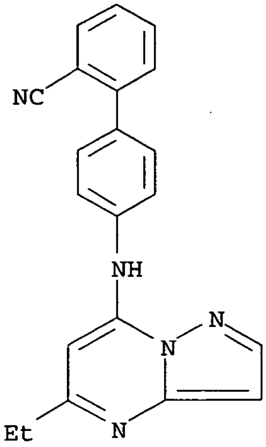


IT 167371-71-3P 167371-74-6P 167371-79-1P
167371-80-4P 167372-11-4P 167372-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. angiotensin antagonists)

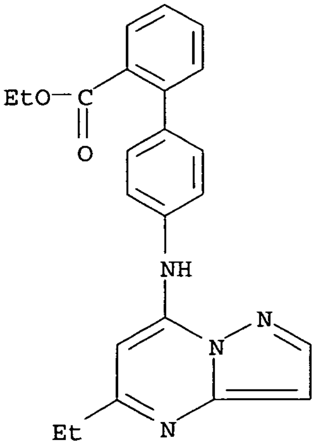
RN 167371-71-3 HCAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)



RN 167371-74-6 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

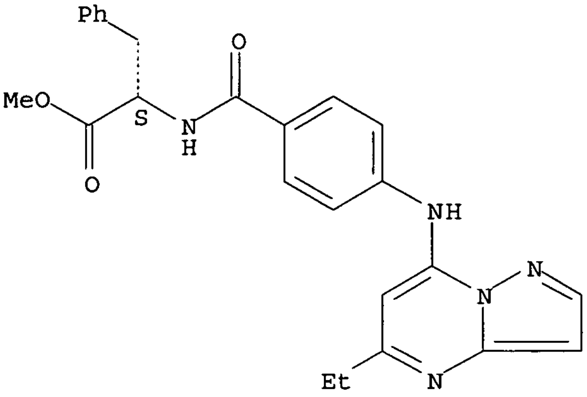


RN 167371-79-1 HCAPLUS

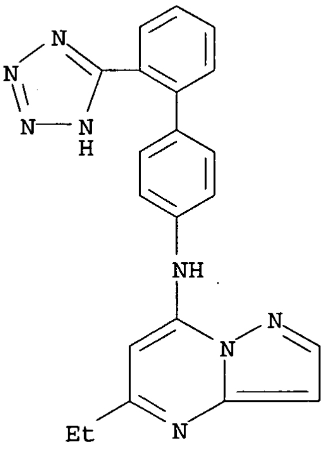
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-ethyl-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 167372-34-1 HCAPLUS
CN L-Phenylalanine, N-[4-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)

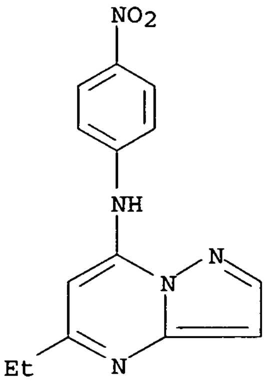
Absolute stereochemistry.



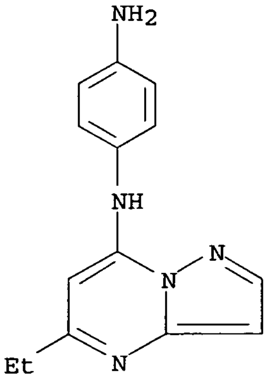
IT 167371-72-4P 167371-75-7P 167371-81-5P
167371-85-9P 167372-10-3P 167372-12-5P
167372-35-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. angiotensin antagonists)
RN 167371-72-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-ethyl-N-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



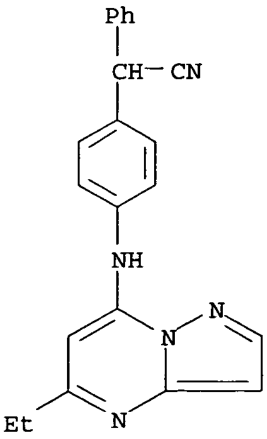
RN 167371-75-7 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

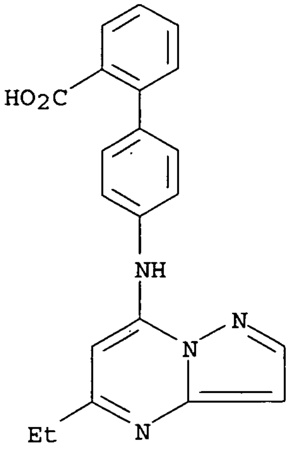


RN 167371-80-4 HCAPLUS
CN 1,4-Benzenediamine, N-(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

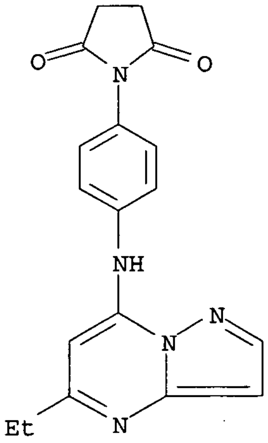


RN 167372-11-4 HCAPLUS
CN Benzeneacetonitrile, 4-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-α-phenyl- (9CI) (CA INDEX NAME)

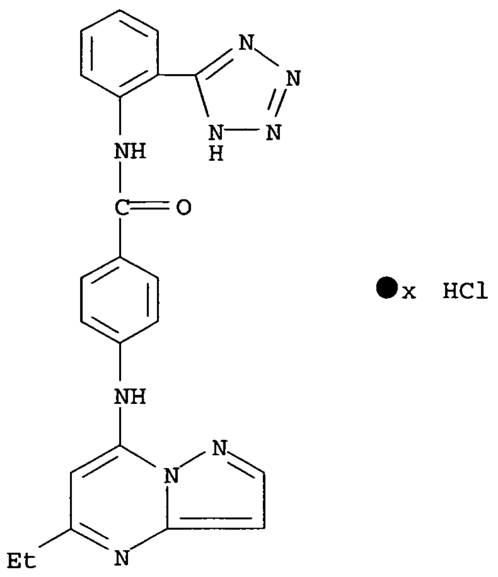




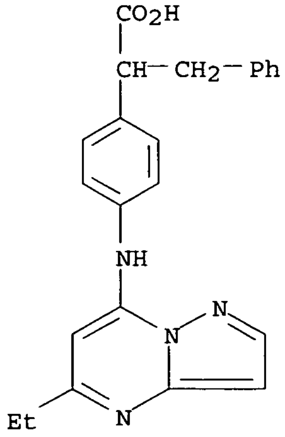
RN 167371-81-5 HCAPLUS
CN 2,5-Pyrrolidinedione, 1-[4-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]phenyl]- (9CI) (CA INDEX NAME)



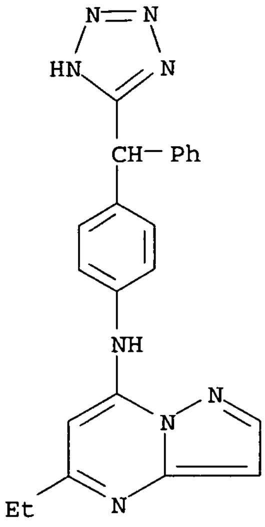
RN 167371-85-9 HCAPLUS
CN Benzamide, 4-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-N-[2-(1H-tetrazol-5-yl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



RN 167372-10-3 HCAPLUS
CN Benzenepropanoic acid, α-[4-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]phenyl]- (9CI) (CA INDEX NAME)

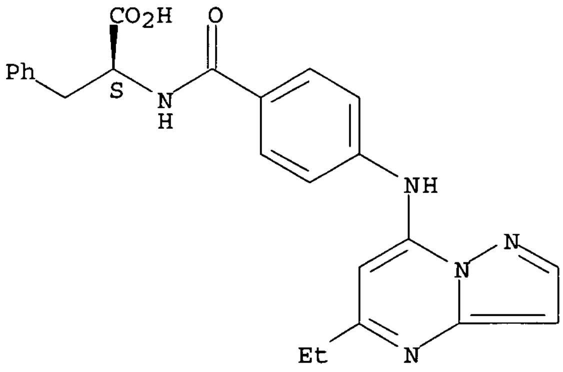


RN 167372-12-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-ethyl-N-[4-(phenyl-1H-tetrazol-5-ylmethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 167372-35-2 HCAPLUS
CN L-Phenylalanine, N-[4-[(5-ethylpyrazolo[1,5-a]pyrimidin-7-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

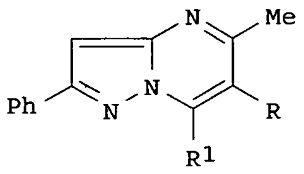


L12 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1994:655752 HCAPLUS
DOCUMENT NUMBER:	121:255752
TITLE:	Acylation reactions of 5-amino-3-phenylpyrazole: routes to pyrazolopyrimidines, pyrazolodiazepine, pyrazoloquinazoline and pyrazolopyrrolopyrimidine derivatives
AUTHOR(S):	Sofan, Mamdouh Abdel-Monem; Abdel-Aziz El-Taweel, Fathy Mohamed; El-Maati, Tarek Abu; Ali El-Agamey, Abdel-Ghani
CORPORATE SOURCE:	Dep. Chem., Fac. Sci., New Damietta, Egypt
SOURCE:	Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1994), 33B(8), 738-41 CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE:	Journal

LANGUAGE: English

GI



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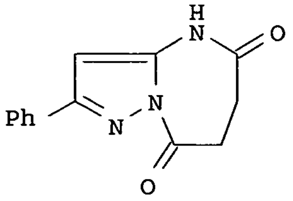

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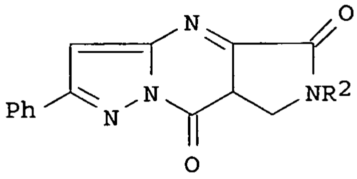

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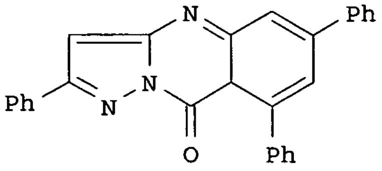
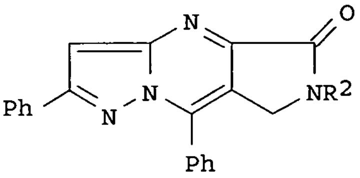


V



VI

AB 5-Amino-3-phenylpyrazole (I) on condensation with Et α-methyl-methylacetoacetate in different solvents, with acetoacetanilide in benzene containing drops of HCl and with succinyl chloride in benzene/triethylamine furnishes pyrazolo[1,5-a]pyrimidines II (R = Me, R1 = OH; R = H, R1 = PHNH) and pyrazolo[1,5-a] [1,3]diazepine-5,8-dione III, resp. Reaction of I with cyclic β-ketoesters in ethanol/piperidine and with 4-carbethoxy-1,5-diphenyl-3,4,5,6-tetrahydrocyclo-hexen-1-one in acetic acid, affords the pyrazolo pyrrolopyrimidines IV (R2 = Ph, PHCH2) and the pyrazoloquinazoline derivative V, resp. Cycloaddn. of I with 1-aryl-4-benzylidene-2,3-dioxopyrrolidines yields pyrazolo[1,5-a]pyrrolo[3,4-d]pyrimidines VI (R2 = Ph, PHCH2).

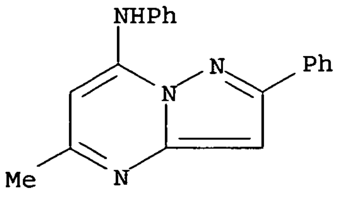
IT 158501-31-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(acylation reactions of aminophenylpyrazole in preparation of pyrazolopyrimidines, pyrazolodiazepine, pyrazoloquinazoline and pyrazolopyrrolopyrimidine derivs.)

RN 158501-31-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-methyl-N,2-diphenyl- (9CI) (CA INDEX NAME)



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L12  ANSWER 12 OF 14  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1994:323476  HCAPLUS
DOCUMENT NUMBER:         120:323476
TITLE:                   Novel synthesis of mercaptopurine and
                         pentaaza-as-indacene analogs: reaction of
                         [bis(methylthio)methylene]malononitrile and ethyl
                         2-cyano-3,3-bis(methylthio)acrylate with
                         5-aminopyrazoles
AUTHOR(S):               Elgemeie, Galal Eldin Hamza; El-Ezbawy, Samia E.; Ali,
                         Hosny A.; Mansour, Abdel Kader
CORPORATE SOURCE:        Fac. Sci., Cairo Univ., Bani Suef, Egypt
SOURCE:                  Bulletin of the Chemical Society of Japan (
                         1994), 67(3), 738-41
                         CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE:           Journal
LANGUAGE:                English
OTHER SOURCE(S):         CASREACT 120:323476
AB   A novel synthesis of 7-methylthiopyrazolo[1,5-a]pyrimidines via reaction
     of [bis(methylthio)methylene]malononitrile and Et 2-cyano-3,3-
     bis(methylthio)acrylate with 5-aminopyrazoles is reported and the
     synthetic potential of the method is demonstrated.
IT   155375-60-3P 155375-61-4P 155375-62-5P
     155375-63-6P 155375-64-7P 155375-65-8P
     155375-66-9P 155375-67-0P 155375-68-1P
     155375-69-2P 155375-70-5P 155375-71-6P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN   155375-60-3  HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-7-(phenylamino)-3-
     (phenylazo)- (9CI)  (CA INDEX NAME)
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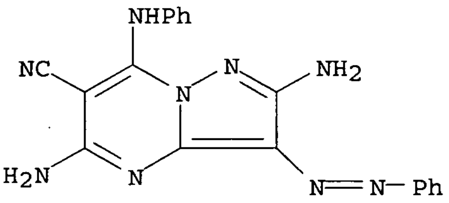

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RN   155375-61-4  HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-[(2-
     chlorophenyl)azo]-7-(phenylamino)- (9CI)  (CA INDEX NAME)
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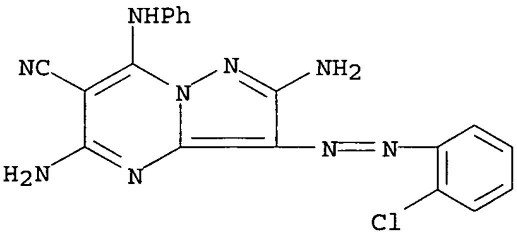

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RN   155375-62-5  HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-[(4-
     chlorophenyl)azo]-7-(phenylamino)- (9CI)  (CA INDEX NAME)
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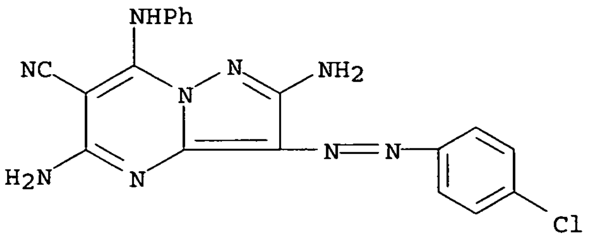
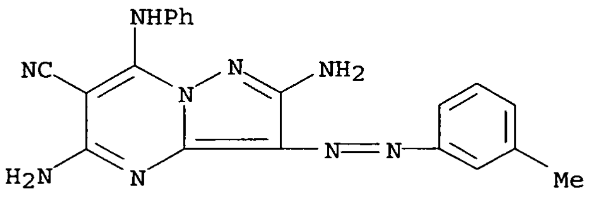
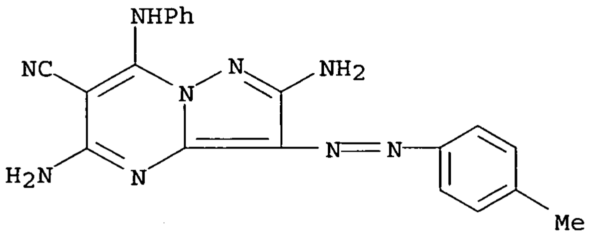


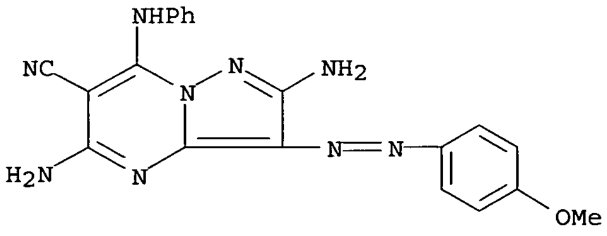
RN 155375-63-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-[(3-methylphenyl)azo]-7-(phenylamino)- (9CI) (CA INDEX NAME)



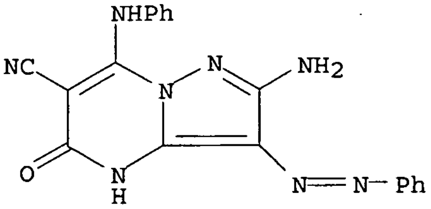
RN 155375-64-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-[(4-methylphenyl)azo]-7-(phenylamino)- (9CI) (CA INDEX NAME)



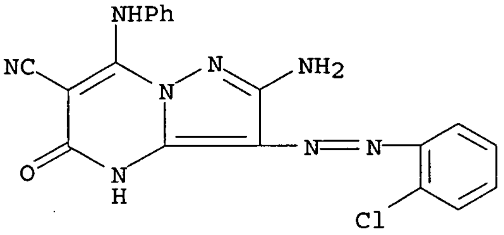
RN 155375-65-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-[(4-methoxyphenyl)azo]-7-(phenylamino)- (9CI) (CA INDEX NAME)



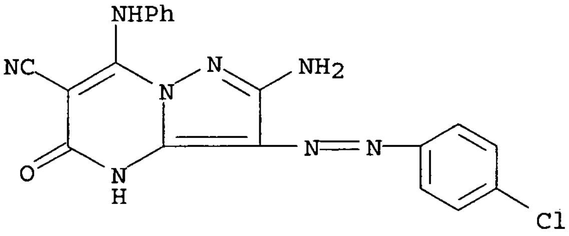
RN 155375-66-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2-amino-4,5-dihydro-5-oxo-7-(phenylamino)-3-(phenylazo)- (9CI) (CA INDEX NAME)



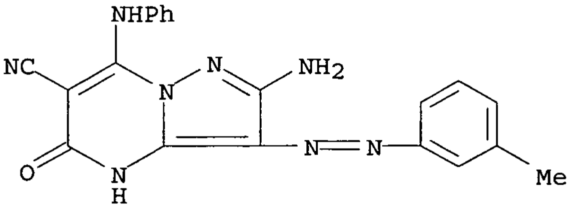
RN 155375-67-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2-amino-3-[(2-chlorophenyl)azo]-4,5-dihydro-5-oxo-7-(phenylamino)- (9CI) (CA INDEX NAME)



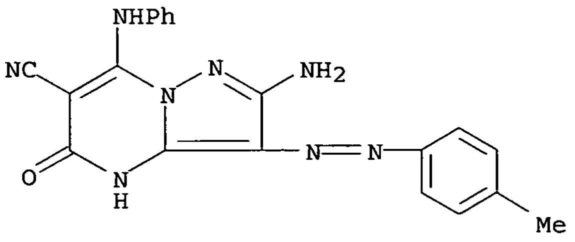
RN 155375-68-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2-amino-3-[(4-chlorophenyl)azo]-4,5-dihydro-5-oxo-7-(phenylamino)- (9CI) (CA INDEX NAME)



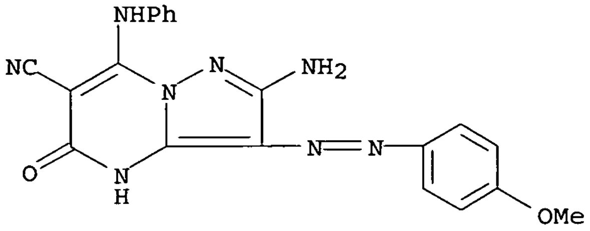
RN 155375-69-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2-amino-4,5-dihydro-3-[(3-methylphenyl)azo]-5-oxo-7-(phenylamino)- (9CI) (CA INDEX NAME)



RN 155375-70-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2-amino-4,5-dihydro-3-[(4-methylphenyl)azo]-5-oxo-7-(phenylamino)- (9CI) (CA INDEX NAME)



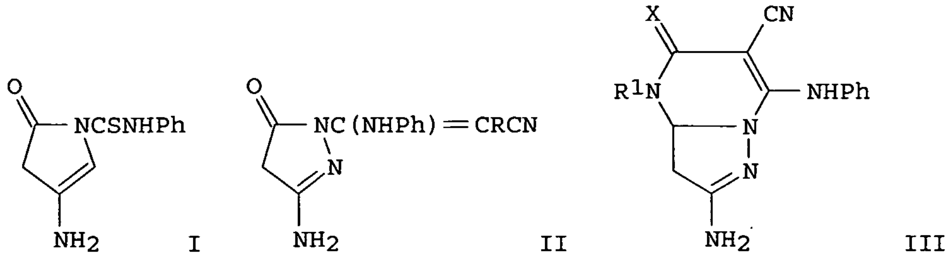
RN 155375-71-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2-amino-4,5-dihydro-3-[(4-methoxyphenyl)azo]-5-oxo-7-(phenylamino)- (9CI) (CA INDEX NAME)



L12 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1993:80892 HCAPLUS
DOCUMENT NUMBER:	118:80892
TITLE:	Heterocyclic syntheses with isothiocyanates: a novel synthetic approach to polyfunctionally substituted pyrazoles and their fused derivatives
AUTHOR(S):	Mohareb, Rafat Milad; Sherif, Sherif Mourad
CORPORATE SOURCE:	Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE:	Sulfur Letters (1992), 15(2), 91-101 CODEN: SULED2; ISSN: 0278-6117
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 118:80892

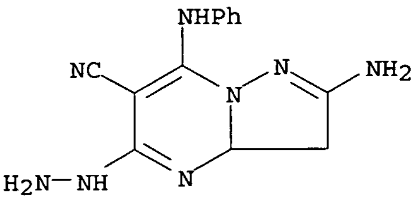
GI



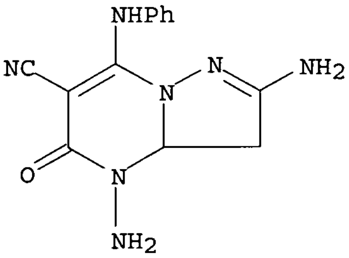
AB 2-Cyanoacetic acid hydrazide reacts with Ph isothiocyanate in basic dioxane solution to give the pyrazole derivative I. Reactions of the latter product with different reagents afford pyrazolo[5,1-d]-1,2,4-triazole, pyrazolo[5,1-d]-1,2,4-oxadiazole, pyrazolo[5,1-b]pyrimidine and pyrazolo[5,1-c]thiazole derivs. Thus, I was treated with $CNCH_2R$ (R = cyano, CO_2Et) in DMF in the presence of piperdine to give amino(oxopyrazolo)acrylonitriles II, which underwent cyclocondensation with H_2NNH_2 to give pyrazolopyrimidines III (R1 = H, X = H_2NN; R1 = H_2N, X = O).

IT 145549-50-4P 145549-51-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 145549-50-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2-amino-5-hydrazino-3,3a-dihydro-7-(phenylamino)- (9CI) (CA INDEX NAME)



RN 145549-51-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,4-diamino-3,3a,4,5-tetrahydro-5-oxo-7-(phenylamino)- (9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:560534 HCAPLUS
DOCUMENT NUMBER: 103:160534
TITLE: Pyrazolo[1,5-a]pyrimidines
PATENT ASSIGNEE(S): SDS Biotech Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60100581	A2	19850604	JP 1983-207583	19831107 <--
PRIORITY APPLN. INFO.:			JP 1983-207583	19831107

OTHER SOURCE(S): CASREACT 103:160534
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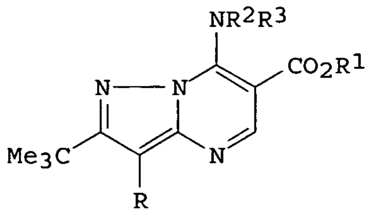


I

AB Pyrazolopyrimidines I [R = H, Cl, Br; R1 = H, alkyl; R2, R3 = H, alkyl, cycloalkyl, aralkyl, (un)substituted Ph] were prepared Thus, refluxing 50.6 g 3-amino-5-tert-butylpyrazole with 62.3 g EtOCH:C(CN)CO2Et in HOAc for 4 h gave 82.3 g I (R = R2 = R3 = H, R1 = Et) (II). II showed fungicidal activity superior to that of Morestan.

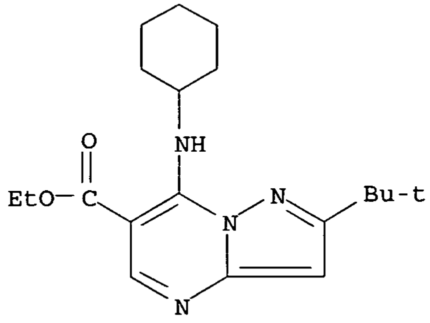
IT 98710-52-2P 98727-55-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and fungicidal activity of)

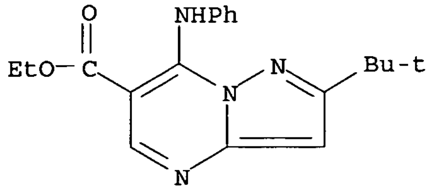
RN 98710-52-2 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(cyclohexylamino)-2-(1,1-dimethylethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 98727-55-0 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 2-(1,1-dimethylethyl)-7-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



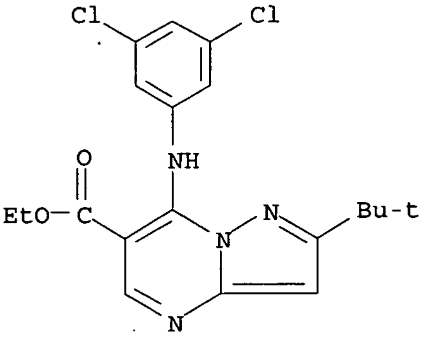
IT 98710-55-5P 98710-56-6P 98710-62-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 98710-55-5 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3,5-dichlorophenyl)amino]-2-(1,1-dimethylethyl)-, ethyl ester (9CI) (CA INDEX NAME)



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RN   98710-56-6  HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 2-(1,1-dimethylethyl)-7-[(3-
     methoxyphenyl)amino]-, ethyl ester (9CI)  (CA INDEX NAME)
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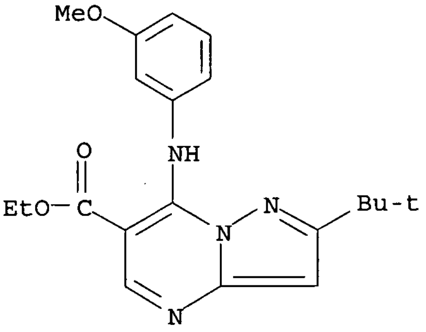

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RN   98710-62-4  HCAPLUS
CN   Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 2-(1,1-dimethylethyl)-7-
     (methylphenylamino)-, ethyl ester (9CI)  (CA INDEX NAME)
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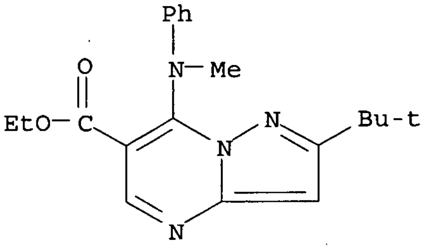

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L1                STR
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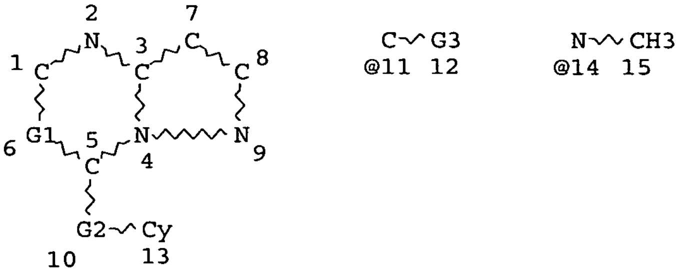

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VAR G2=NH/14
VAR G3=X/AK
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  15

STEREO ATTRIBUTES: NONE
L3          2269 SEA FILE=REGISTRY SSS FUL L1
L4               STR
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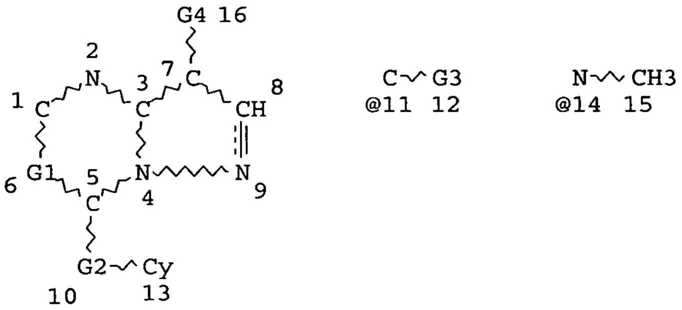

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NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
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L9          1773 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 NOT L5
L10           43 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9
L11           31 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10 NOT L6
L12           14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND PD=<OCTOBER 1, 2002
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L17           264 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("GIRIJAVALLABHAN V"/AU OR
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                  VIYYOOOR M"/AU OR "GIRIJAVALLABHAN VIYYOOR"/AU OR "GIRIJAVALLAB
                  HAN VIYYOOR M"/AU OR "GIRIJAVALLABHAN VIYYOOR MOOPIL"/AU OR
                  "GIRIJAVALLABHAN VIYYOR M"/AU OR "GIRIJAVALLABHAN VLYYOOR
                  M"/AU OR "GIRIJAVALLABHN VIYYOOR M"/AU OR "GIRIJAVALLUBHAN
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L18           248 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "ALVAREZ C"/AU OR ("ALVAREZ C
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                  "CHAN TIN"/AU IR "CHAN TIN YAU"/AU
L20             8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "KNUTSON C E"/AU OR ("KNUTSON
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                  L27)
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L37            9 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L21 AND (L22 OR L23 OR L24 OR
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L38            7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 AND (L23 OR L24 OR L25 OR
                 L26 OR L27)
L39           10 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L23 AND (L24 OR L25 OR L26 OR
                 L27)
L40            0 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND (L25 OR L26 OR L27)
L41           10 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L25 AND (L26 OR L27)
L42           10 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L26 AND L27)
L43          102 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L28 OR L29 OR L30 OR L31 OR
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L46           67 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44 OR L45


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L46  ANSWER 1 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:579598  HCAPLUS
DOCUMENT NUMBER:          145:62916
TITLE:                    Preparation of pyrazolopyrimidines as cyclin-dependent
                          kinase inhibitors
INVENTOR(S):              Guzi, Timothy J.; Paruch, Kamil;
                          Dwyer, Michael P.; Labroli, Marc; Keertikar,
                          Kartik M.
PATENT ASSIGNEE(S):       Schering Corporation, USA
SOURCE:                   U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S.
                          Ser. No. 776,988.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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     US 2006128725        A1    20060615    US 2005-245401          20051006
     US 2004209878        A1    20041021    US 2004-776988          20040211
PRIORITY APPLN. INFO.:                      US 2002-408027P      P  20020904
                                            US 2002-421959P      P  20021029
                                            US 2003-654546       A2 20030903
                                            US 2004-776988       A2 20040211
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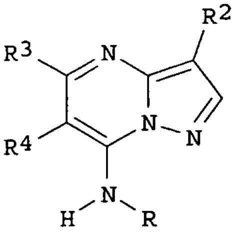

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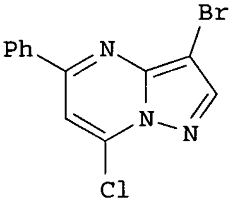

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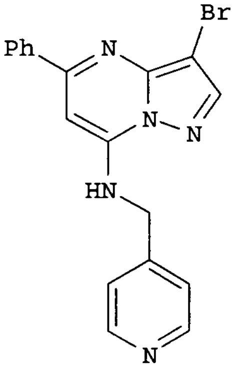


III

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed.

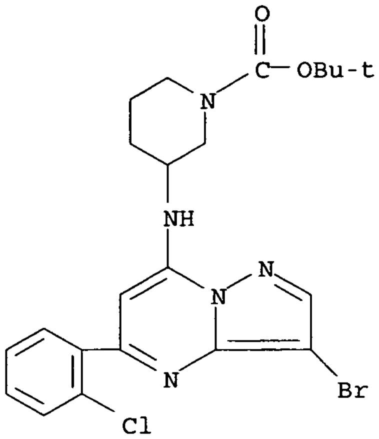
IT 672316-53-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672316-53-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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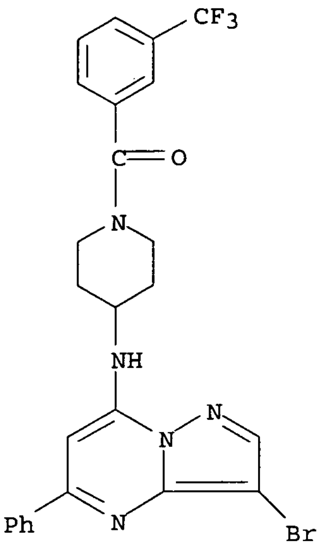
677789-61-6P 677789-62-7P 677789-63-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

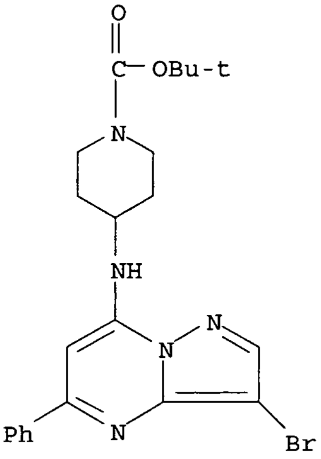
RN 672315-15-0 HCAPLUS

CN 4-Piperidinamine, N-(3-bromo-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



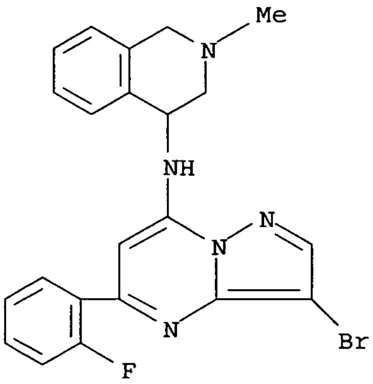
RN 672315-39-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-bromo-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

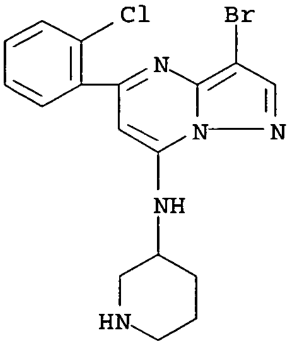


RN 672316-79-9 HCAPLUS

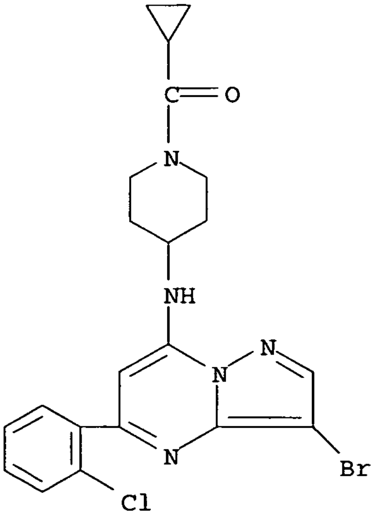
CN 4-Isoquinolinamine, N-[3-bromo-5-(2-fluorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1,2,3,4-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



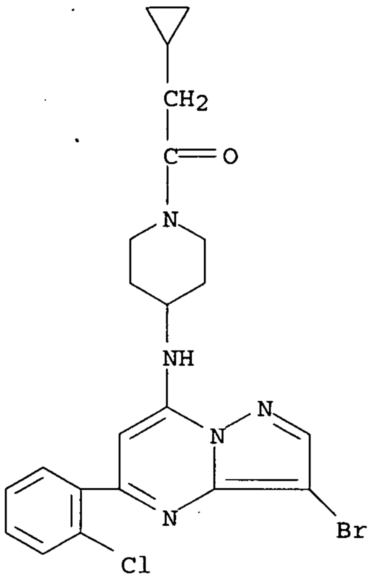
RN 672322-29-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-3-piperidinyl- (9CI) (CA INDEX NAME)



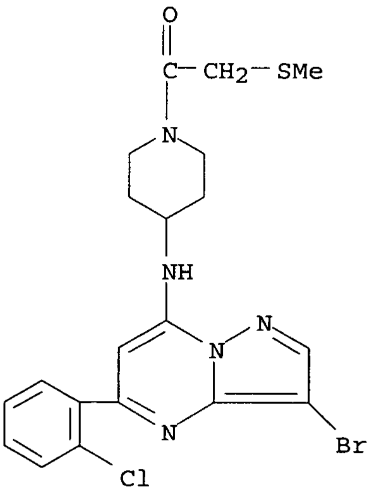
RN 677788-68-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopropylcarbonyl)- (9CI) (CA INDEX NAME)



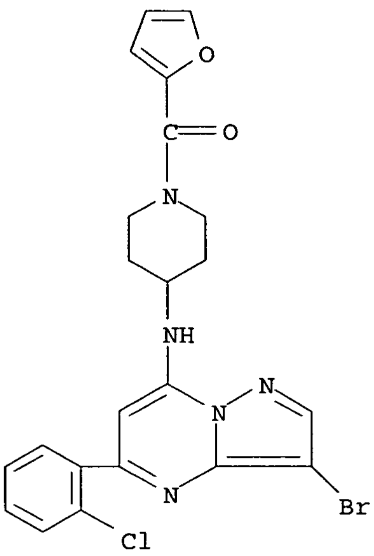
RN 677788-69-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopropylacetyl)- (9CI) (CA INDEX NAME)



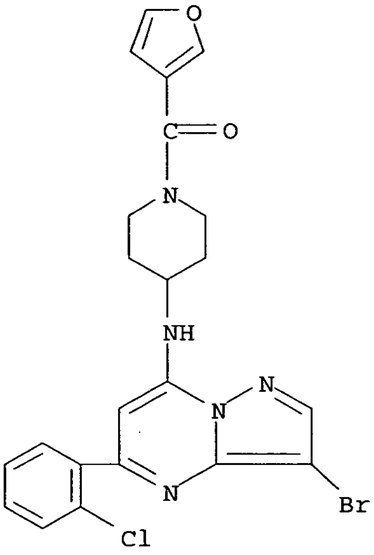
RN 677788-70-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(methylthio)acetyl]- (9CI) (CA INDEX NAME)



RN 677788-71-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)



RN 677788-72-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-furanylcarbonyl)- (9CI) (CA INDEX NAME)



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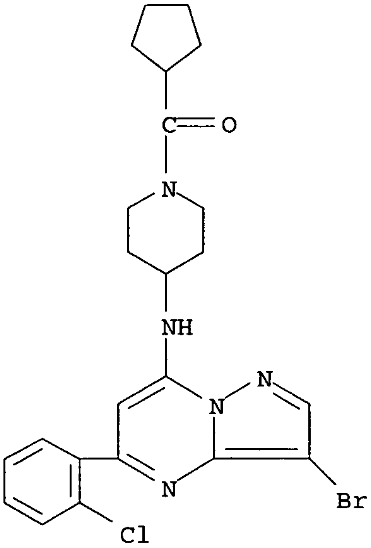
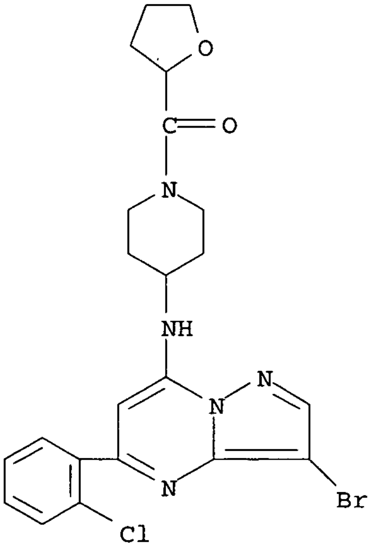
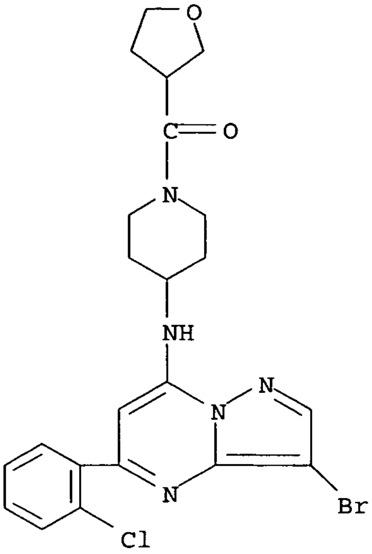


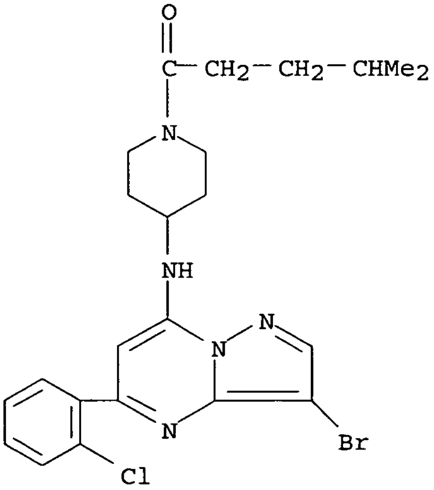
RN 677788-74-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(tetrahydro-2-furanyl)carbonyl]- (9CI) (CA INDEX NAME)



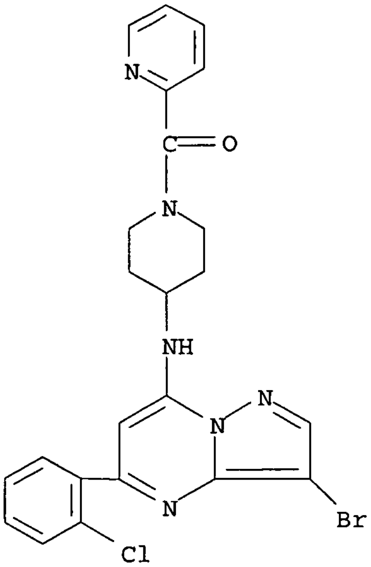
RN 677788-75-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(tetrahydro-3-furanyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677788-76-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-methyl-1-oxopentyl)- (9CI) (CA INDEX NAME)

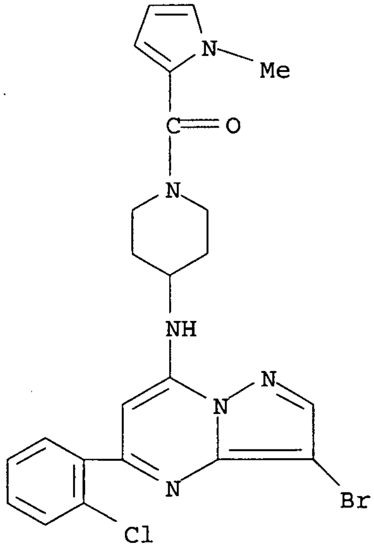


RN 677788-77-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)



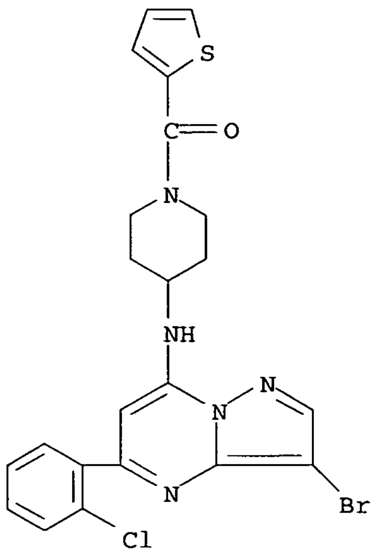
RN 677788-78-2 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-methyl-1H-pyrrol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

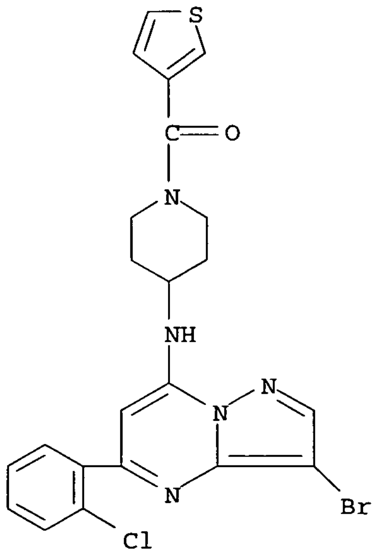


RN 677788-79-3 HCAPLUS

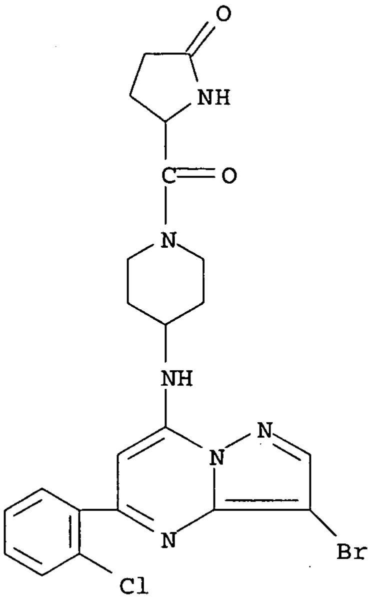
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)



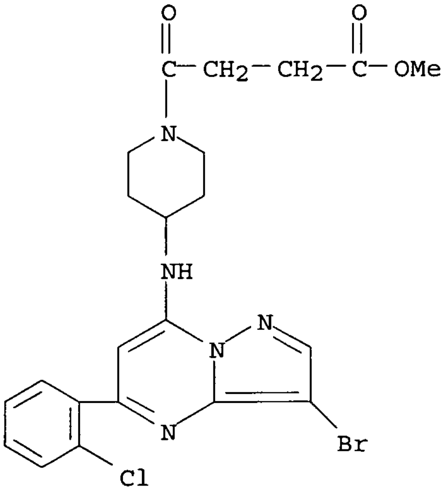
RN 677788-80-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-thienylcarbonyl)- (9CI) (CA INDEX NAME)



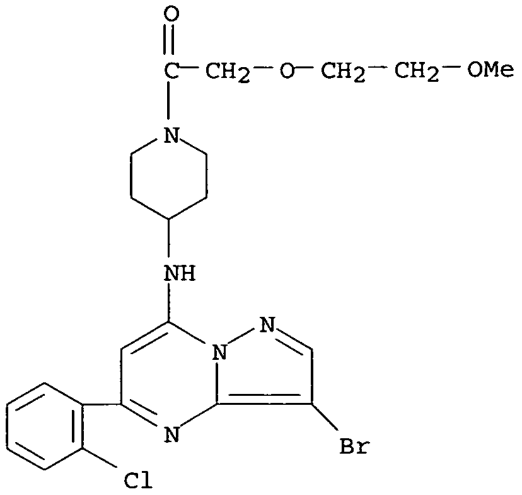
RN 677788-81-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-oxo-2-pyrrolidinyl)carbonyl]- (9CI) (CA INDEX NAME)



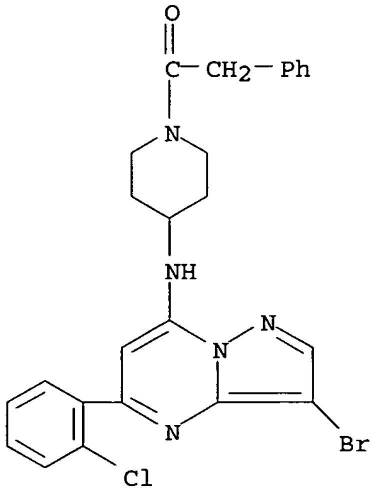
RN 677788-82-8 HCAPLUS
CN 1-Piperidinebutanoic acid, 4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 677788-83-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-methoxyethoxy)acetyl]- (9CI) (CA INDEX NAME)



RN 677788-84-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



RN 677788-85-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(2-furanyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

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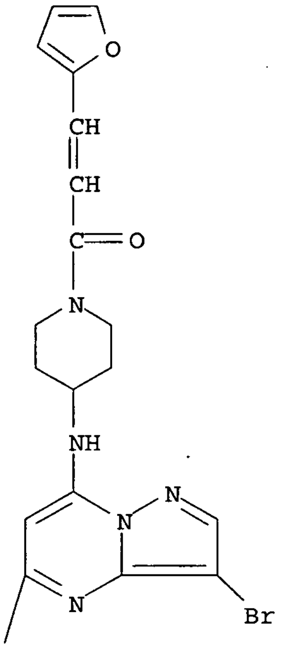

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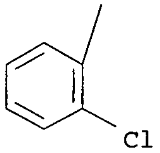
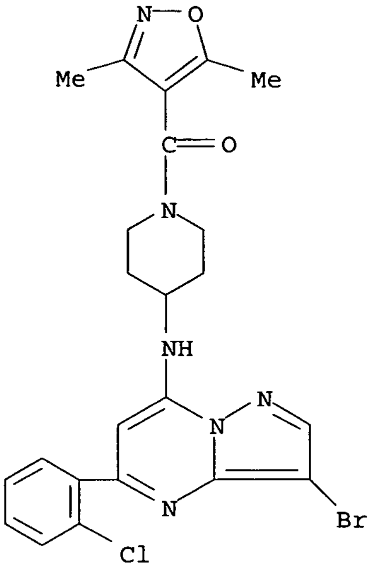
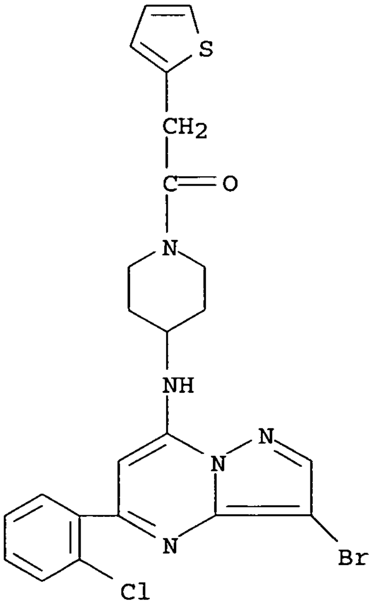


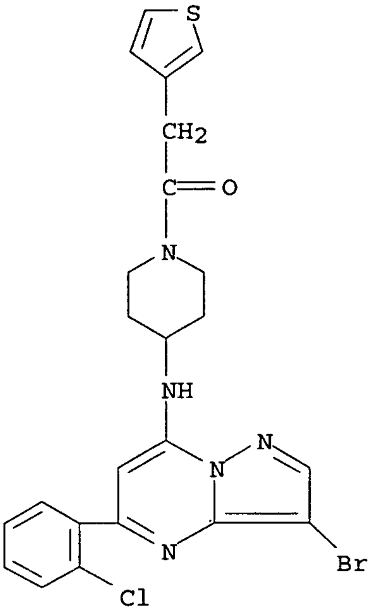
RN 677788-86-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-dimethyl-4-isoxazolyl)carbonyl]- (9CI) (CA INDEX NAME)



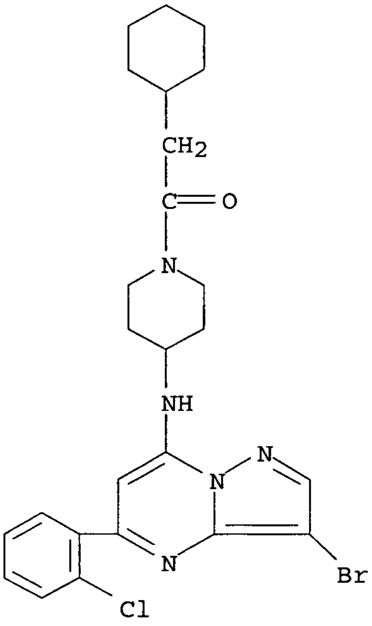
RN 677788-87-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-thienylacetyl)- (9CI) (CA INDEX NAME)



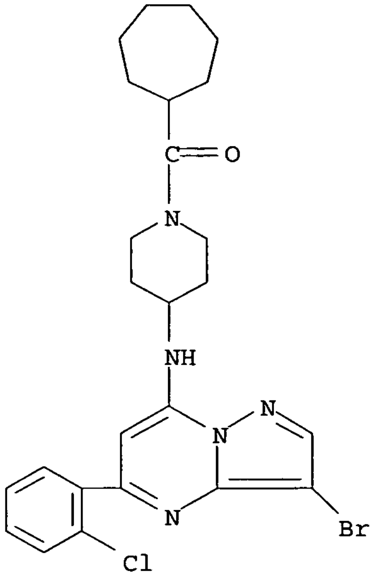
RN 677788-88-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-thienylacetyl)- (9CI) (CA INDEX NAME)



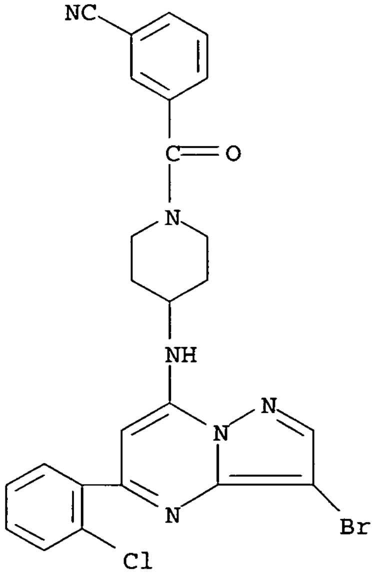
RN 677788-89-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclohexylacetyl)- (9CI) (CA INDEX NAME)



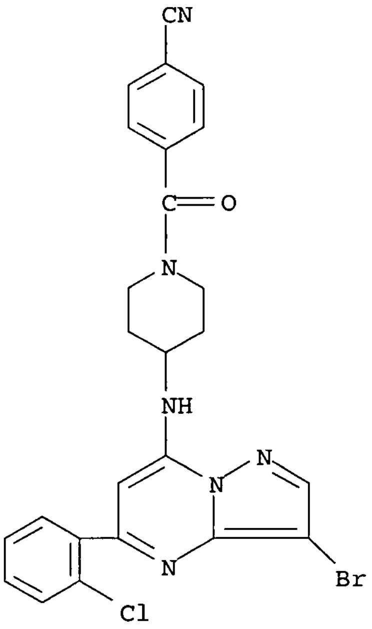
RN 677788-90-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cycloheptylcarbonyl)- (9CI) (CA INDEX NAME)



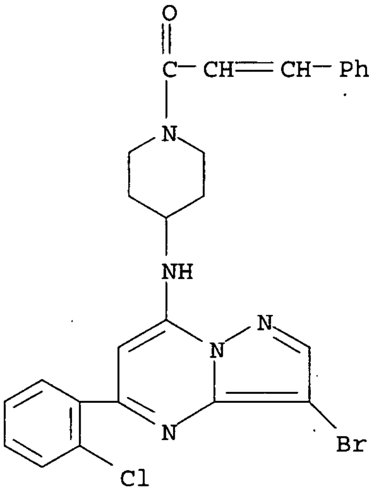
RN 677788-91-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-cyanobenzoyl)- (9CI) (CA INDEX NAME)



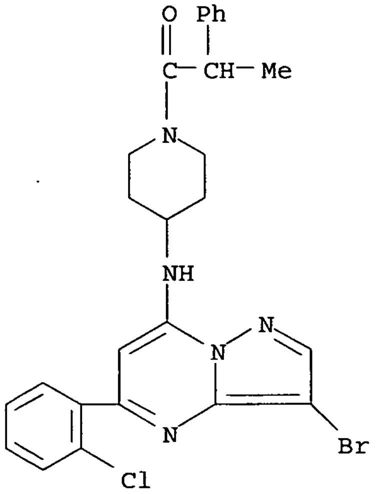
RN 677788-92-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-cyanobenzoyl)- (9CI) (CA INDEX NAME)



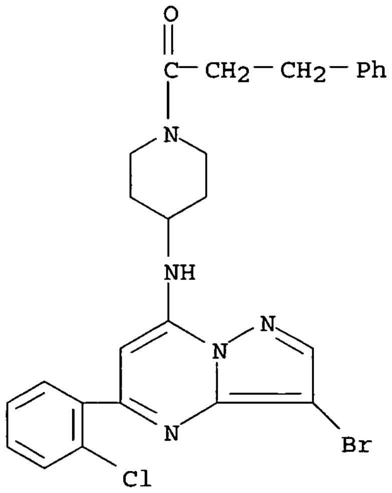
RN 677788-93-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



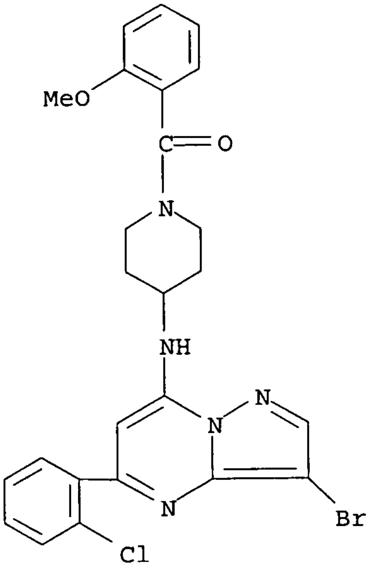
RN 677788-94-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-2-phenylpropyl)- (9CI) (CA INDEX NAME)



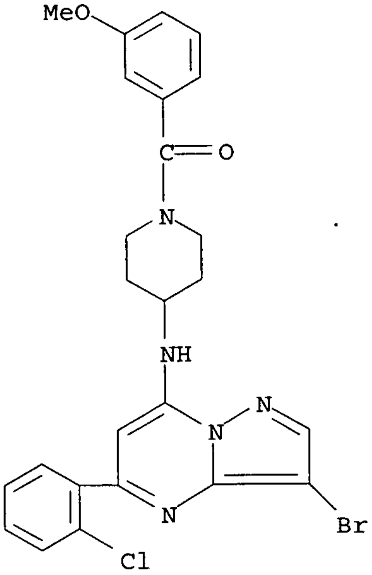
RN 677788-95-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



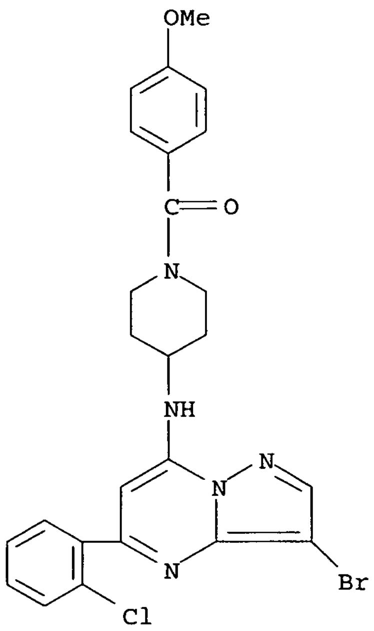
RN 677788-96-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 677788-97-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-methoxybenzoyl)- (9CI) (CA INDEX NAME)

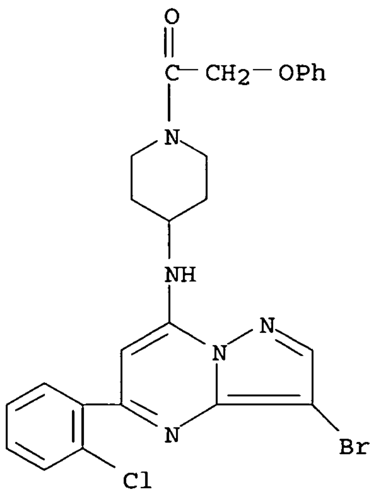


RN 677788-98-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 677788-99-7 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(phenoxyacetyl)- (9CI) (CA INDEX NAME)



RN 677789-00-3 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(2-thienyl)-2-propenyl]- (9CI) (CA INDEX NAME)

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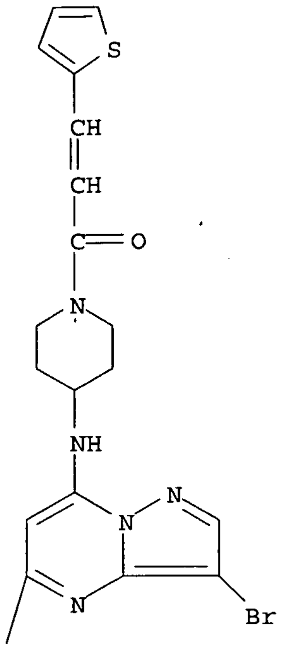

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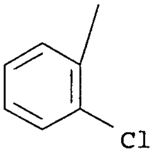

RN 677789-01-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(3-thienyl)-2-propenyl]- (9CI) (CA INDEX NAME)

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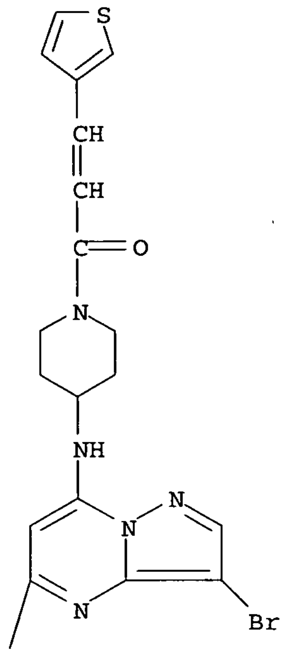

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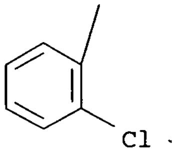
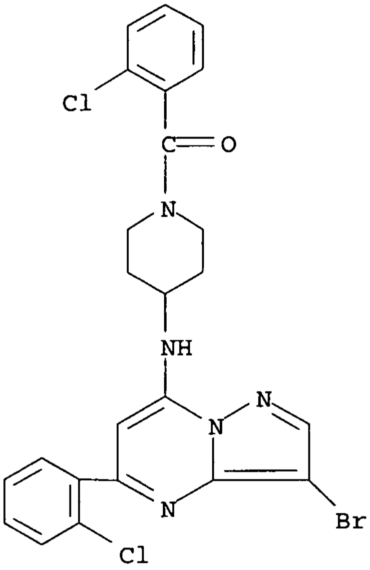
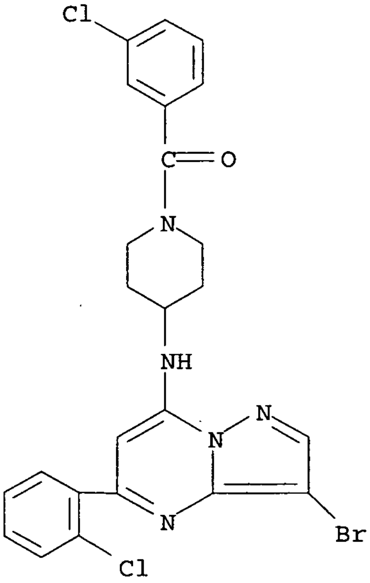


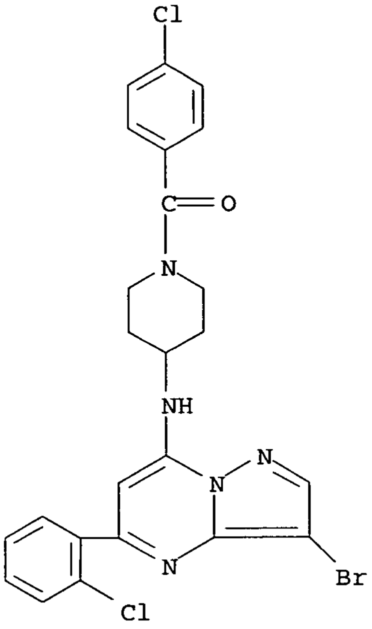
RN 677789-02-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-chlorobenzoyl)- (9CI) (CA INDEX NAME)



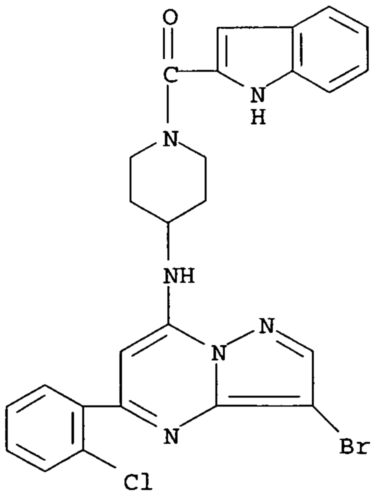
RN 677789-03-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-chlorobenzoyl)- (9CI) (CA INDEX NAME)



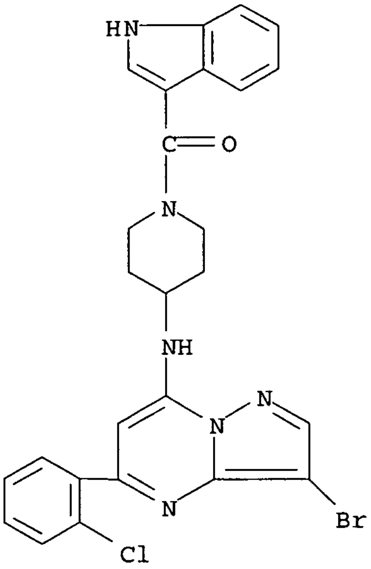
RN 677789-04-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-chlorobenzoyl)- (9CI) (CA INDEX NAME)



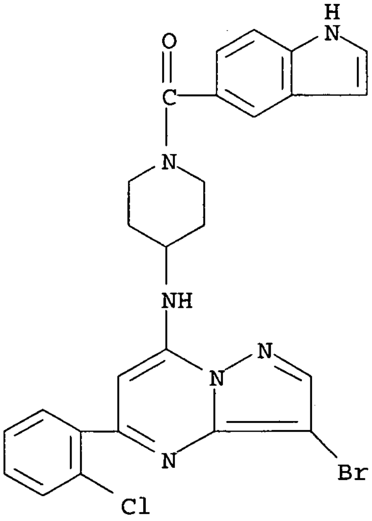
RN 677789-05-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-2-ylcarbonyl)- (9CI) (CA INDEX NAME)



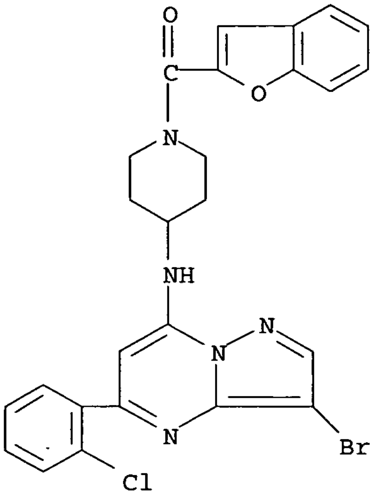
RN 677789-06-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)



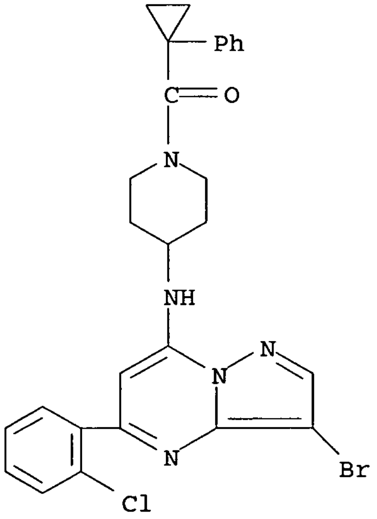
RN 677789-07-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 677789-08-1 HCAPLUS
CN 4-Piperidinamine, 1-(2-benzofuranylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

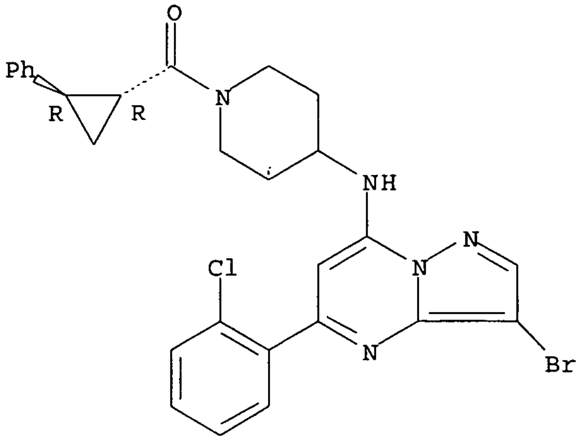


RN 677789-09-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-phenylcyclopropyl)carbonyl]- (9CI) (CA INDEX NAME)

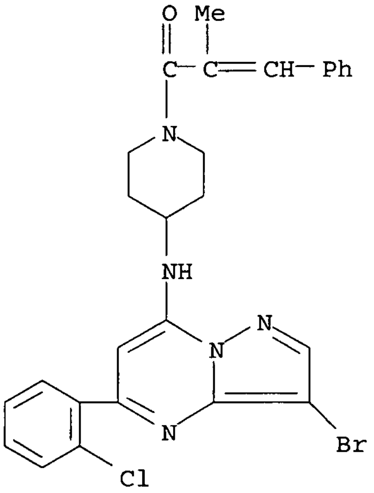


RN 677789-10-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, rel- (9CI) (CA INDEX NAME)

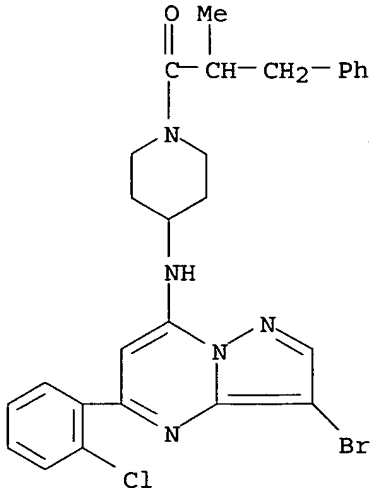
Relative stereochemistry.



RN 677789-11-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methyl-1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

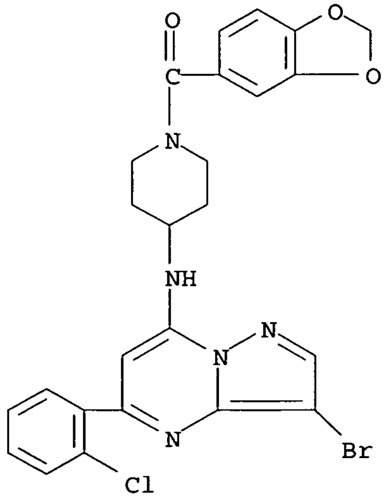


RN 677789-12-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methyl-1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



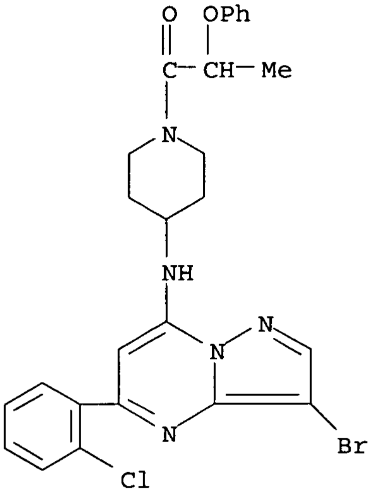
RN 677789-13-8 HCAPLUS

CN 4-Piperidinamine, 1-(1,3-benzodioxol-5-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

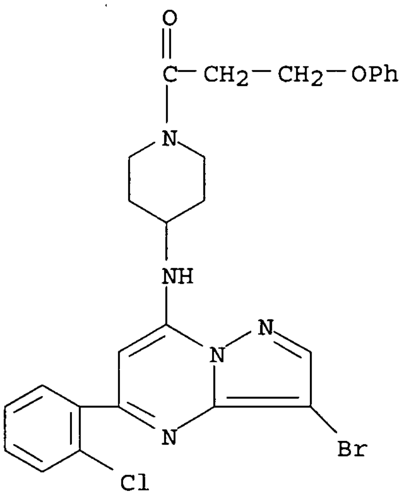


RN 677789-15-0 HCAPLUS

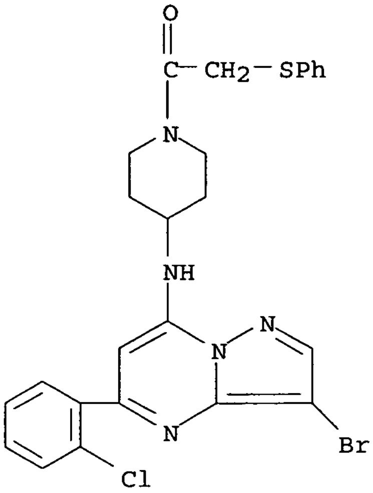
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-2-phenoxypropyl)- (9CI) (CA INDEX NAME)



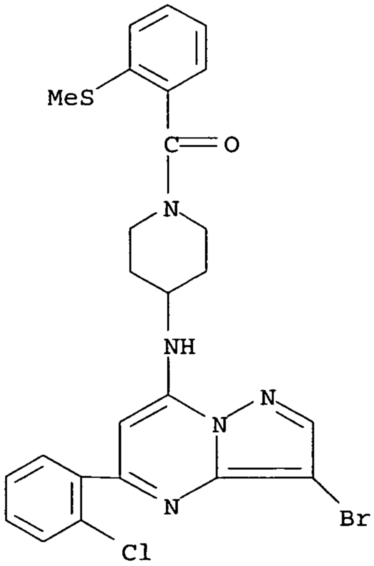
RN 677789-16-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-3-phenoxypropyl)- (9CI) (CA INDEX NAME)



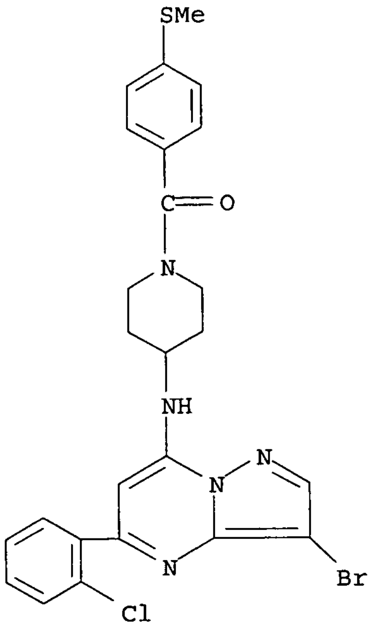
RN 677789-17-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(phenylthio)acetyl]- (9CI) (CA INDEX NAME)



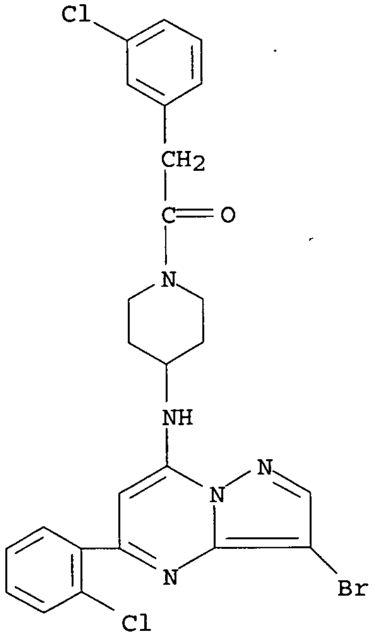
RN 677789-18-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[2-(methylthio)benzoyl]- (9CI) (CA INDEX NAME)



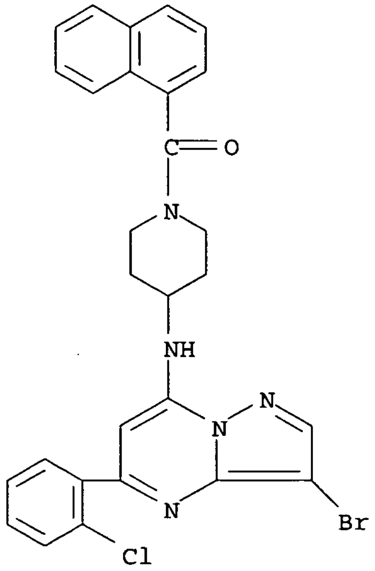
RN 677789-19-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[4-(methylthio)benzoyl]- (9CI) (CA INDEX NAME)



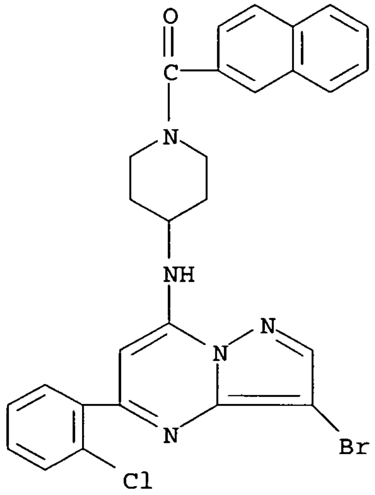
RN 677789-20-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-chlorophenyl)acetyl]- (9CI) (CA INDEX NAME)



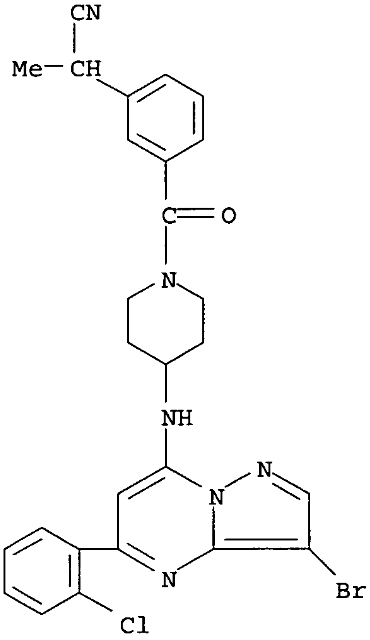
RN 677789-21-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylcarbonyl)- (9CI) (CA INDEX NAME)



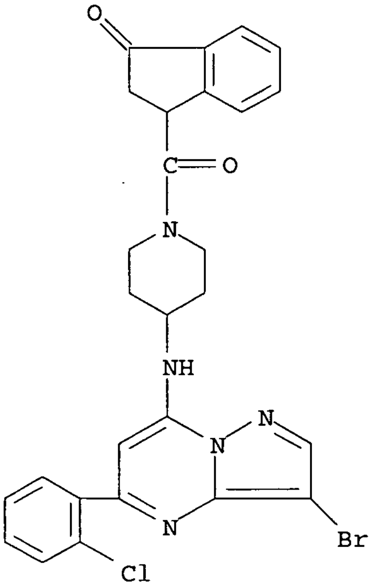
RN 677789-22-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylcarbonyl)- (9CI) (CA INDEX NAME)



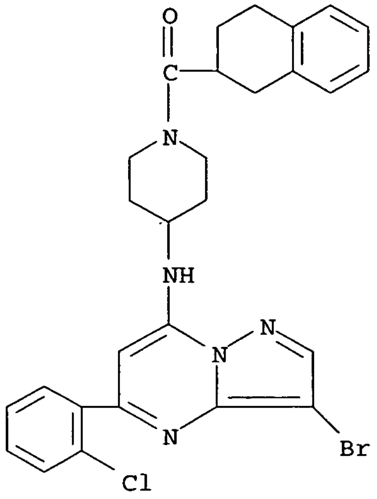
RN 677789-23-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(1-cyanoethyl)benzoyl]- (9CI) (CA INDEX NAME)



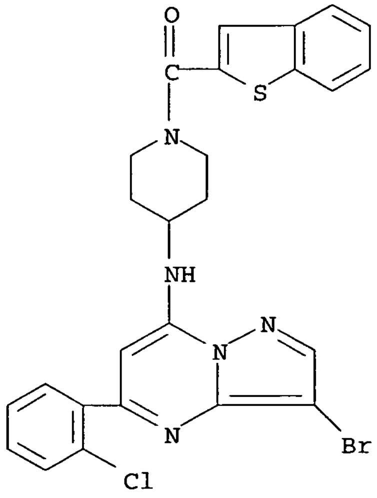
RN 677789-24-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dihydro-3-oxo-1H-inden-1-yl)carbonyl]- (9CI) (CA INDEX NAME)



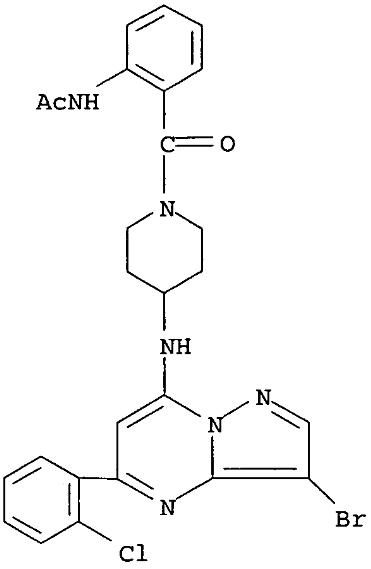
RN 677789-25-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]- (9CI) (CA INDEX NAME)



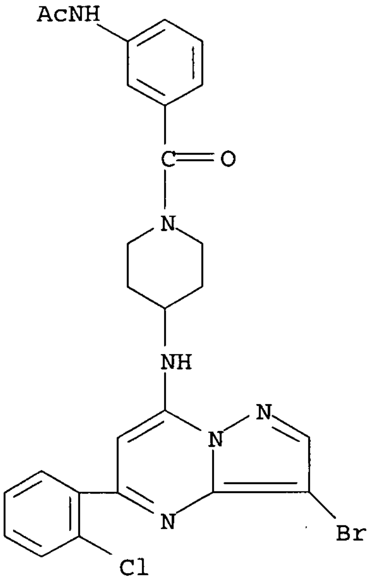
RN 677789-26-3 HCAPLUS
CN 4-Piperidinamine, 1-(benzo[b]thien-2-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



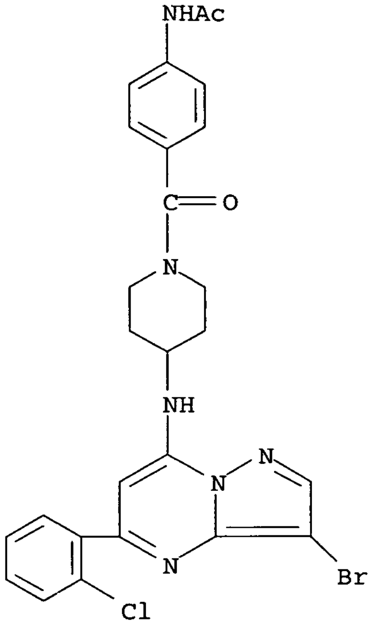
RN 677789-27-4 HCAPLUS
CN Acetamide, N-[2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



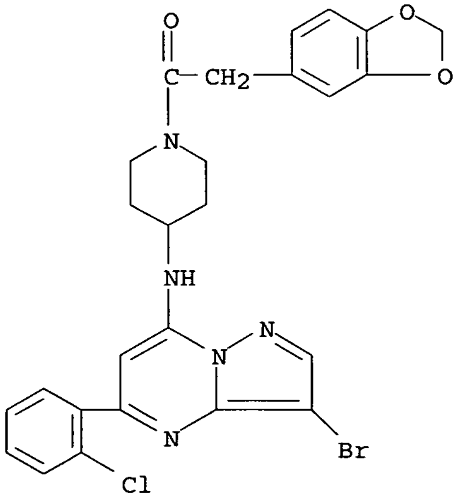
RN 677789-28-5 HCAPLUS
CN Acetamide, N-[3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



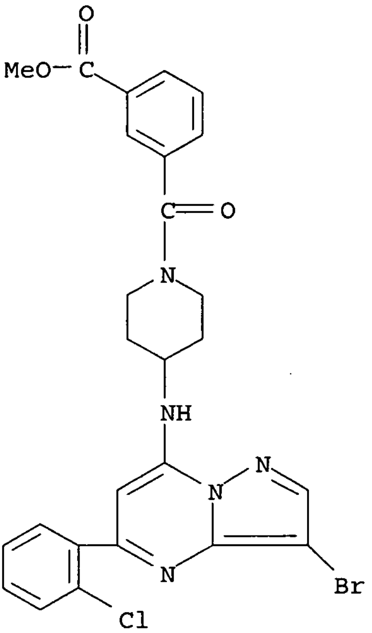
RN 677789-29-6 HCAPLUS
CN Acetamide, N-[4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



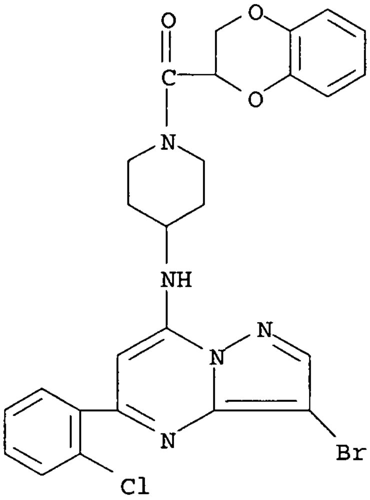
RN 677789-30-9 HCAPLUS
CN 4-Piperidinamine, 1-(1,3-benzodioxol-5-ylacetyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677789-31-0 HCAPLUS
CN Benzoic acid, 3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 677789-32-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677789-33-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(3-chlorophenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

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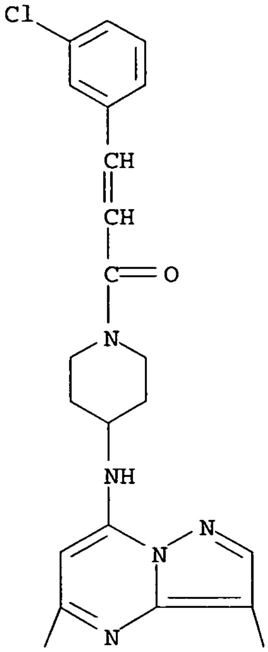

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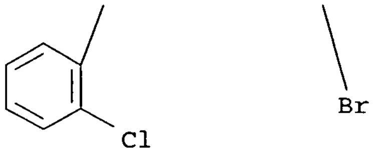
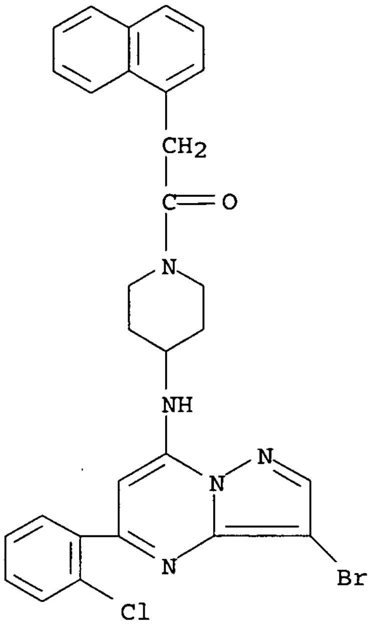
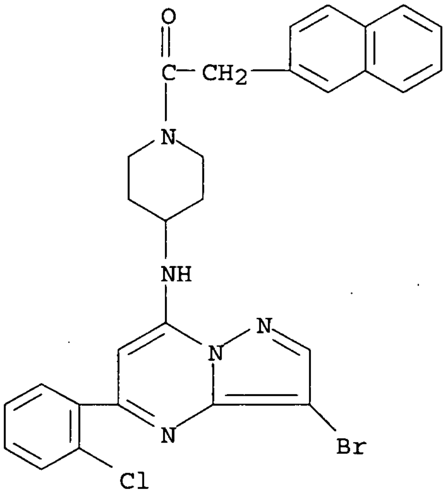


RN 677789-34-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-35-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-36-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(1H-indol-3-yl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

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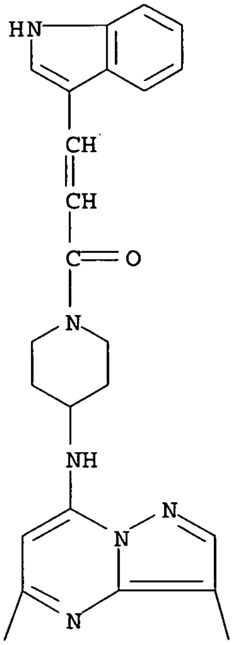

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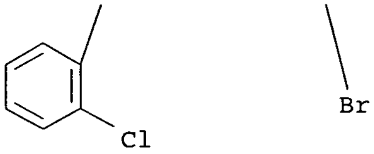
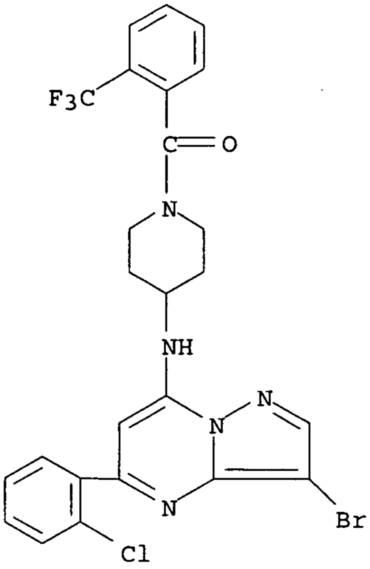
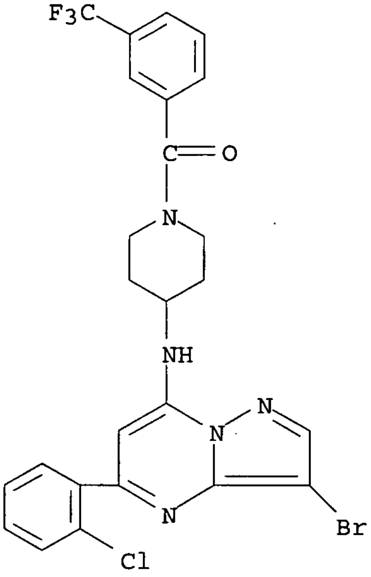


RN 677789-37-6 HCAPLUS

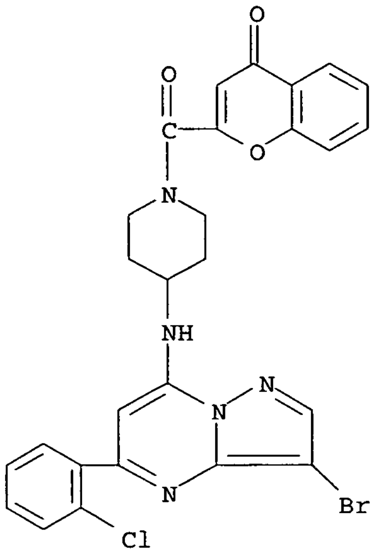
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[2-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



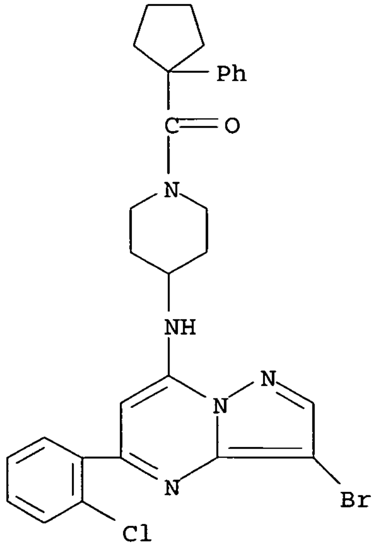
RN 677789-38-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



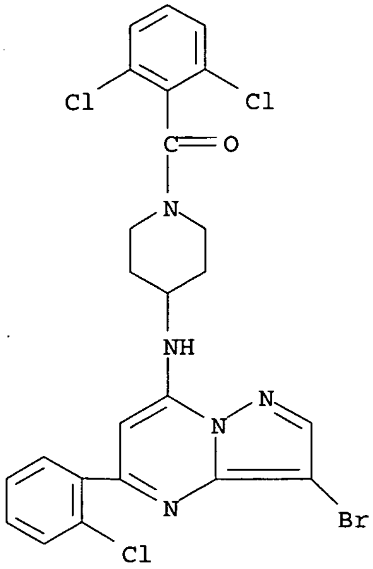
RN 677789-39-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-oxo-4H-1-benzopyran-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



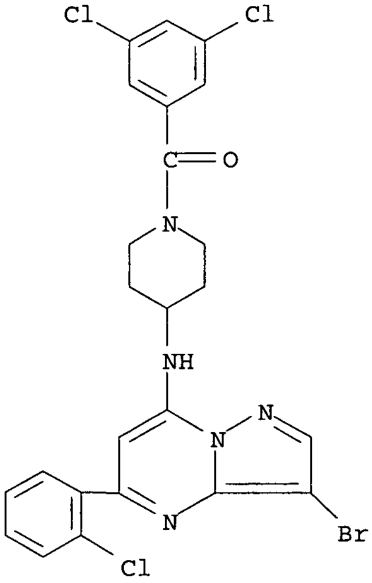
RN 677789-40-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-phenylcyclopentyl)carbonyl]- (9CI) (CA INDEX NAME)



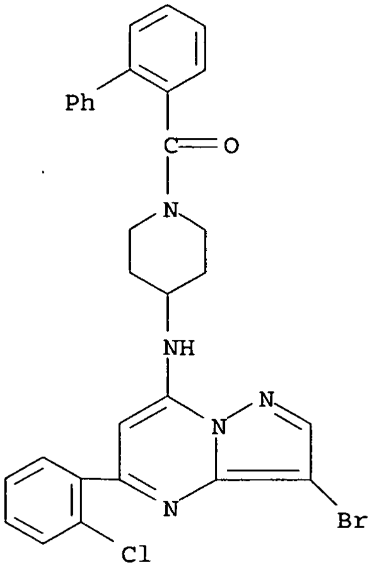
RN 677789-41-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2,6-dichlorobenzoyl)- (9CI) (CA INDEX NAME)



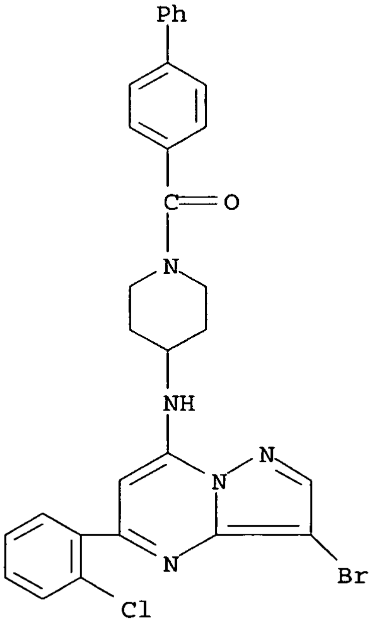
RN 677789-42-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3,5-dichlorobenzoyl)- (9CI) (CA INDEX NAME)



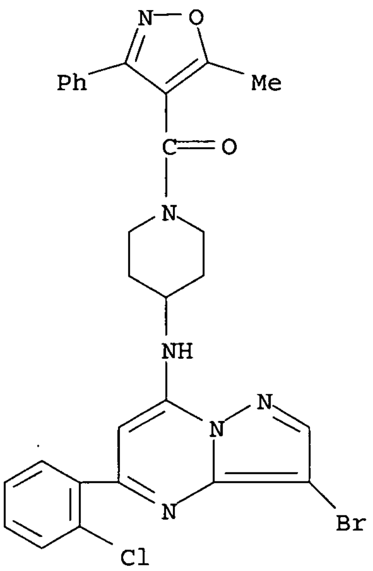
RN 677789-43-4 HCAPLUS
CN 4-Piperidinamine, 1-([1,1'-biphenyl]-2-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



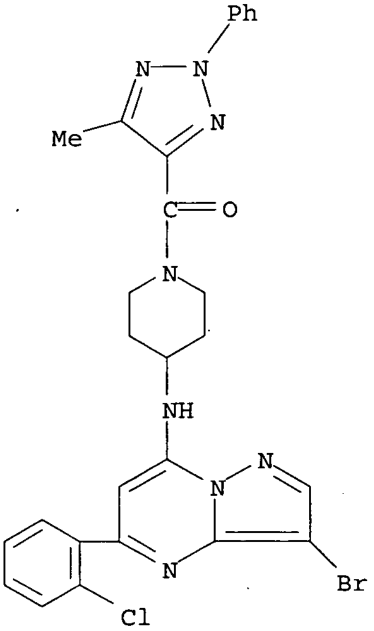
RN 677789-44-5 HCAPLUS
CN 4-Piperidinamine, 1-([1,1'-biphenyl]-4-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



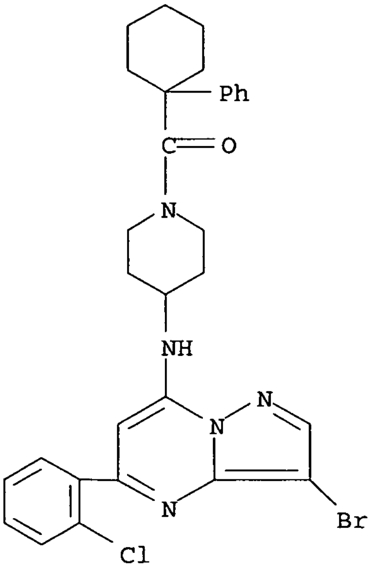
RN 677789-45-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]- (9CI) (CA INDEX NAME)



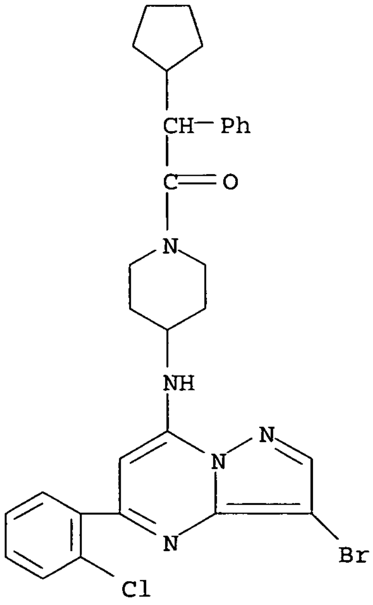
RN 677789-46-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677789-47-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-phenylcyclohexyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677789-48-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopentylphenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-49-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-cyclohexylbenzoyl)- (9CI) (CA INDEX NAME)

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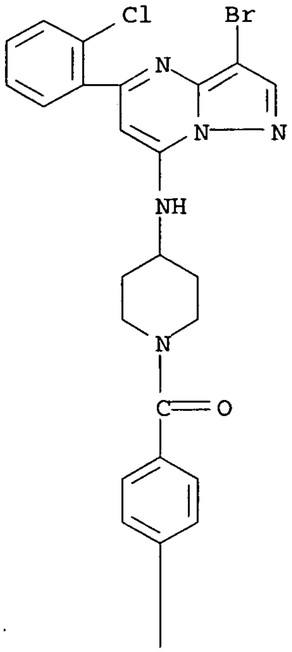

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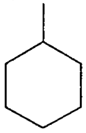

RN 677789-50-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-dichlorophenyl)acetyl]- (9CI) (CA INDEX NAME)

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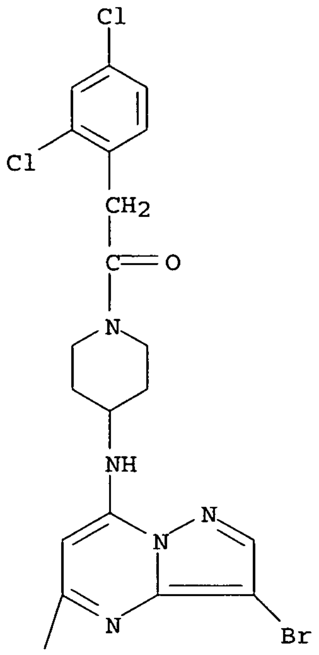

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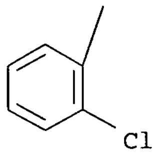

RN 677789-51-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dichlorophenyl)acetyl]- (9CI) (CA INDEX NAME)

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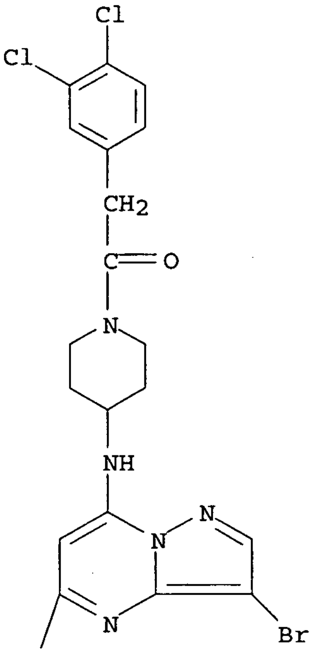

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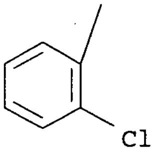
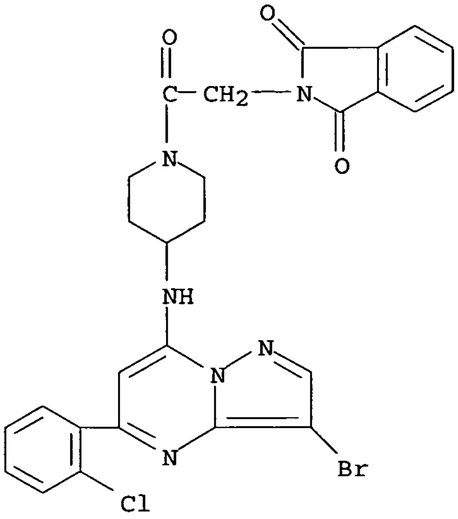
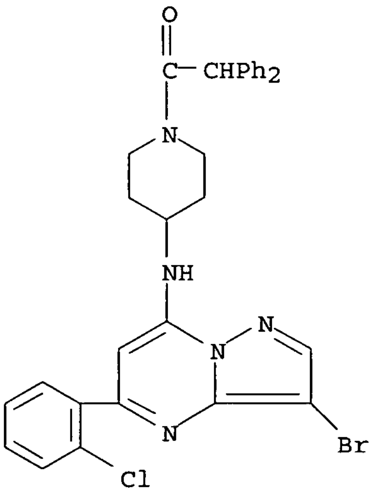


RN 677789-52-5 HCAPLUS

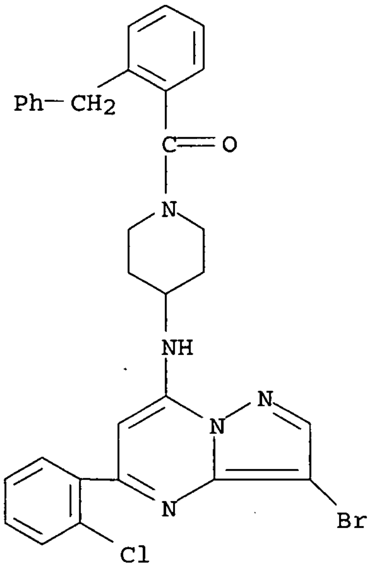
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]- (9CI) (CA INDEX NAME)



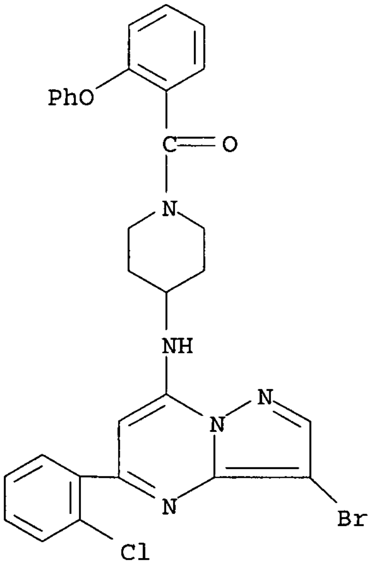
RN 677789-53-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(diphenylacetyl)- (9CI) (CA INDEX NAME)



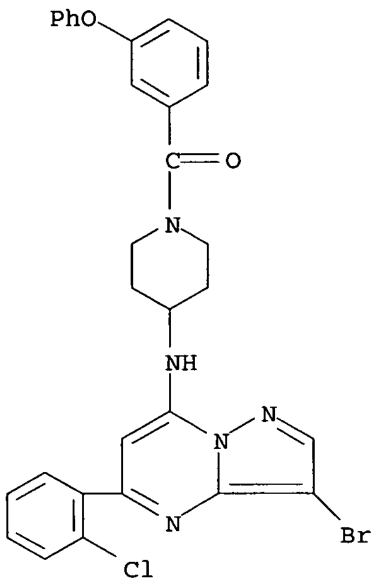
RN 677789-54-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[2-(phenylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



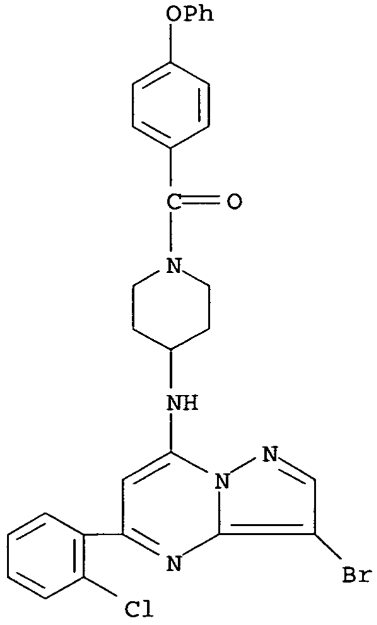
RN 677789-55-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-phenoxybenzoyl)- (9CI) (CA INDEX NAME)



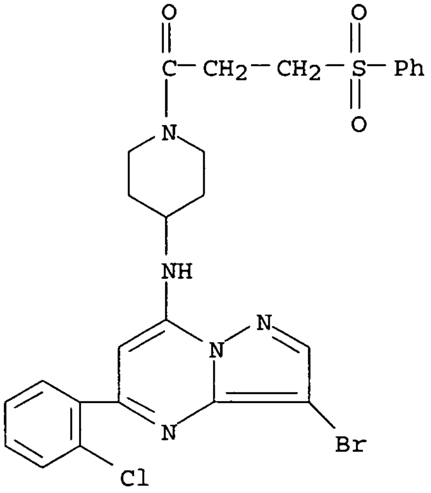
RN 677789-56-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-phenoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 677789-57-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-phenoxybenzoyl)- (9CI) (CA INDEX NAME)

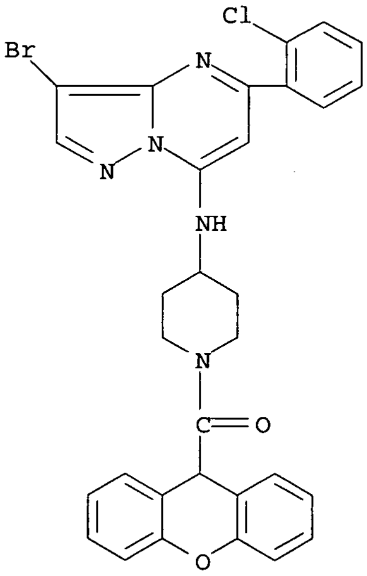


RN 677789-58-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(phenylsulfonyl)propyl]- (9CI) (CA INDEX NAME)



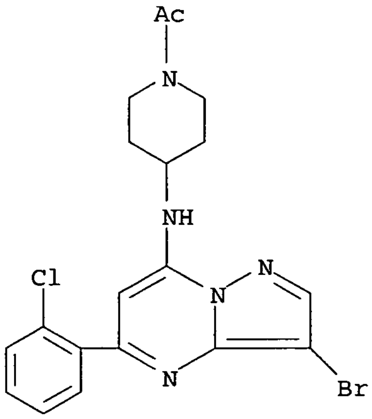
RN 677789-59-2 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(9H-xanthen-9-ylcarbonyl)- (9CI) (CA INDEX NAME)

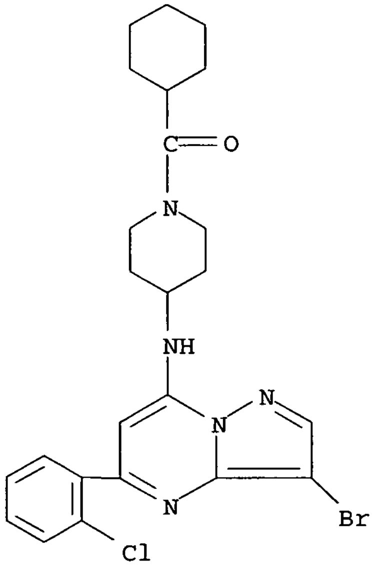


RN 677789-60-5 HCAPLUS

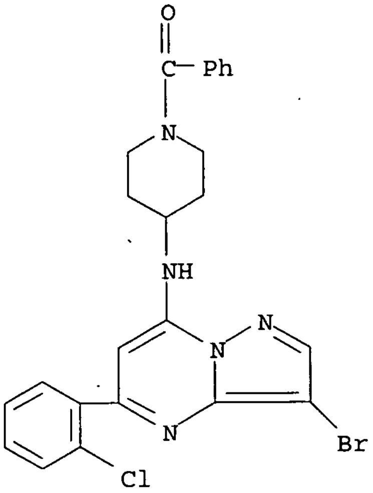
CN 4-Piperidinamine, 1-acetyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677789-61-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)

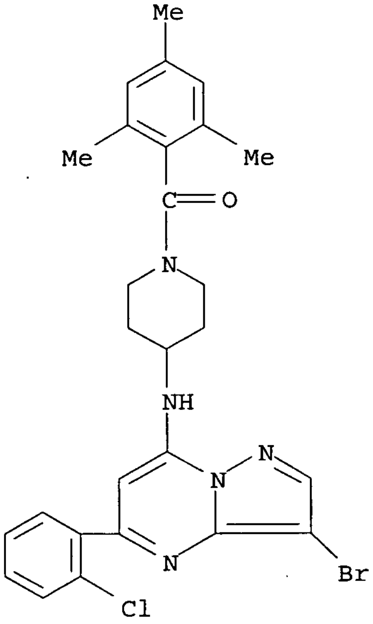


RN 677789-62-7 HCAPLUS
CN 4-Piperidinamine, 1-benzoyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677789-63-8 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2,4,6-trimethylbenzoyl)- (9CI) (CA INDEX NAME)



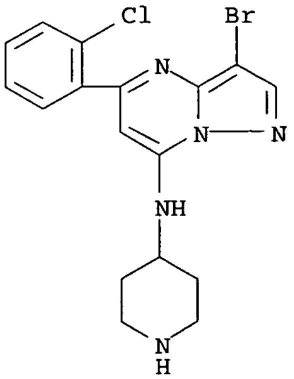
IT 672322-42-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672322-42-8 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



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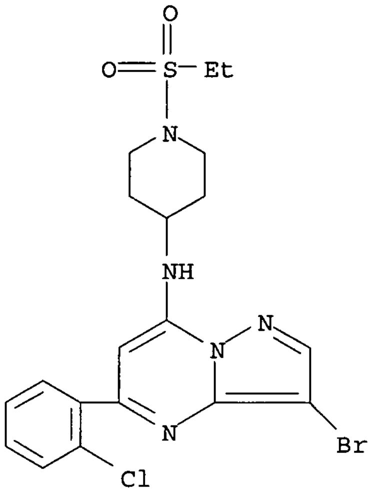
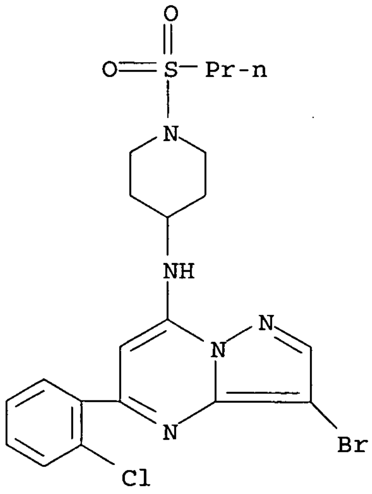
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors for treating cancer)

RN 677278-50-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

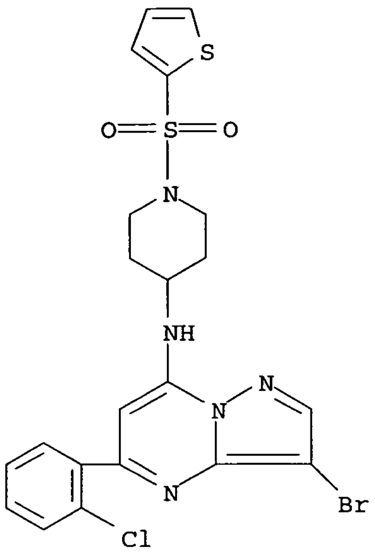


RN 677278-51-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(propylsulfonyl)- (9CI) (CA INDEX NAME)

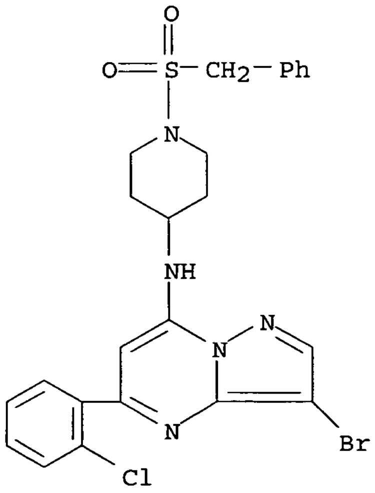


RN 677278-52-3 HCAPLUS

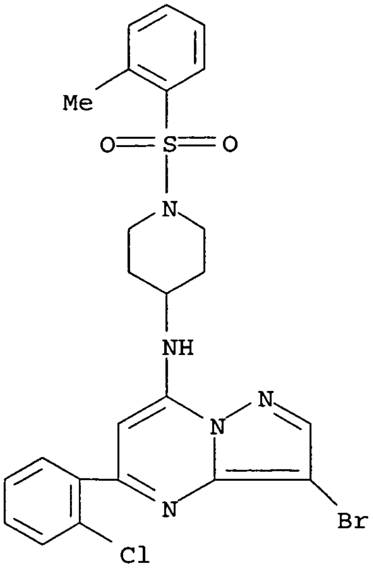
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)



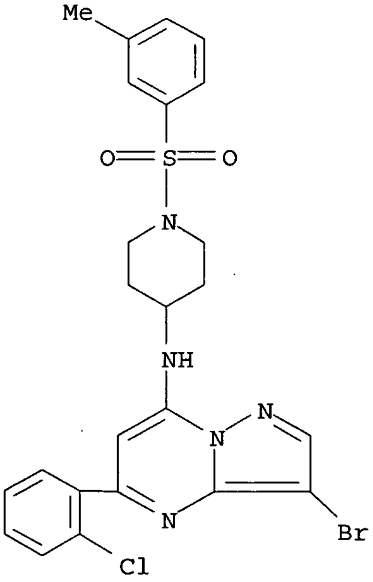
RN 677278-53-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



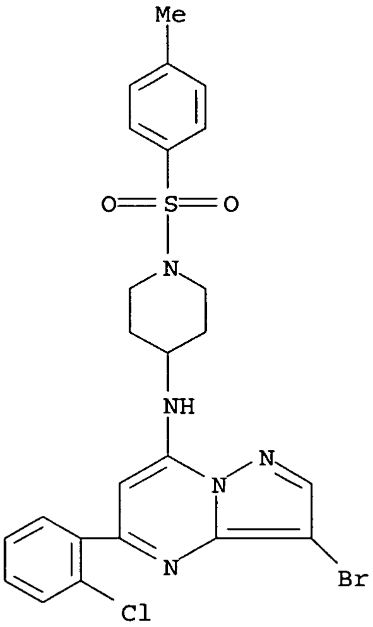
RN 677278-54-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



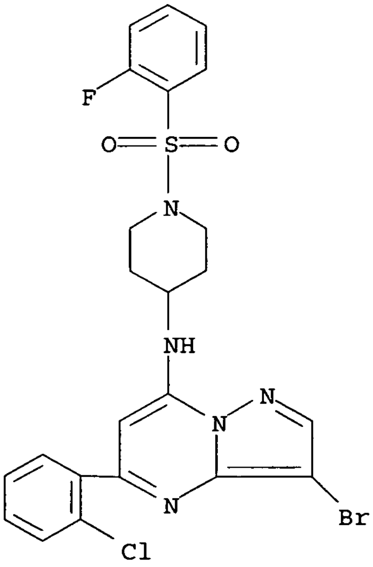
RN 677278-55-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



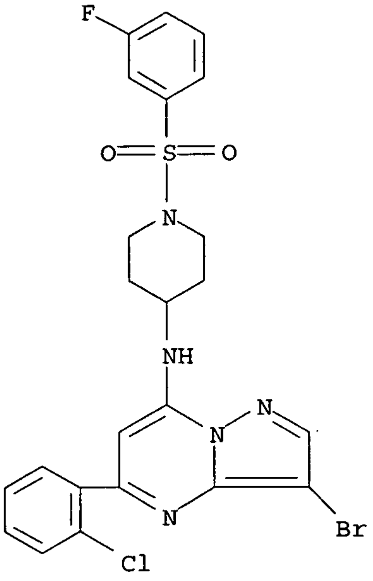
RN 677278-56-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



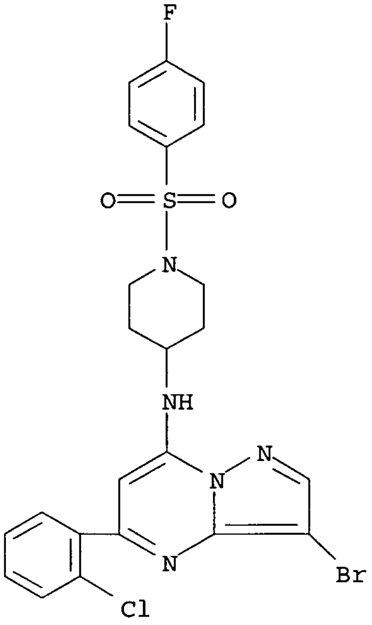
RN 677278-57-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



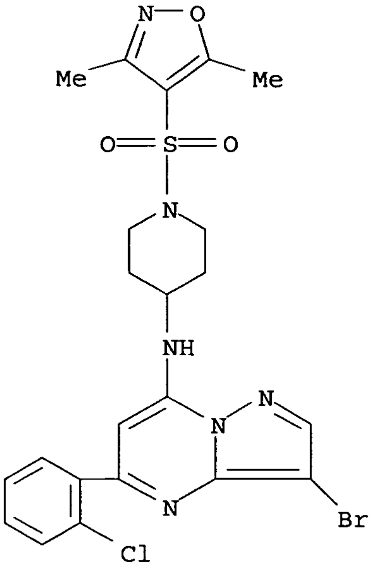
RN 677278-58-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



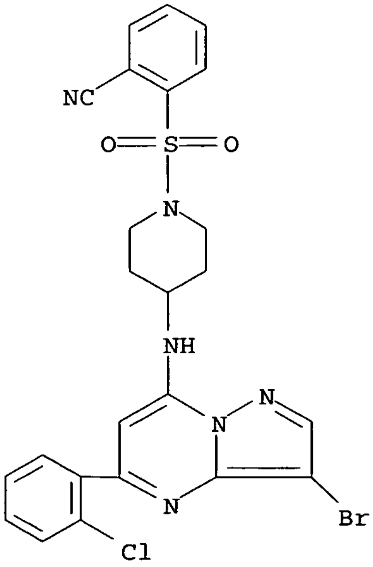
RN 677278-59-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



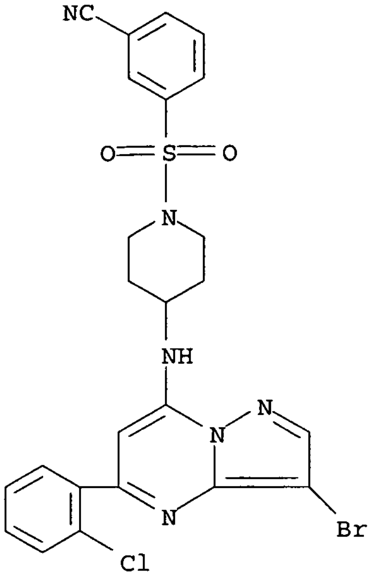
RN 677278-60-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]- (9CI) (CA INDEX NAME)



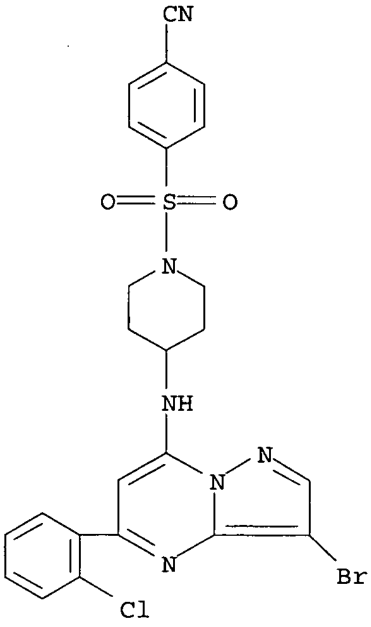
RN 677278-61-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



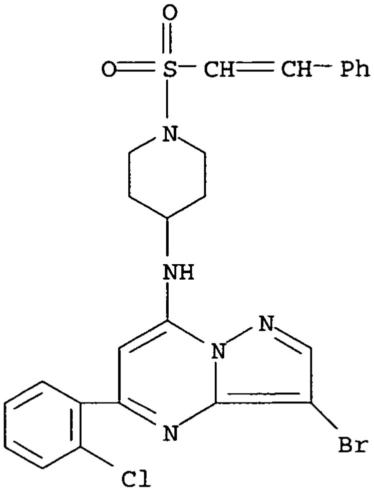
RN 677278-62-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



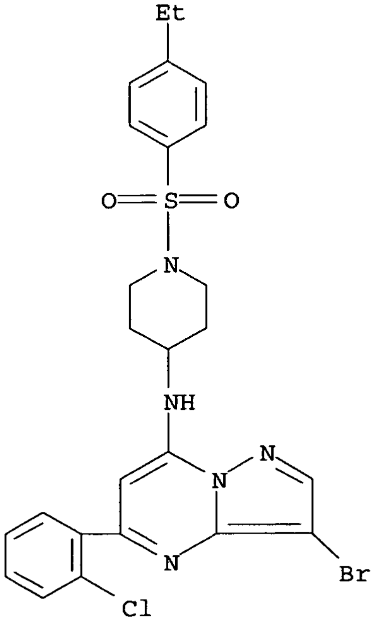
RN 677278-63-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



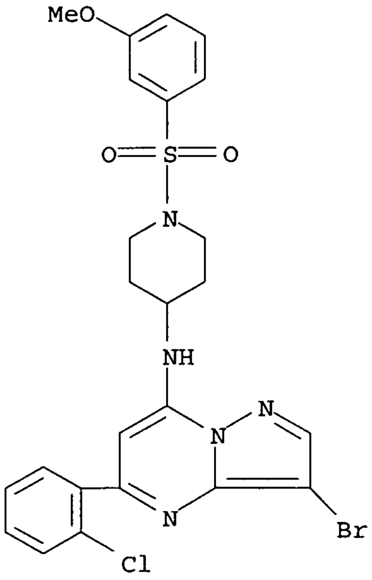
RN 677278-64-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-phenylethenyl)sulfonyl]- (9CI) (CA INDEX NAME)



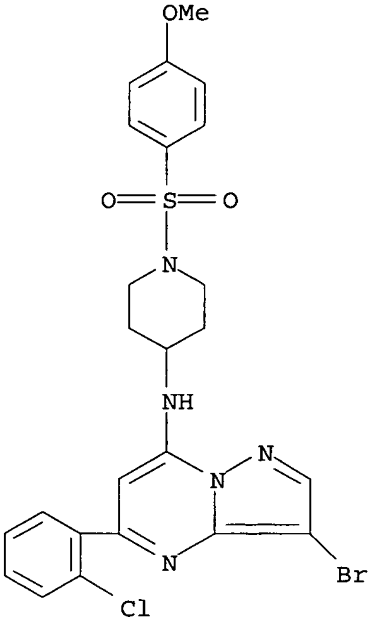
RN 677278-65-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-ethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



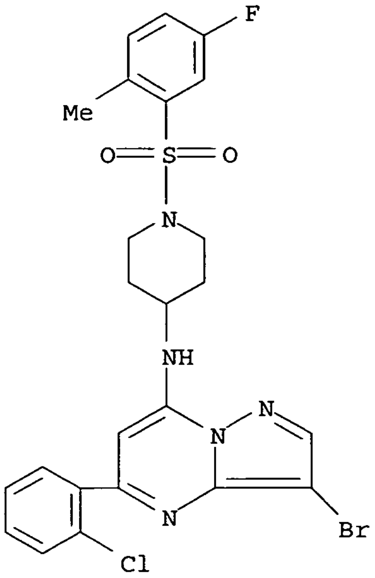
RN 677278-66-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



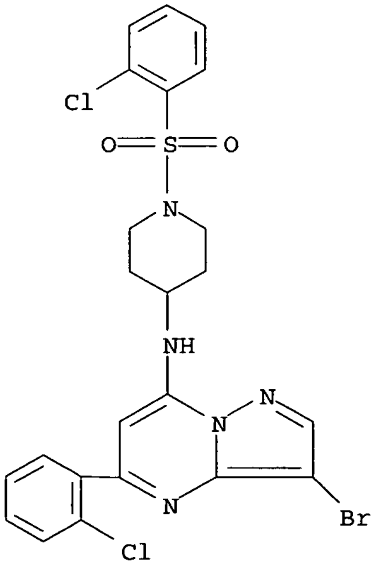
RN 677278-67-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



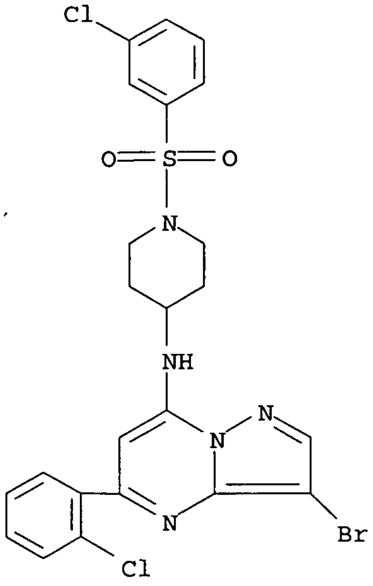
RN 677278-68-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-fluoro-2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



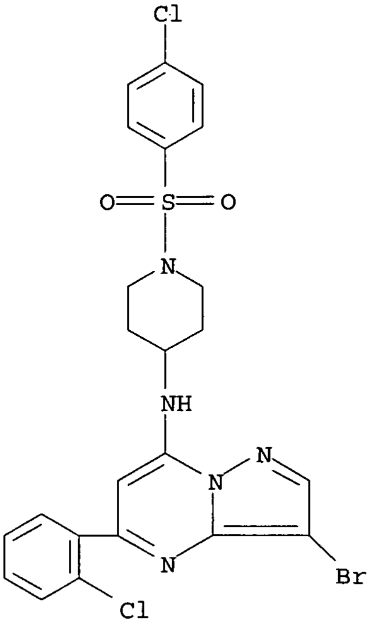
RN 677278-69-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



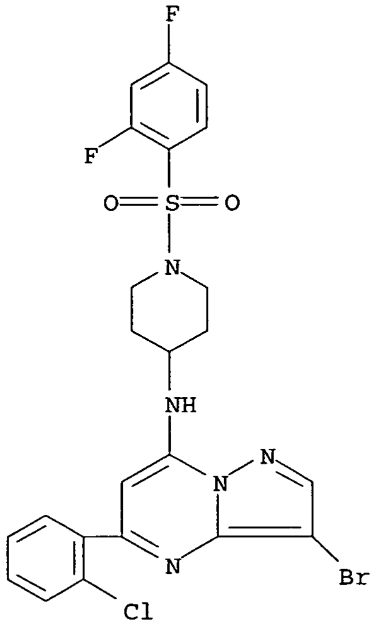
RN 677278-70-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



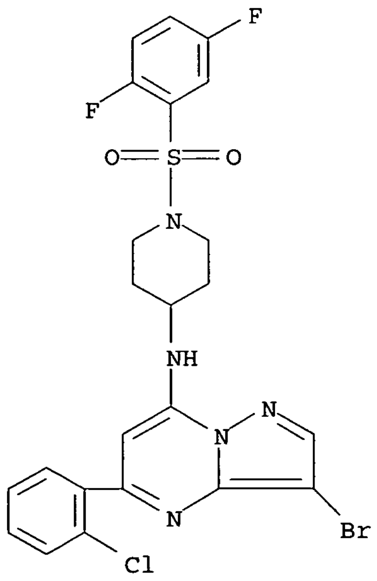
RN 677278-71-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



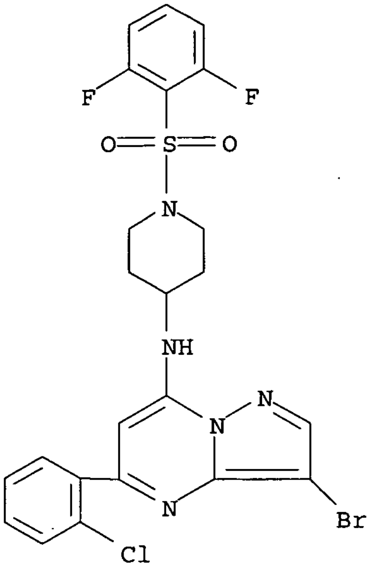
RN 677278-72-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



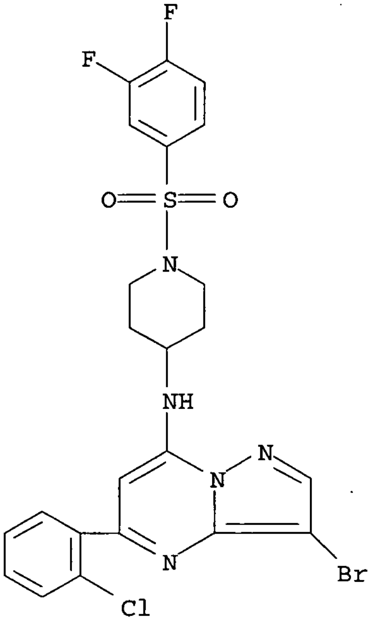
RN 677278-73-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



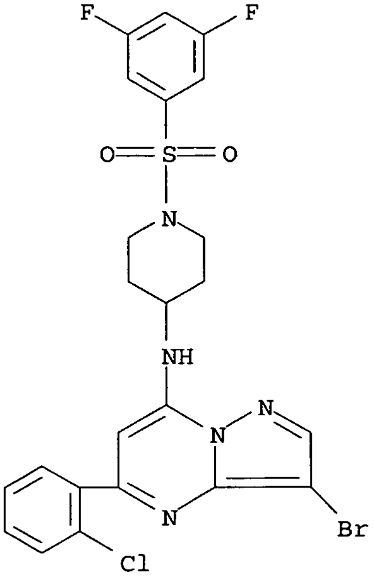
RN 677278-74-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,6-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



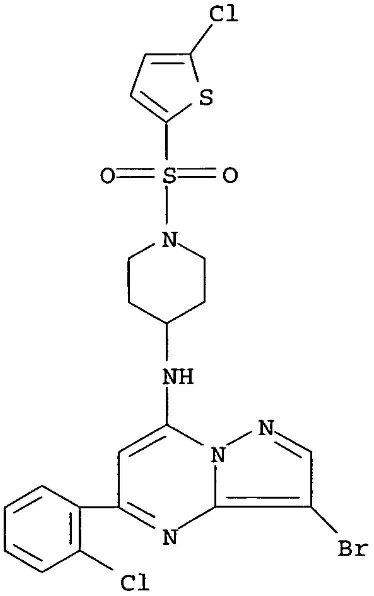
RN 677278-75-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



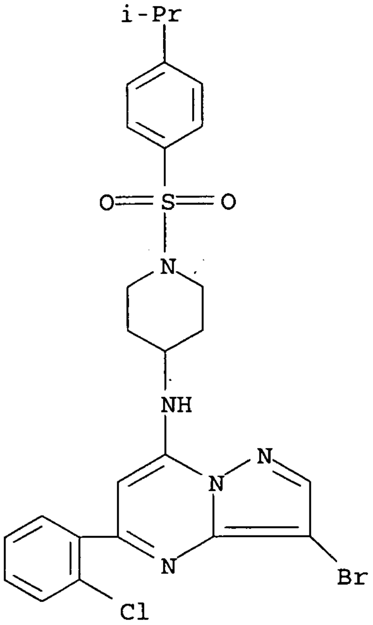
RN 677278-76-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-77-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-chloro-2-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-78-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-79-4 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[(4-chlorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

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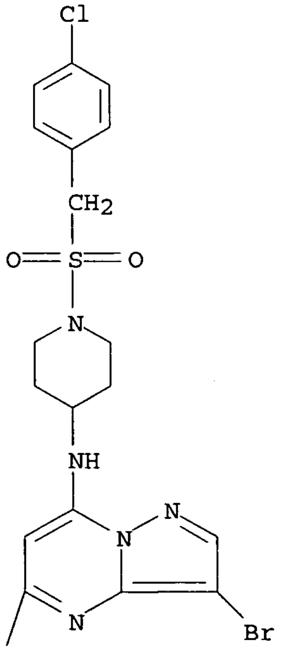
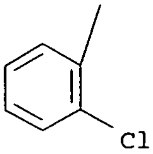
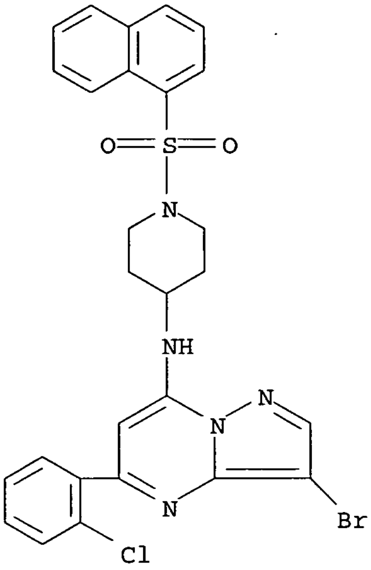


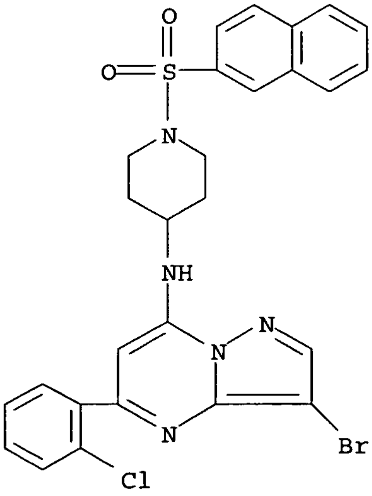
PAGE 2-A



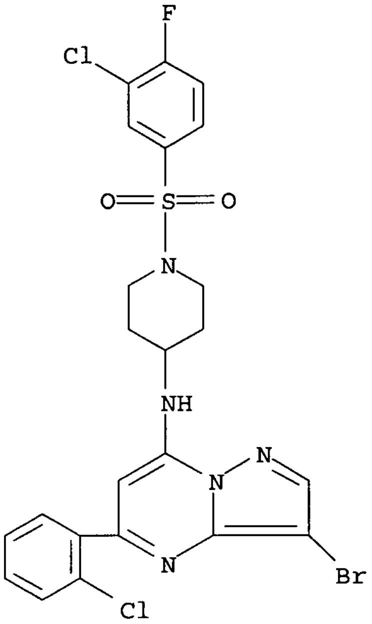
RN 677278-80-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



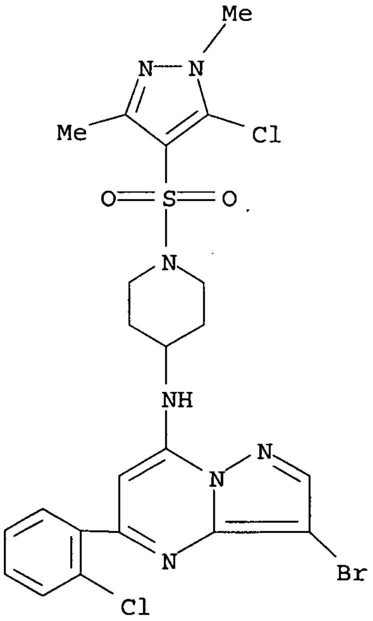
RN 677278-81-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



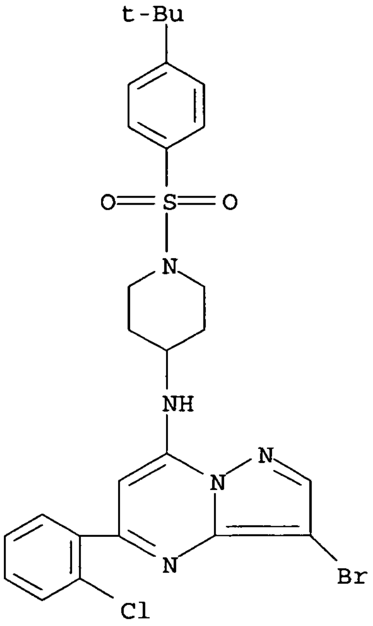
RN 677278-82-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-chloro-4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



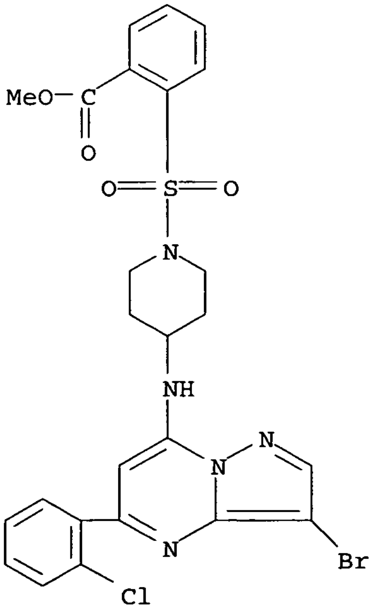
RN 677278-83-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-84-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

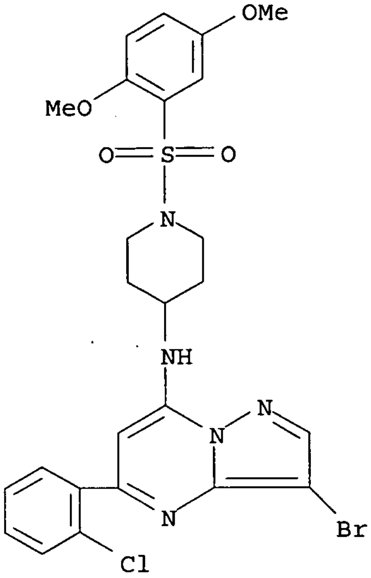


RN 677278-85-2 HCAPLUS
CN Benzoic acid, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

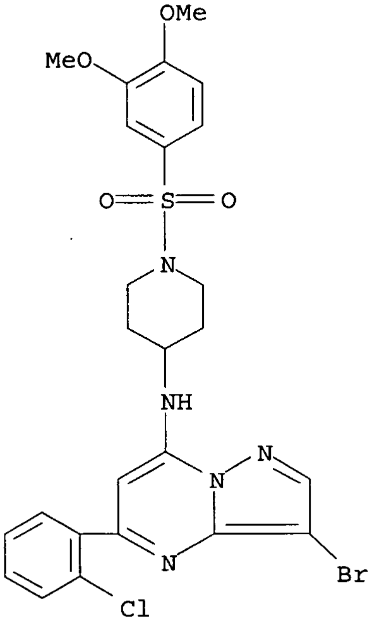


RN 677278-86-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-

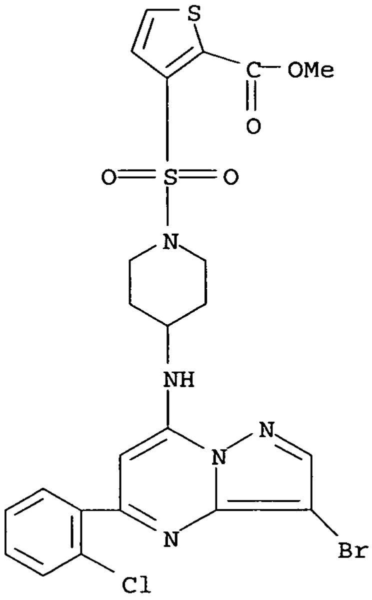
yl]-1-[(2,5-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



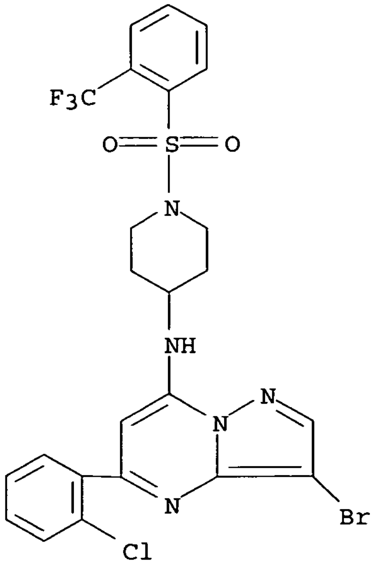
RN 677278-87-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



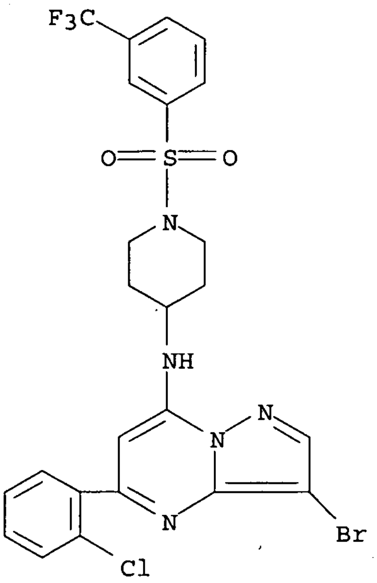
RN 677278-88-5 HCAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



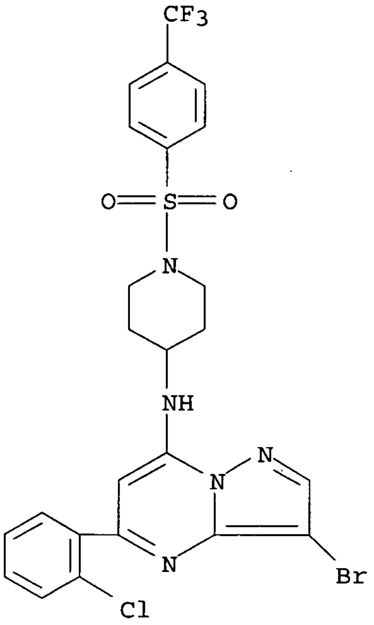
RN 677278-89-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[2-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



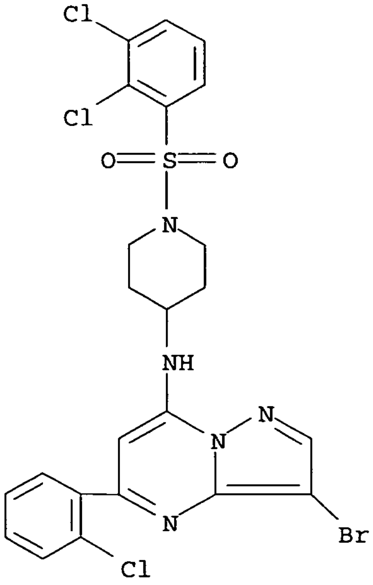
RN 677278-90-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



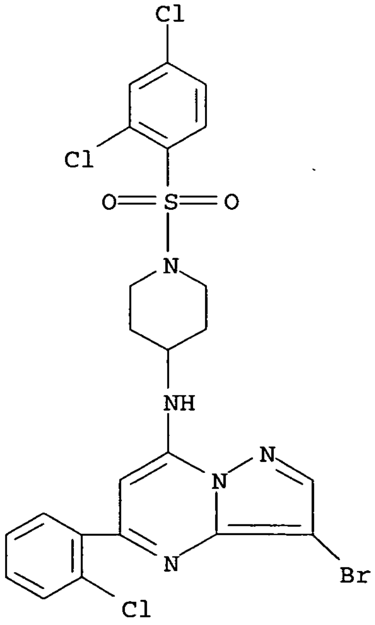
RN 677278-91-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



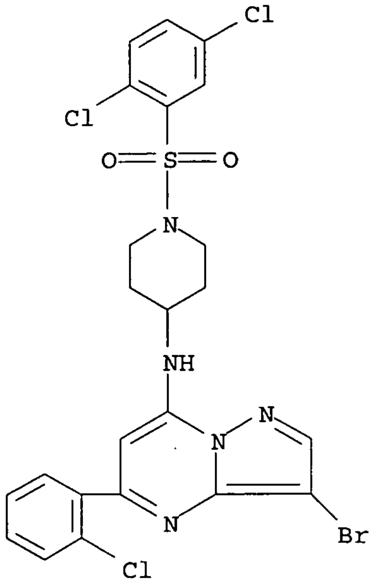
RN 677278-92-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



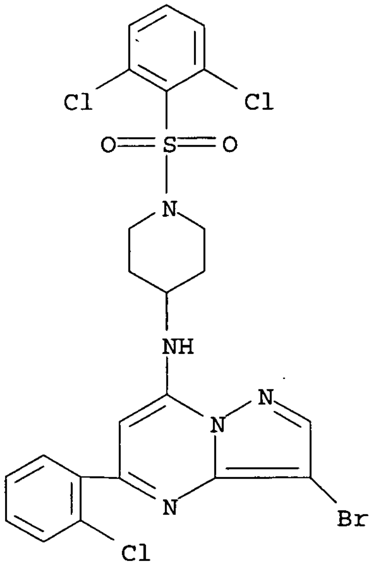
RN 677278-93-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



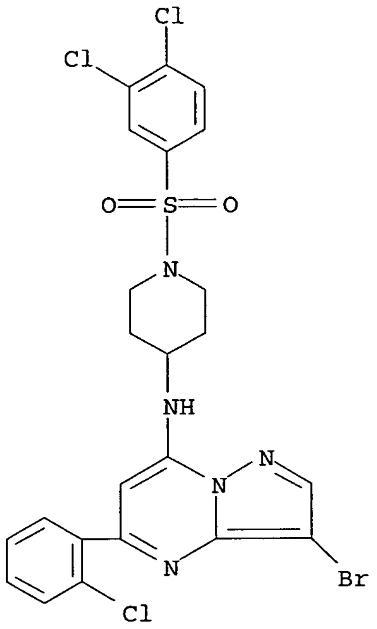
RN 677278-94-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



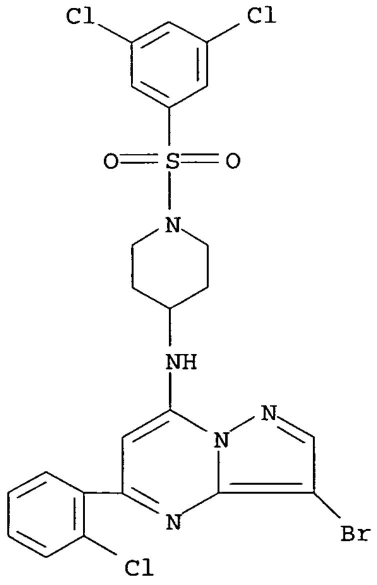
RN 677278-95-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,6-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-96-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-97-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-98-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1,1-dimethylpropyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

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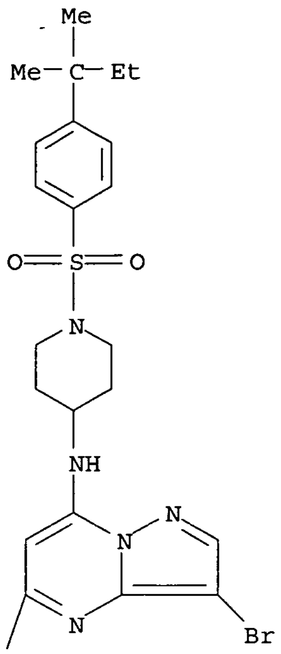

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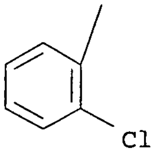
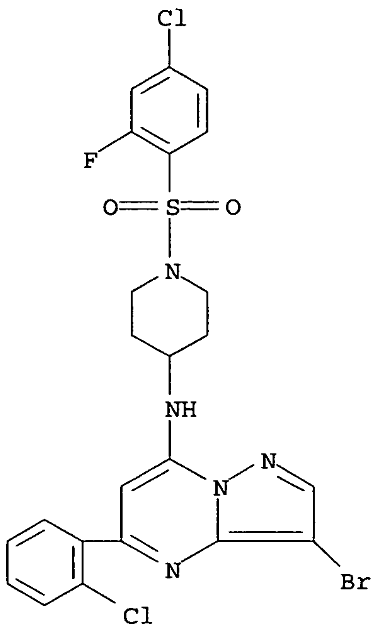
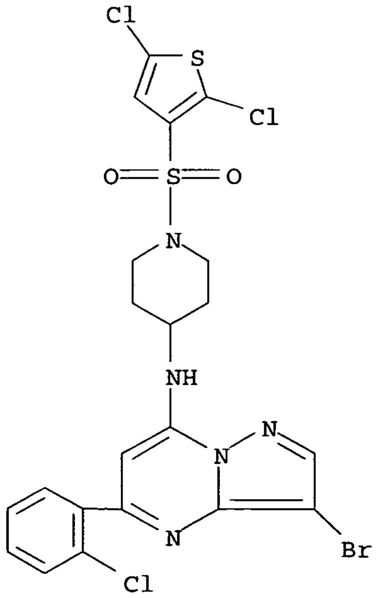


RN 677278-99-8 HCAPLUS

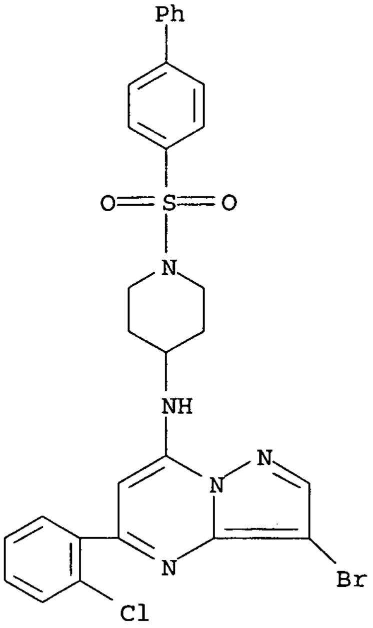
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-chloro-2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-00-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-dichloro-3-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)

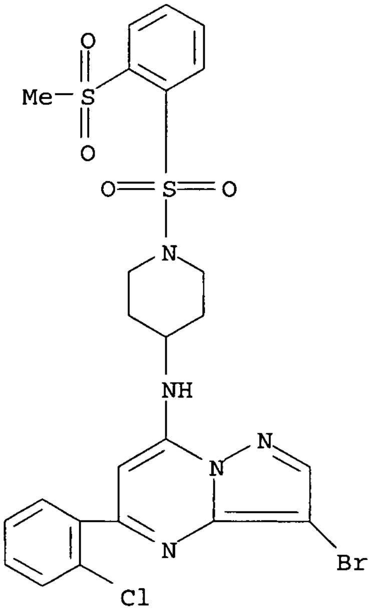


RN 677279-01-5 HCAPLUS
CN 4-Piperidinamine, 1-([1,1'-biphenyl]-4-ylsulfonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677279-02-6 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[2-(methylsulfonyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-03-7 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(methylsulfonyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

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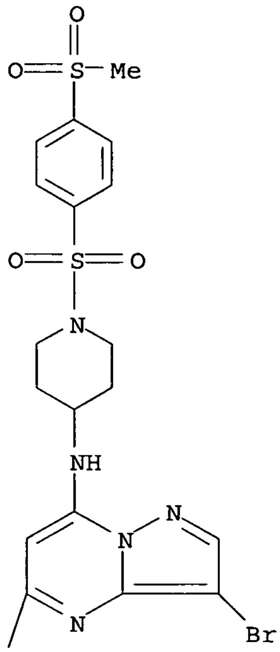

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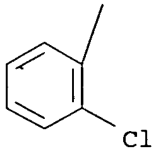
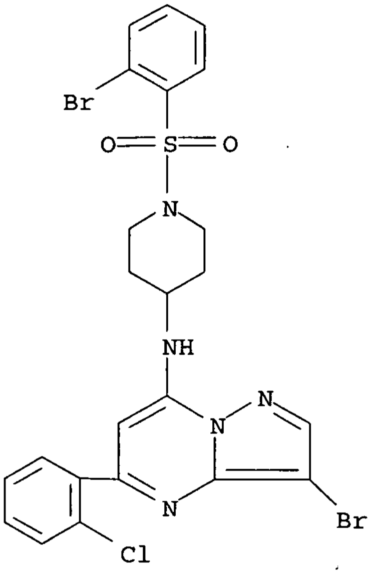
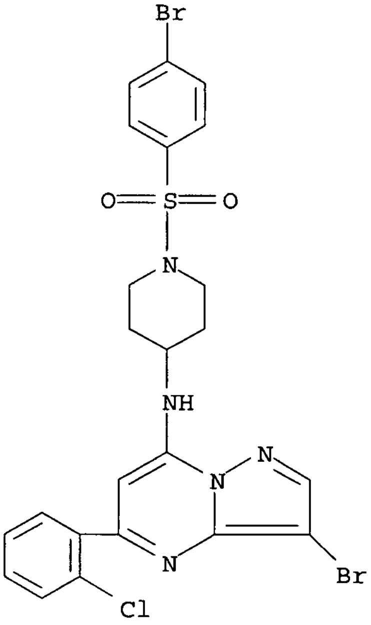


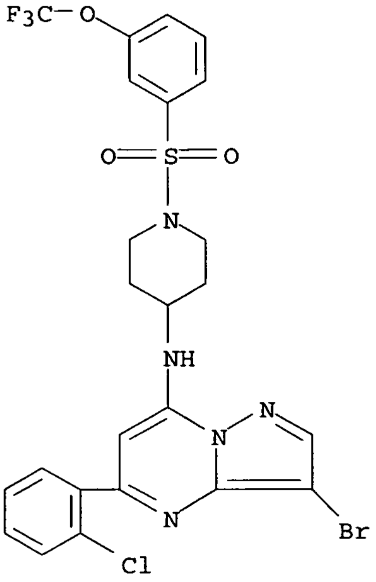
RN 677279-04-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-bromophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



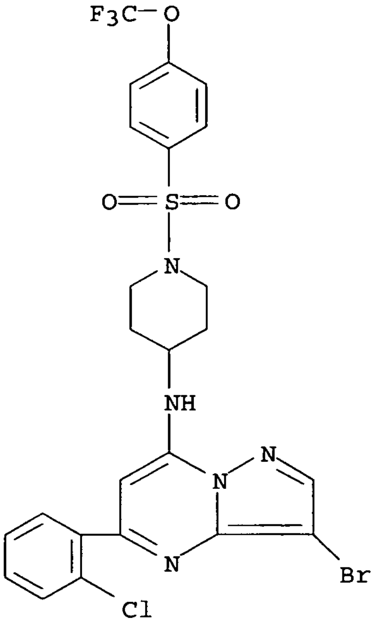
RN 677279-05-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-bromophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-06-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[3-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-07-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-08-2 HCAPLUS
CN Benzenepropanoic acid, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

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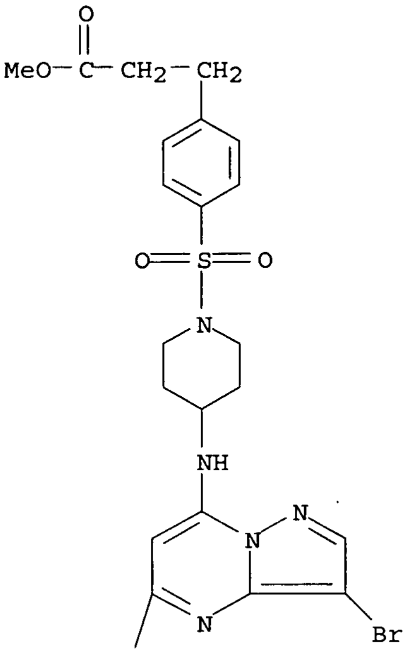

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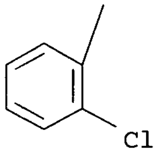
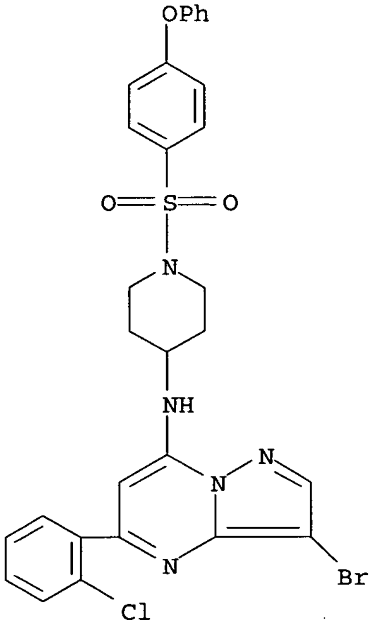
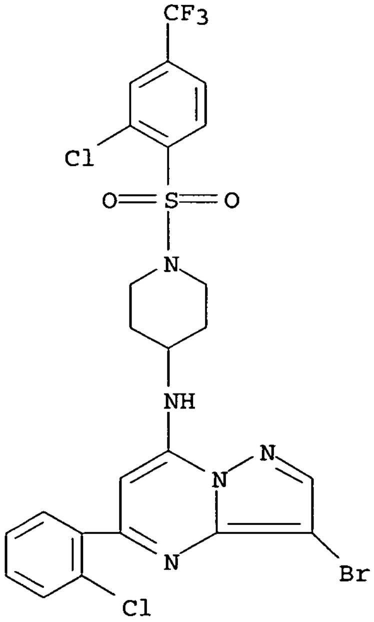


RN 677279-09-3 HCAPLUS

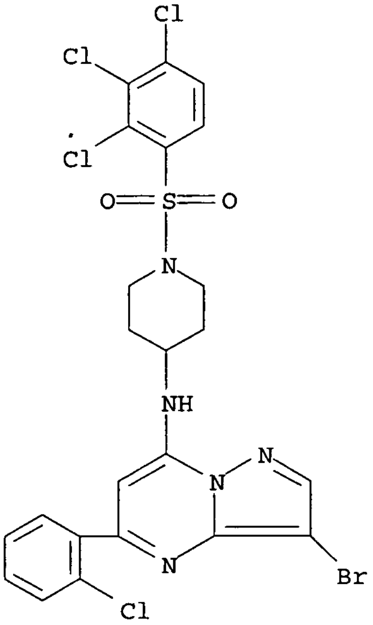
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-phenoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



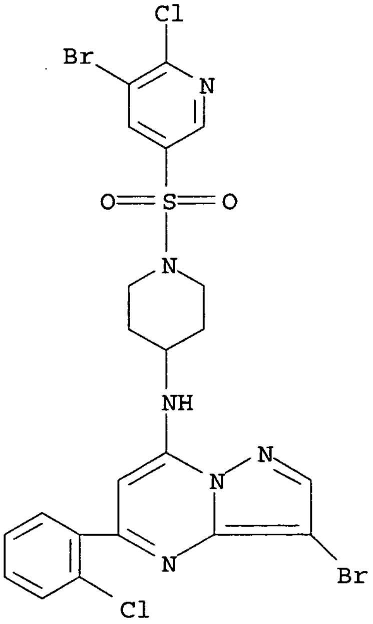
RN 677279-10-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[2-chloro-4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



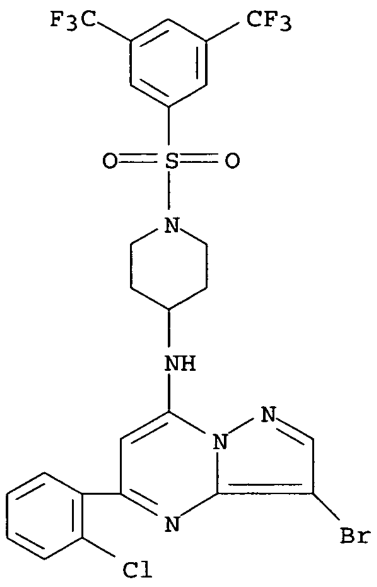
RN 677279-11-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3,4-trichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



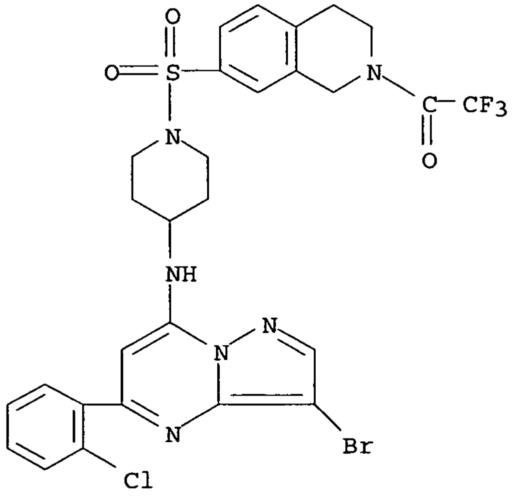
RN 677279-12-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-bromo-6-chloro-3-pyridinyl)sulfonyl]- (9CI) (CA INDEX NAME)



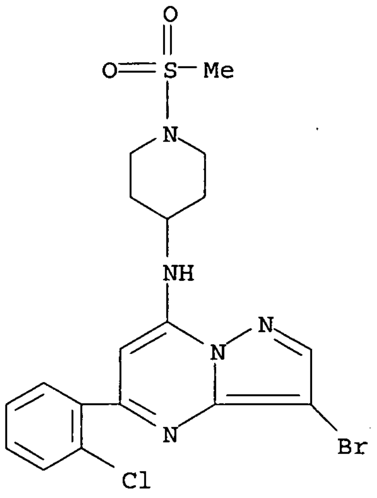
RN 677279-13-9 HCAPLUS
CN 4-Piperidinamine, 1-[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677279-14-0 HCAPLUS
CN Isoquinoline, 7-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-1,2,3,4-tetrahydro-2-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

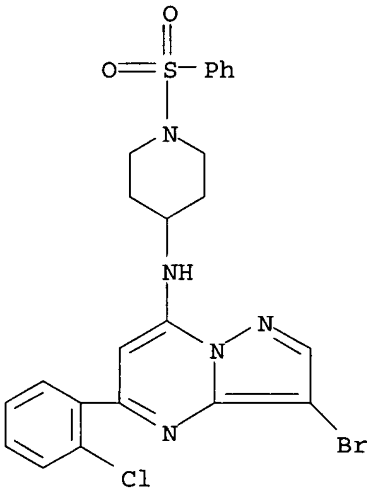


RN 677279-15-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



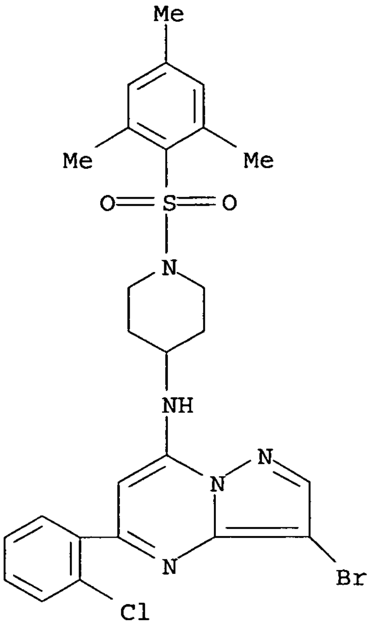
RN 677279-16-2 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

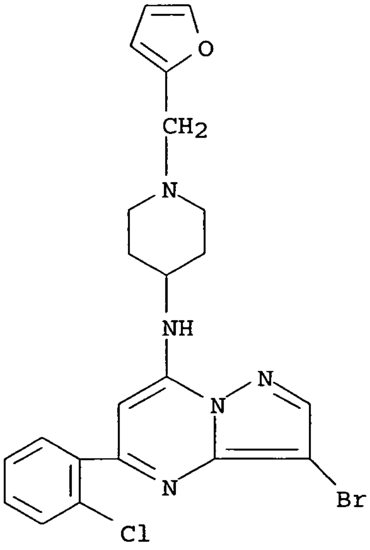


RN 677279-17-3 HCAPLUS

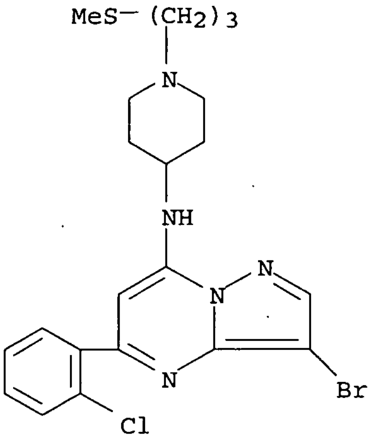
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



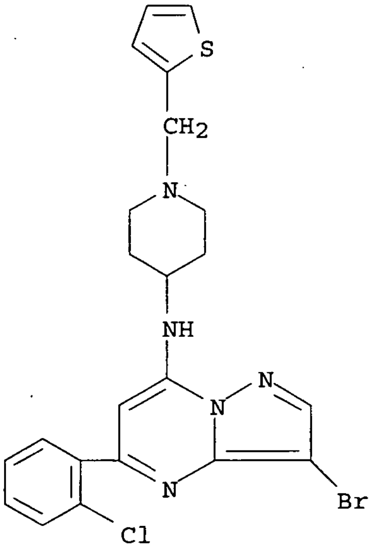
RN 677287-13-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-furanylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



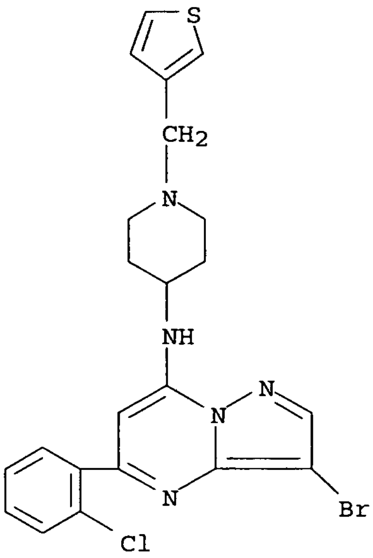
RN 677287-14-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(methylthio)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



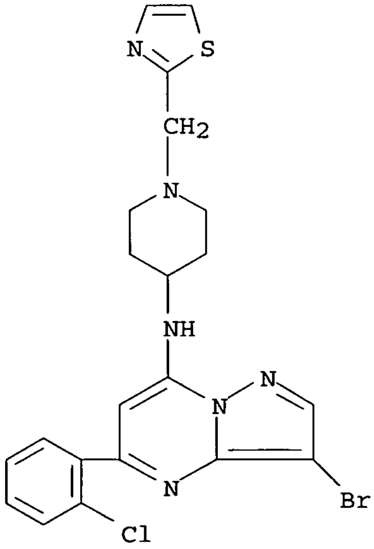
RN 677287-15-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-thienylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



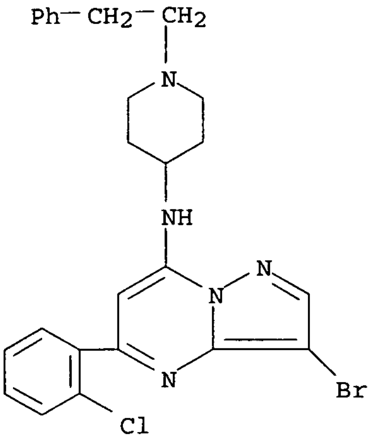
RN 677287-16-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-thienylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



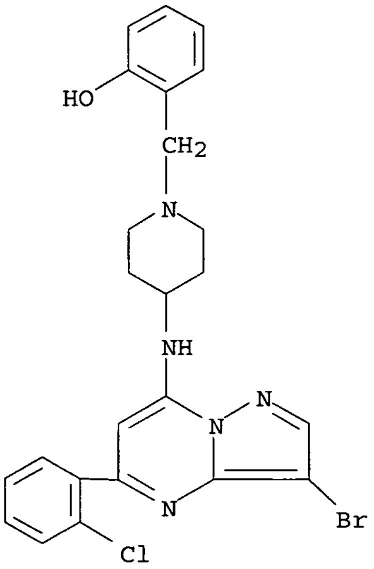
RN 677287-17-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-thiazolylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



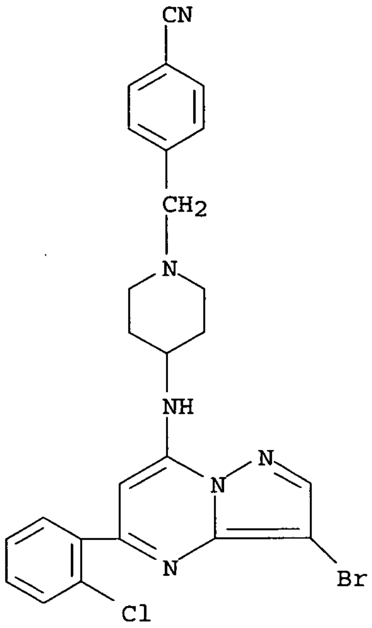
RN 677287-18-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



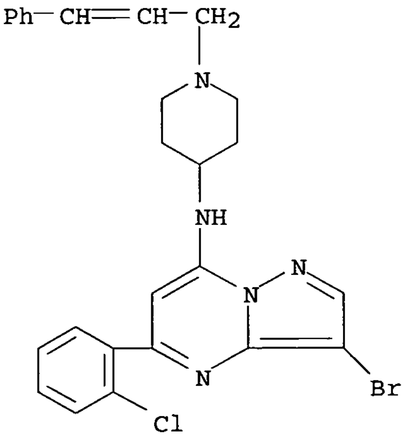
RN 677287-19-3 HCAPLUS
CN Phenol, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



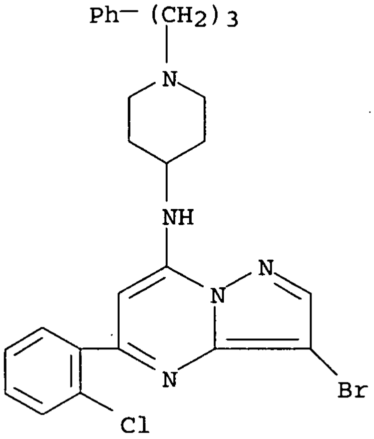
RN 677287-20-6 HCAPLUS
CN Benzonitrile, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



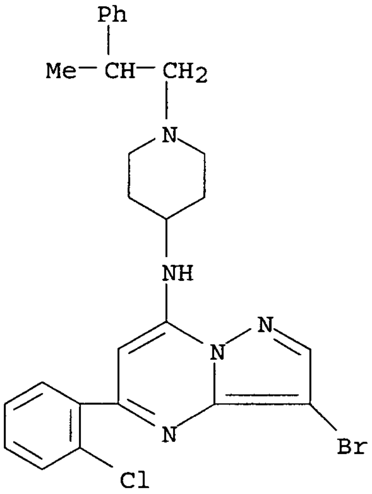
RN 677287-21-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-phenyl-2-propenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



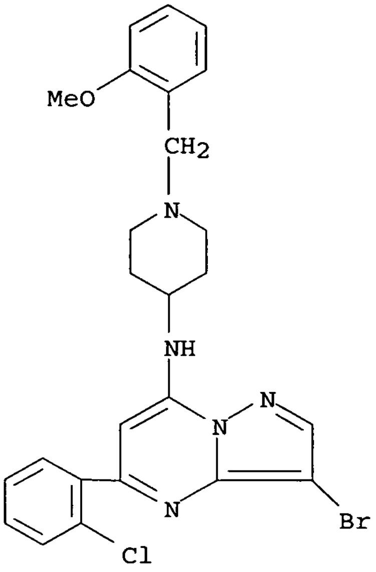
RN 677287-22-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-phenylpropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



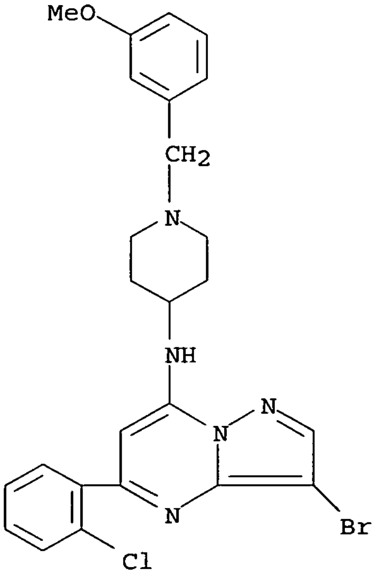
RN 677287-23-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-phenylpropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



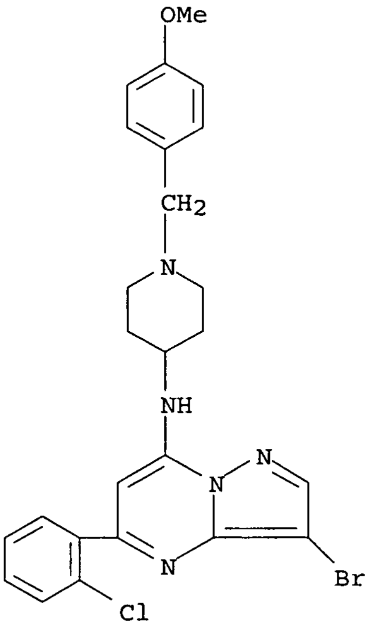
RN 677287-24-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



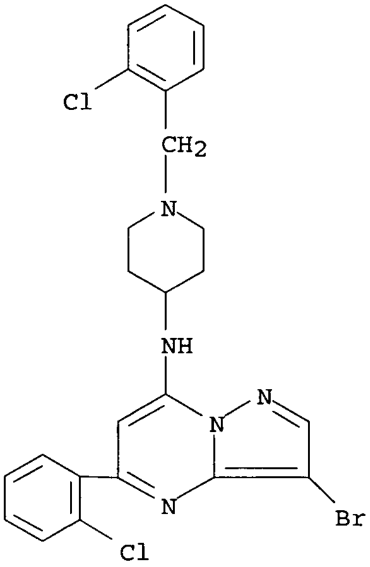
RN 677287-25-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



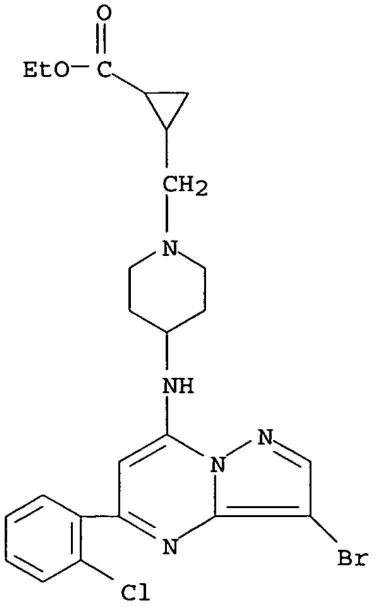
RN 677287-26-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



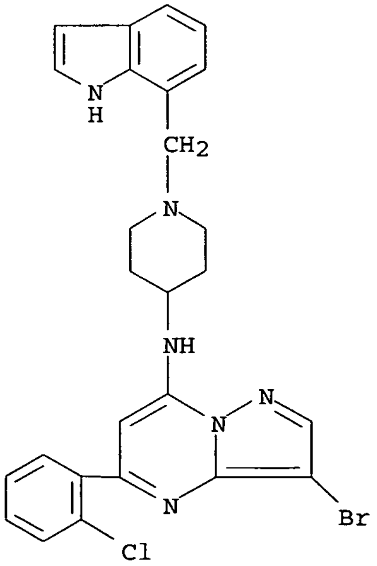
RN 677287-27-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



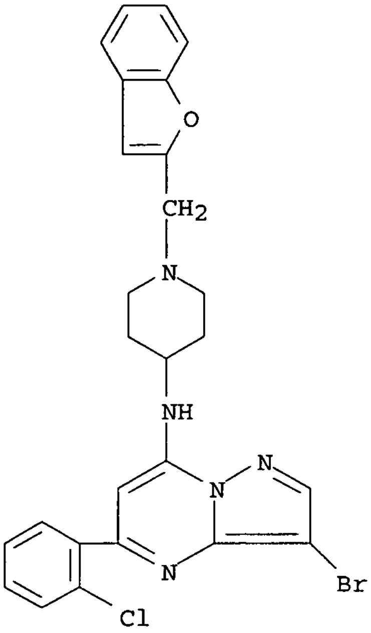
RN 677287-28-4 HCAPLUS
CN Cyclopropanecarboxylic acid, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



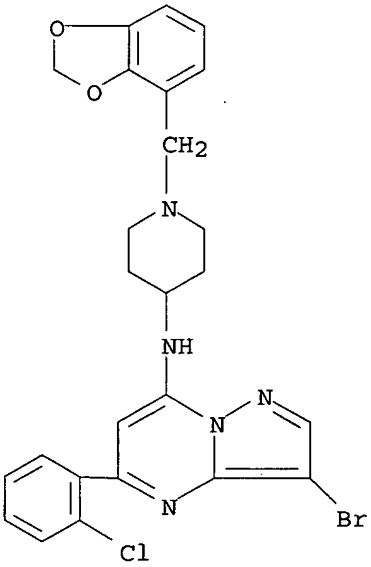
RN 677287-29-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(1H-indol-7-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



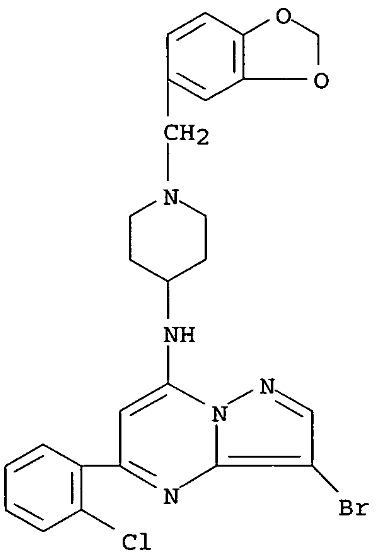
RN 677287-30-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(2-benzofuranylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-31-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(1,3-benzodioxol-4-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

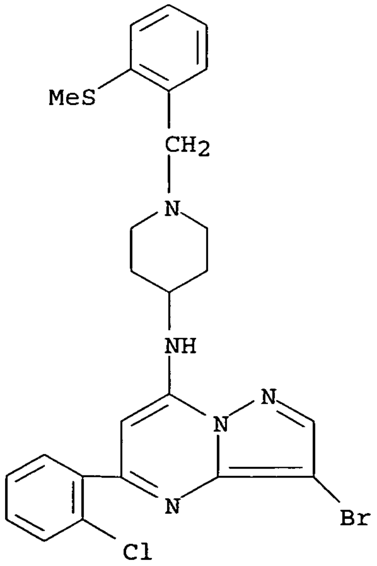


RN 677287-32-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



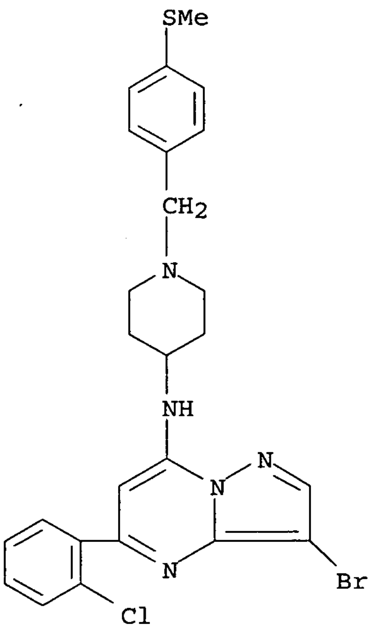
RN 677287-33-1 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-(methylthio)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

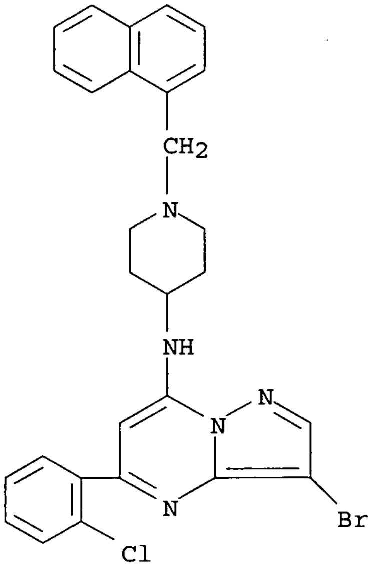


RN 677287-34-2 HCAPLUS

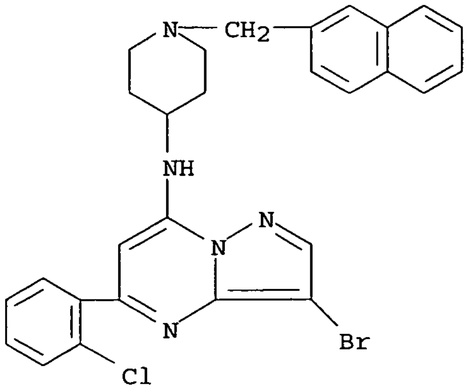
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(methylthio)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



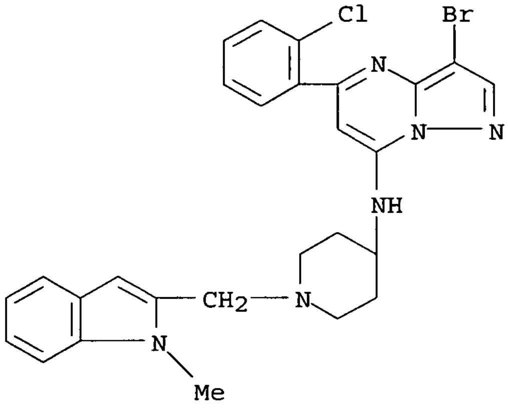
RN 677287-35-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(1-naphthalenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



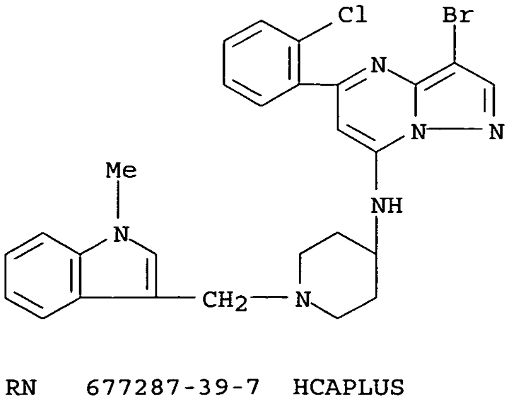
RN 677287-36-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-naphthalenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



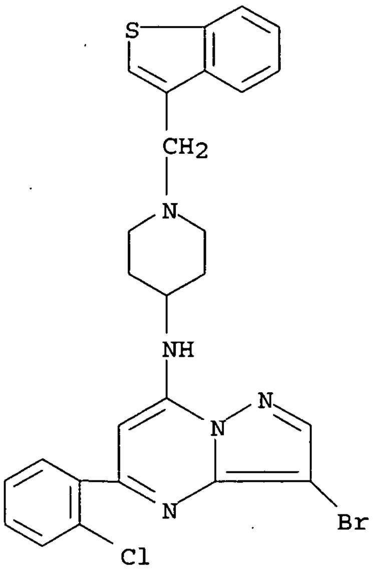
RN 677287-37-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(1-methyl-1H-indol-2-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-38-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-39-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(benzo[b]thien-3-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-40-0 HCAPLUS

CN Benzoic acid, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

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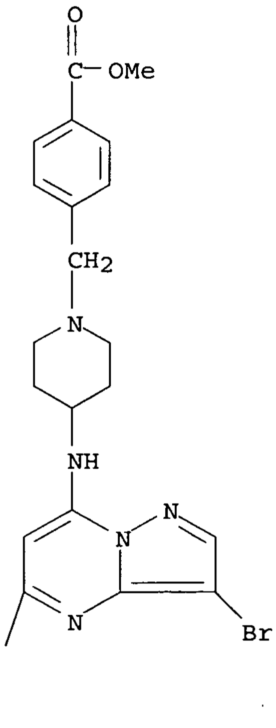

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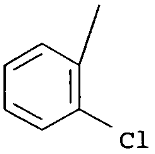
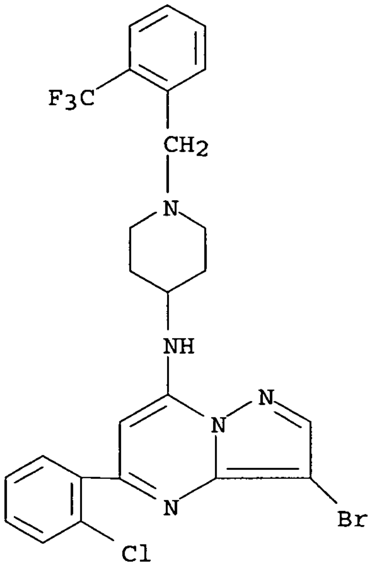


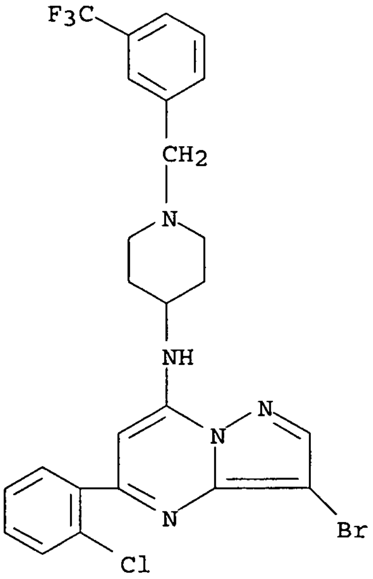
RN 677287-41-1 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

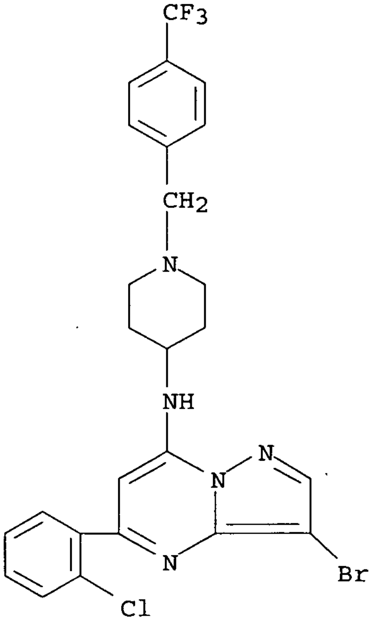


RN 677287-42-2 HCAPLUS

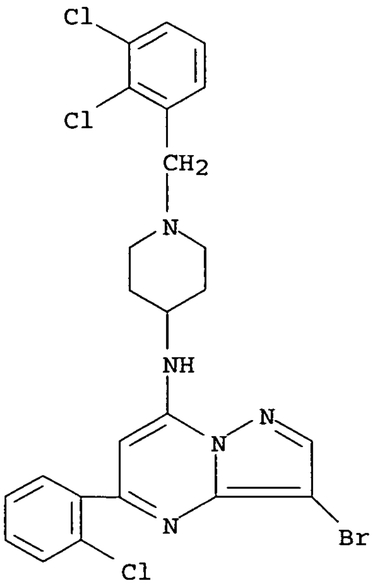
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[3-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



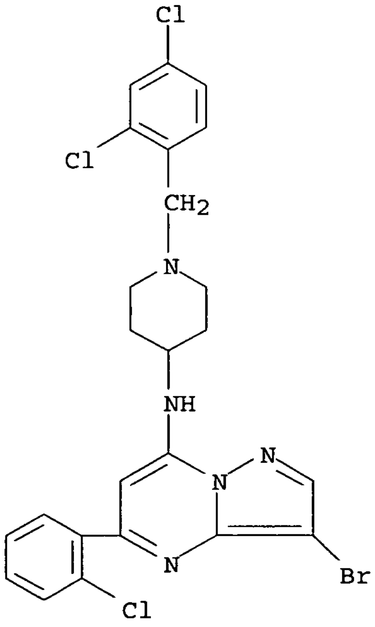
RN 677287-43-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



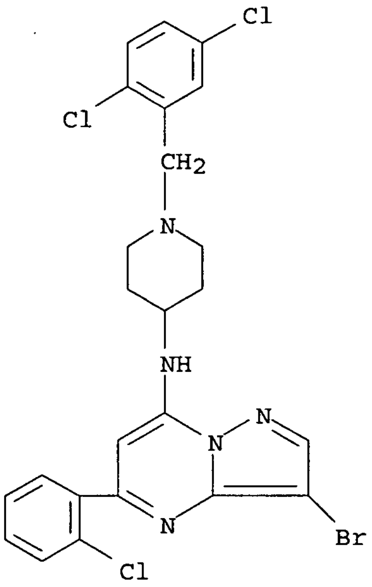
RN 677287-44-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,3-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



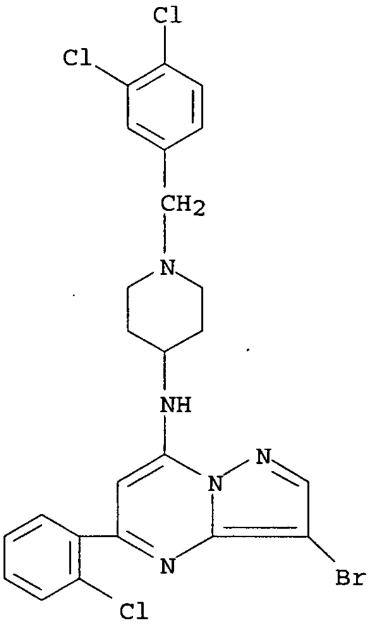
RN 677287-45-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



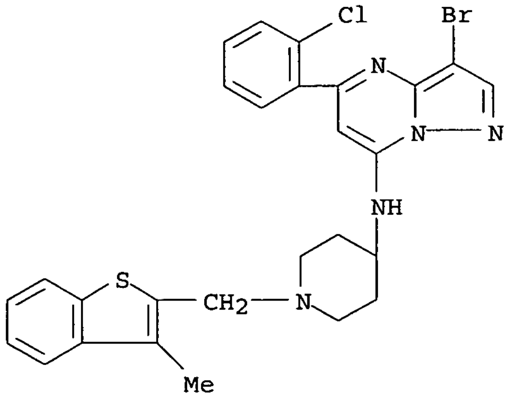
RN 677287-46-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,5-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-47-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

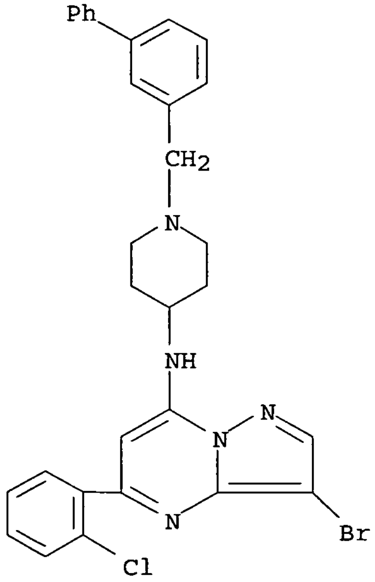


RN 677287-48-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-methylbenzo[b]thien-2-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



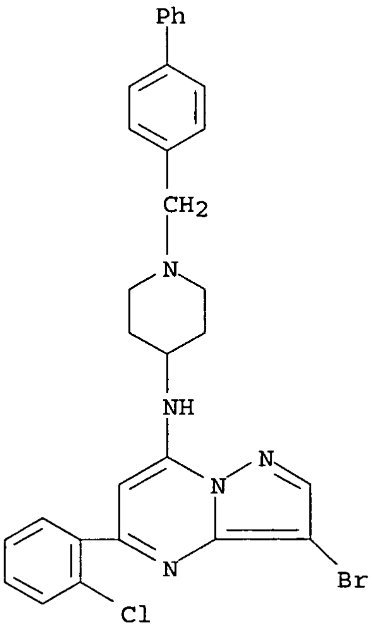
RN 677287-49-9 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([1,1'-biphenyl]-3-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

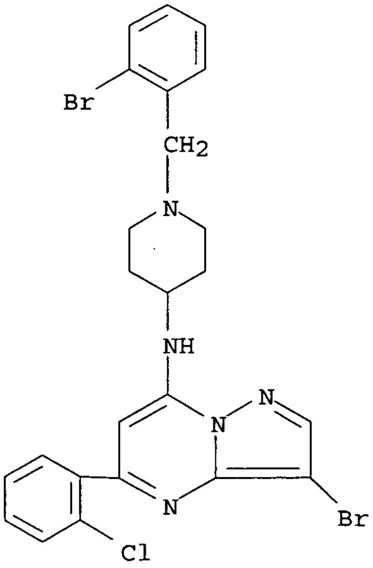


RN 677287-50-2 HCAPLUS

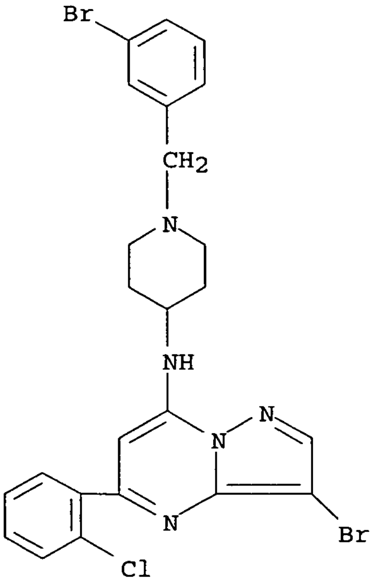
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([1,1'-biphenyl]-4-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



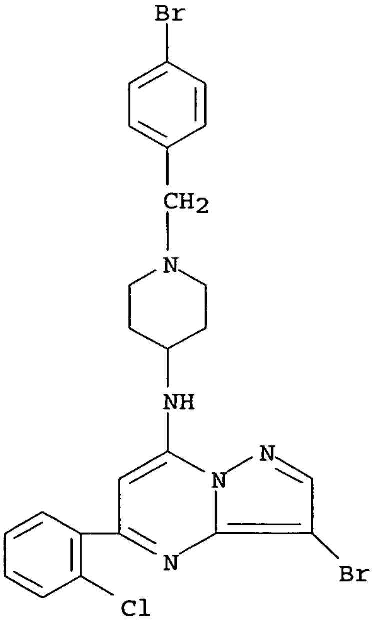
RN 677287-51-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(2-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



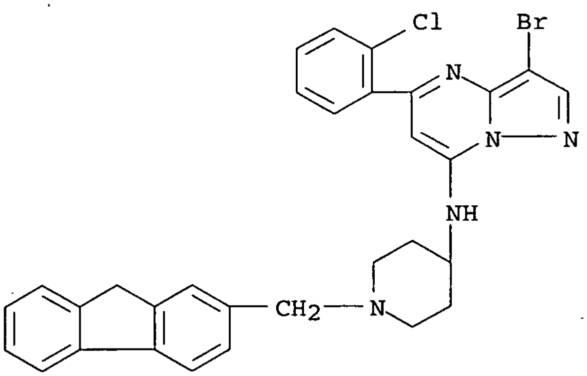
RN 677287-52-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(3-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-53-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(4-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-54-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(9H-fluoren-2-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-55-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([2,2'-bithiophen]-5-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

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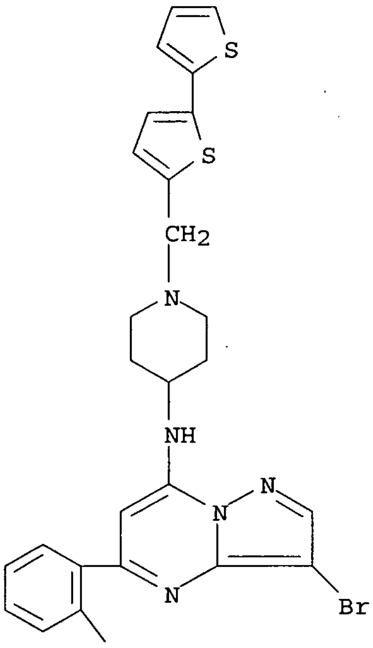

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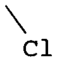
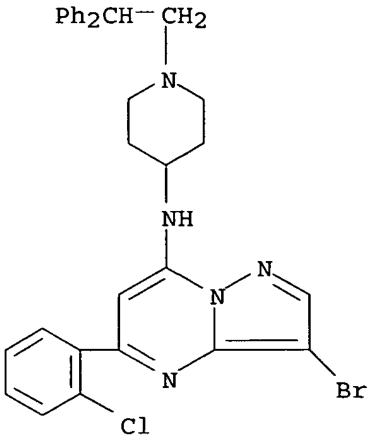
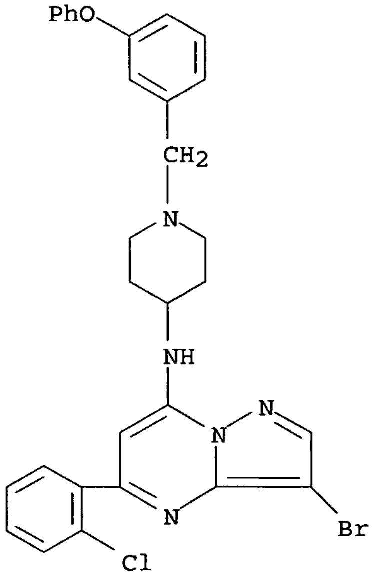


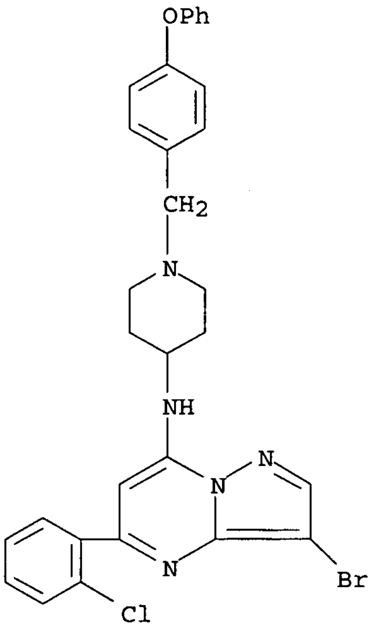
RN 677287-56-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2,2-diphenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



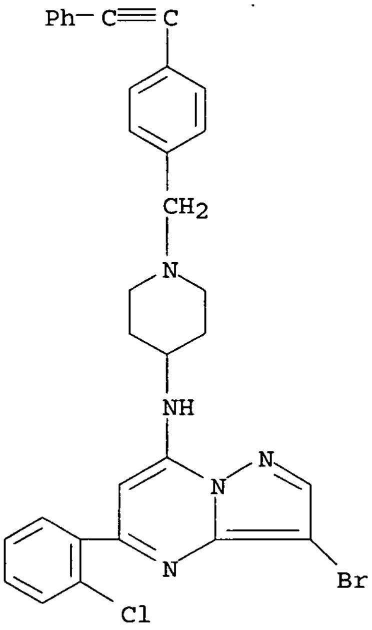
RN 677287-57-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-phenoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



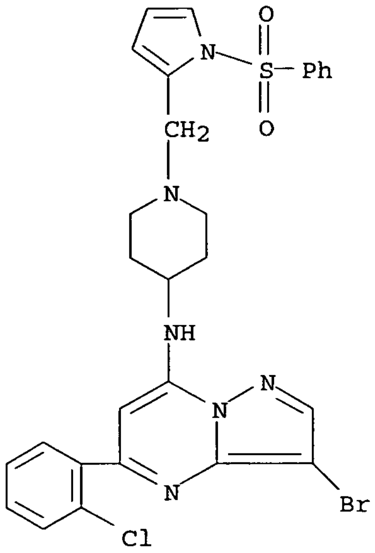
RN 677287-58-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-59-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(phenylethynyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

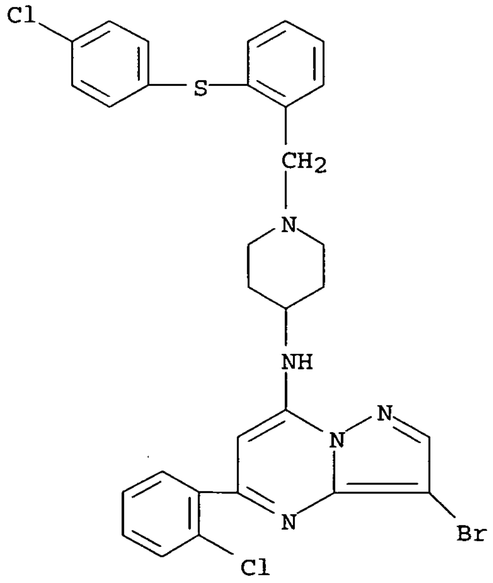


RN 677287-60-4 HCAPLUS
CN 1H-Pyrrole, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



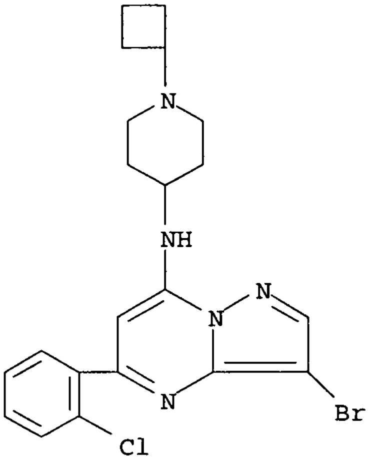
RN 677287-61-5 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-[(4-chlorophenyl)thio]phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

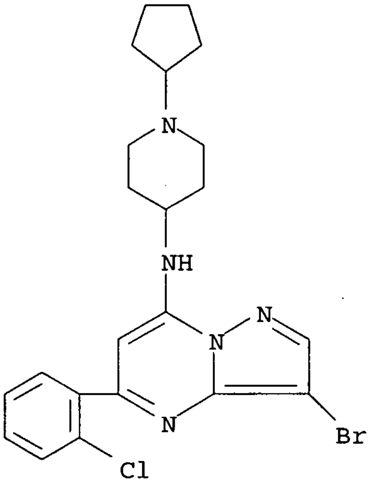


RN 677287-62-6 HCAPLUS

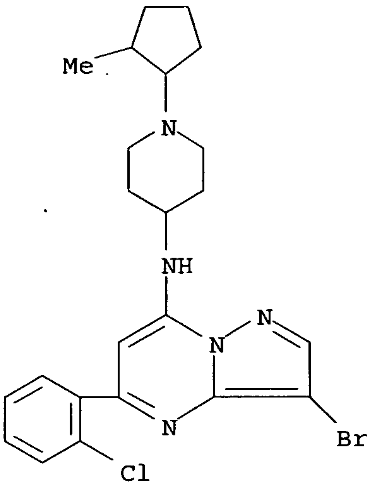
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-(1-cyclobutyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



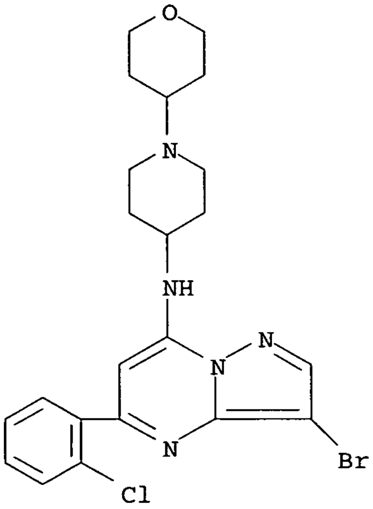
RN 677287-63-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-(1-cyclopentyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



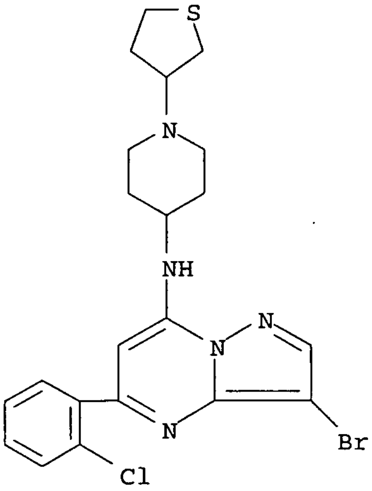
RN 677287-64-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-methylcyclopentyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



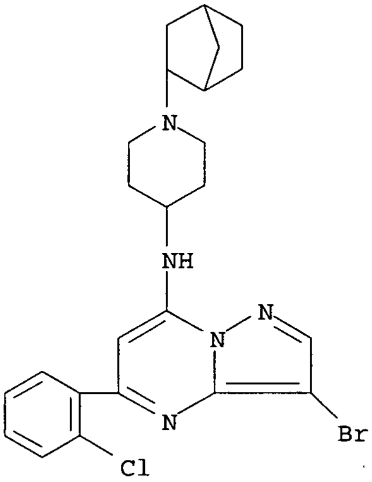
RN 677287-65-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



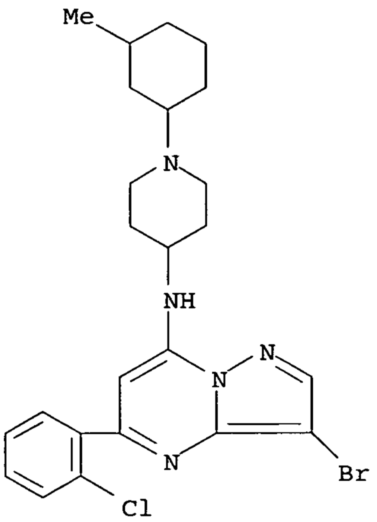
RN 677287-66-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-3-thienyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



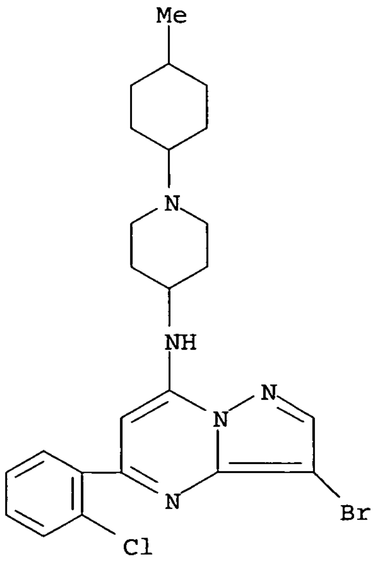
RN 677287-67-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-bicyclo[2.2.1]hept-2-yl-4-piperidinyl)-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



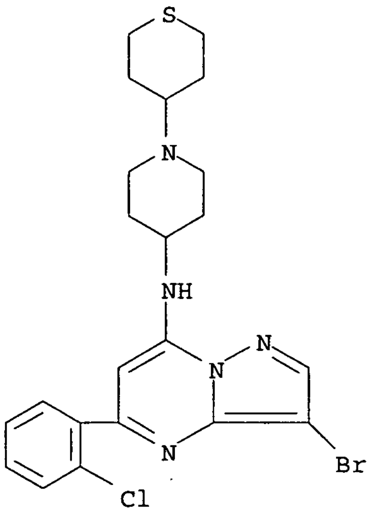
RN 677287-68-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-methylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



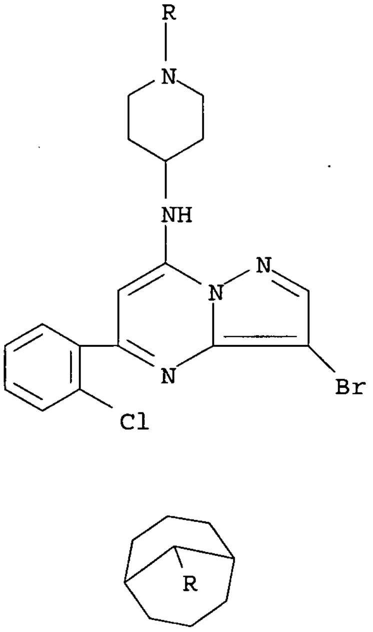
RN 677287-69-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(4-methylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



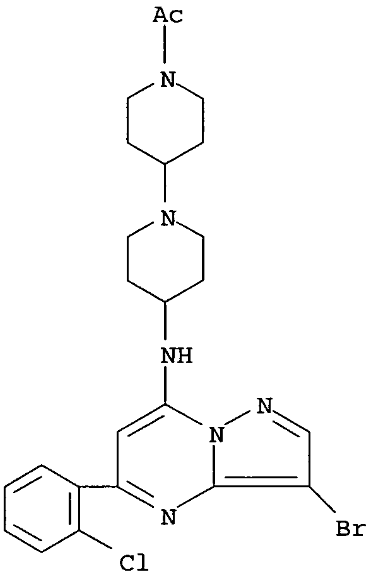
RN 677287-70-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



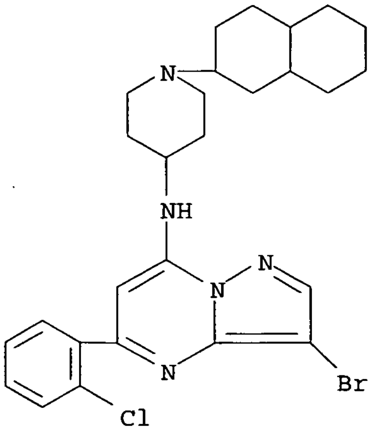
RN 677287-71-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-bicyclo[3.3.1]non-9-yl-4-piperidinyl)-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



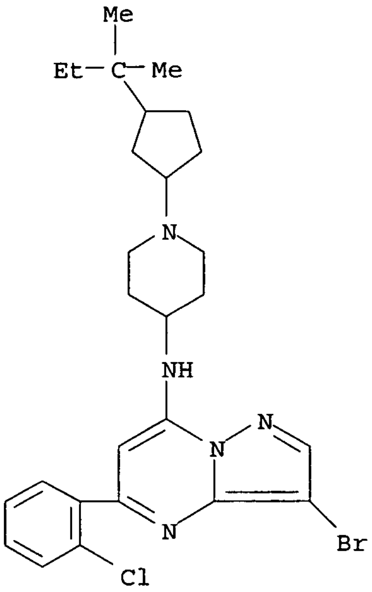
RN 677287-72-8 HCAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-acetyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



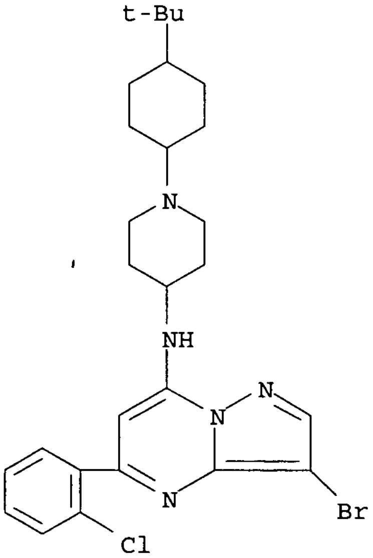
RN 677287-73-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(decahydro-2-naphthalenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



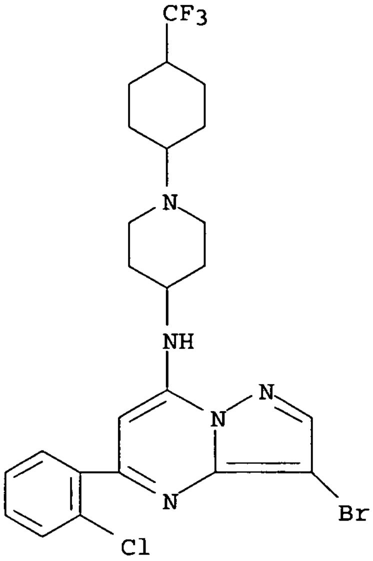
RN 677287-74-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(1,1-dimethylpropyl)cyclopentyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



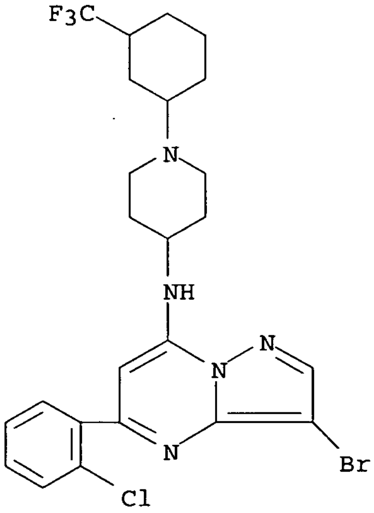
RN 677287-75-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(1,1-dimethylethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



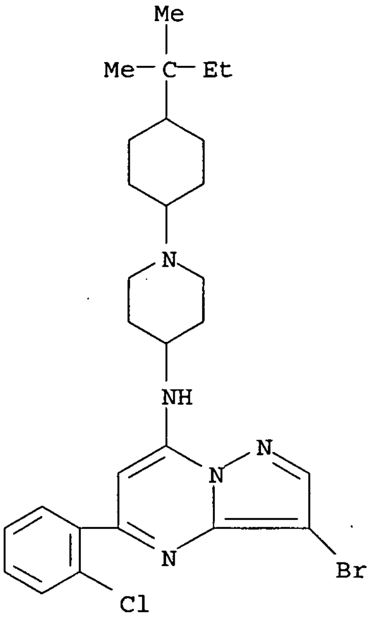
RN 677287-76-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(trifluoromethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



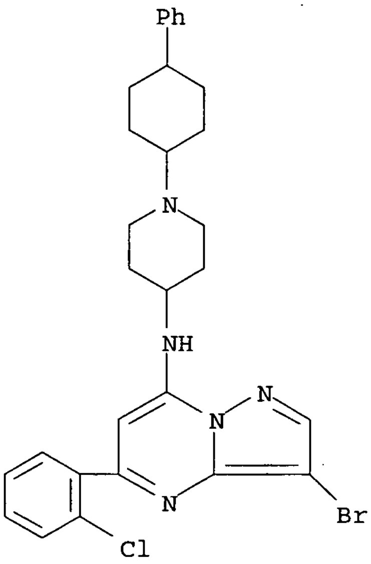
RN 677287-77-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(trifluoromethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



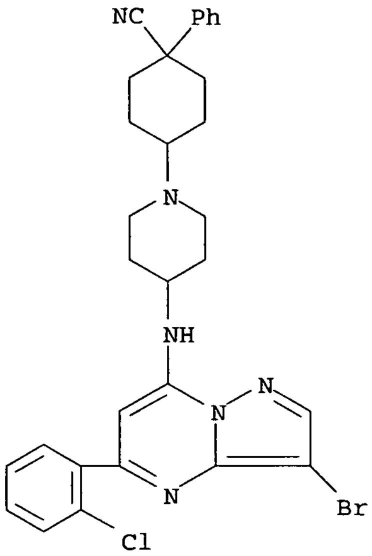
RN 677287-78-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(1,1-dimethylpropyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



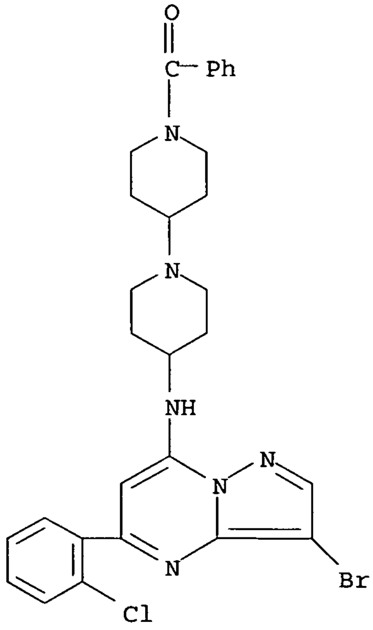
RN 677287-79-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(4-phenylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



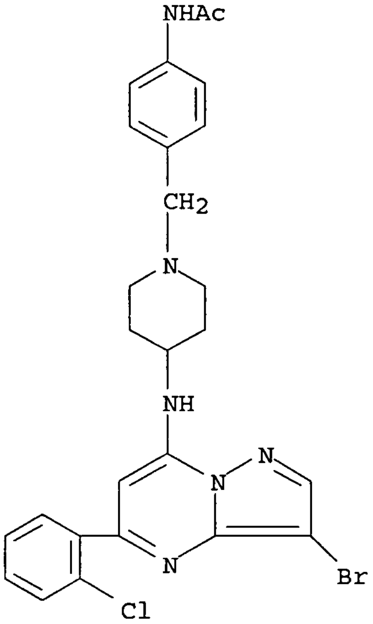
RN 677287-80-8 HCAPLUS
CN Cyclohexanecarbonitrile, 4-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-1-phenyl- (9CI) (CA INDEX NAME)



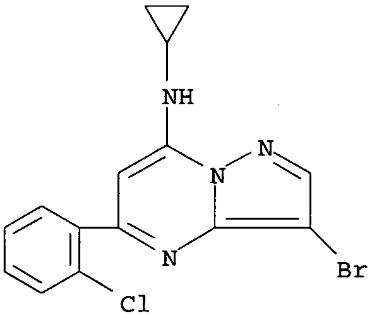
RN 677287-81-9 HCAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-benzoyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



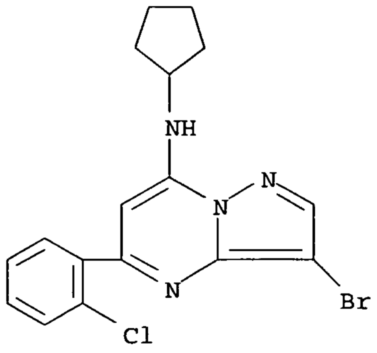
RN 677287-82-0 HCAPLUS
CN Acetamide, N-[4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 677289-22-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-cyclopropyl- (9CI) (CA INDEX NAME)

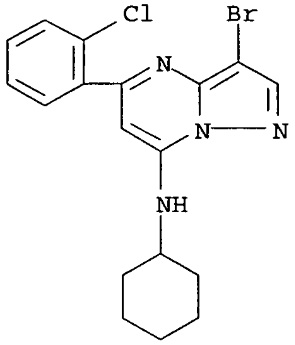


RN 677289-26-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-cyclopentyl- (9CI) (CA INDEX NAME)



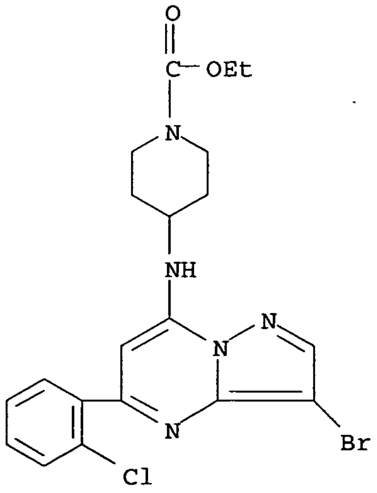
RN 677289-29-1 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-cyclohexyl- (9CI) (CA INDEX NAME)



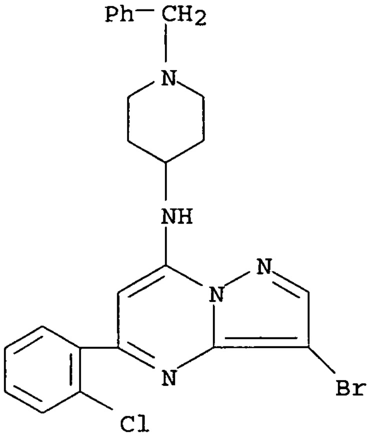
RN 677289-45-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 677289-59-7 HCAPLUS

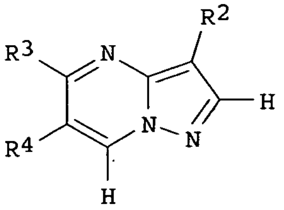
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L46 ANSWER 2 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:409792 HCAPLUS
DOCUMENT NUMBER: 144:450720
TITLE: Preparation of a novel class of pyrazolopyrimidines as inhibitors of protein and checkpoint kinases useful in treatment and prophylaxis of HCV infection and other diseases such as cancer
INVENTOR(S): Paruch, Kamil; Guzi, Timothy J.; Dwyer, Michael P.; Shipps, Gerald W.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 240 pp., Cont.-in-part of U.S. Ser. No. 452,400.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006094706	A1	20060504	US 2005-244628		20051006
US 2004038993	A1	20040226	US 2003-452400		20030602
PRIORITY APPLN. INFO.:			US 2002-385837P	P	20020604
			US 2003-452400	A2	20030602

OTHER SOURCE(S): MARPAT 144:450720
GI



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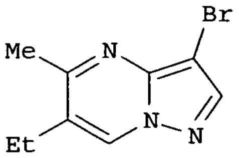
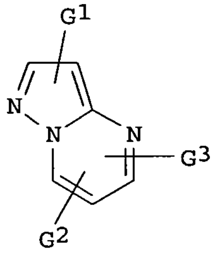


II



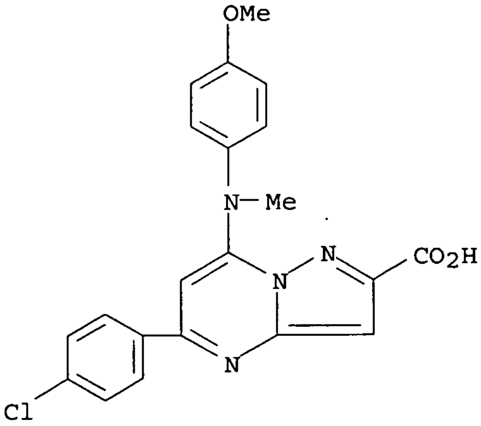
III

AB The invention provides a novel class of pyrazolopyrimidines I [R2 = halo; R3 = saturated or partially unsatd. heterocyclyl radical; R4 = H, halo, haloalkyl, aryl, etc.] as inhibitors of protein and/or checkpoint kinases, methods of preparing such compds., pharmaceutical compns. including one or more such compds., methods of preparing pharmaceutical formulations including one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the protein or checkpoint kinases using such compds. or pharmaceutical compns. E.g., a multi-step synthesis of II, startting from 3-aminopyrazole and Et 2-ethylacetoacetate, was given. II showed IC50 of 0.1 μM against CDK2 kinase. The invention also relates to the inhibition of hepatitis C virus (HCV) replication. In particular, embodiments of the invention provide compds. III [G1 = OH, cyano, CO2H, etc.; G2 and G3 = alkyl, cycloalkyl, aryl, etc.] and methods for inhibiting HCV RNA-dependent RNA polymerase enzymic activity. The compds. III inhibited HCV RNA-dependent RNA polymerase (RdRp) at the concentration from >10 to <1 μM. The invention also provides compns. and methods for the prophylaxis and treatment of HCV infection.

IT 632359-94-5P 632359-95-6P 632359-96-7P
632359-98-9P 632360-02-2P 632360-10-2P
632360-11-3P 632367-22-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine compds. as inhibitors of protein and checkpoint kinases useful in treatment and prophylaxis of HCV infection and other diseases such as cancer)

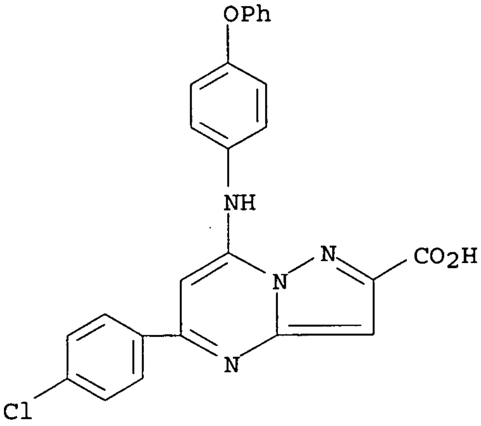
RN 632359-94-5 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-2-carboxylic acid, 5-(4-chlorophenyl)-7-[(4-methoxyphenyl)methylamino]- (9CI) (CA INDEX NAME)



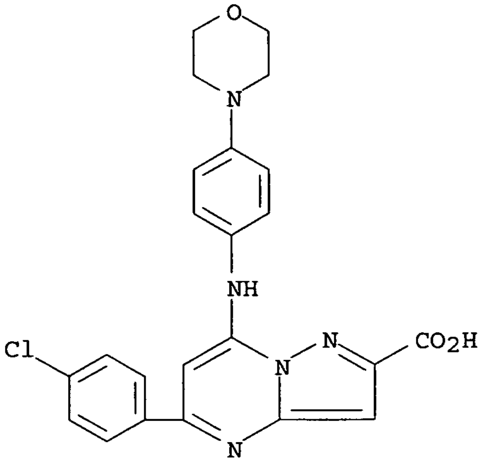
RN 632359-95-6 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidine-2-carboxylic acid, 5-(4-chlorophenyl)-7-[(4-phenoxyphenyl)amino]- (9CI) (CA INDEX NAME)

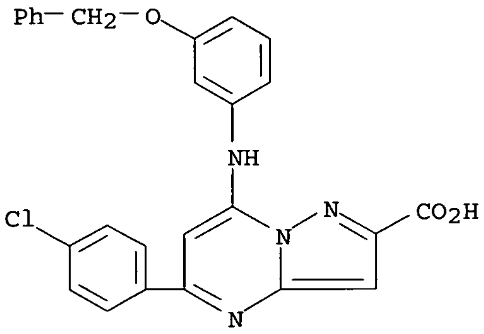


RN 632359-96-7 HCAPLUS

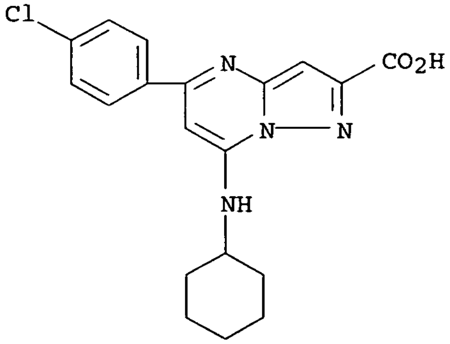
CN Pyrazolo[1,5-a]pyrimidine-2-carboxylic acid, 5-(4-chlorophenyl)-7-[[4-(4-morpholinyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 632359-98-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-2-carboxylic acid, 5-(4-chlorophenyl)-7-[[3-(phenylmethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

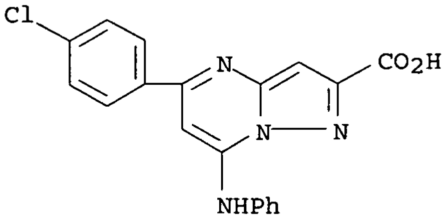


RN 632360-02-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-2-carboxylic acid, 5-(4-chlorophenyl)-7-(cyclohexylamino)- (9CI) (CA INDEX NAME)

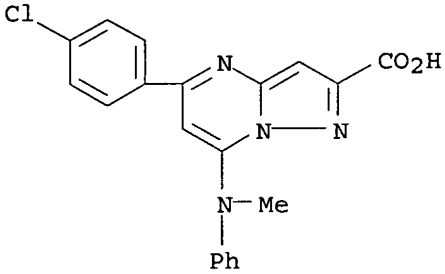


RN 632360-10-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-2-carboxylic acid, 5-(4-chlorophenyl)-7-

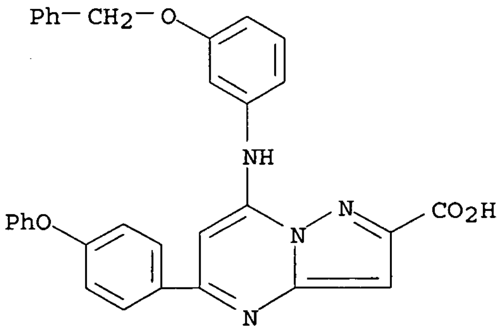
(phenylamino)- (9CI) (CA INDEX NAME)



RN 632360-11-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-2-carboxylic acid, 5-(4-chlorophenyl)-7-(methylphenylamino)- (9CI) (CA INDEX NAME)



RN 632367-22-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidine-2-carboxylic acid, 5-(4-phenoxyphenyl)-7-[[3-(phenylmethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)



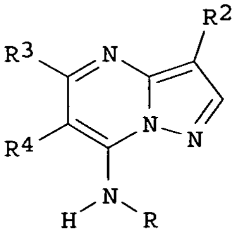
L46 ANSWER 3 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2004:981365 HCAPLUS
DOCUMENT NUMBER:	141:379943
TITLE:	Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S):	Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison,

Vincent; Fischmann, Thierry O.;
Dillard, Lawrence W.; Tran, Vinh D.; He,
Zhen Min; James, Ray Anthony;
Park, Haengsoon; Paradkar, Vidyadhar M.;
Hobbs, Douglas Walsh
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	US 2004209878	A1	20041021	US 2004-776988		20040211
	US 2004209878	A1	20041021	US 2004-776988		20040211
PRIORITY APPLN. INFO.:				US 2002-408027P	P	20020904
				US 2002-421959P	P	20021029
				US 2003-654546	A2	20030903
				US 2004-776988	A	20040211

GI



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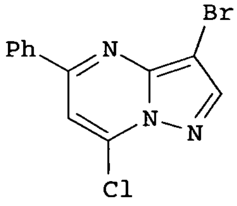
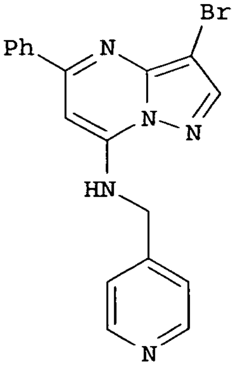


II



III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with

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     4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 µM
     and 0.029 µM against CDK2 kinase (cyclin A or cyclin E-dependent).  The
     pharmaceutical composition comprising the compound I is claimed.  This is a
Part
     III of I-III series.
IT   677278-50-1P 677278-51-2P 677278-52-3P
     677278-53-4P 677278-54-5P 677278-55-6P
     677278-56-7P 677278-57-8P 677278-58-9P
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     677278-62-5P 677278-63-6P 677278-64-7P
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     RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU
     (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);
     PREP (Preparation); USES (Uses)
        (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
        for treating cancer)
RN   677278-50-1  HCAPLUS
CN   4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-
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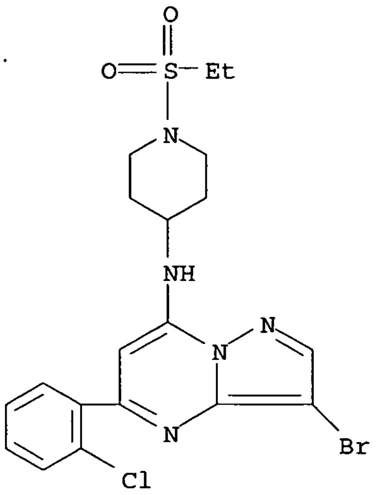

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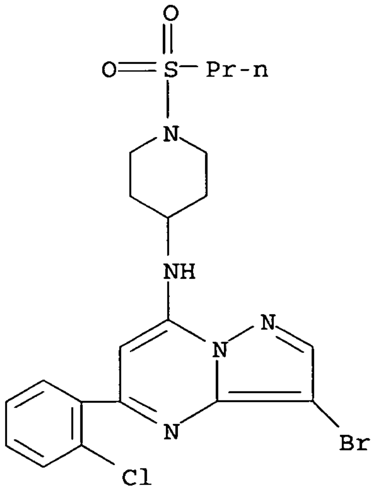
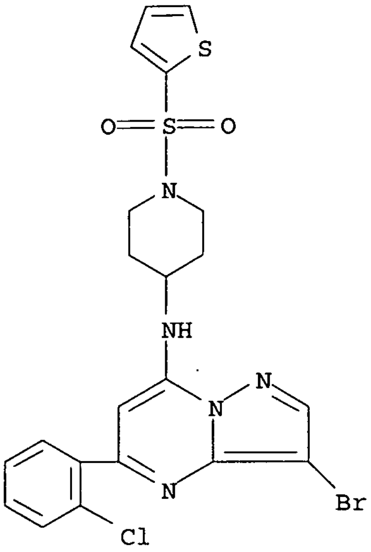
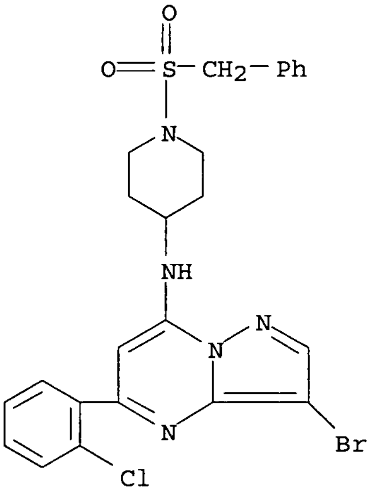


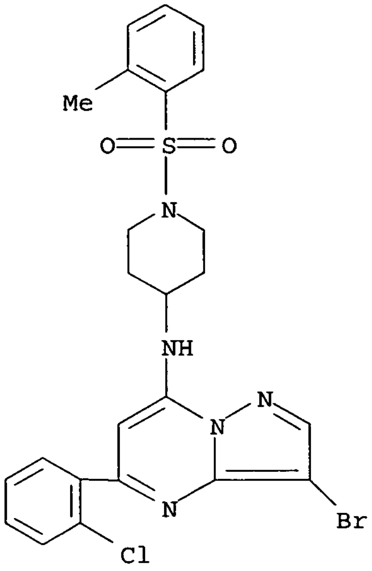
RN 677278-52-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)



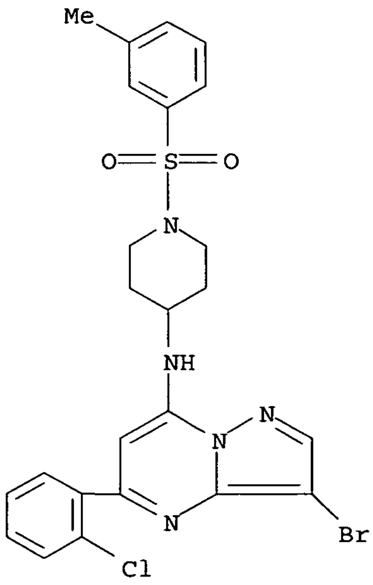
RN 677278-53-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



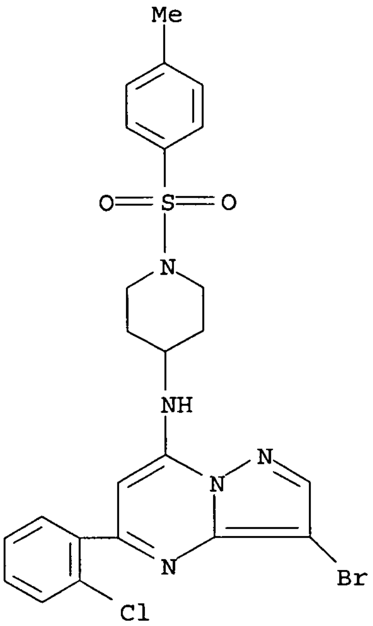
RN 677278-54-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



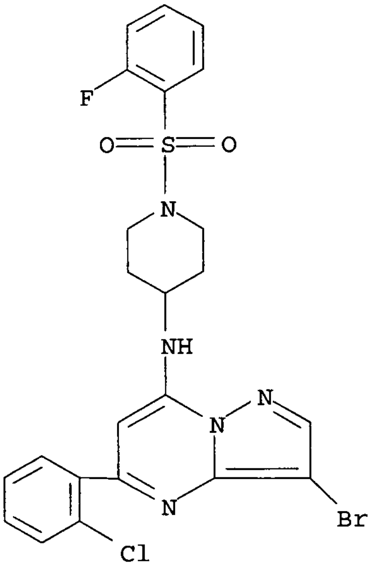
RN 677278-55-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



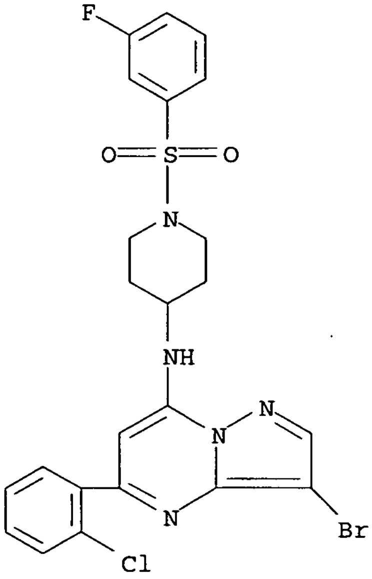
RN 677278-56-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



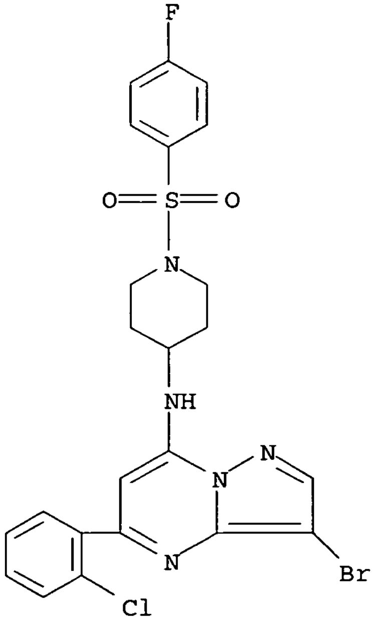
RN 677278-57-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



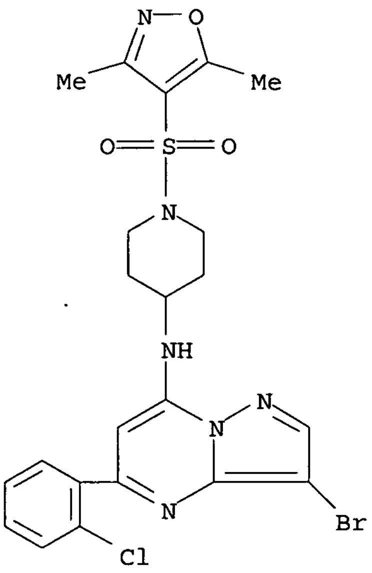
RN 677278-58-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



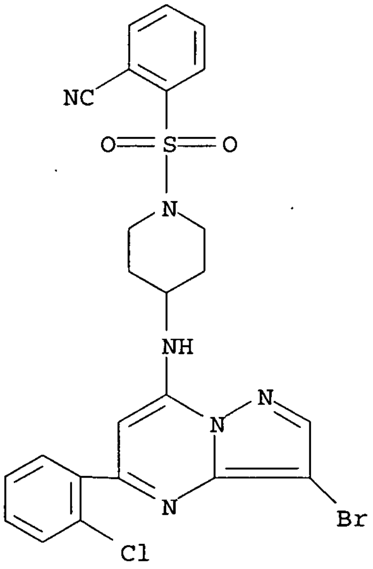
RN 677278-59-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



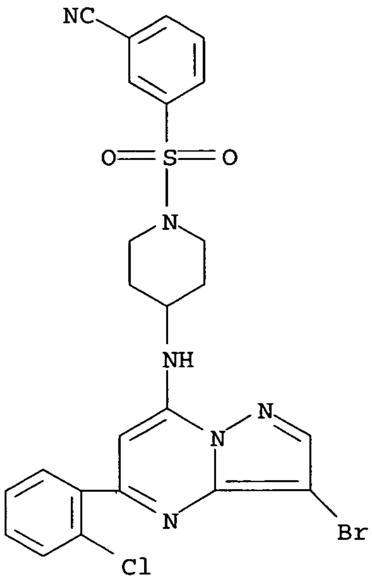
RN 677278-60-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]- (9CI) (CA INDEX NAME)



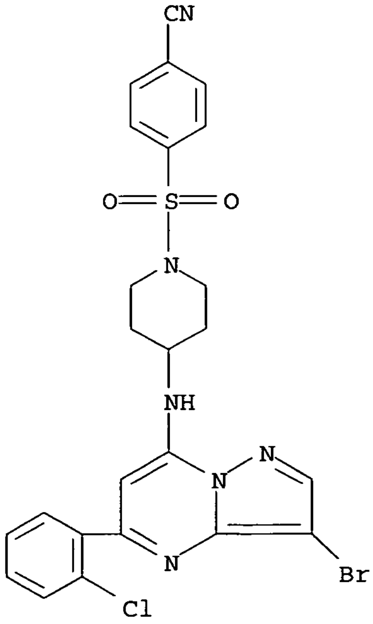
RN 677278-61-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



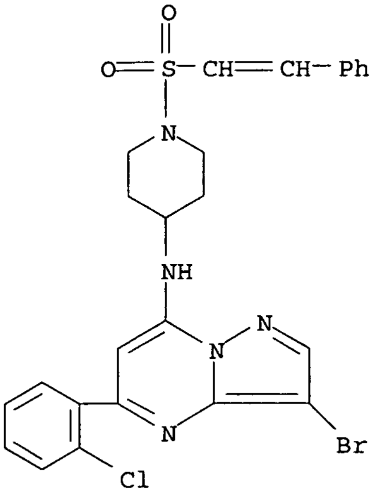
RN 677278-62-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



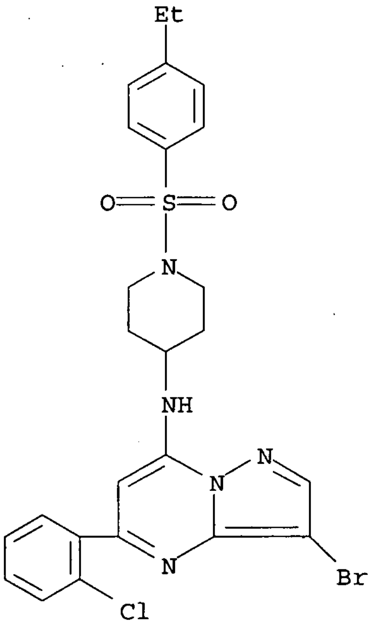
RN 677278-63-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



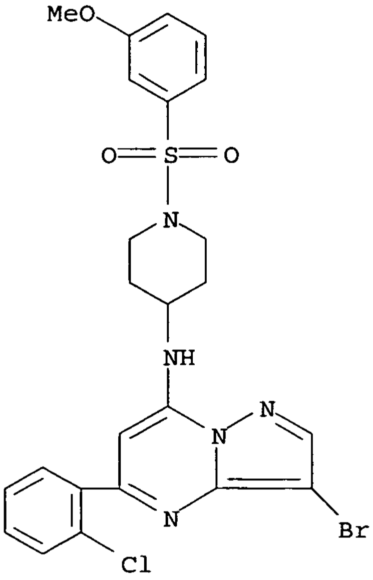
RN 677278-64-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-phenylethenyl)sulfonyl]- (9CI) (CA INDEX NAME)



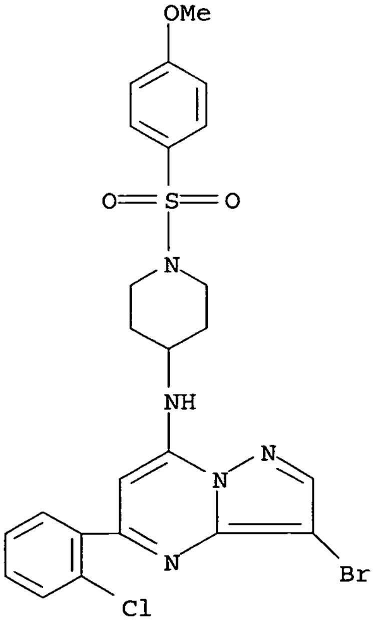
RN 677278-65-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-ethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



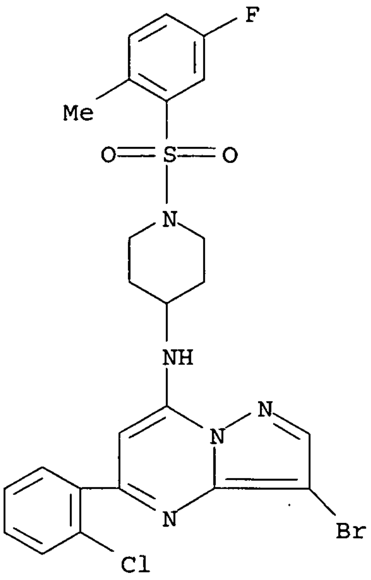
RN 677278-66-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



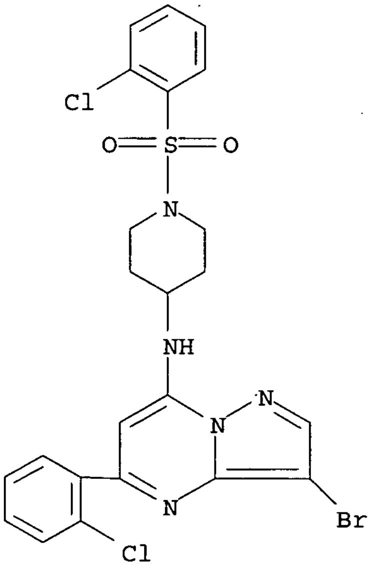
RN 677278-67-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



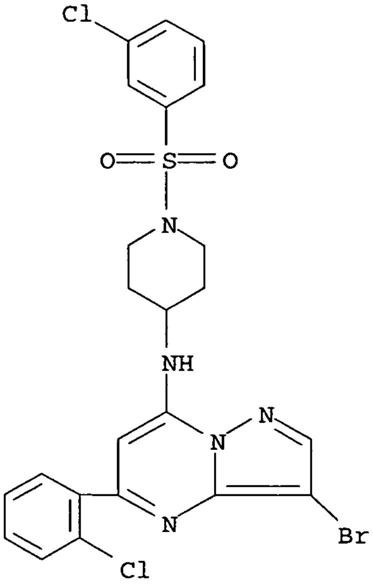
RN 677278-68-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-fluoro-2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



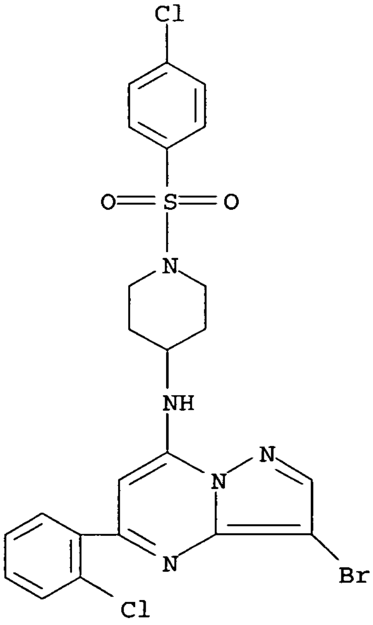
RN 677278-69-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



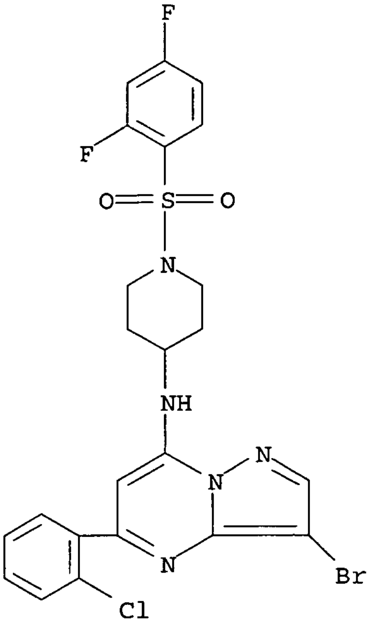
RN 677278-70-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



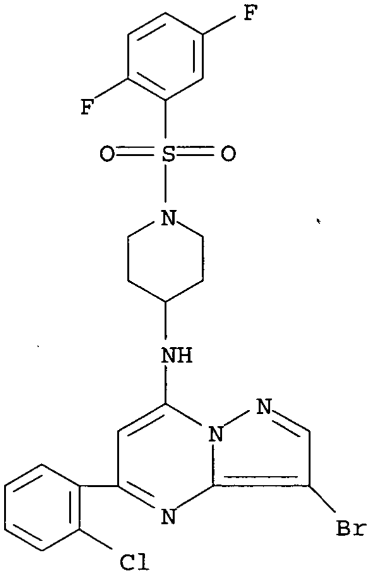
RN 677278-71-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



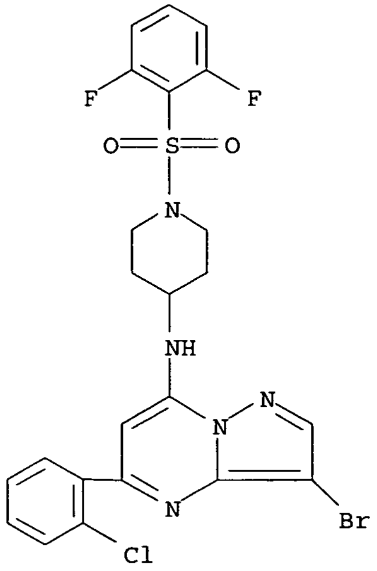
RN 677278-72-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



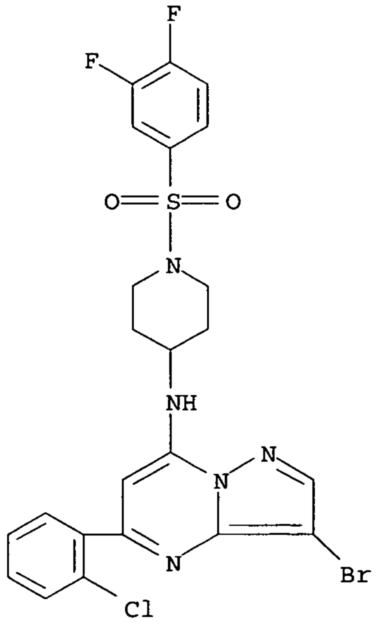
RN 677278-73-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



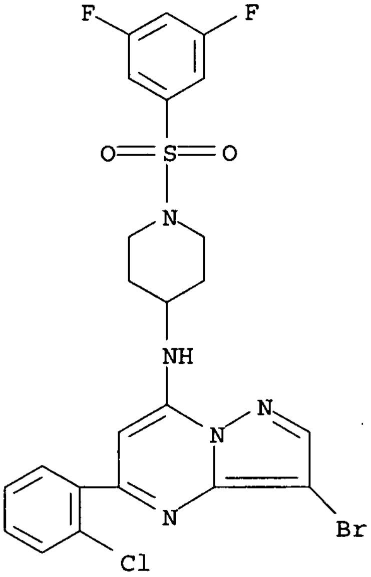
RN 677278-74-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,6-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



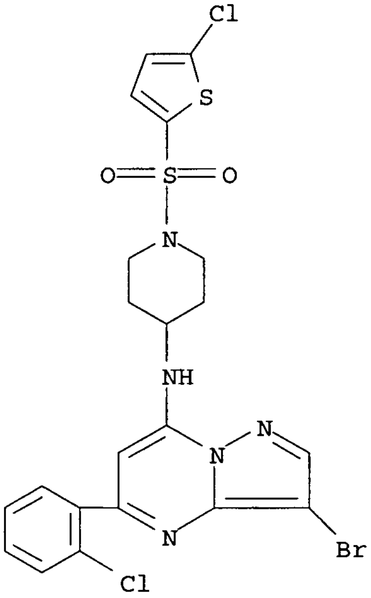
RN 677278-75-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



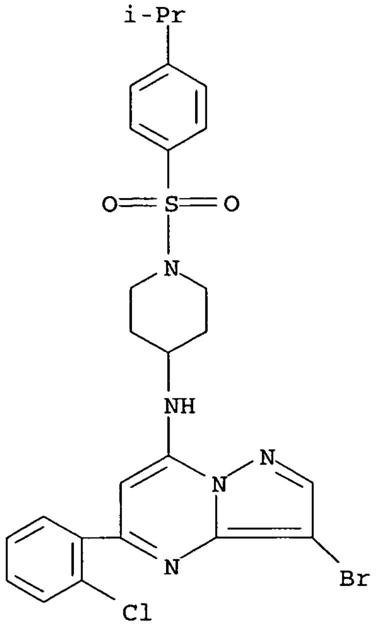
RN 677278-76-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-77-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-chloro-2-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-78-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-79-4 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[(4-chlorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

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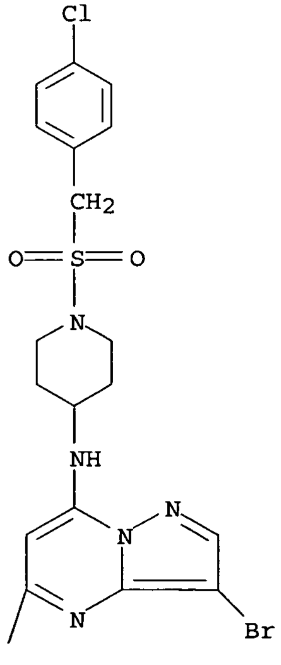
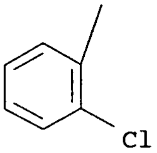
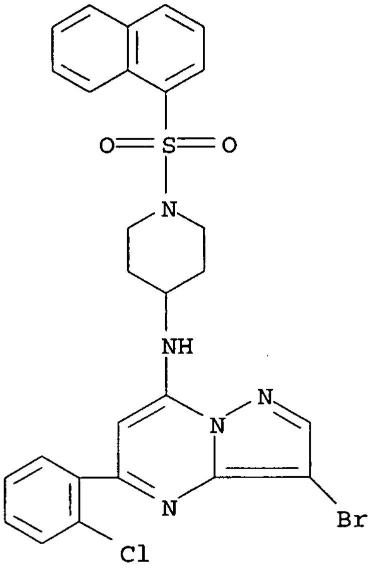


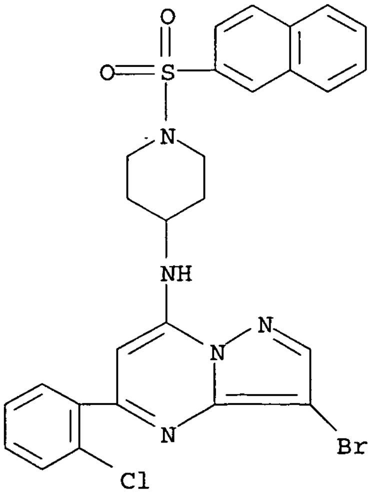
PAGE 2-A



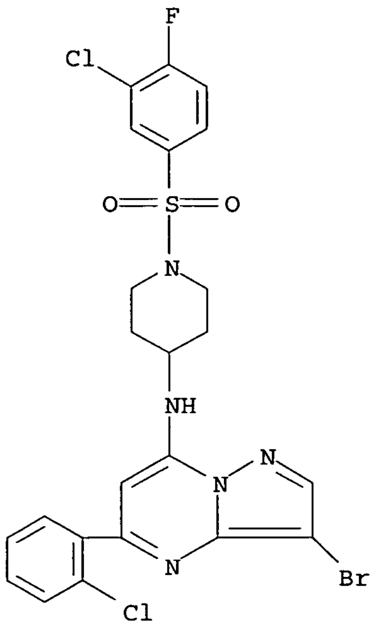
RN 677278-80-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



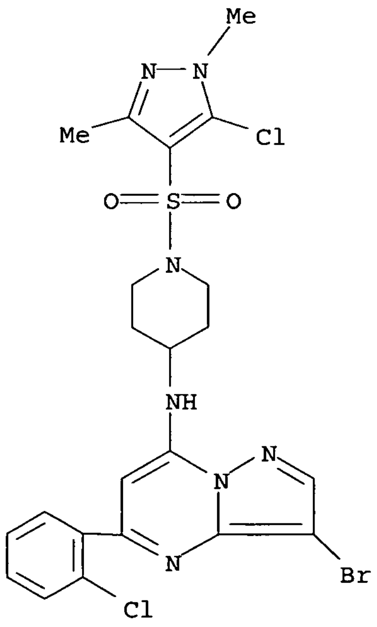
RN 677278-81-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



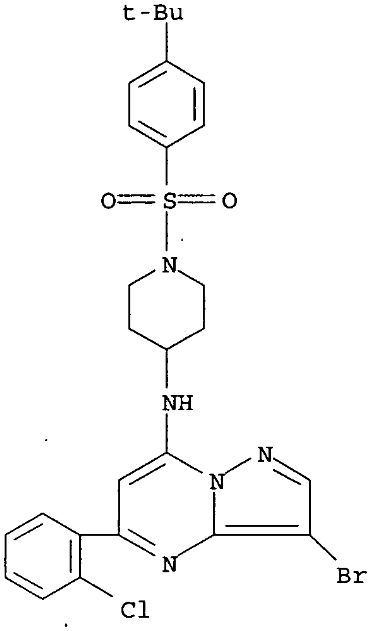
RN 677278-82-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-chloro-4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



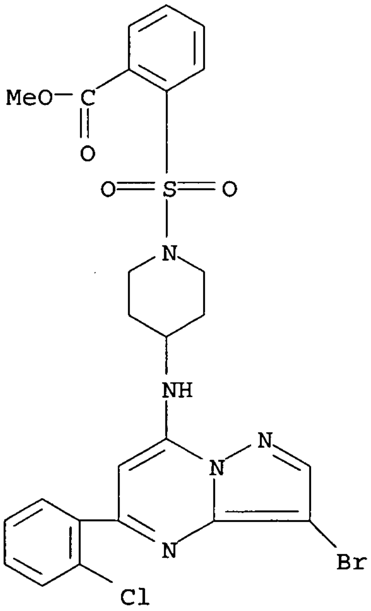
RN 677278-83-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-84-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

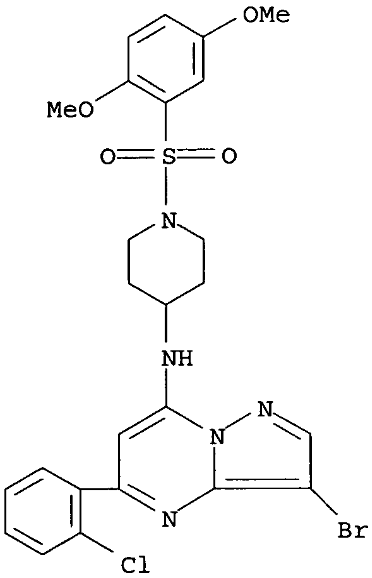


RN 677278-85-2 HCAPLUS
CN Benzoic acid, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

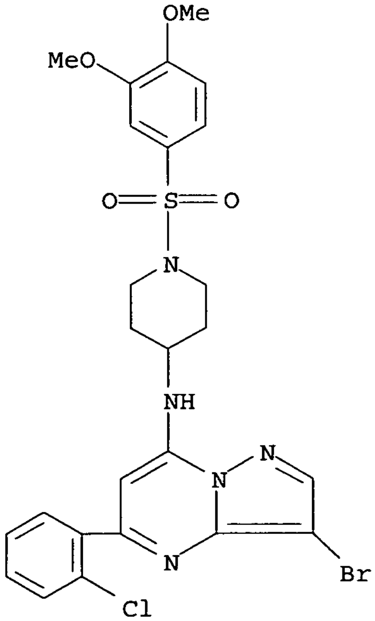


RN 677278-86-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-

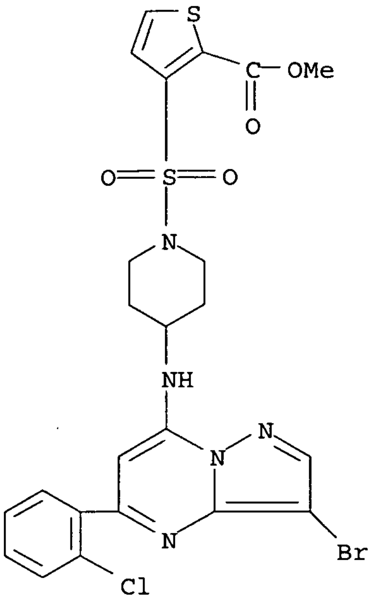
yl]-1-[(2,5-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



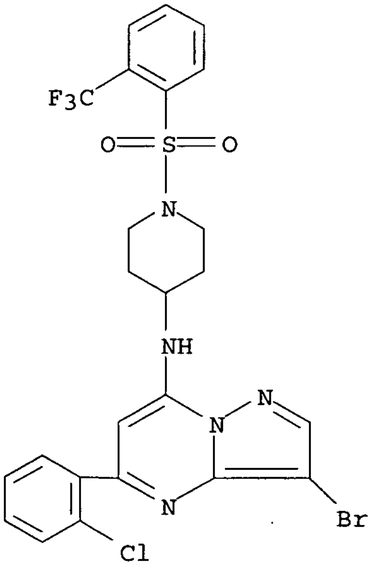
RN 677278-87-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



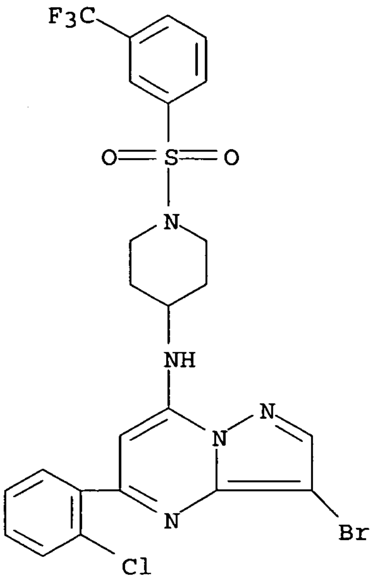
RN 677278-88-5 HCAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



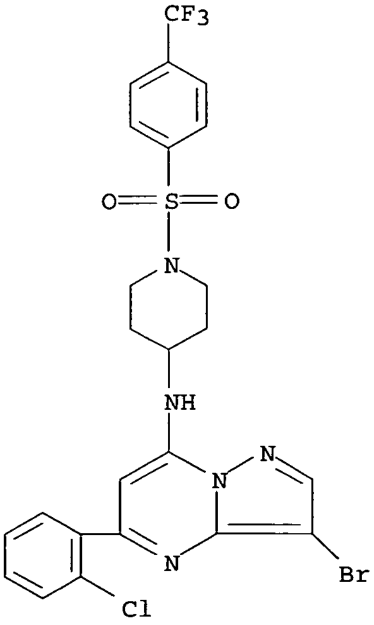
RN 677278-89-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[2-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



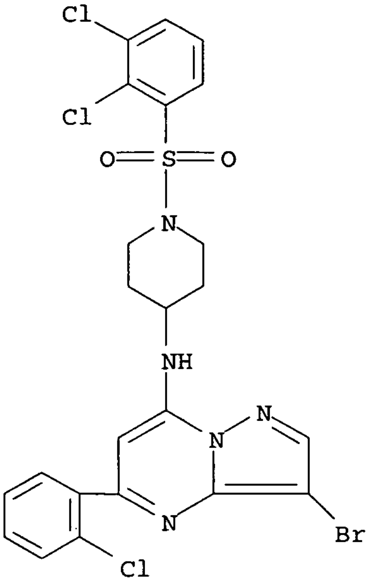
RN 677278-90-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



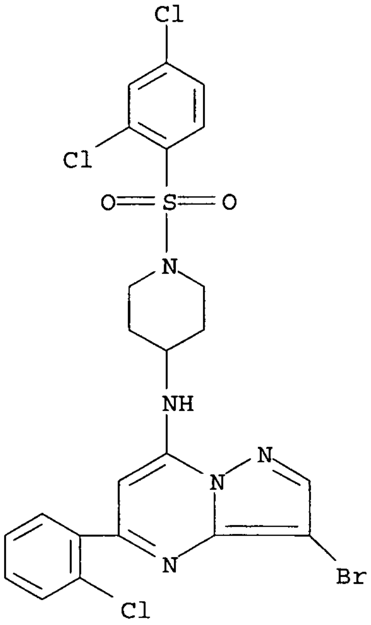
RN 677278-91-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



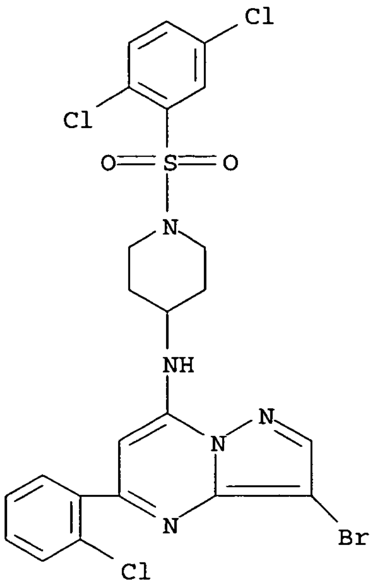
RN 677278-92-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



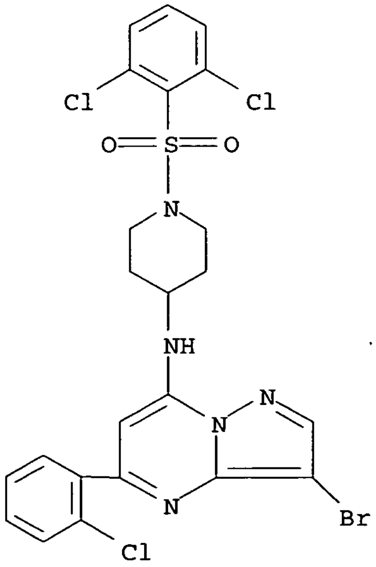
RN 677278-93-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



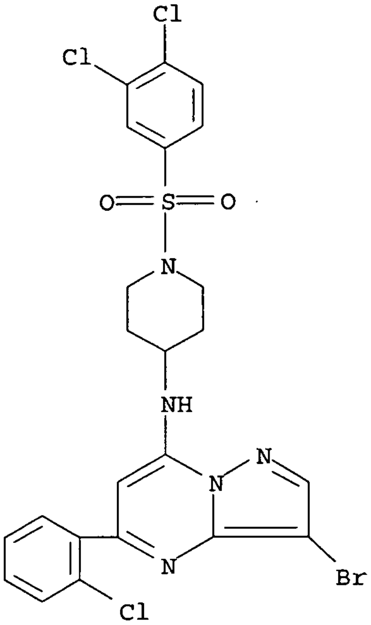
RN 677278-94-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



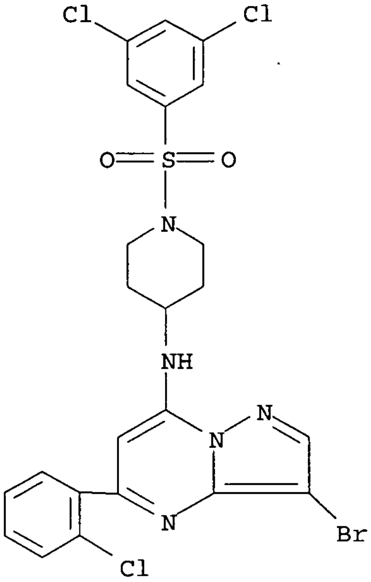
RN 677278-95-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,6-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-96-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-97-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-98-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1,1-dimethylpropyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

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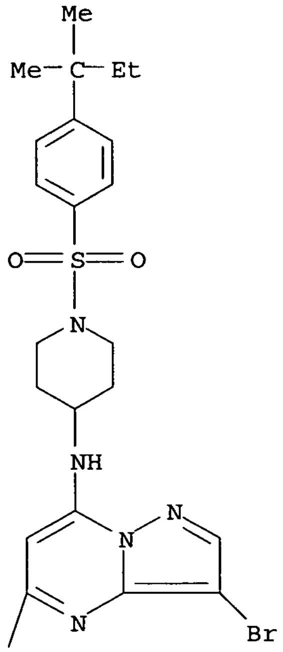

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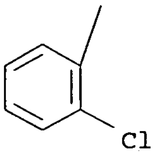
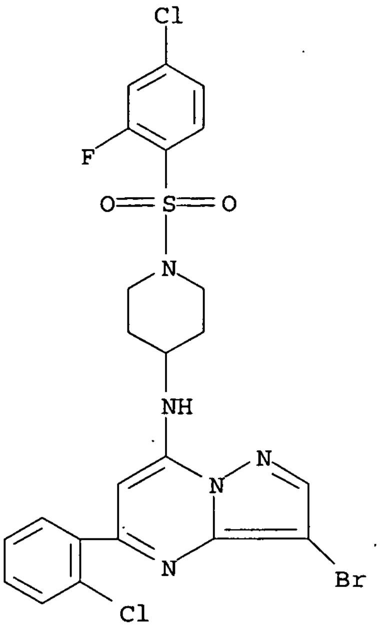
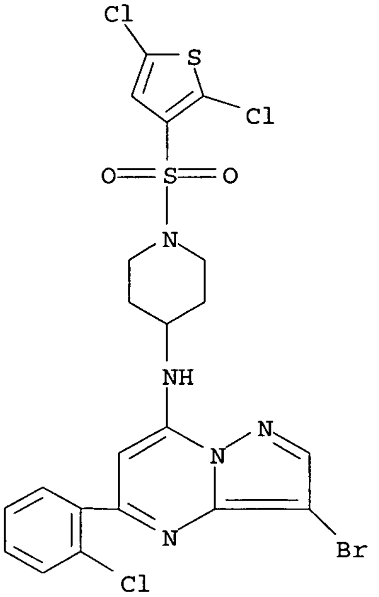


RN 677278-99-8 HCAPLUS

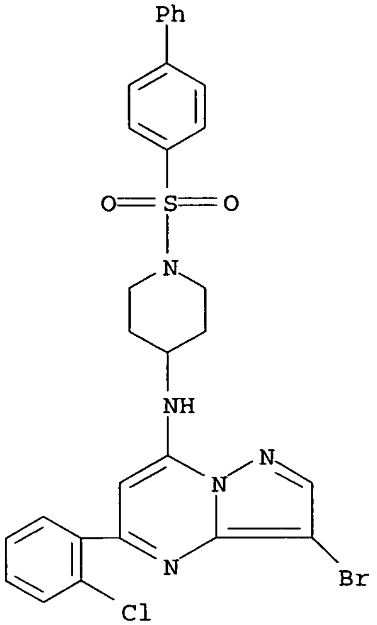
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-chloro-2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



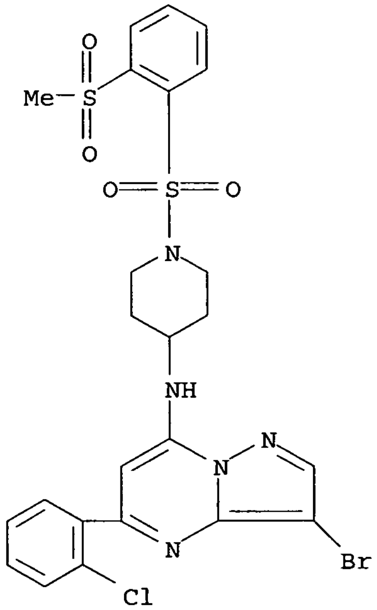
RN 677279-00-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-dichloro-3-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-01-5 HCAPLUS
CN 4-Piperidinamine, 1-([1,1'-biphenyl]-4-ylsulfonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677279-02-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[2-(methylsulfonyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-03-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(methylsulfonyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

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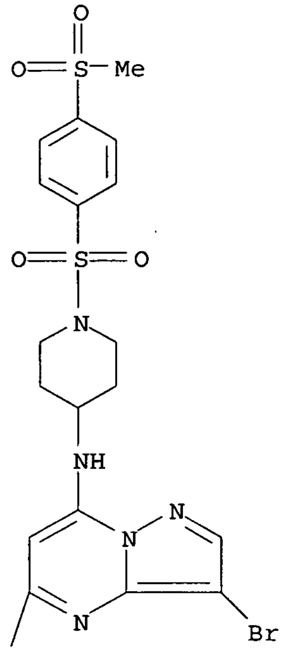

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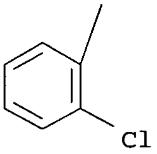
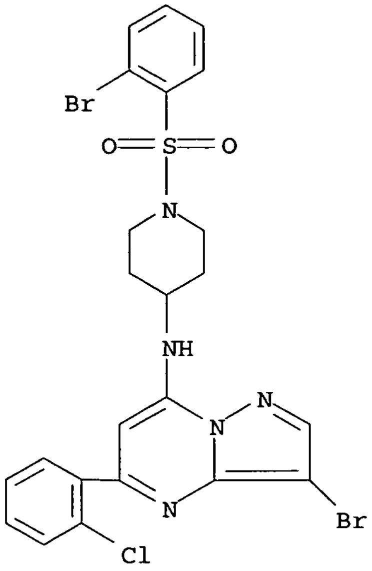
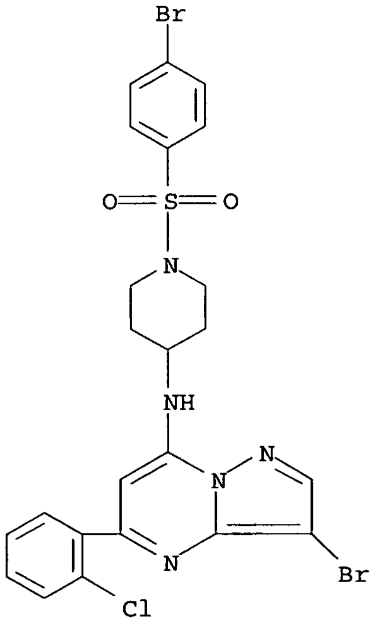


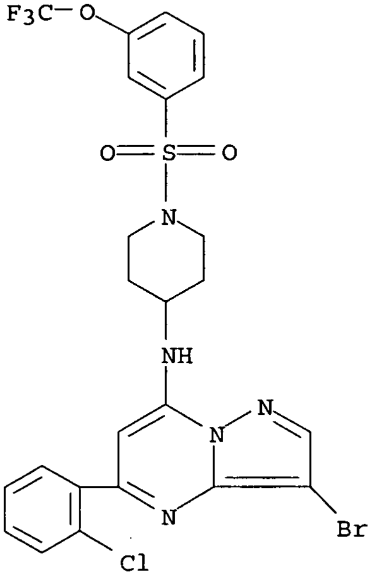
RN 677279-04-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-bromophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



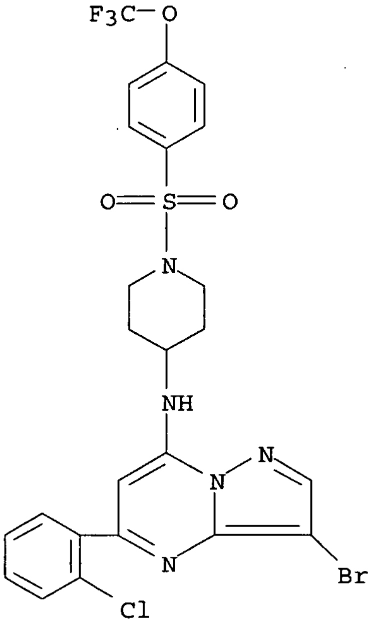
RN 677279-05-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-bromophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-06-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[3-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-07-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-08-2 HCAPLUS
CN Benzenepropanoic acid, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

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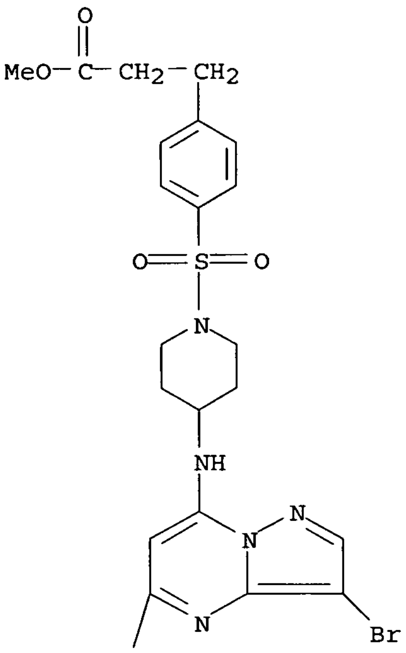

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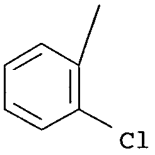
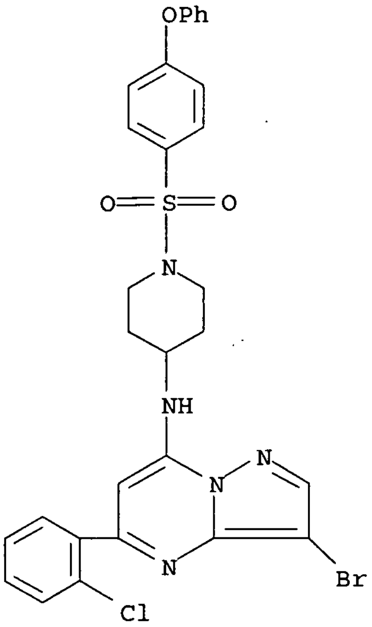
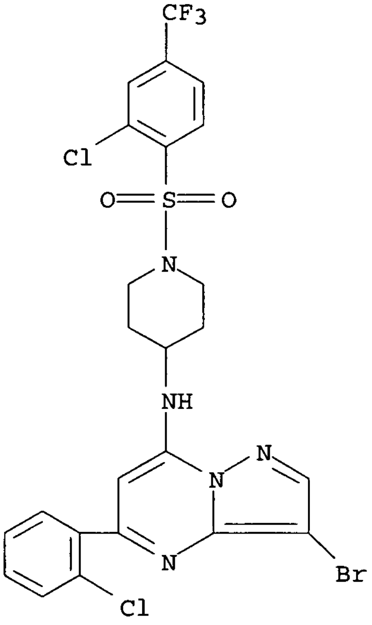


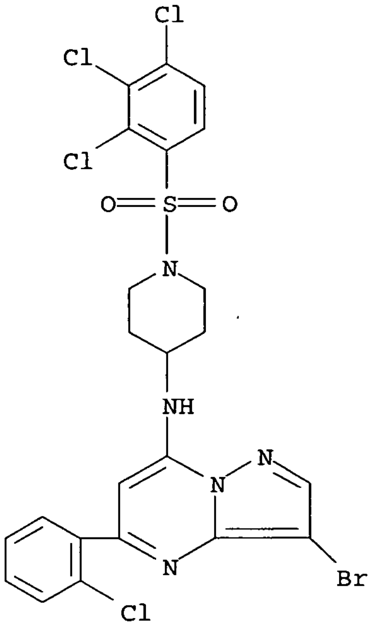
RN 677279-09-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-phenoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



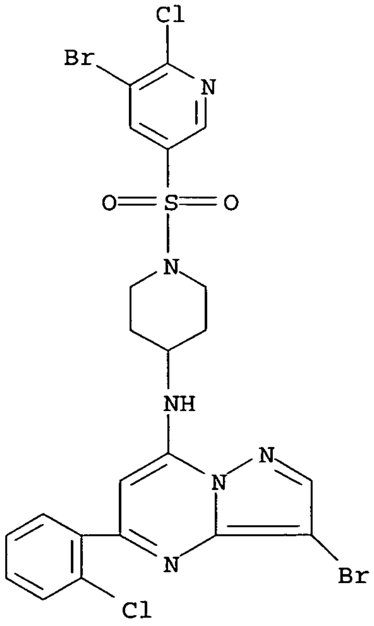
RN 677279-10-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[2-chloro-4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



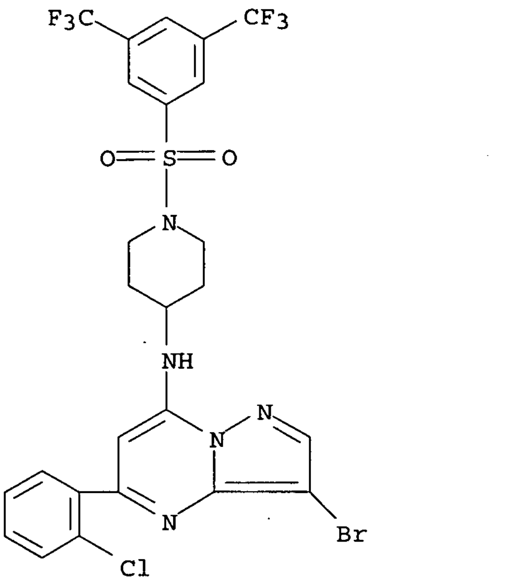
RN 677279-11-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3,4-trichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



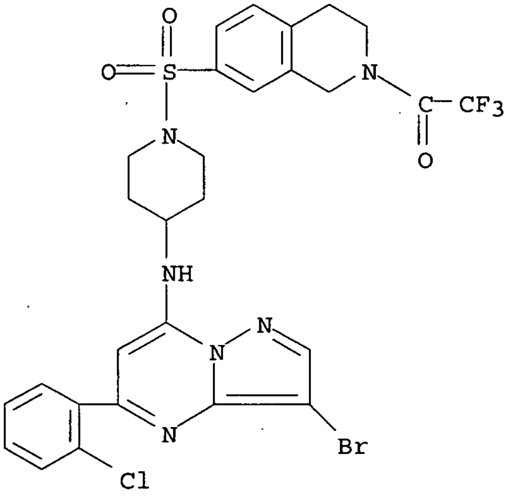
RN 677279-12-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-bromo-6-chloro-3-pyridinyl)sulfonyl]- (9CI) (CA INDEX NAME)



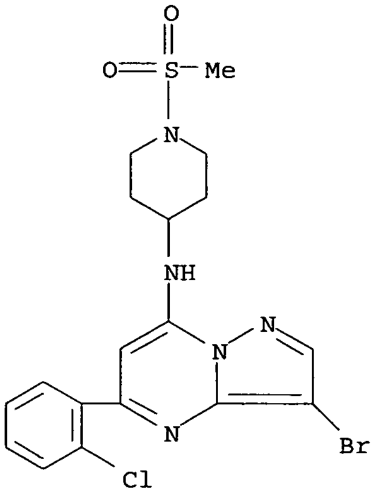
RN 677279-13-9 HCAPLUS
CN 4-Piperidinamine, 1-[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



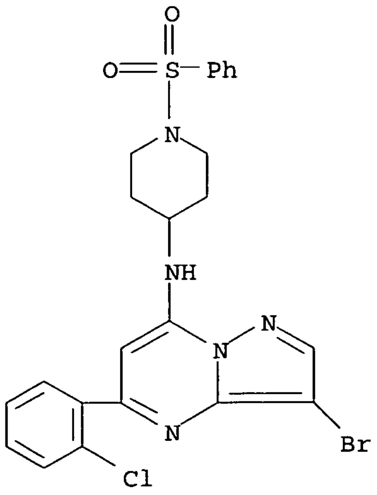
RN 677279-14-0 HCAPLUS
CN Isoquinoline, 7-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-1,2,3,4-tetrahydro-2-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



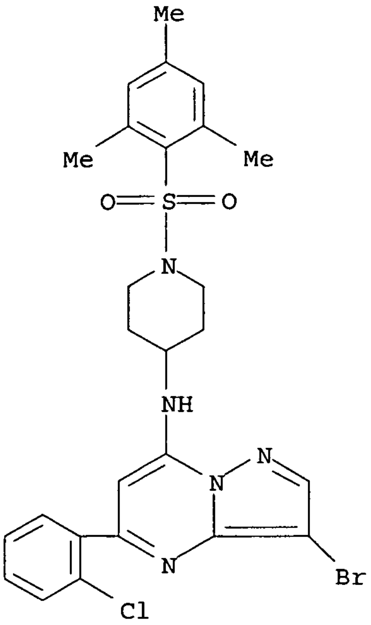
RN 677279-15-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



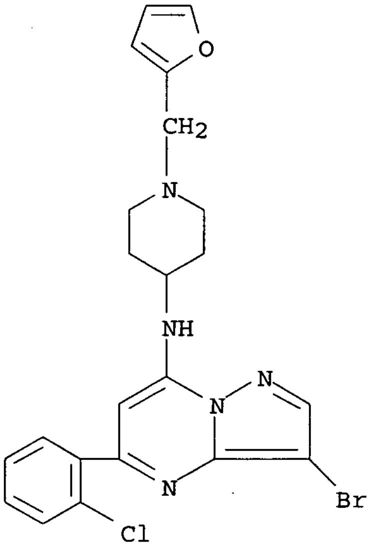
RN 677279-16-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



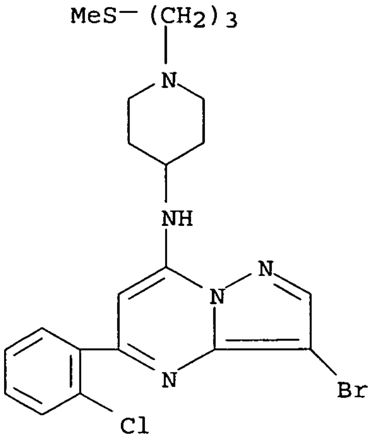
RN 677279-17-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



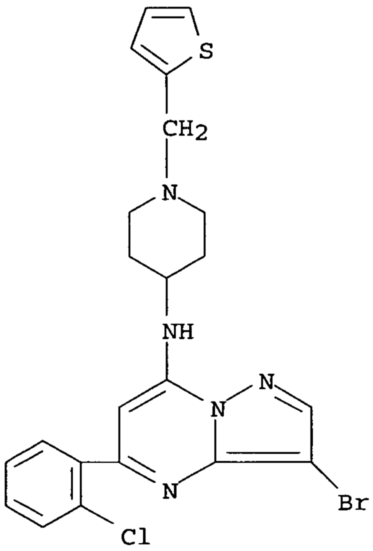
RN 677287-13-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-furanylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



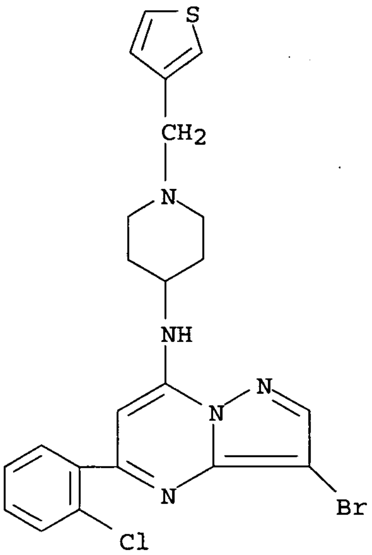
RN 677287-14-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(methylthio)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



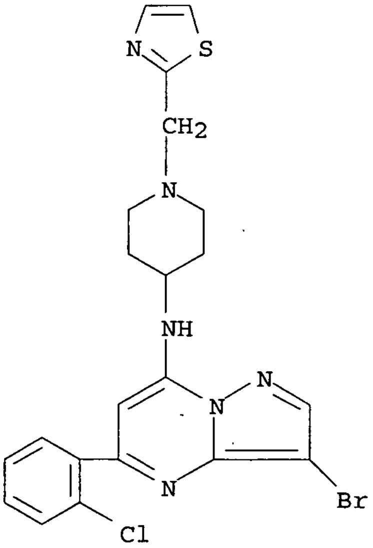
RN 677287-15-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-thienylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



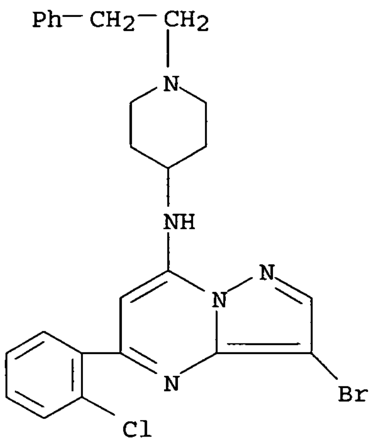
RN 677287-16-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-thienylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



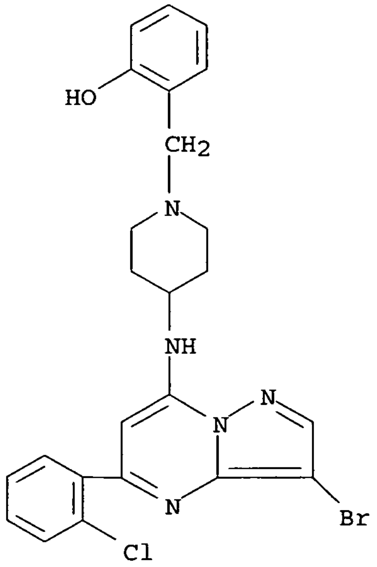
RN 677287-17-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-thiazolylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



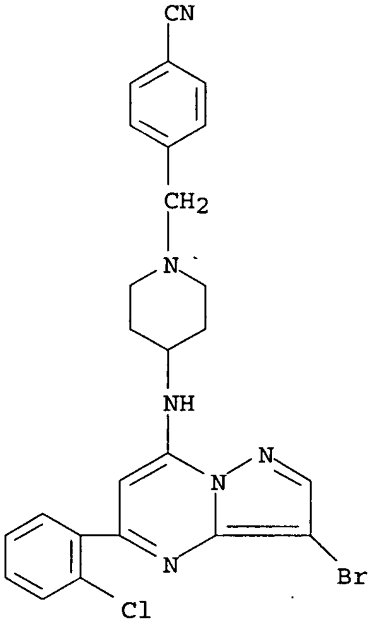
RN 677287-18-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



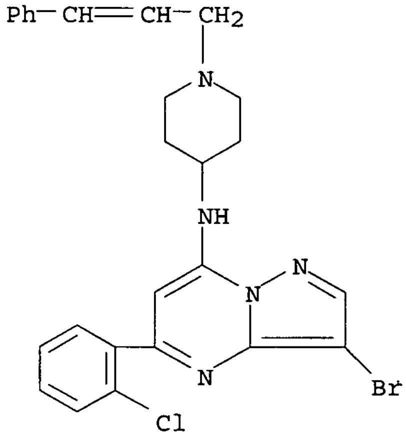
RN 677287-19-3 HCAPLUS
CN Phenol, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



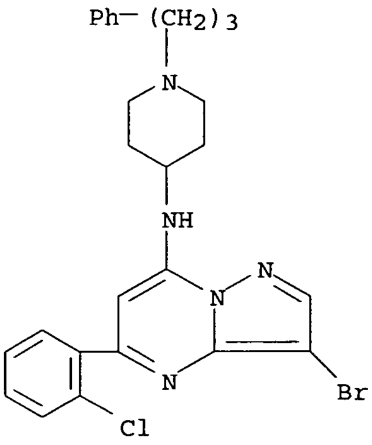
RN 677287-20-6 HCAPLUS
CN Benzonitrile, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



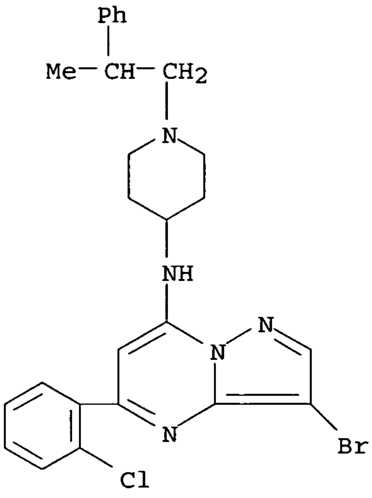
RN 677287-21-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-phenyl-2-propenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



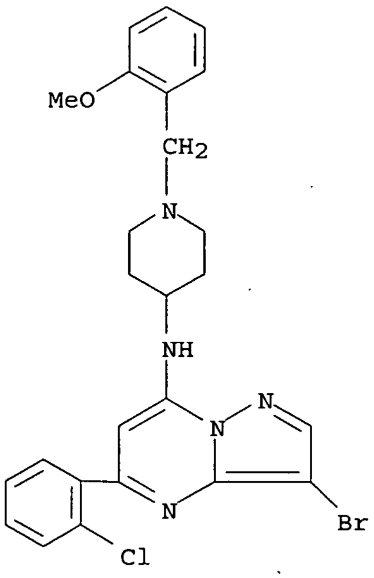
RN 677287-22-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-phenylpropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



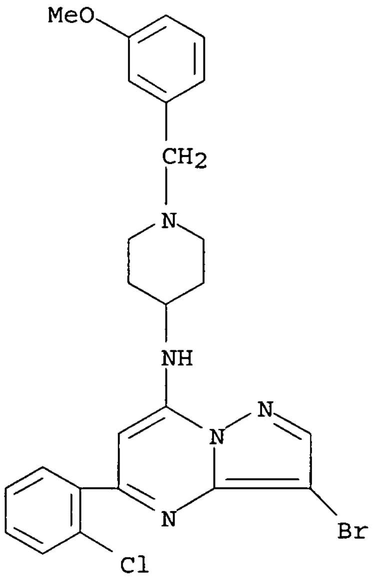
RN 677287-23-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-phenylpropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



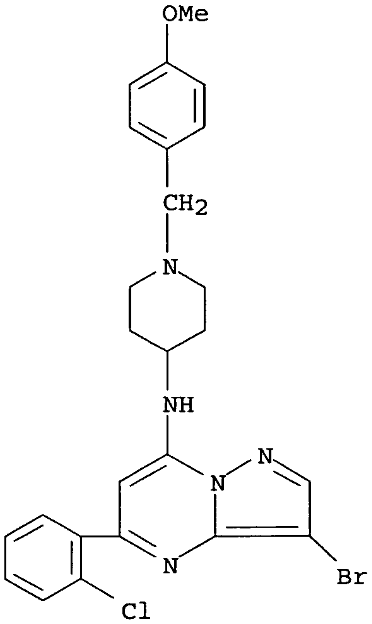
RN 677287-24-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



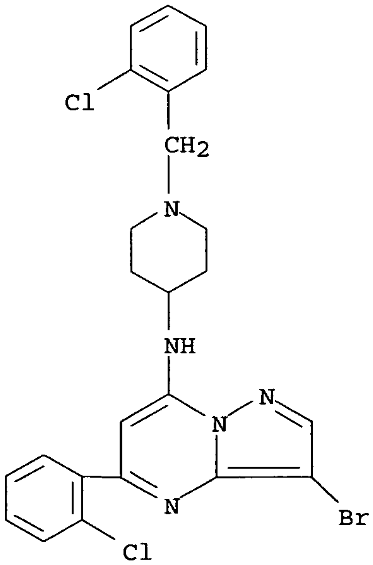
RN 677287-25-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



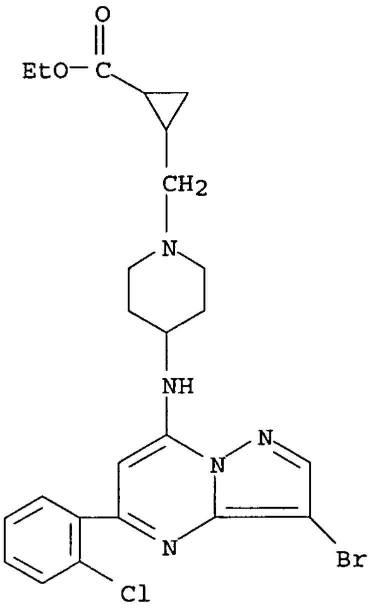
RN 677287-26-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



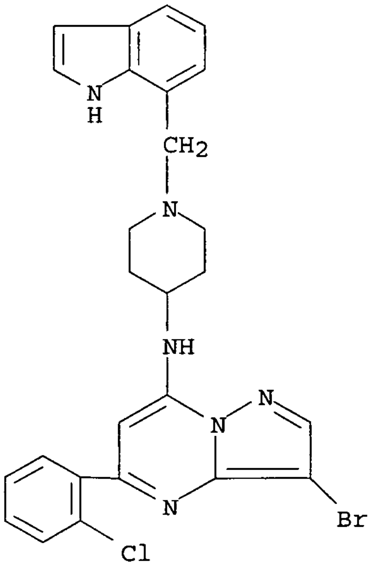
RN 677287-27-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



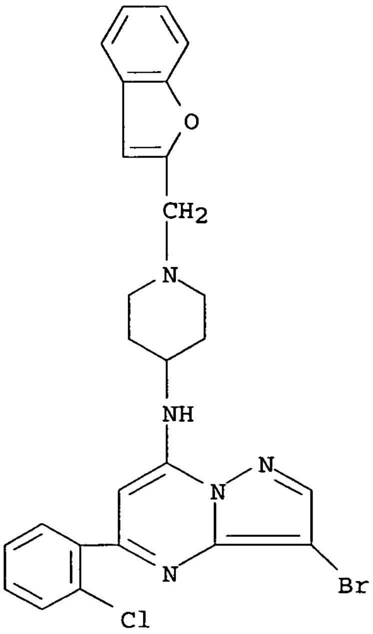
RN 677287-28-4 HCAPLUS
CN Cyclopropanecarboxylic acid, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



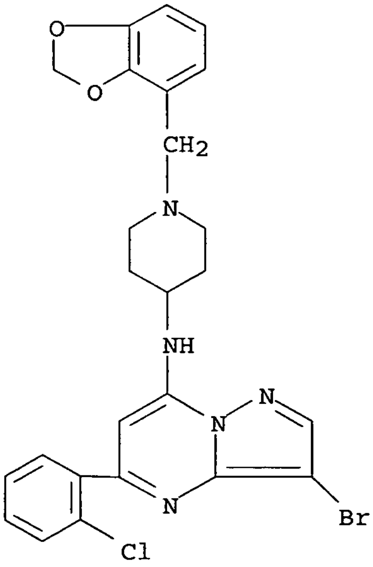
RN 677287-29-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(1H-indol-7-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



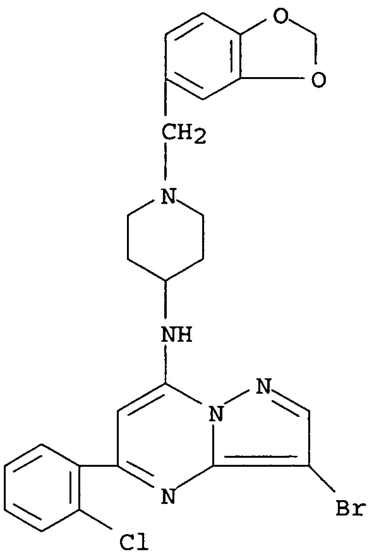
RN 677287-30-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(2-benzofuranylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



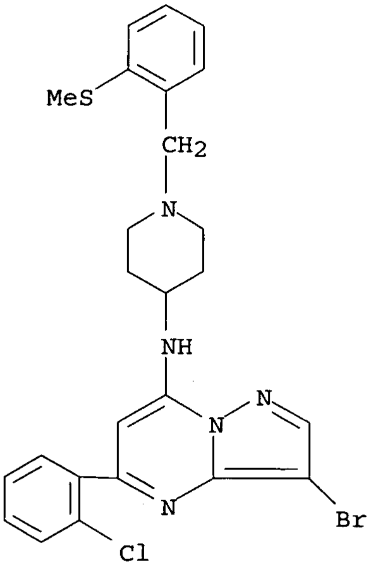
RN 677287-31-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(1,3-benzodioxol-4-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



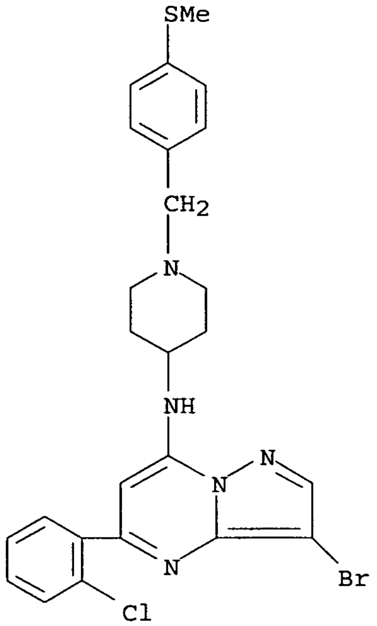
RN 677287-32-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



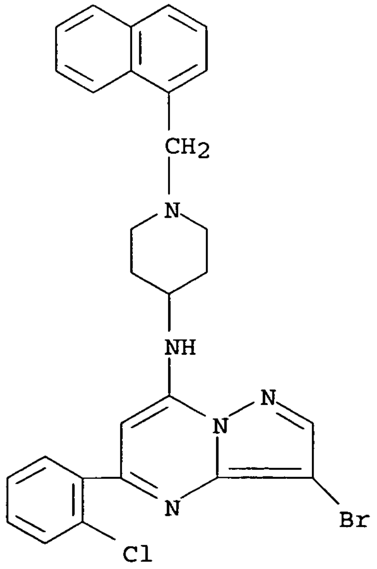
RN 677287-33-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-(methylthio)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



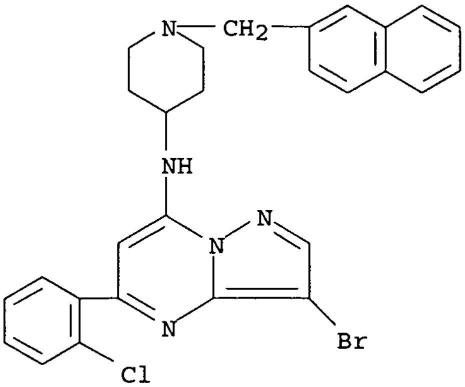
RN 677287-34-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(methylthio)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



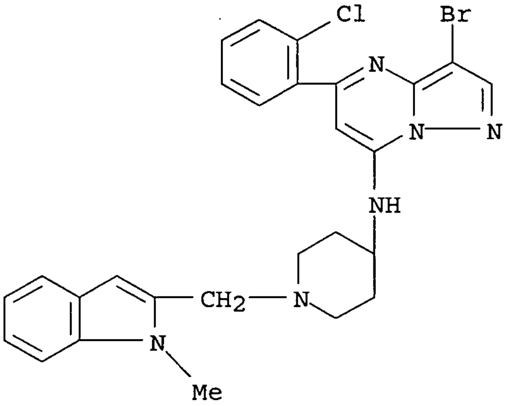
RN 677287-35-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(1-naphthalenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



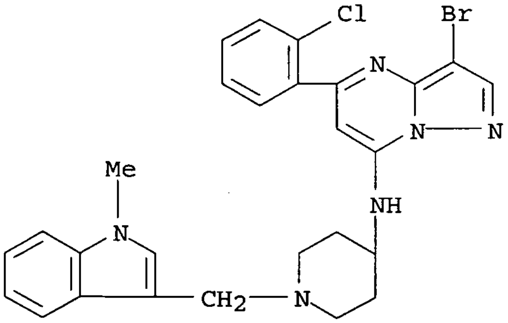
RN 677287-36-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-naphthalenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



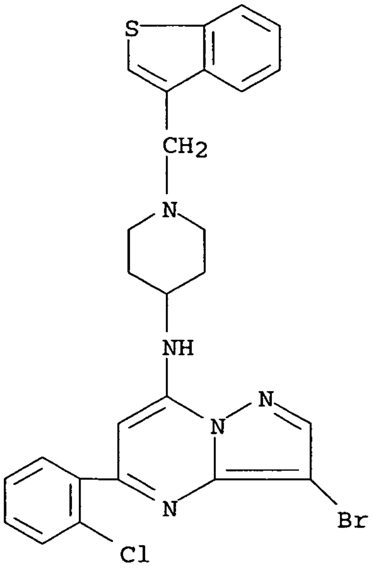
RN 677287-37-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(1-methyl-1H-indol-2-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-38-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-39-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(benzo[b]thien-3-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-40-0 HCAPLUS

CN Benzoic acid, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

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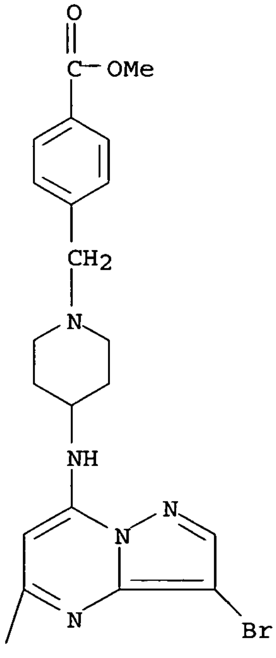

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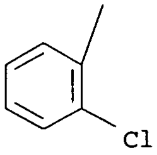
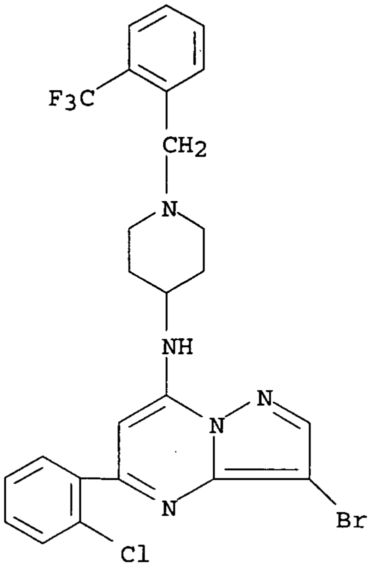
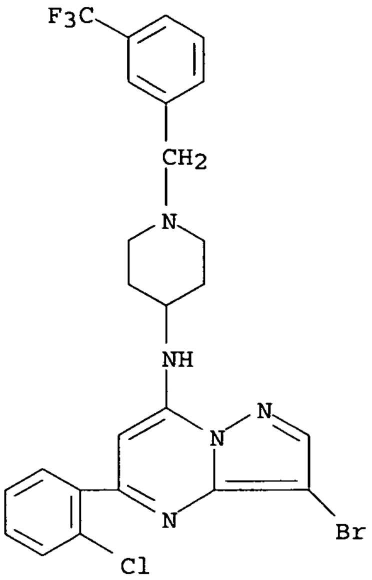


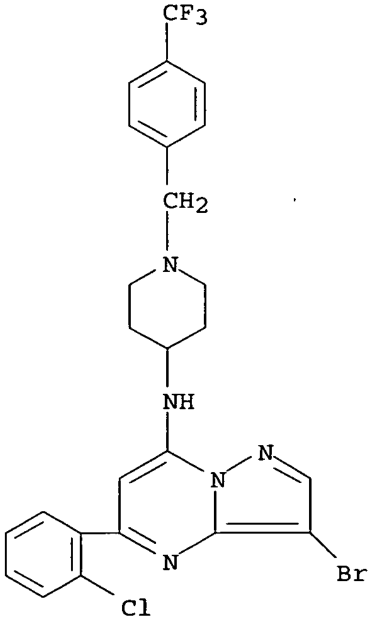
RN 677287-41-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



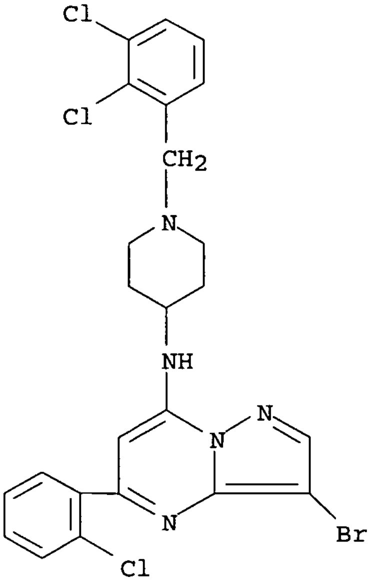
RN 677287-42-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[3-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



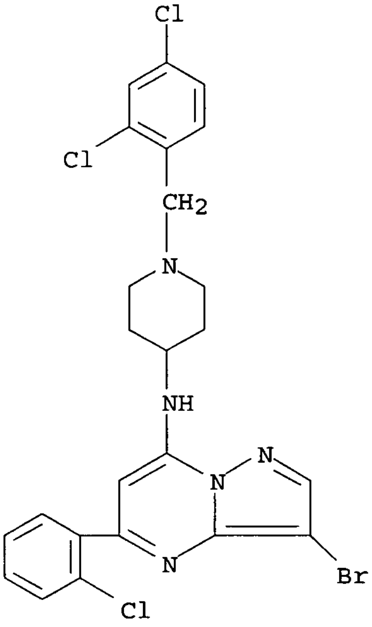
RN 677287-43-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



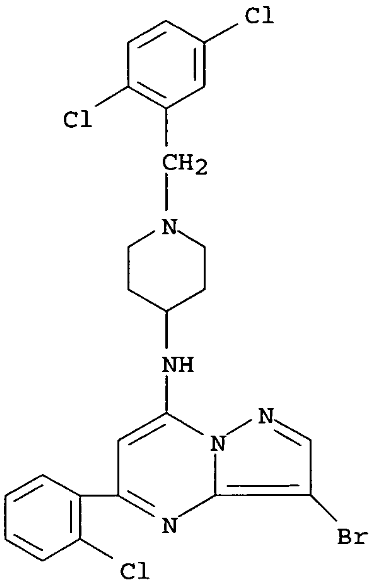
RN 677287-44-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,3-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



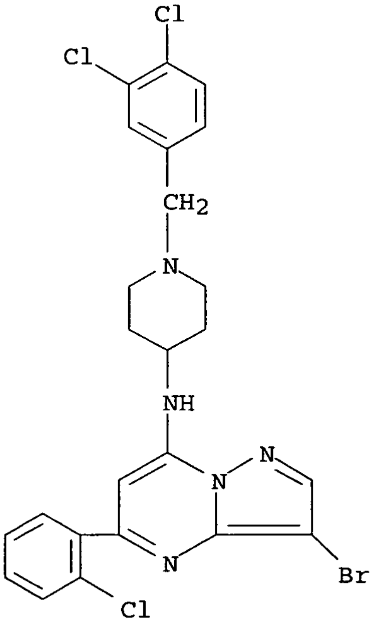
RN 677287-45-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



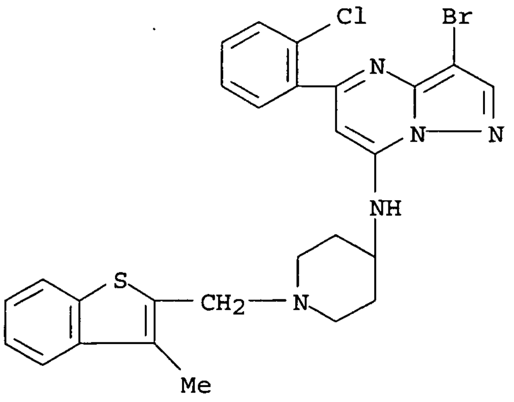
RN 677287-46-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,5-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



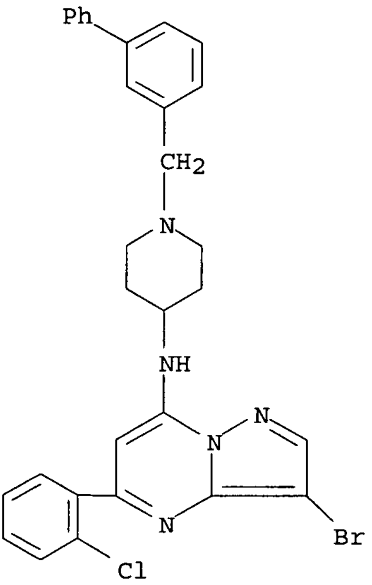
RN 677287-47-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



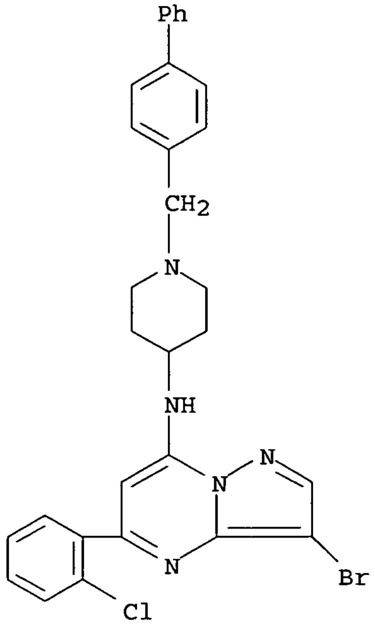
RN 677287-48-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-methylbenzo[b]thien-2-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



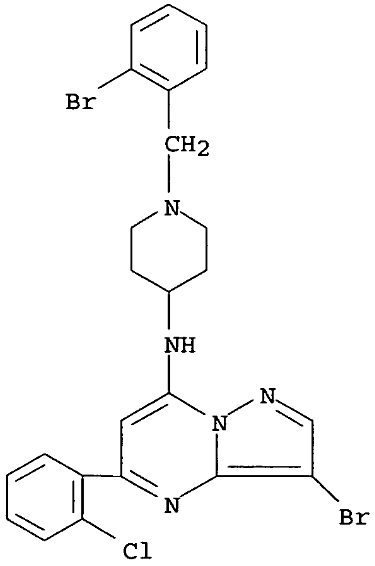
RN 677287-49-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([1,1'-biphenyl]-3-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



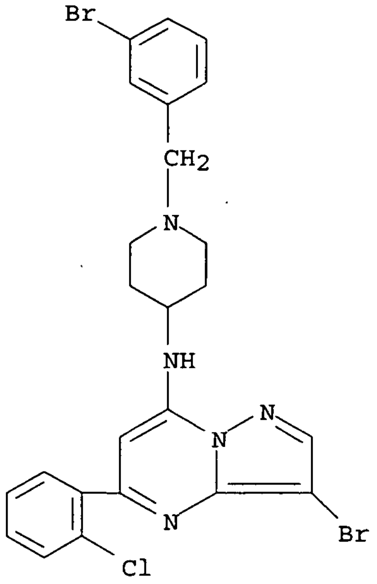
RN 677287-50-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([1,1'-biphenyl]-4-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



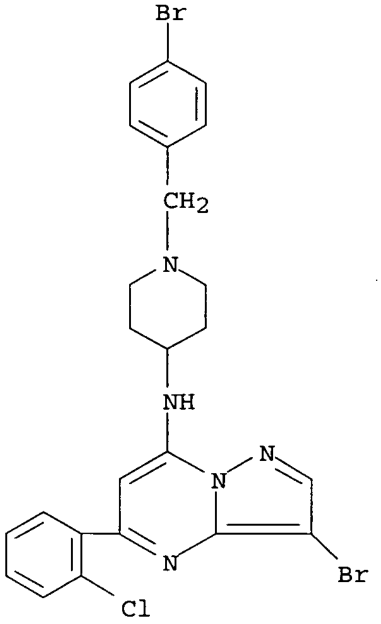
RN 677287-51-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(2-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



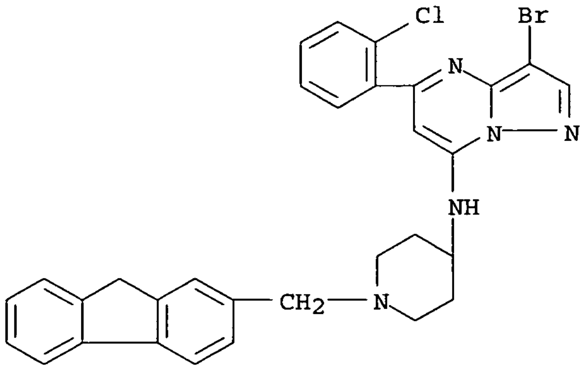
RN 677287-52-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(3-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-53-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(4-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-54-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(9H-fluoren-2-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-55-7 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([2,2'-bithiophen]-5-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

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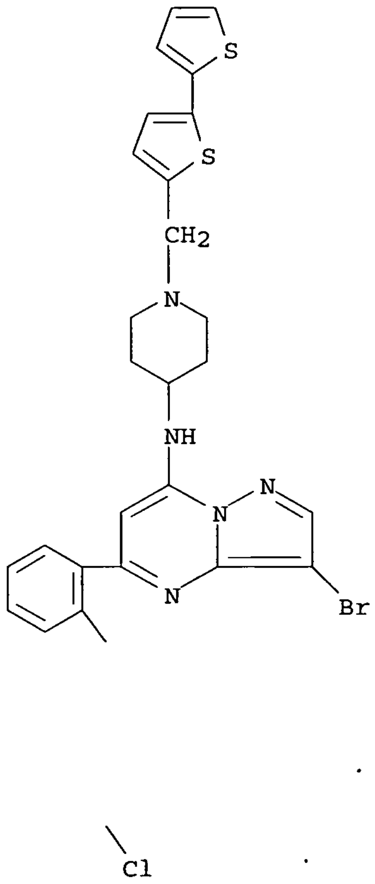

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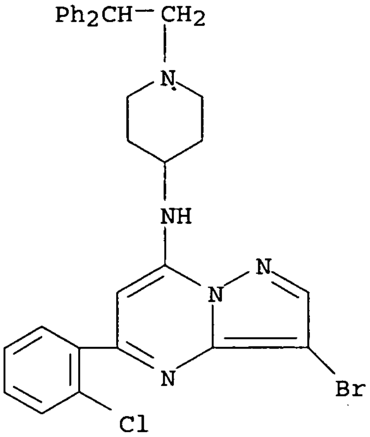
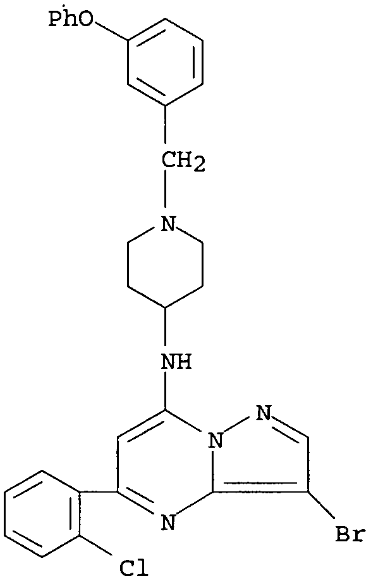
RN 677287-56-8 HCAPLUS

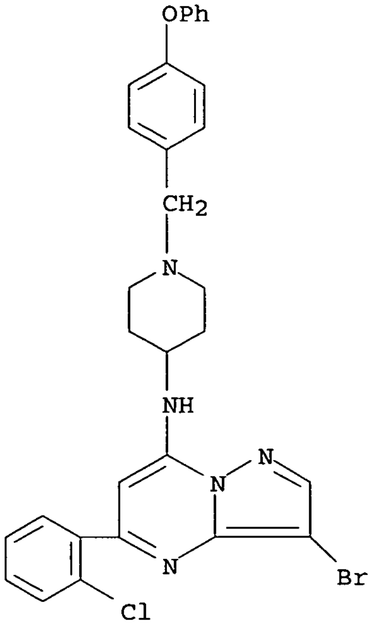
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2,2-diphenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



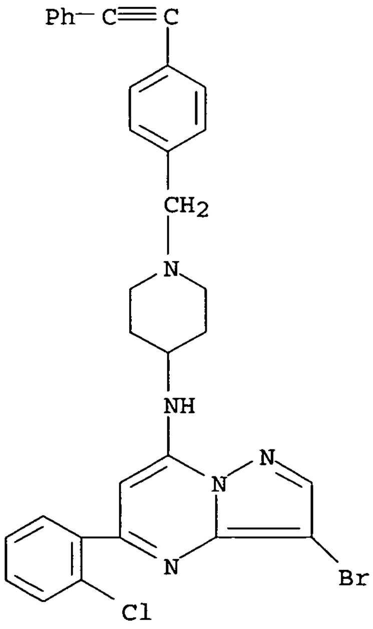
RN 677287-57-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-phenoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



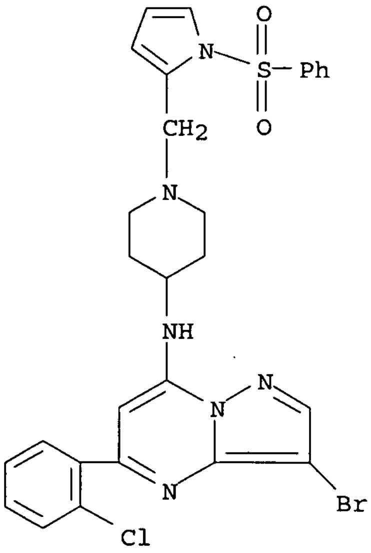
RN 677287-58-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



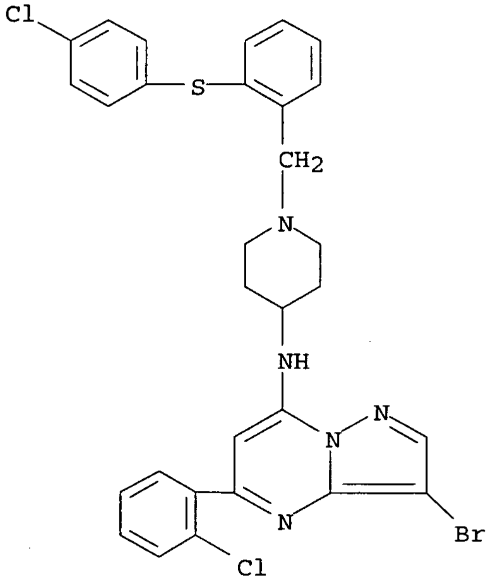
RN 677287-59-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(phenylethynyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



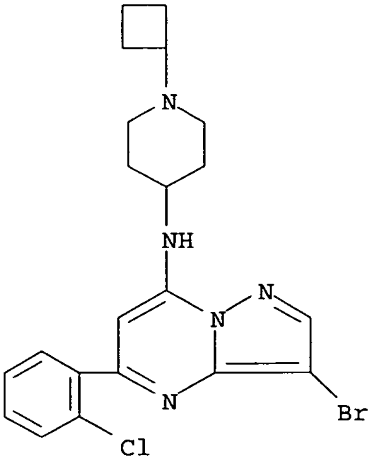
RN 677287-60-4 HCAPLUS
CN 1H-Pyrrole, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



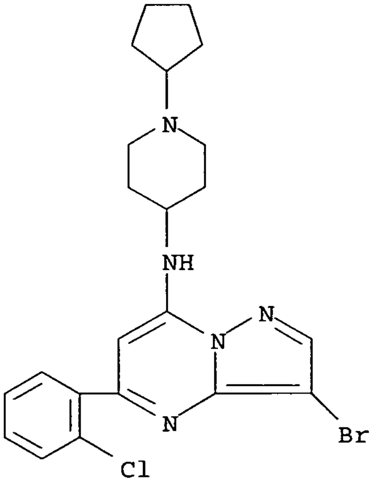
RN 677287-61-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-[(4-chlorophenyl)thio]phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



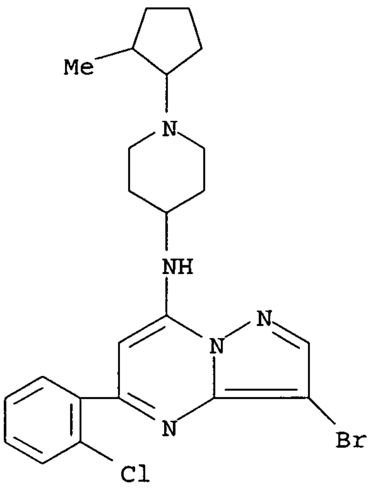
RN 677287-62-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-(1-cyclobutyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



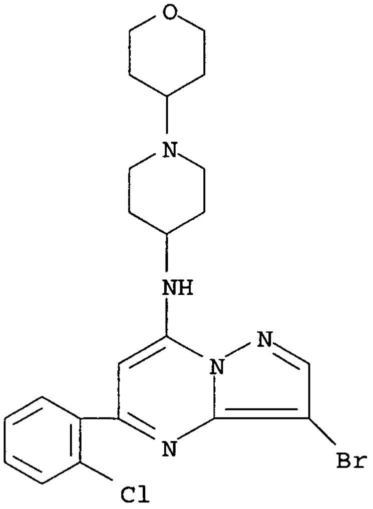
RN 677287-63-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-(1-cyclopentyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



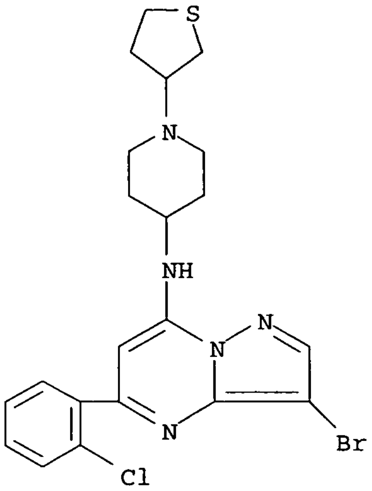
RN 677287-64-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-methylcyclopentyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



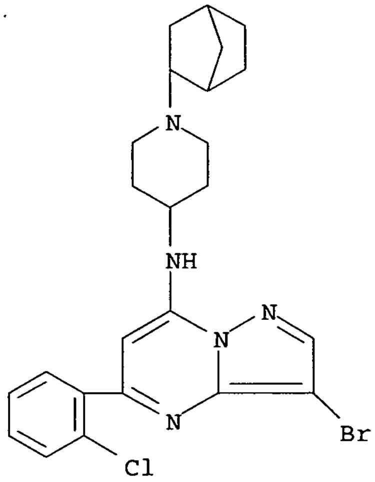
RN 677287-65-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



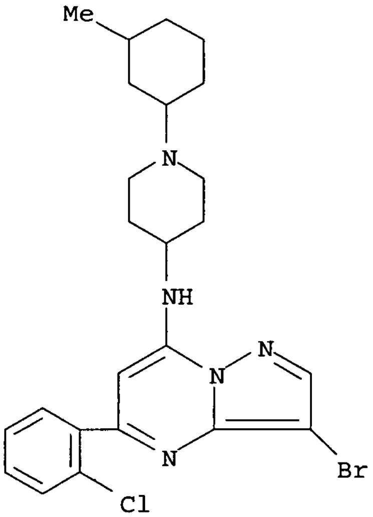
RN 677287-66-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-3-thienyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



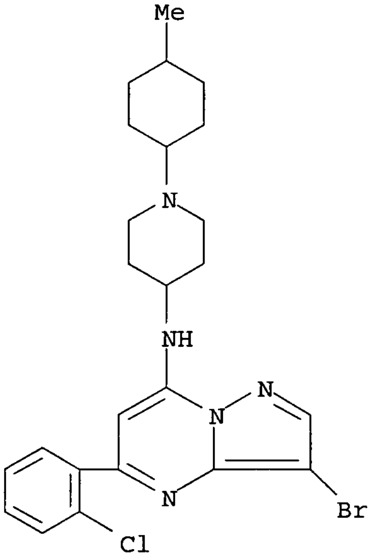
RN 677287-67-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-bicyclo[2.2.1]hept-2-yl-4-piperidinyl)-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



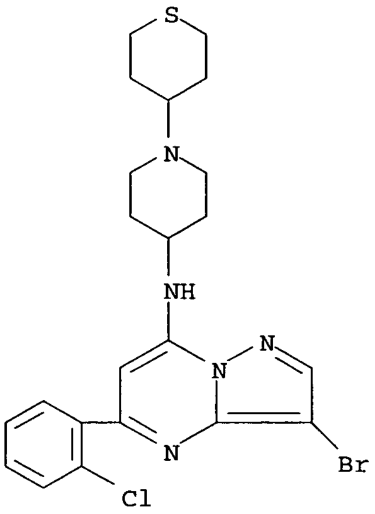
RN 677287-68-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-methylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



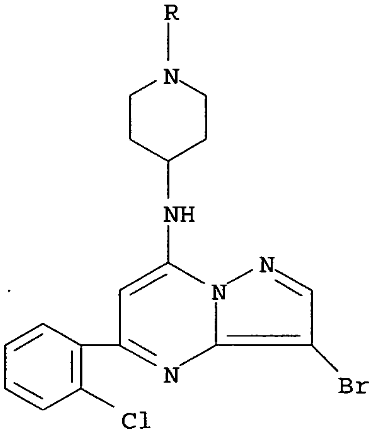
RN 677287-69-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(4-methylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

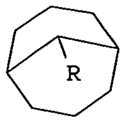


RN 677287-70-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

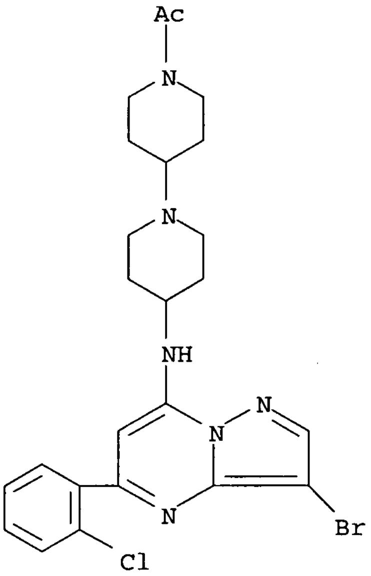


RN 677287-71-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-bicyclo[3.3.1]non-9-yl-4-piperidinyl)-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

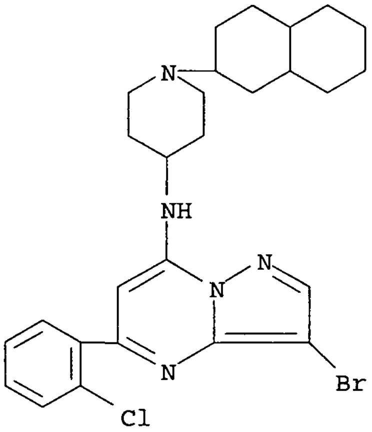




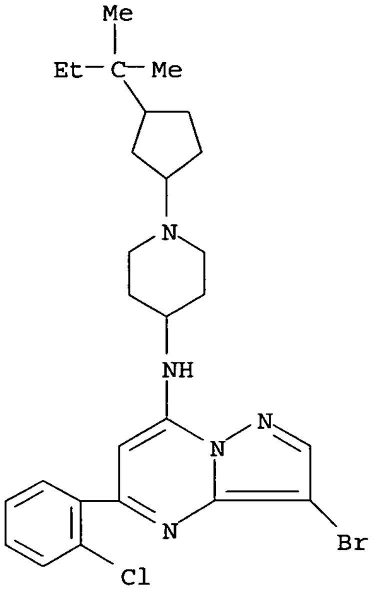
RN 677287-72-8 HCAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-acetyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



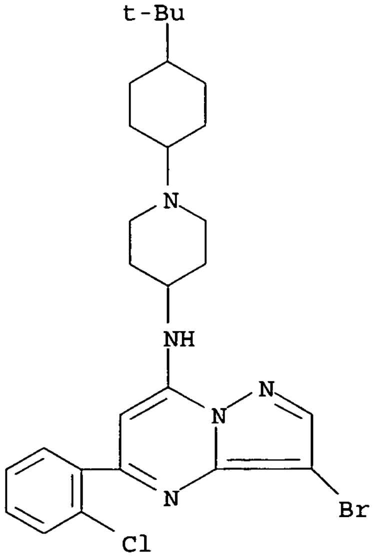
RN 677287-73-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(decahydro-2-naphthalenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



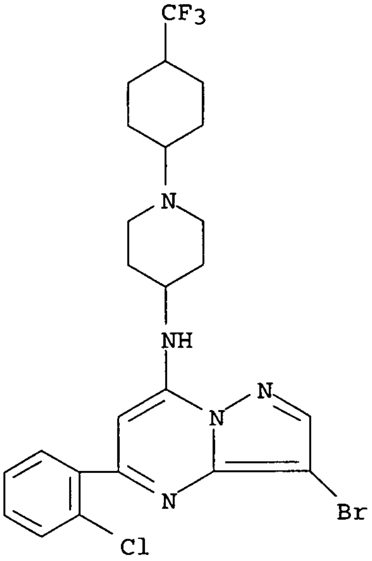
RN 677287-74-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(1,1-dimethylpropyl)cyclopentyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



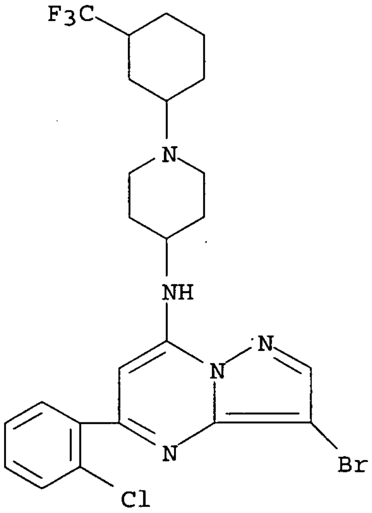
RN 677287-75-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(1,1-dimethylethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



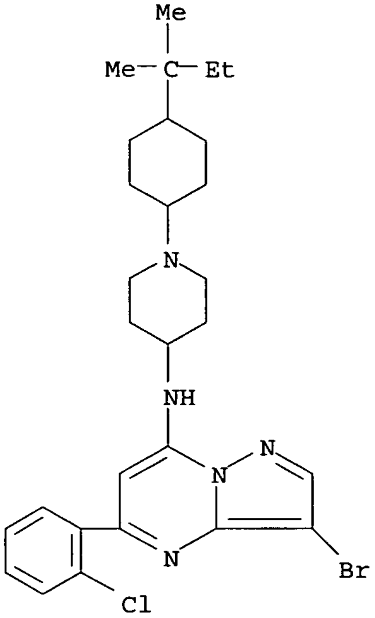
RN 677287-76-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(trifluoromethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



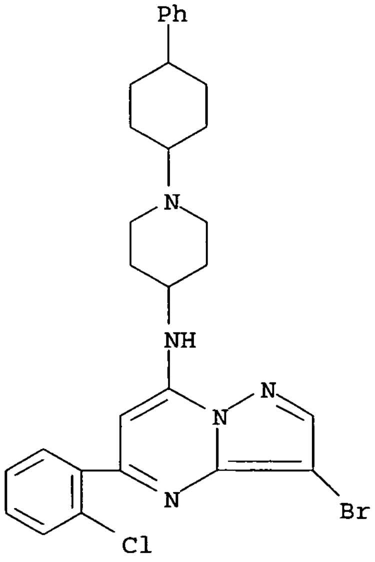
RN 677287-77-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(trifluoromethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



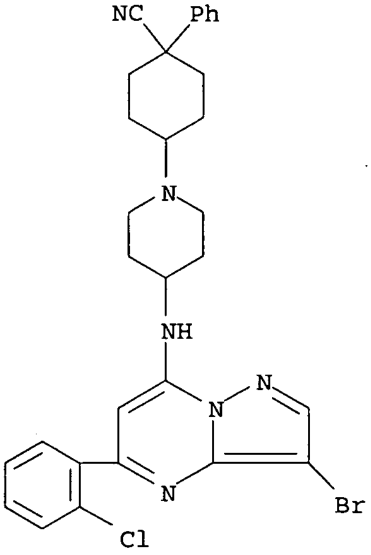
RN 677287-78-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(1,1-dimethylpropyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



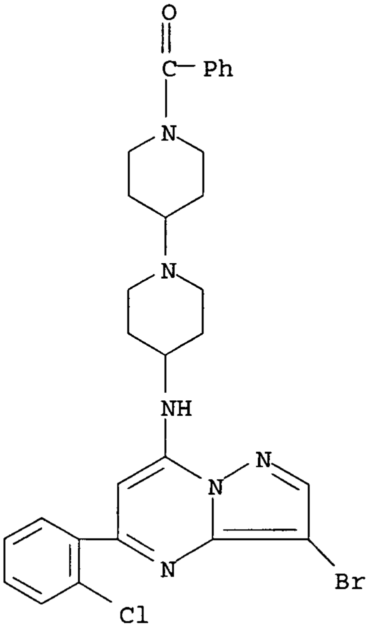
RN 677287-79-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(4-phenylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



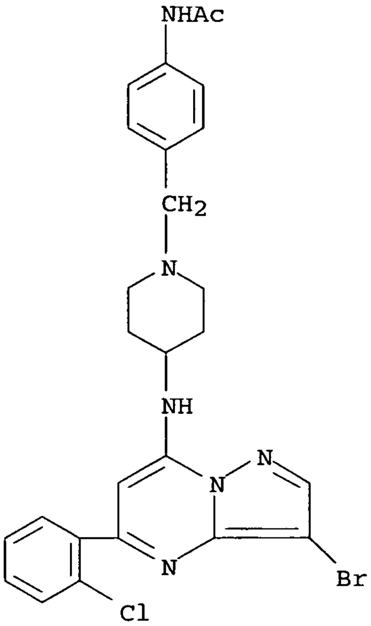
RN 677287-80-8 HCAPLUS
CN Cyclohexanecarbonitrile, 4-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-1-phenyl- (9CI) (CA INDEX NAME)



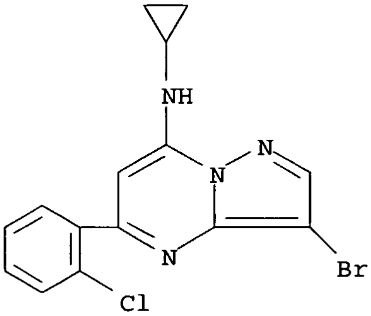
RN 677287-81-9 HCAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-benzoyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



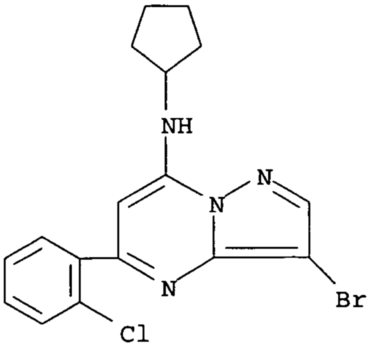
RN 677287-82-0 HCAPLUS
CN Acetamide, N-[4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



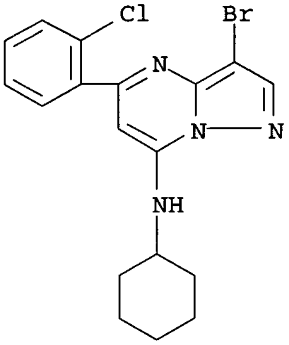
RN 677289-22-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-cyclopropyl- (9CI) (CA INDEX NAME)



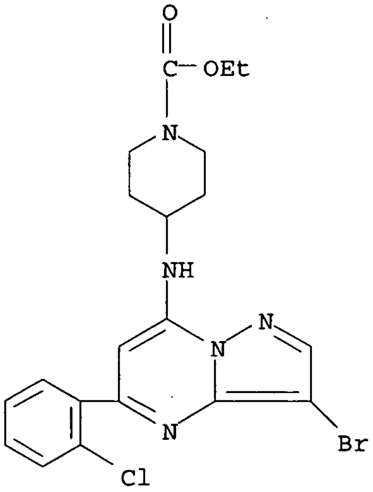
RN 677289-26-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-cyclopentyl- (9CI) (CA INDEX NAME)



RN 677289-29-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-cyclohexyl- (9CI) (CA INDEX NAME)

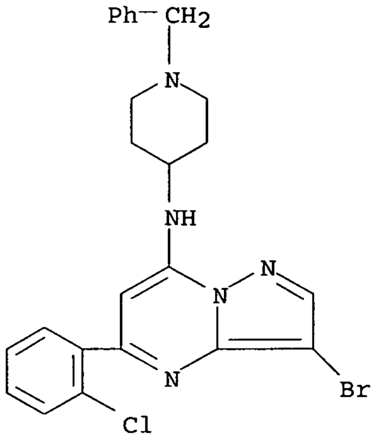


RN 677289-45-1 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 677289-59-7 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L46 ANSWER 4 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2004:980998 HCAPLUS
DOCUMENT NUMBER:	141:379942
TITLE:	Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S):	Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PATENT ASSIGNEE(S):	Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE:	U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004209878	A1	20041021	US 2004-776988		20040211
US 2004209878	A1	20041021	US 2004-776988		20040211
PRIORITY APPLN. INFO.:			US 2002-408027P	P	20020904
			US 2002-421959P	P	20021029
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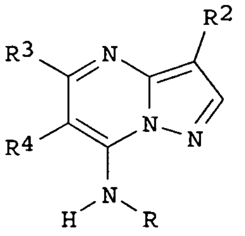
GI



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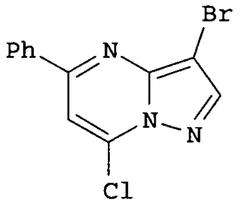
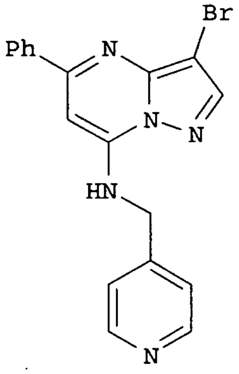


II



III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 µM and 0.029 µM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part II of I-III series.

IT 677788-68-0P 677788-69-1P 677788-70-4P
677788-71-5P 677788-72-6P 677788-73-7P
677788-74-8P 677788-75-9P 677788-76-0P
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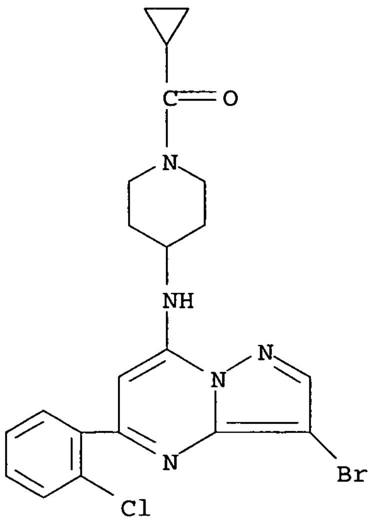
677789-62-7P 677789-63-8P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

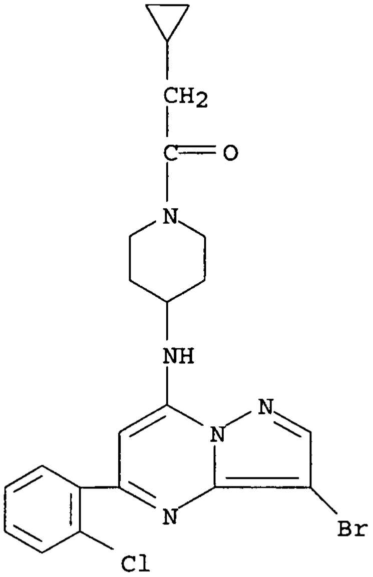
RN 677788-68-0 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopropylcarbonyl)- (9CI) (CA INDEX NAME)



RN 677788-69-1 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopropylacetyl)- (9CI) (CA INDEX NAME)



RN 677788-70-4 HCAPLUS
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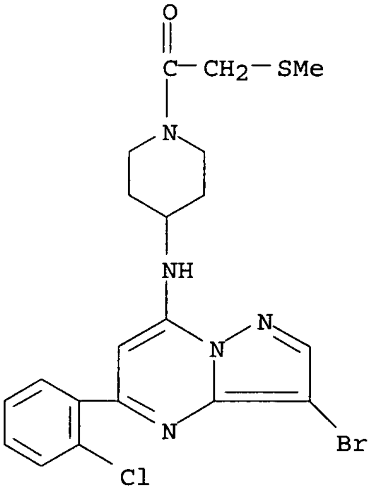
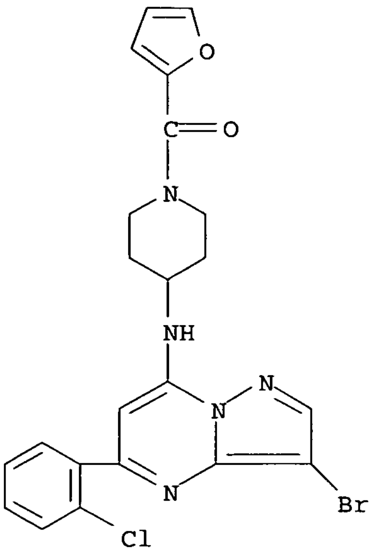


RN 677788-71-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)



RN 677788-72-6 HCAPLUS
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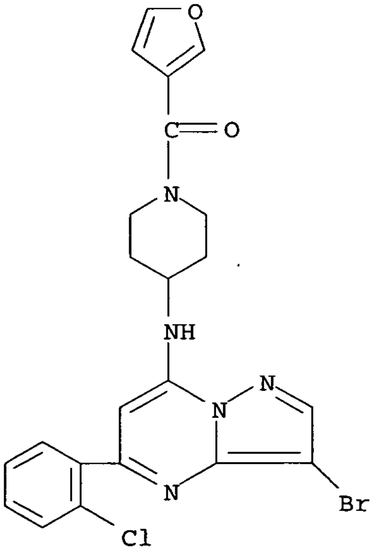
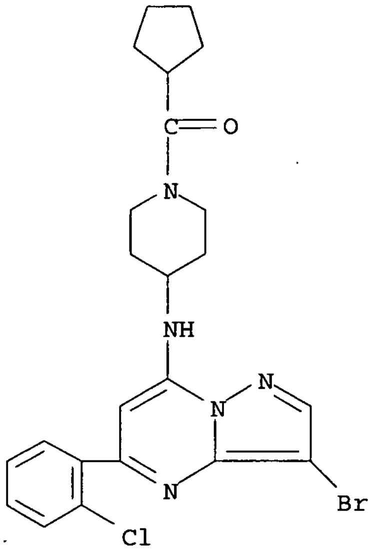
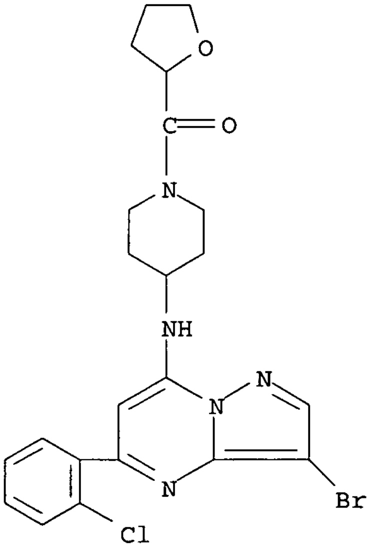


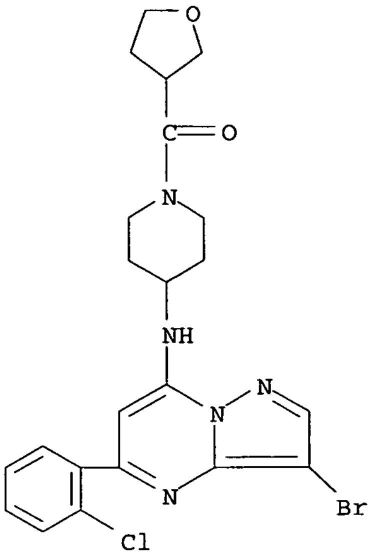
RN 677788-73-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopentylcarbonyl)- (9CI) (CA INDEX NAME)



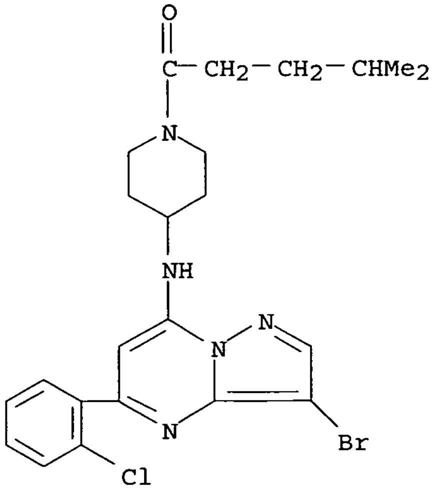
RN 677788-74-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(tetrahydro-2-furanyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677788-75-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(tetrahydro-3-furanyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677788-76-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-methyl-1-oxopentyl)- (9CI) (CA INDEX NAME)



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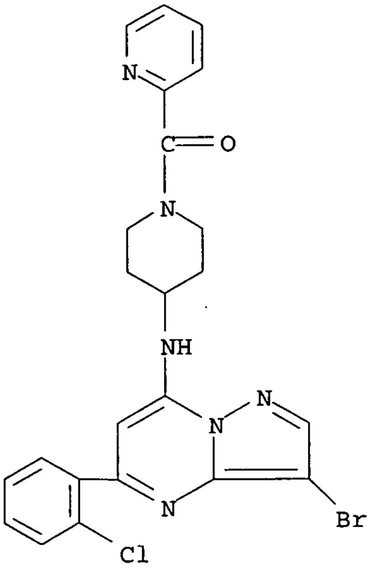
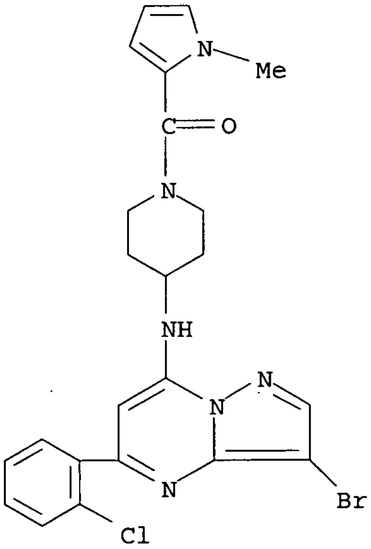
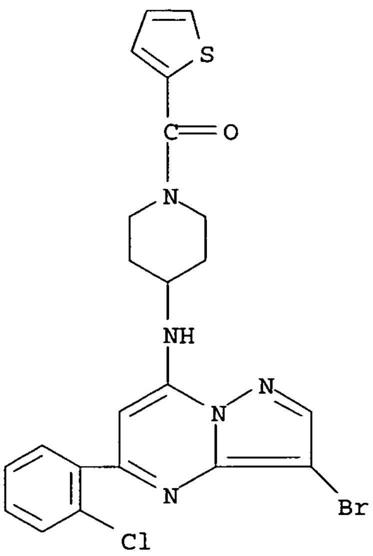
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)



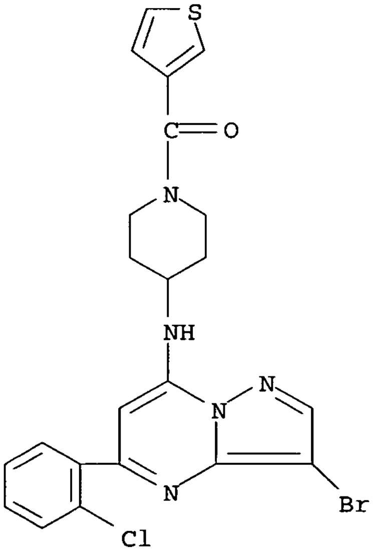
RN 677788-78-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-methyl-1H-pyrrol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



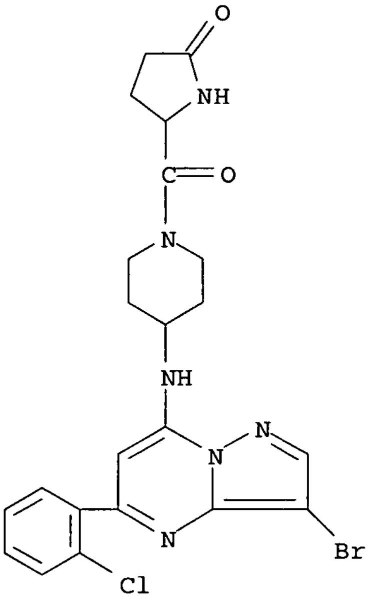
RN 677788-79-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)



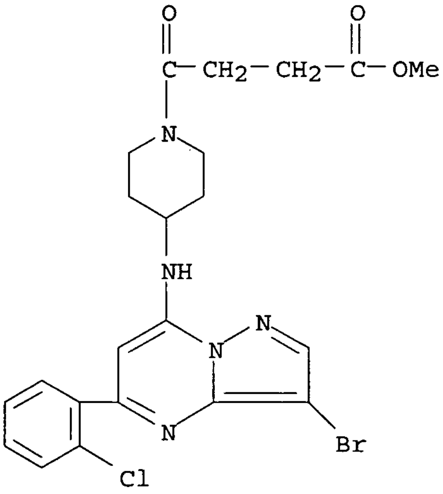
RN 677788-80-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-thienylcarbonyl)- (9CI) (CA INDEX NAME)



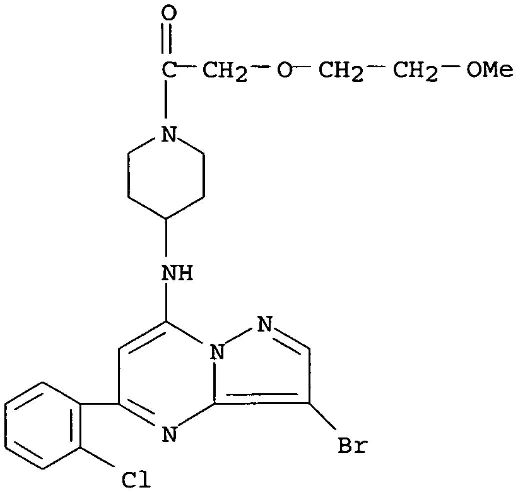
RN 677788-81-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-oxo-2-pyrrolidinyl)carbonyl]- (9CI) (CA INDEX NAME)



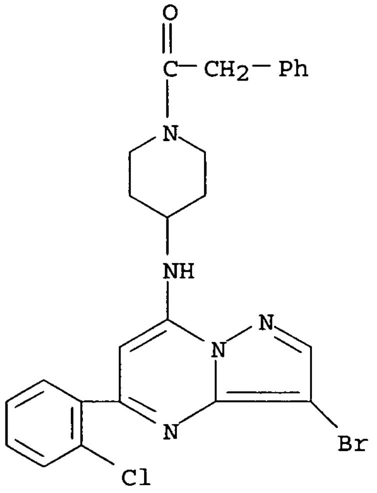
RN 677788-82-8 HCAPLUS
CN 1-Piperidinebutanoic acid, 4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 677788-83-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-methoxyethoxy)acetyl]- (9CI) (CA INDEX NAME)



RN 677788-84-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



RN 677788-85-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(2-furanyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

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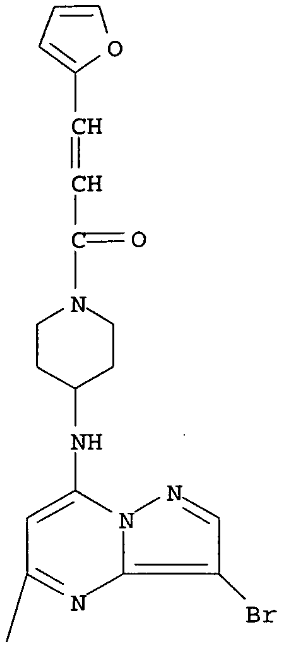

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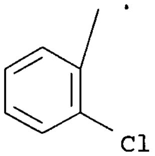
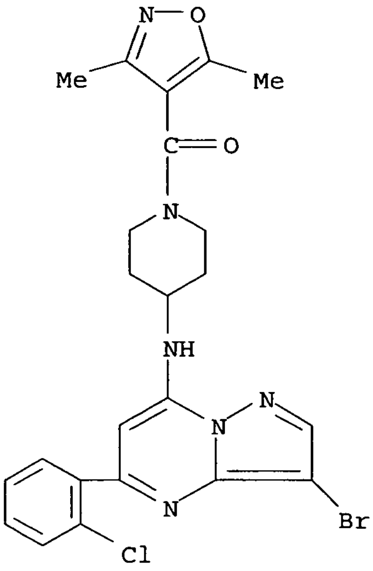
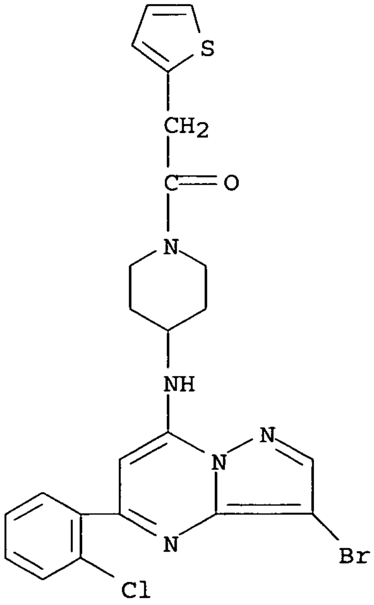


RN   677788-86-2 HCAPLUS

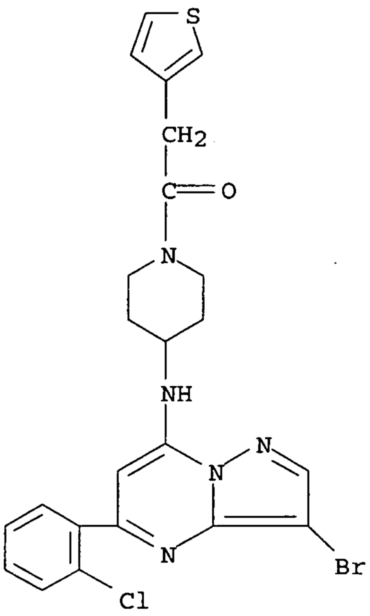
CN   4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-dimethyl-4-isoxazolyl)carbonyl]- (9CI) (CA INDEX NAME)



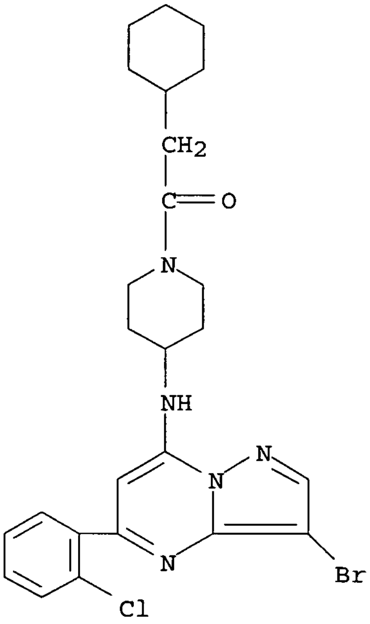
RN 677788-87-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-thienylacetyl)- (9CI) (CA INDEX NAME)



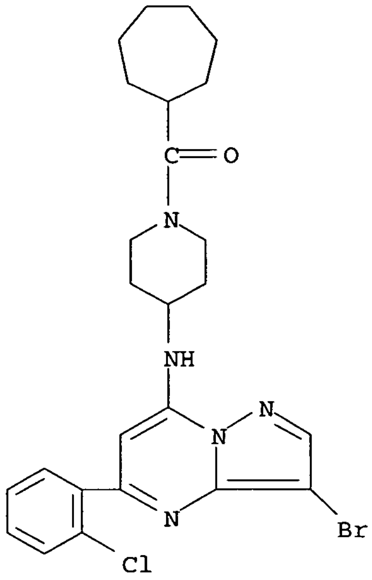
RN 677788-88-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-thienylacetyl)- (9CI) (CA INDEX NAME)



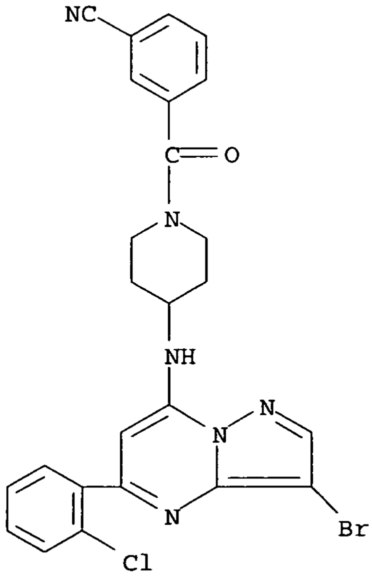
RN 677788-89-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclohexylacetyl)- (9CI) (CA INDEX NAME)



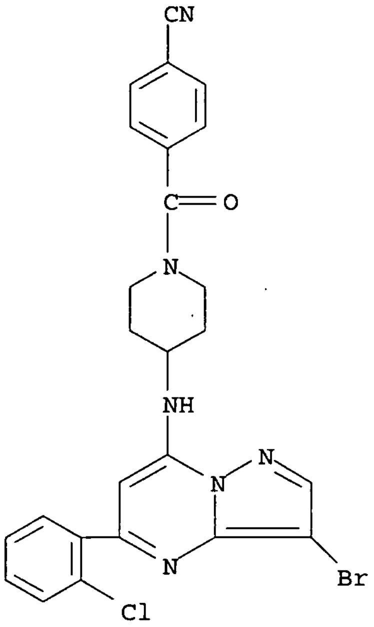
RN 677788-90-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cycloheptylcarbonyl)- (9CI) (CA INDEX NAME)



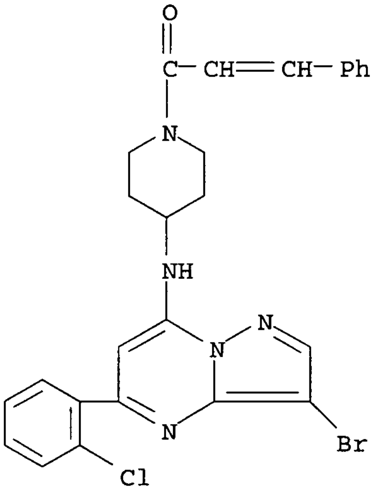
RN 677788-91-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-cyanobenzoyl)- (9CI) (CA INDEX NAME)



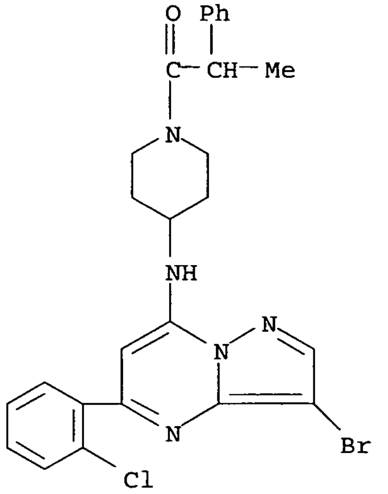
RN 677788-92-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-cyanobenzoyl)- (9CI) (CA INDEX NAME)



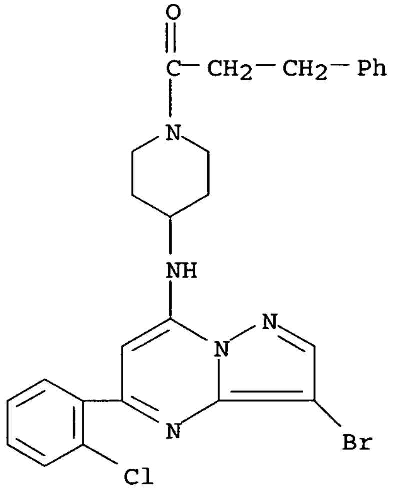
RN 677788-93-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



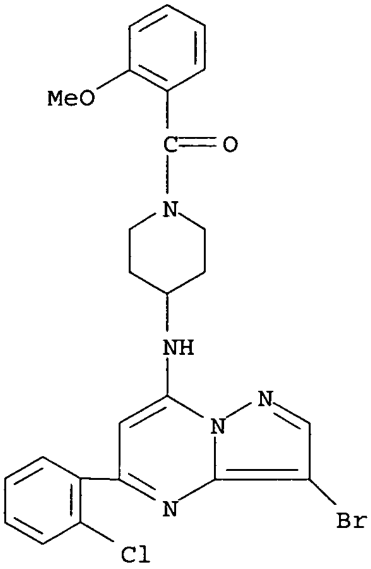
RN 677788-94-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-2-phenylpropyl)- (9CI) (CA INDEX NAME)



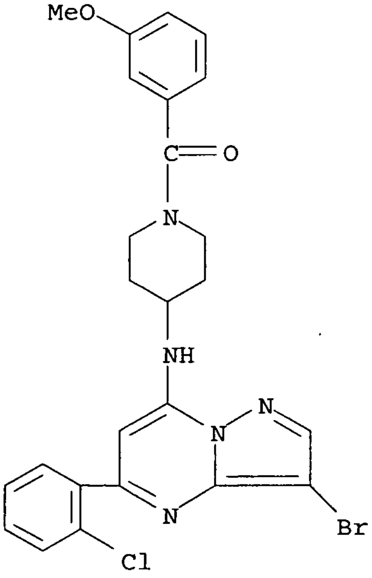
RN 677788-95-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



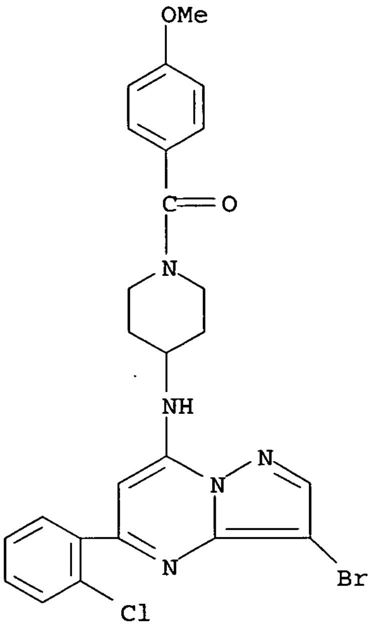
RN 677788-96-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methoxybenzoyl)- (9CI) (CA INDEX NAME)



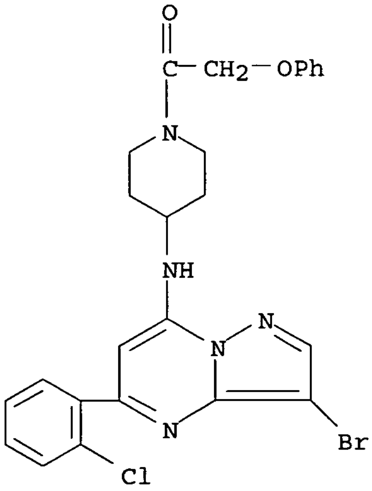
RN 677788-97-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-methoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 677788-98-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 677788-99-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(phenoxyacetyl)- (9CI) (CA INDEX NAME)



RN 677789-00-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(2-thienyl)-2-propenyl]- (9CI) (CA INDEX NAME)

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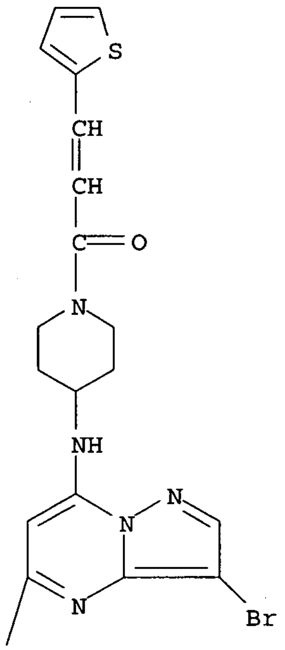

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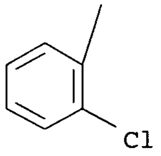

RN 677789-01-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(3-thienyl)-2-propenyl]- (9CI) (CA INDEX NAME)

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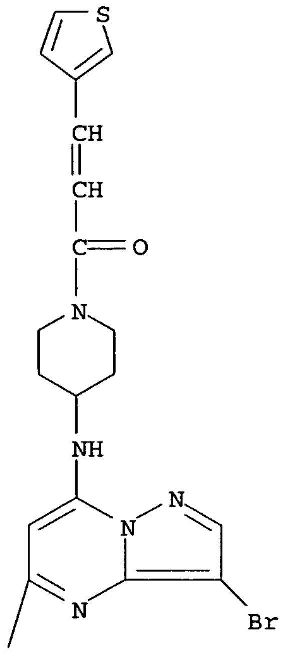

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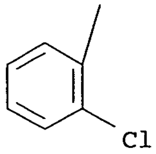
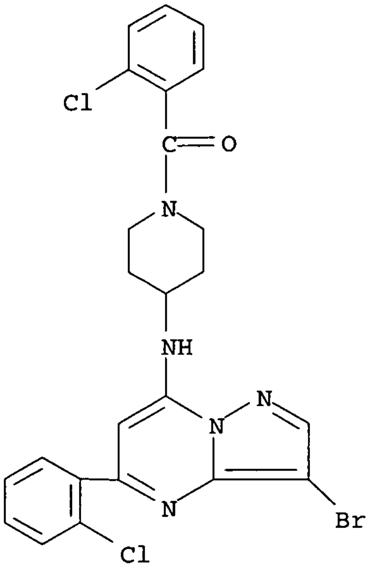
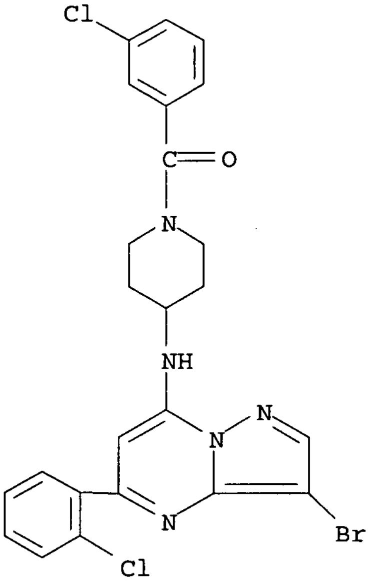


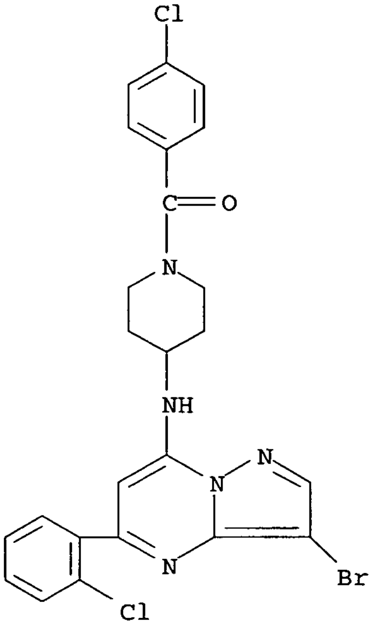
RN 677789-02-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-chlorobenzoyl)- (9CI) (CA INDEX NAME)



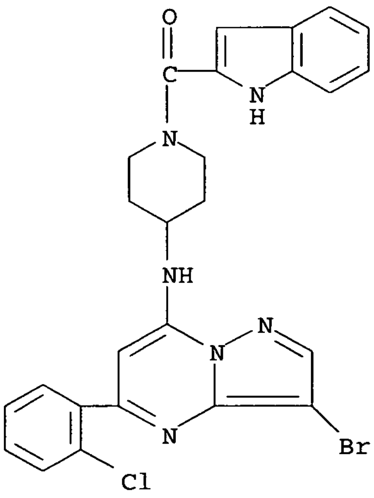
RN 677789-03-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-chlorobenzoyl)- (9CI) (CA INDEX NAME)



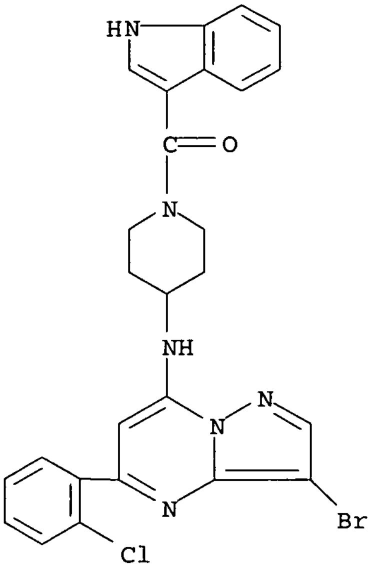
RN 677789-04-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-chlorobenzoyl)- (9CI) (CA INDEX NAME)



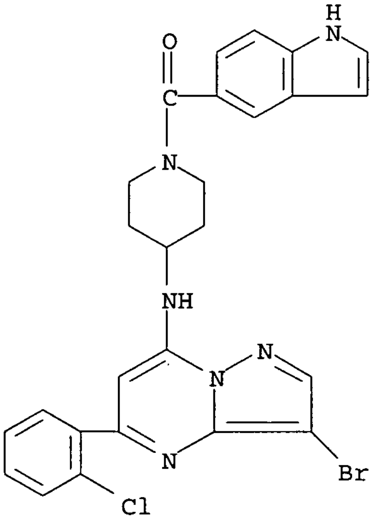
RN 677789-05-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-2-ylcarbonyl)- (9CI) (CA INDEX NAME)



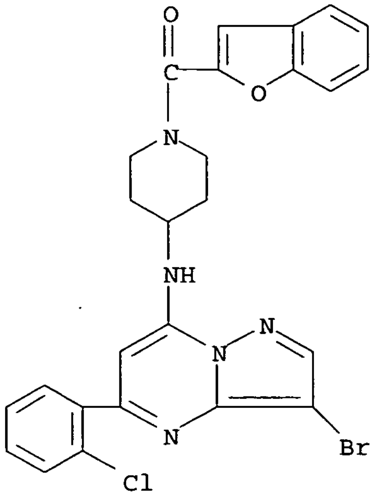
RN 677789-06-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)



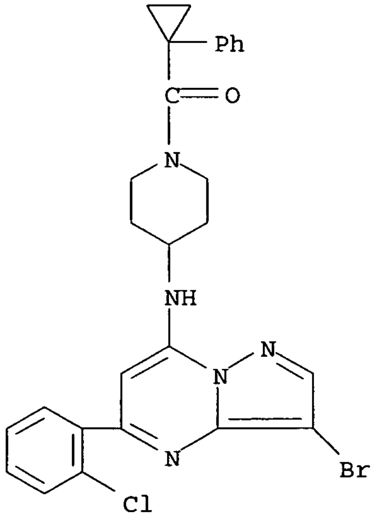
RN 677789-07-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 677789-08-1 HCAPLUS
CN 4-Piperidinamine, 1-(2-benzofuranylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

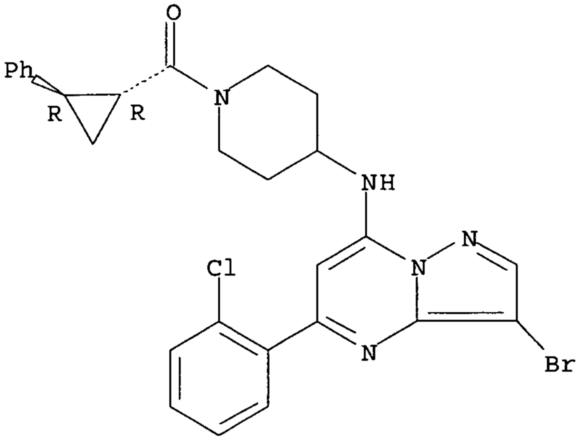


RN 677789-09-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-phenylcyclopropyl)carbonyl]- (9CI) (CA INDEX NAME)



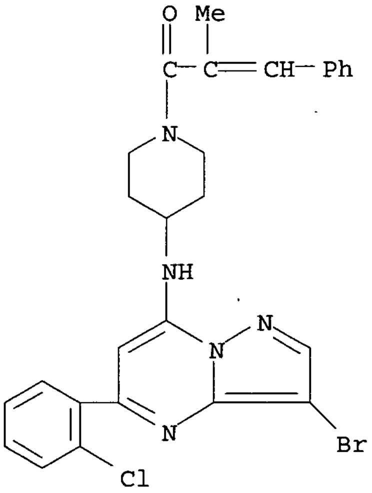
RN 677789-10-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



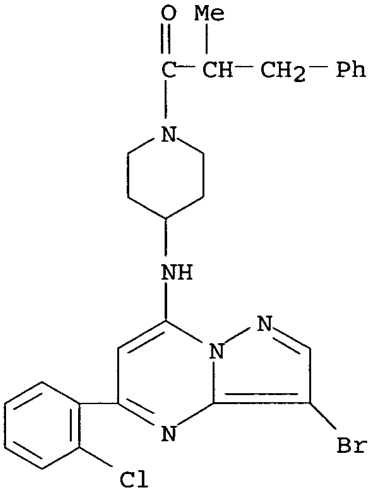
RN 677789-11-6 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methyl-1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



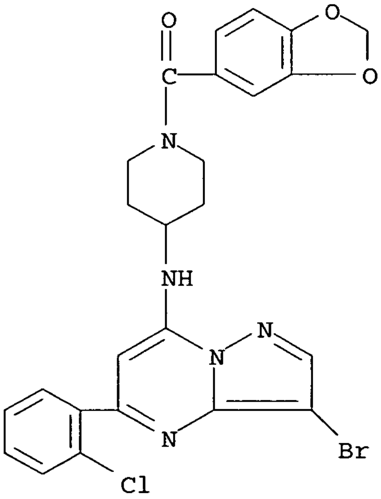
RN 677789-12-7 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methyl-1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



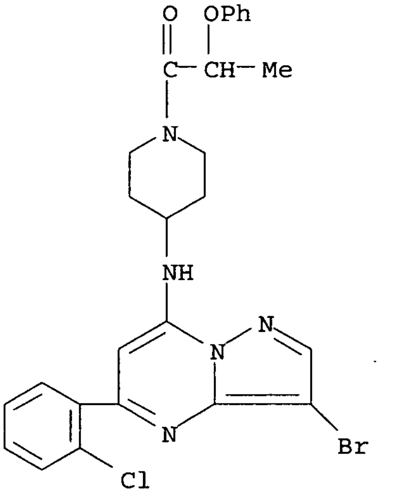
RN 677789-13-8 HCAPLUS

CN 4-Piperidinamine, 1-(1,3-benzodioxol-5-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

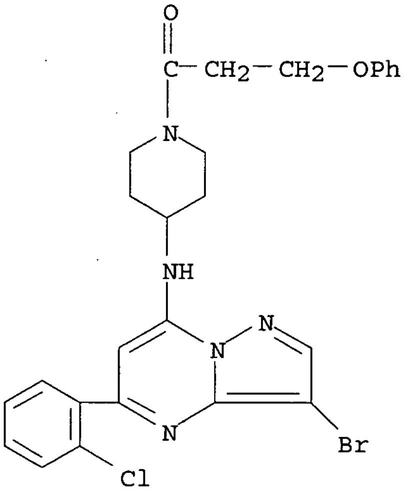


RN 677789-15-0 HCAPLUS

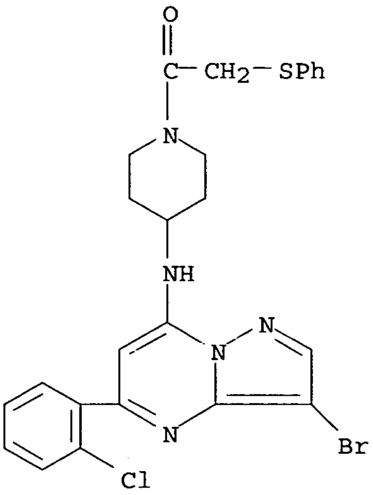
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-2-phenoxypropyl)- (9CI) (CA INDEX NAME)



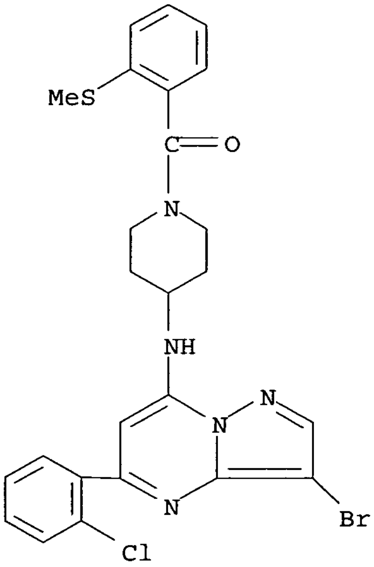
RN 677789-16-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-3-phenoxypropyl)- (9CI) (CA INDEX NAME)



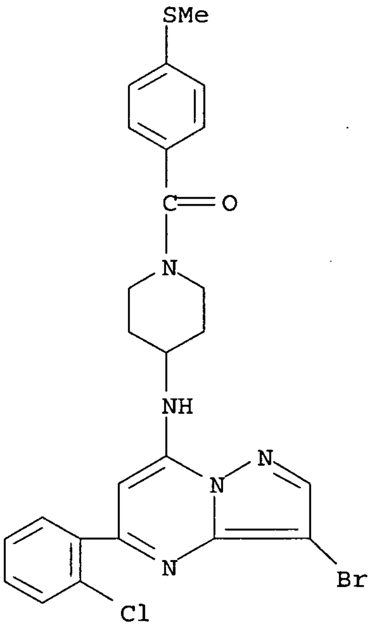
RN 677789-17-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(phenylthio)acetyl]- (9CI) (CA INDEX NAME)



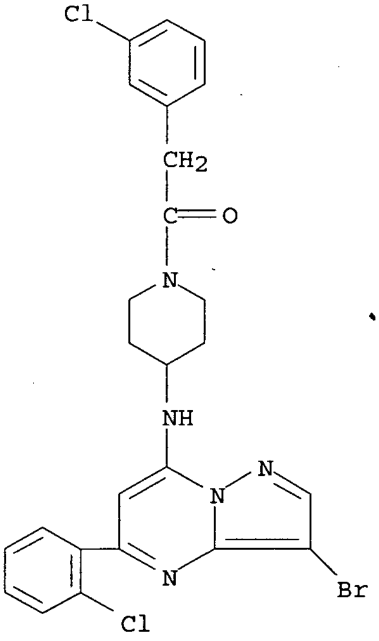
RN 677789-18-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[2-(methylthio)benzoyl]- (9CI) (CA INDEX NAME)



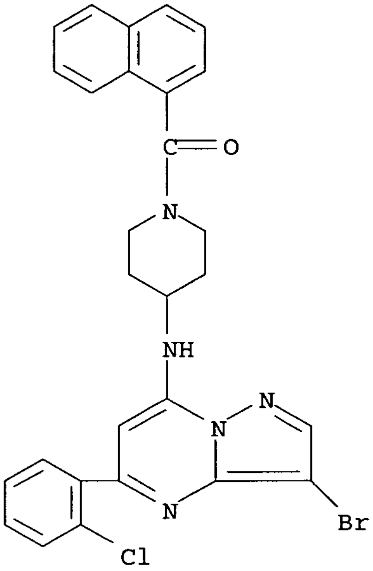
RN 677789-19-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[4-(methylthio)benzoyl]- (9CI) (CA INDEX NAME)



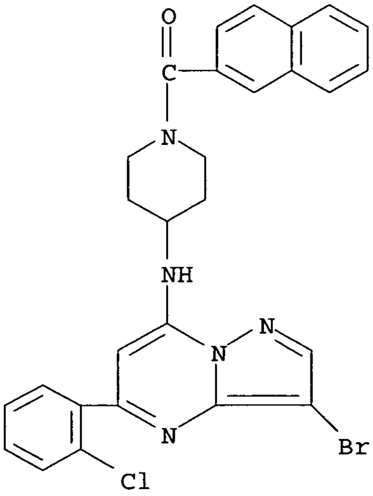
RN 677789-20-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-chlorophenyl)acetyl]- (9CI) (CA INDEX NAME)



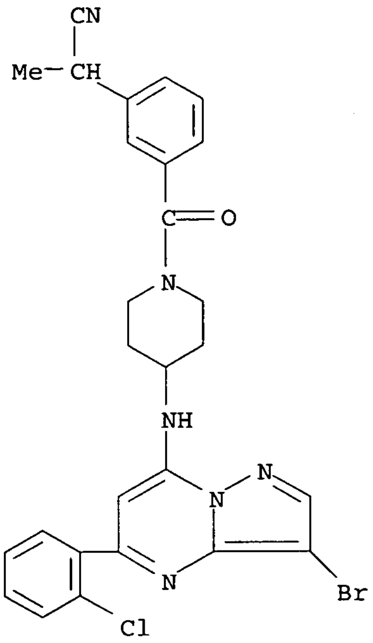
RN 677789-21-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylcarbonyl)- (9CI) (CA INDEX NAME)



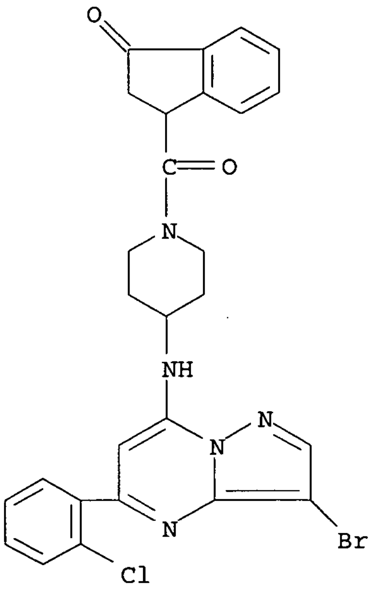
RN 677789-22-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylcarbonyl)- (9CI) (CA INDEX NAME)



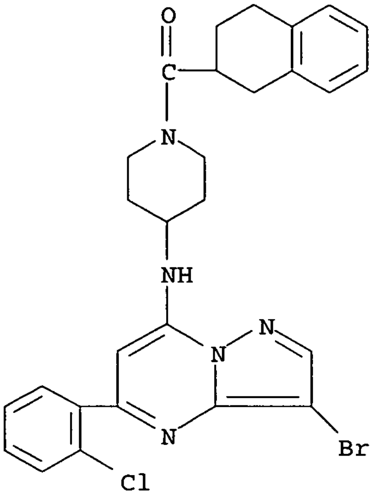
RN 677789-23-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(1-cyanoethyl)benzoyl]- (9CI) (CA INDEX NAME)



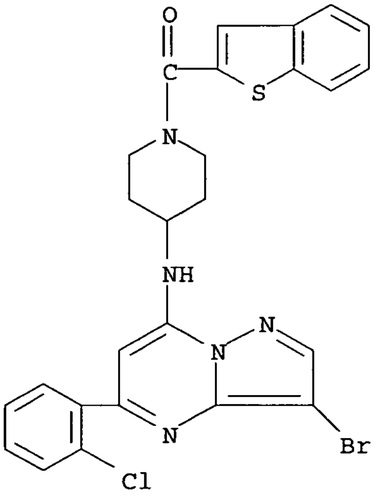
RN 677789-24-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dihydro-3-oxo-1H-inden-1-yl)carbonyl]- (9CI) (CA INDEX NAME)



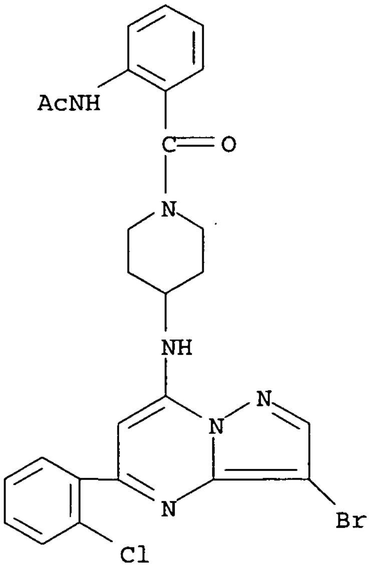
RN 677789-25-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]- (9CI) (CA INDEX NAME)



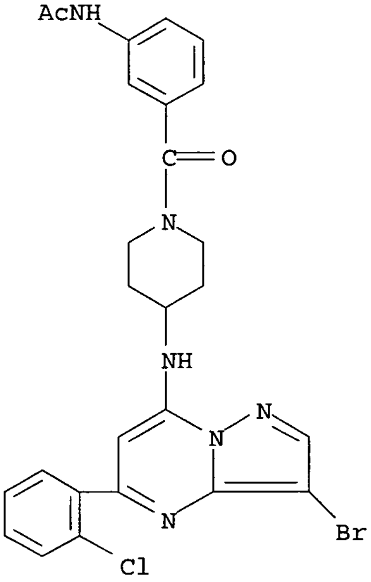
RN 677789-26-3 HCAPLUS
CN 4-Piperidinamine, 1-(benzo[b]thien-2-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



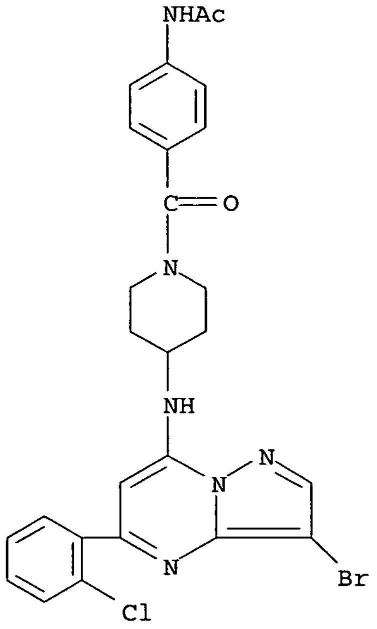
RN 677789-27-4 HCAPLUS
CN Acetamide, N-[2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



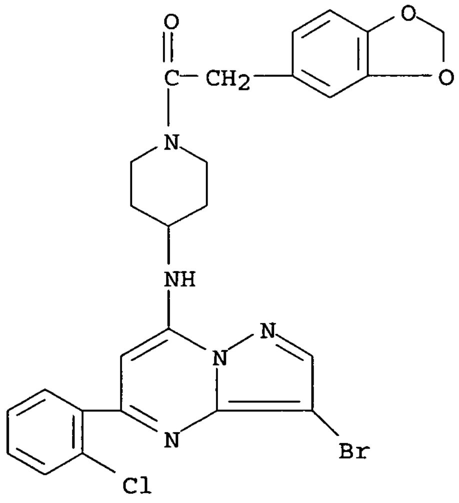
RN 677789-28-5 HCAPLUS
CN Acetamide, N-[3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



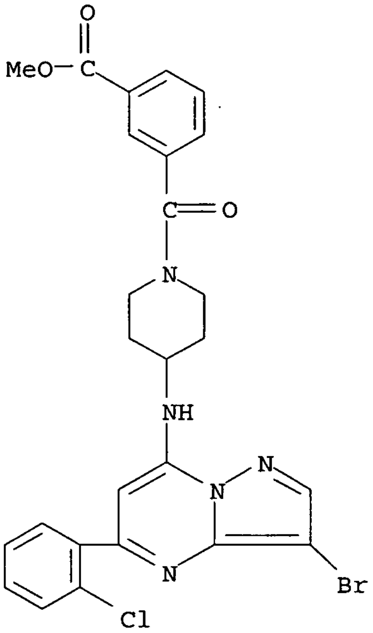
RN 677789-29-6 HCAPLUS
CN Acetamide, N-[4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



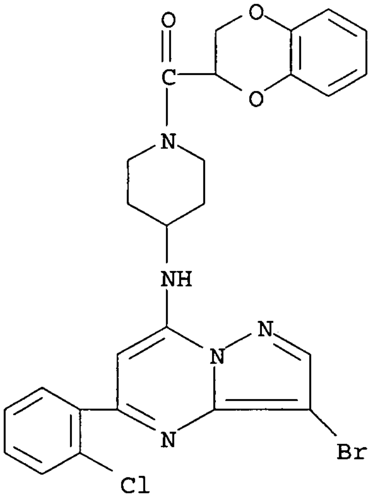
RN 677789-30-9 HCAPLUS
CN 4-Piperidinamine, 1-(1,3-benzodioxol-5-ylacetyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677789-31-0 HCAPLUS
CN Benzoic acid, 3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 677789-32-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677789-33-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(3-chlorophenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

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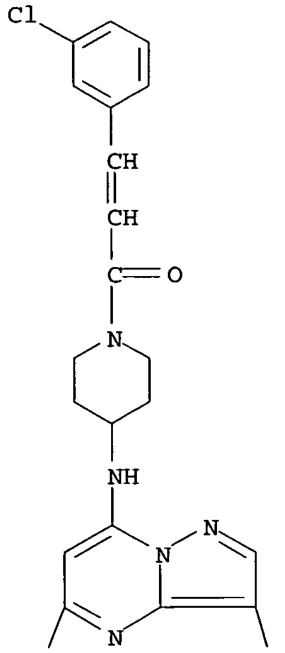

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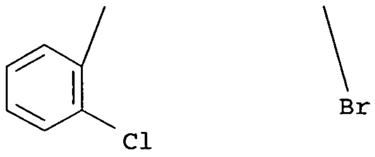
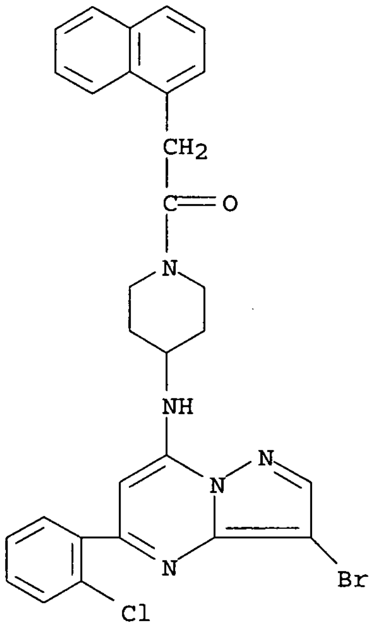
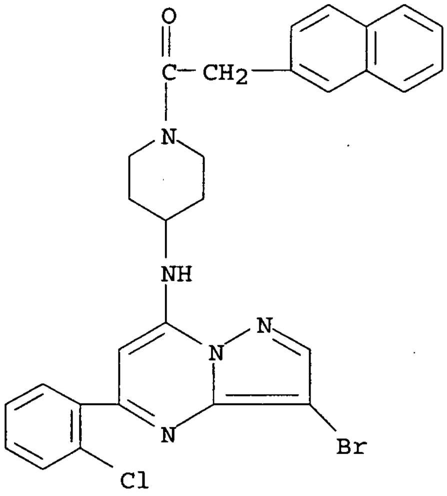


RN 677789-34-3 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-35-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-36-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(1H-indol-3-yl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

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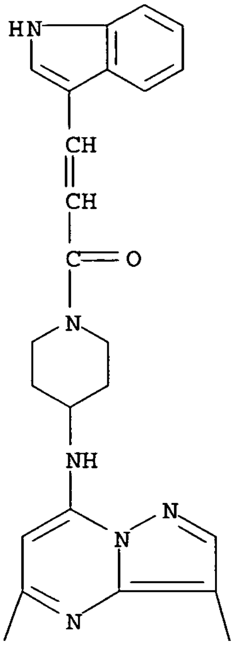

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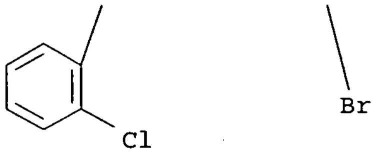
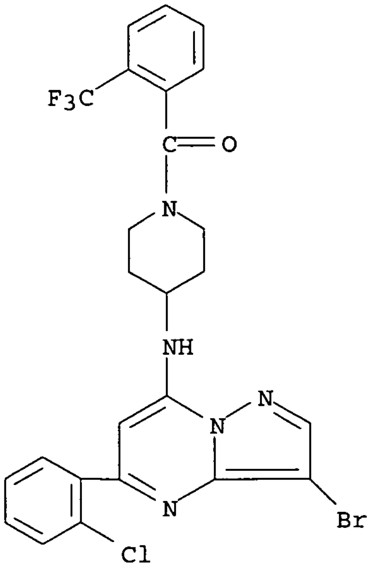
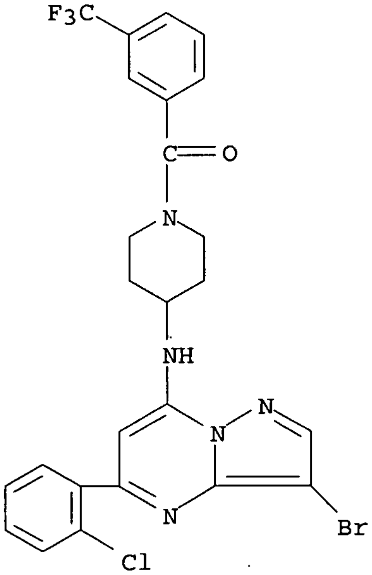


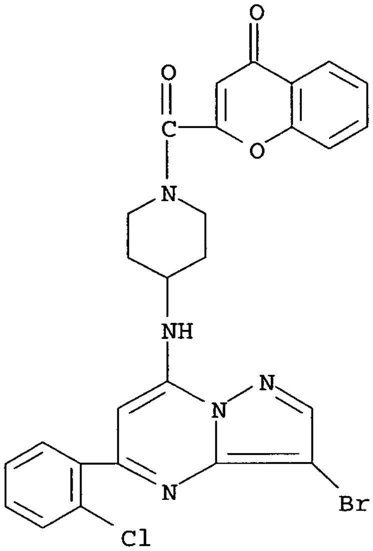
RN 677789-37-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[2-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



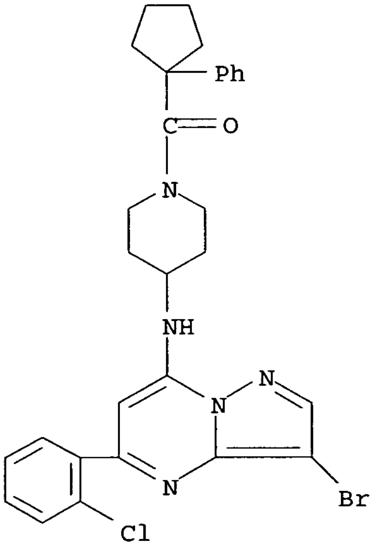
RN 677789-38-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



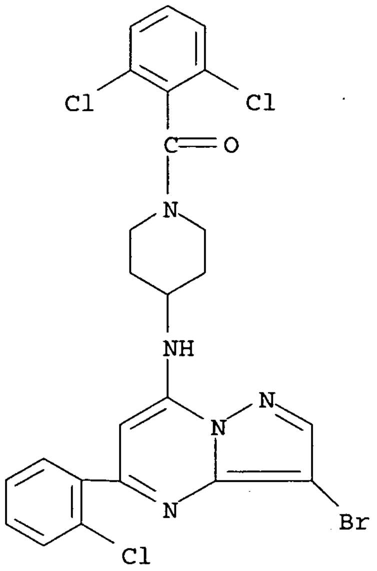
RN 677789-39-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-oxo-4H-1-benzopyran-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



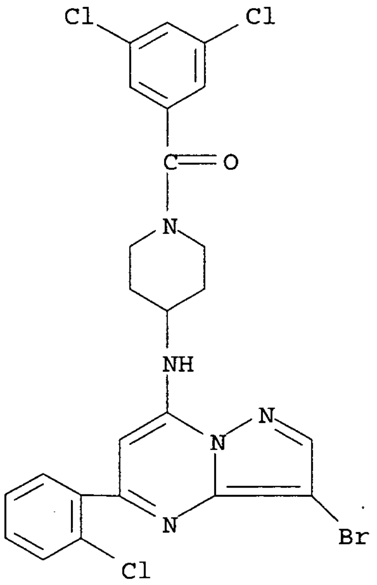
RN 677789-40-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-phenylcyclopentyl)carbonyl]- (9CI) (CA INDEX NAME)



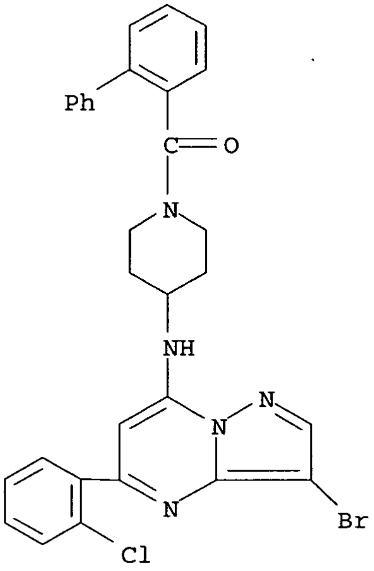
RN 677789-41-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2,6-dichlorobenzoyl)- (9CI) (CA INDEX NAME)



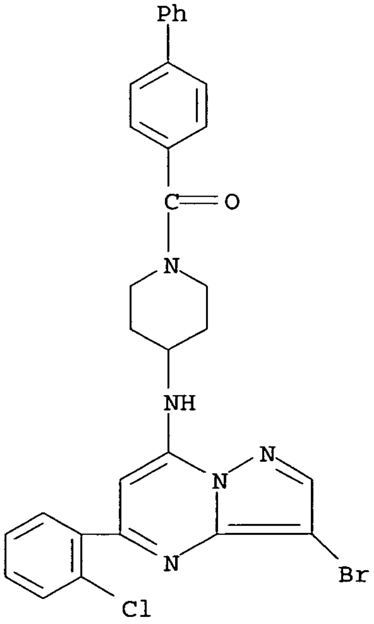
RN 677789-42-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3,5-dichlorobenzoyl)- (9CI) (CA INDEX NAME)



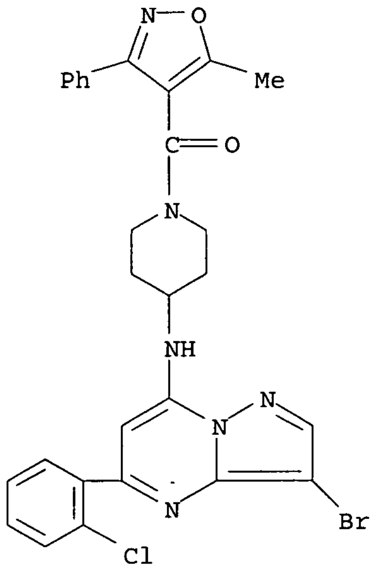
RN 677789-43-4 HCAPLUS
CN 4-Piperidinamine, 1-([1,1'-biphenyl]-2-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



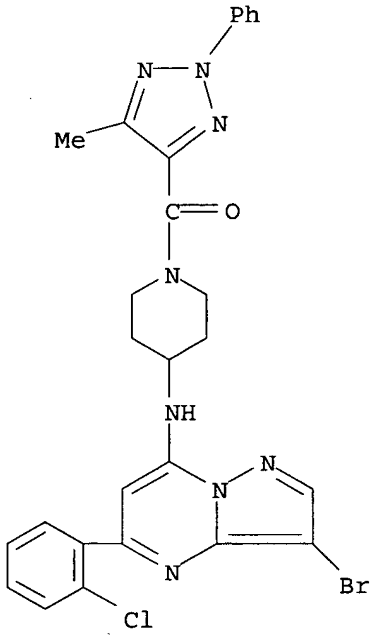
RN 677789-44-5 HCAPLUS
CN 4-Piperidinamine, 1-([1,1'-biphenyl]-4-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



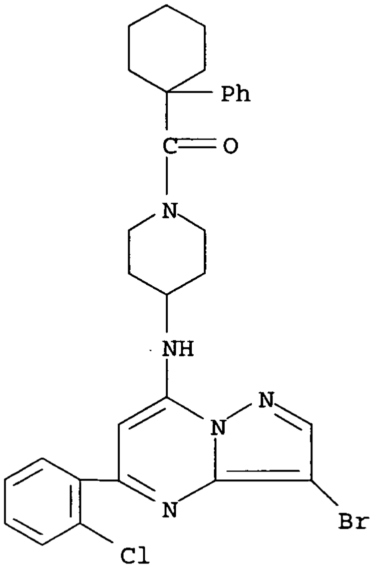
RN 677789-45-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]- (9CI) (CA INDEX NAME)



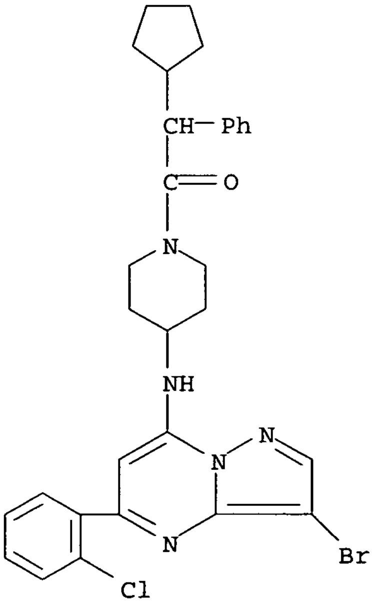
RN 677789-46-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677789-47-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-phenylcyclohexyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677789-48-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopentylphenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-49-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-cyclohexylbenzoyl)- (9CI) (CA INDEX NAME)

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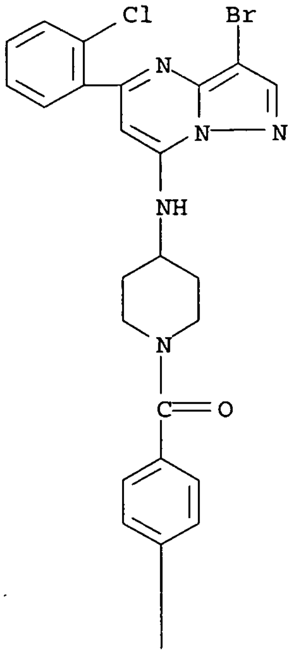

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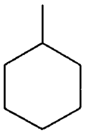

RN 677789-50-3 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-dichlorophenyl)acetyl]- (9CI) (CA INDEX NAME)

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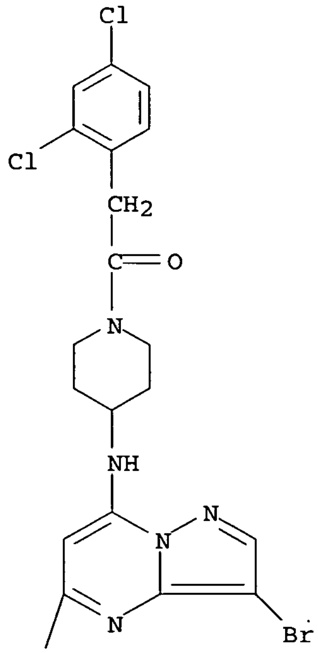

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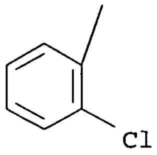

RN 677789-51-4 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dichlorophenyl)acetyl]- (9CI) (CA INDEX NAME)

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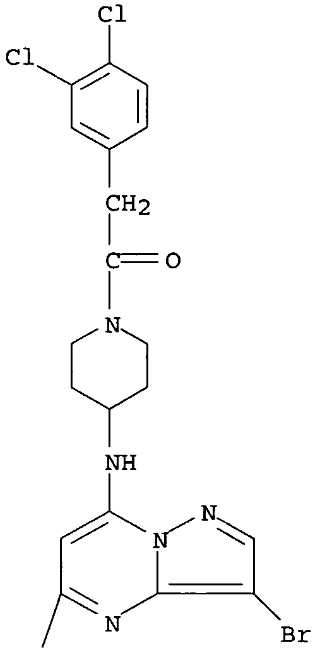

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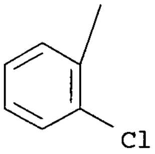
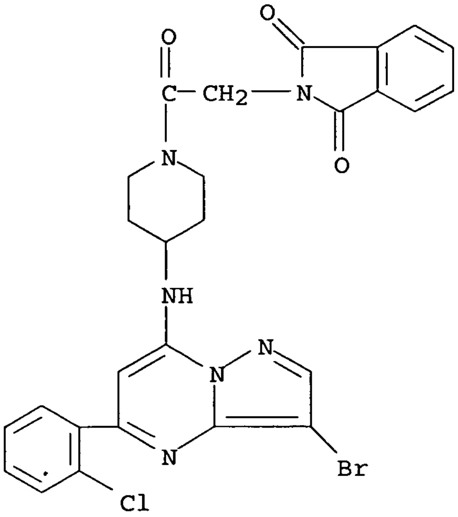
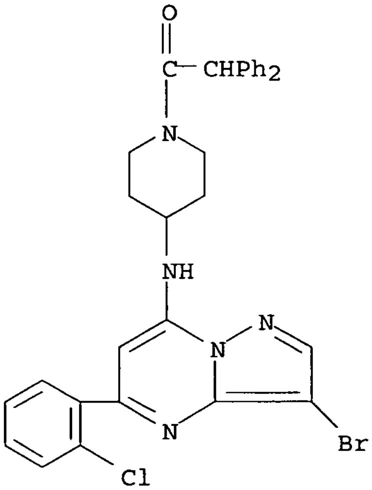


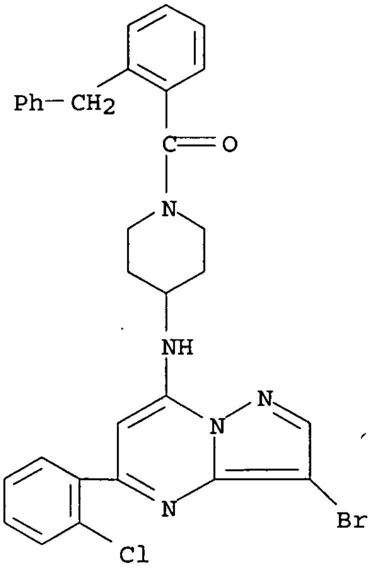
RN 677789-52-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]- (9CI) (CA INDEX NAME)



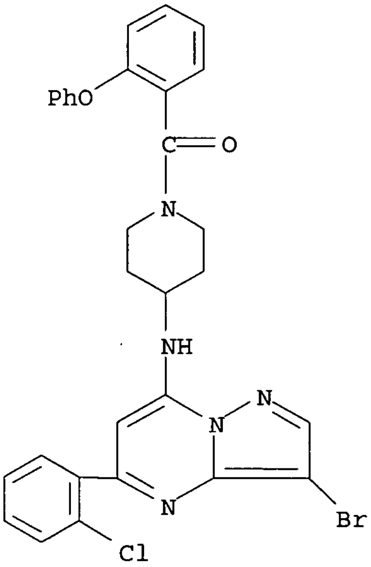
RN 677789-53-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(diphenylacetyl)- (9CI) (CA INDEX NAME)



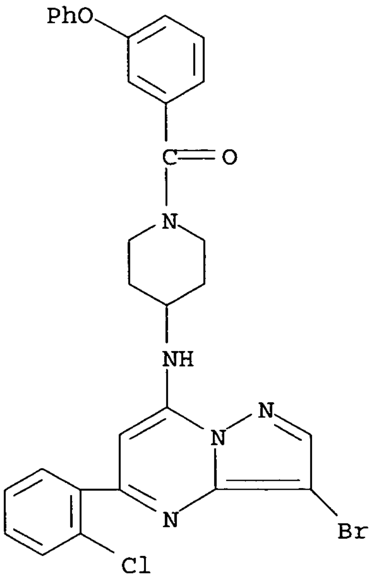
RN 677789-54-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[2-(phenylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



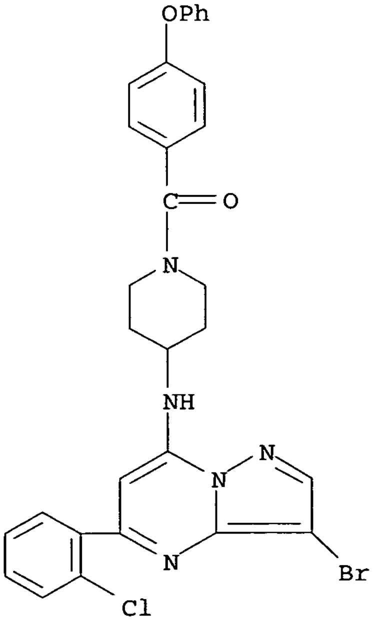
RN 677789-55-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-phenoxybenzoyl)- (9CI) (CA INDEX NAME)



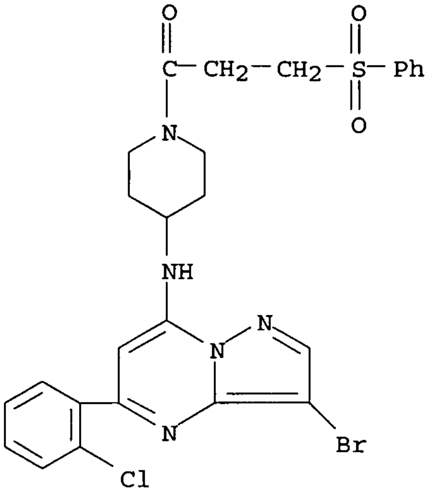
RN 677789-56-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-phenoxybenzoyl)- (9CI) (CA INDEX NAME)



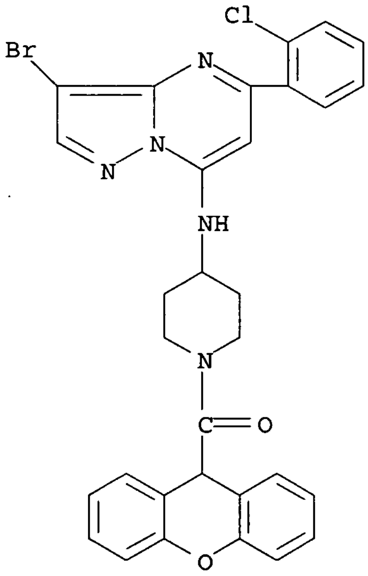
RN 677789-57-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-phenoxybenzoyl)- (9CI) (CA INDEX NAME)



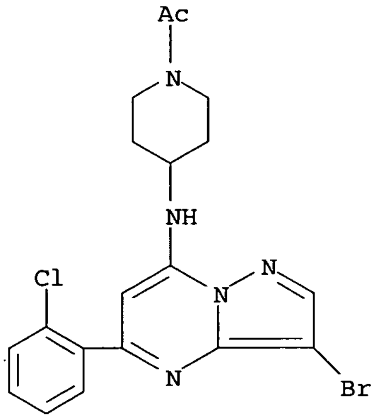
RN 677789-58-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(phenylsulfonyl)propyl]- (9CI) (CA INDEX NAME)



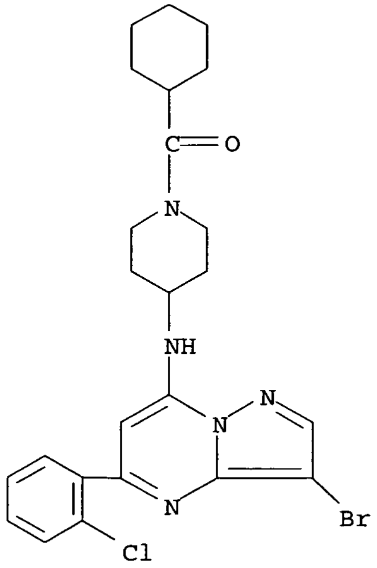
RN 677789-59-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(9H-xanthen-9-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 677789-60-5 HCAPLUS
CN 4-Piperidinamine, 1-acetyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677789-61-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)



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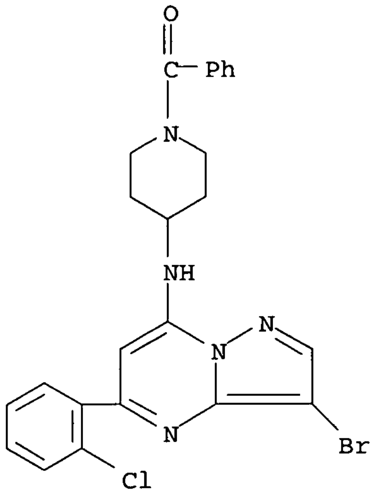

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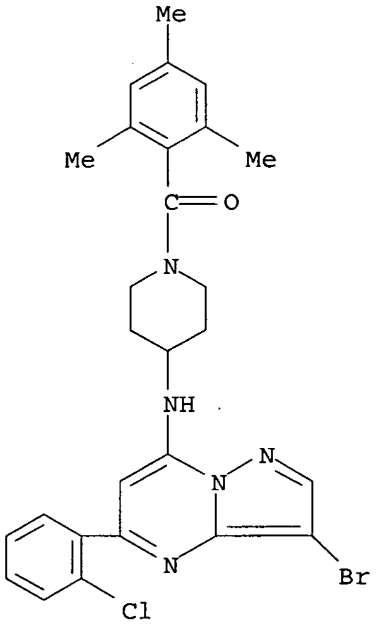

L46 ANSWER 5 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:878151 HCAPLUS
DOCUMENT NUMBER: 141:366243
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamilus; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.;

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                         Rivera, Jocelyn; Chan, Tin-Yau; Madison,
                         Vincent; Fischmann, Thierry O.;
                         Dillard, Lawrence W.; Tran, Vinh D.; He,
                         Zhen Min; James, Ray Anthony;
                         Park, Haengsoon; Paradkar, Vidyadhar M.;
                         Hobbs, Douglas Walsh
PATENT ASSIGNEE(S):      Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE:                  U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S.
                         Ser. No. 654,546.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  5
PATENT INFORMATION:

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OTHER SOURCE(S):         MARPAT 141:366243
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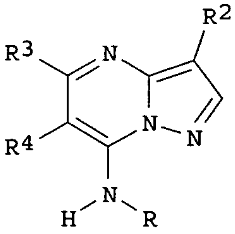

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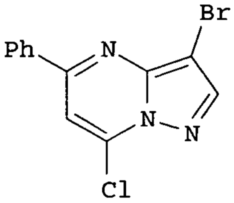

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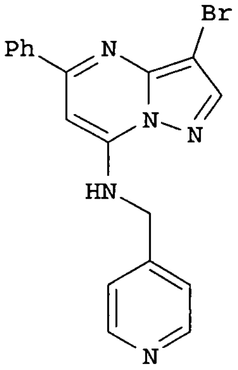

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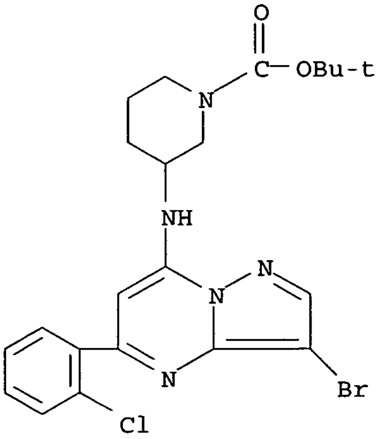
AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a
Part
I of I-III series.

IT 672316-53-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672316-53-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 672315-15-0P 672315-39-8P 672316-79-9P
672322-29-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-15-0 HCAPLUS

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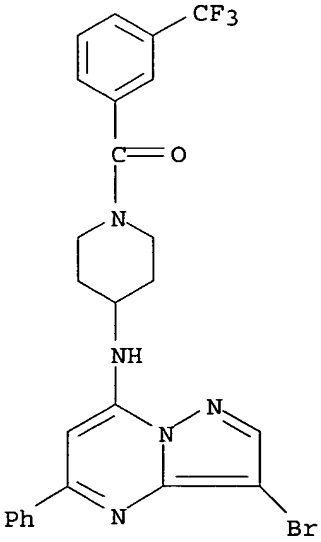

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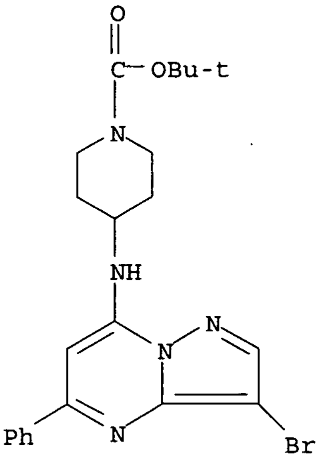

RN 672316-79-9 HCAPLUS
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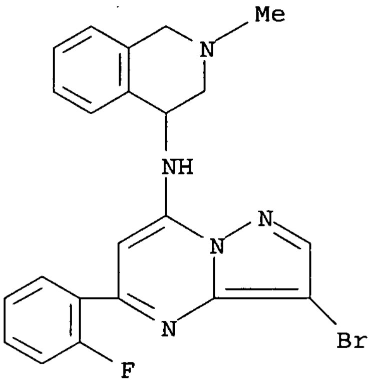

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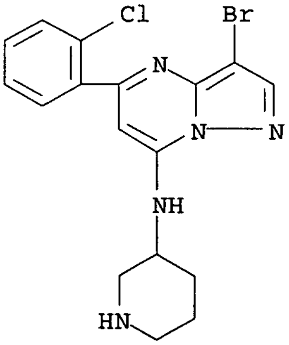

IT 672322-42-8

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     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)
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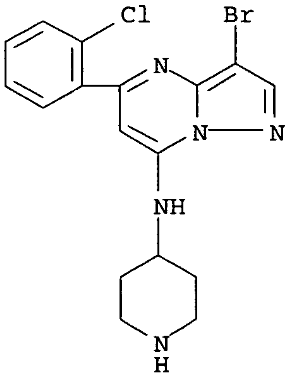

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L46  ANSWER 6 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        2004:265849  HCAPLUS
DOCUMENT NUMBER:         140:321371
TITLE:                   Preparation of pyrazolopyrimidines as cyclin-dependent
                         kinase inhibitors
INVENTOR(S):             Guzi, Timothy J.; Paruch, Kamil;
                         Dwyer, Michael P.; Doll, Ronald J.;
                         Girijavallabhan, Viyyoor Moopil; Mallams,
                         Alan; Alvarez, Carmen S.; Keertikar, Kartik
                         M.; Rivera, Jocelyn; Chan, Tin-yau; Madison,
                         Vincent; Fischmann, Thierry O.;
                         Dillard, Lawrence W.; Tran, Vinh D.; He,
                         Zhen Min; James, Ray Anthony;
                         Park, Haengsoon; Paradkar, Vidyadhar M.;
                         Hobbs, Douglas Walsh
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  PCT Int. Appl., 609 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  5
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.       DATE
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             MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG,
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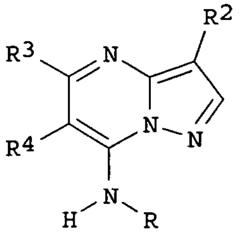

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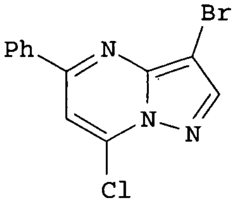

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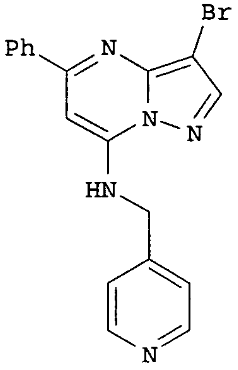

III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part III of I-III series.

IT 677278-50-1P 677278-51-2P 677278-52-3P
677278-53-4P 677278-54-5P 677278-55-6P
677278-56-7P 677278-57-8P 677278-58-9P
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            (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
            for treating cancer)
RN       677278-50-1  HCAPLUS
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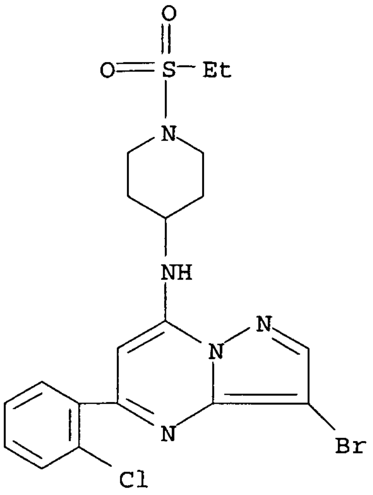

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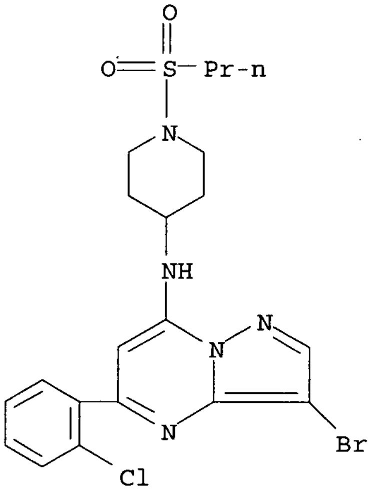

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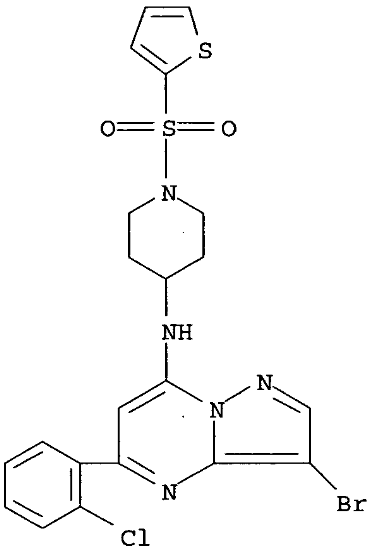

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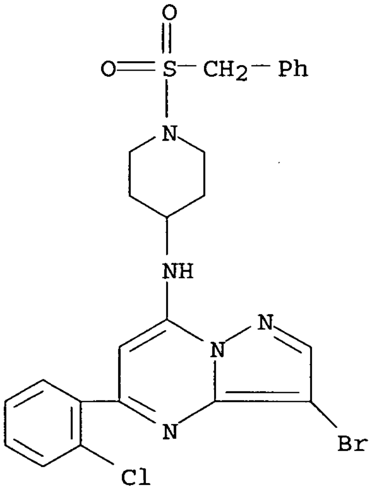

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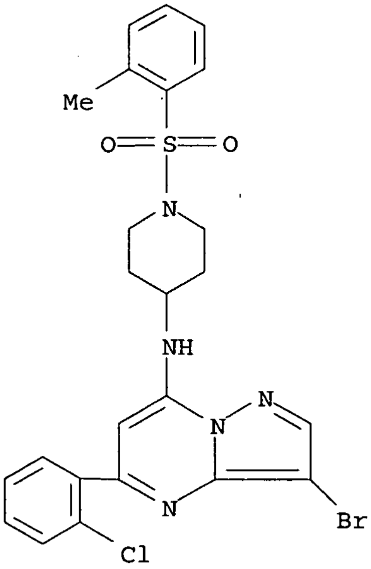

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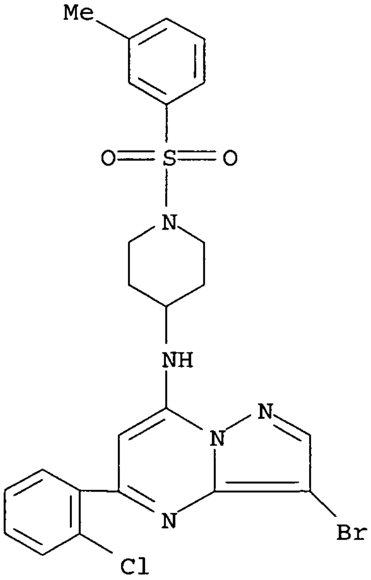

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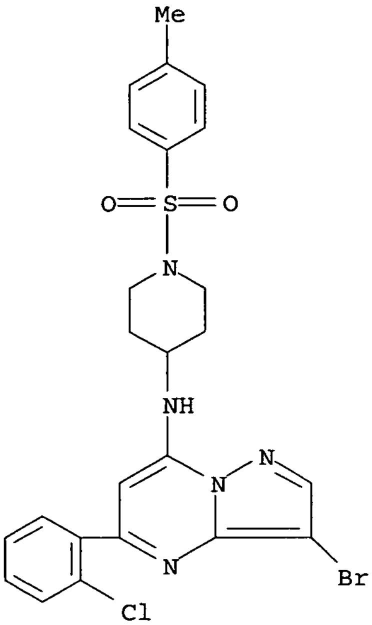

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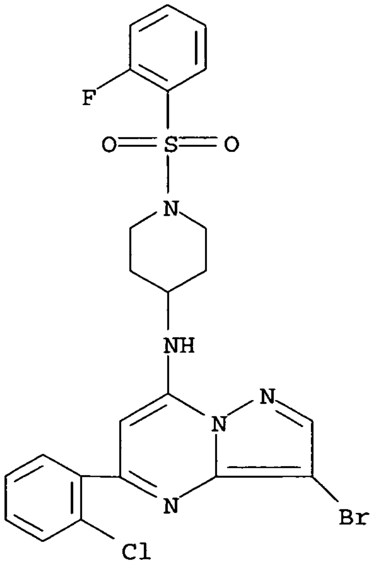

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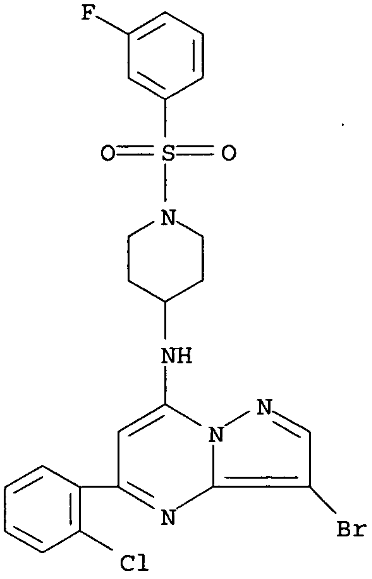

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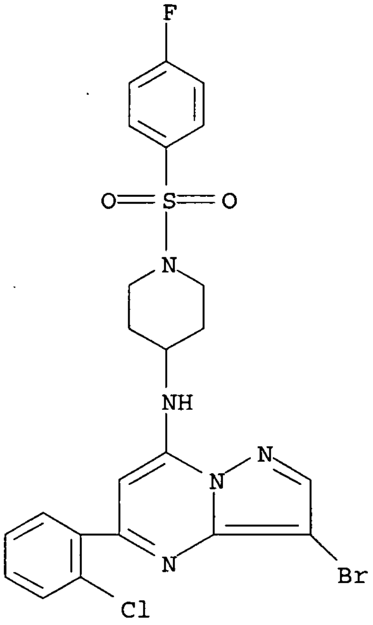

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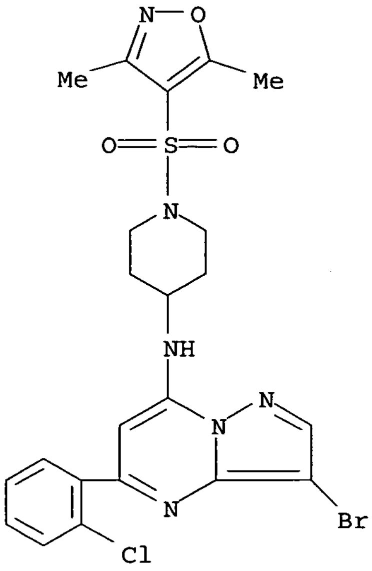

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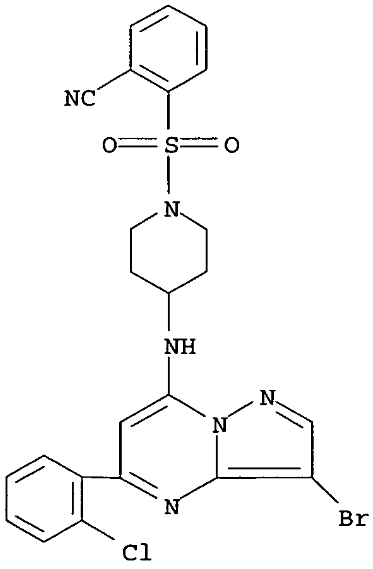
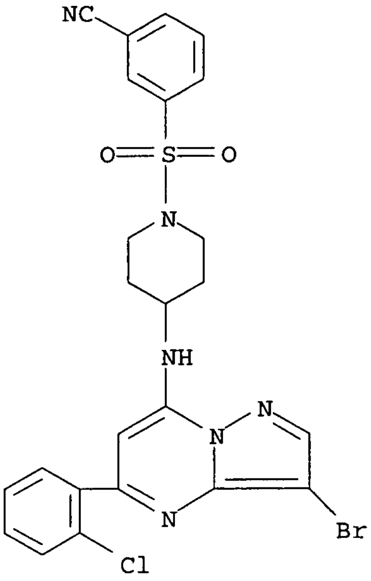
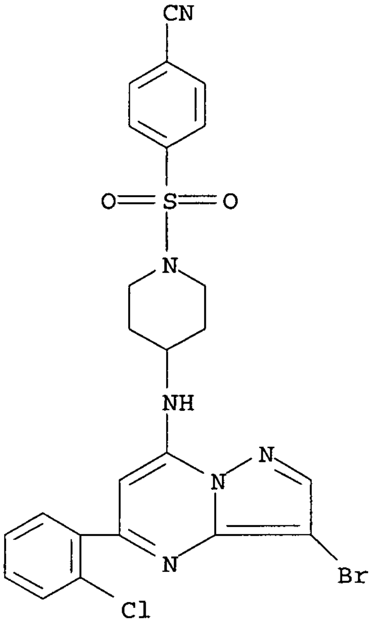


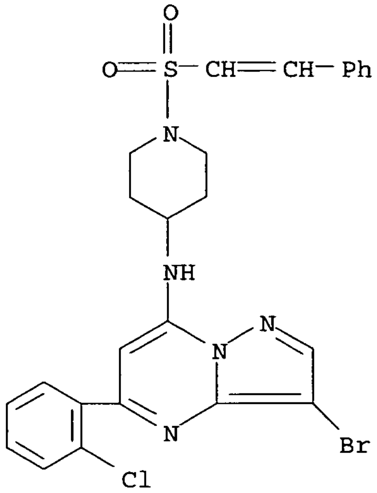
RN 677278-62-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



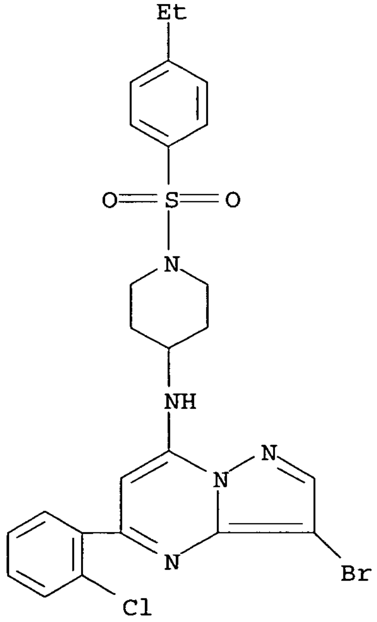
RN 677278-63-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



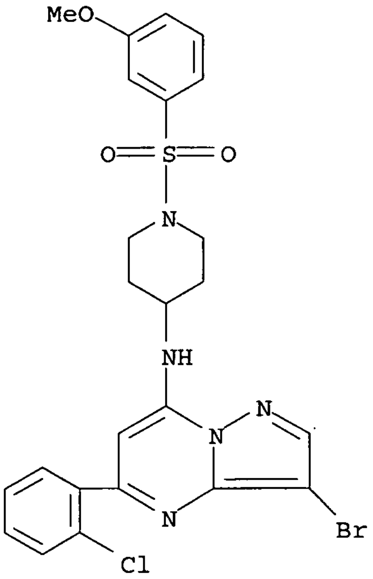
RN 677278-64-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-phenylethenyl)sulfonyl]- (9CI) (CA INDEX NAME)



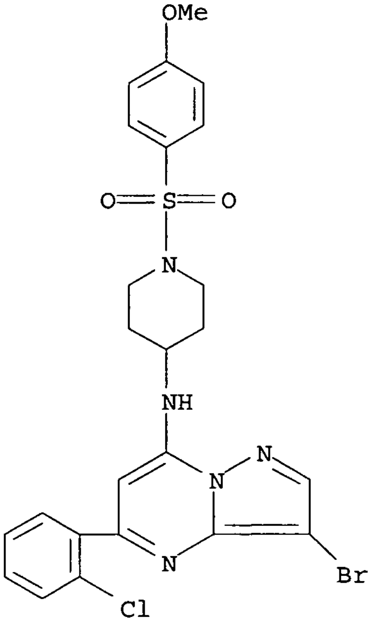
RN 677278-65-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-ethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



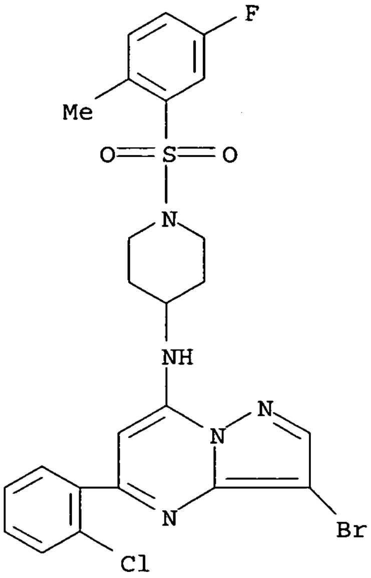
RN 677278-66-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



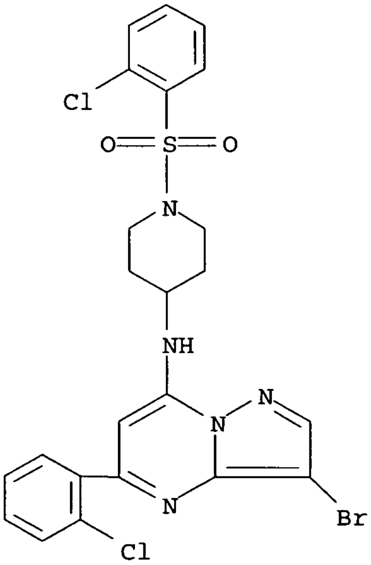
RN 677278-67-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-68-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-fluoro-2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-69-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-70-5 HCAPLUS
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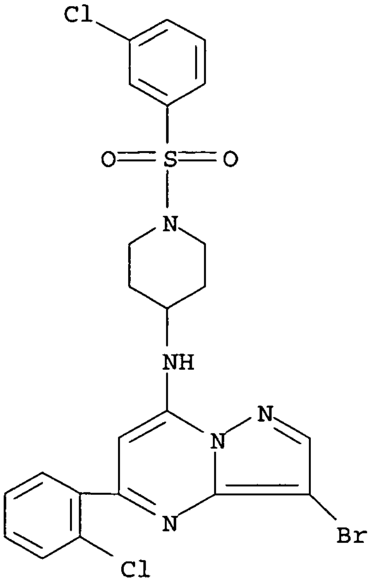
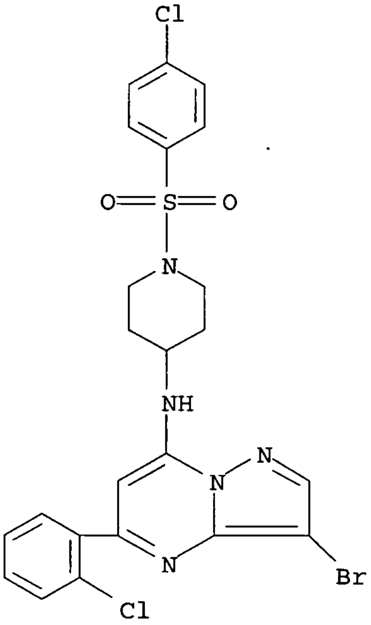
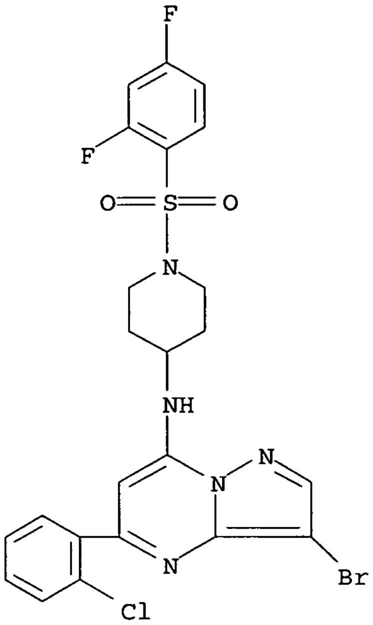


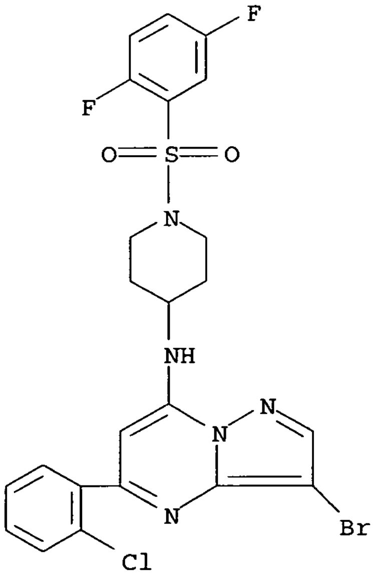
RN 677278-71-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



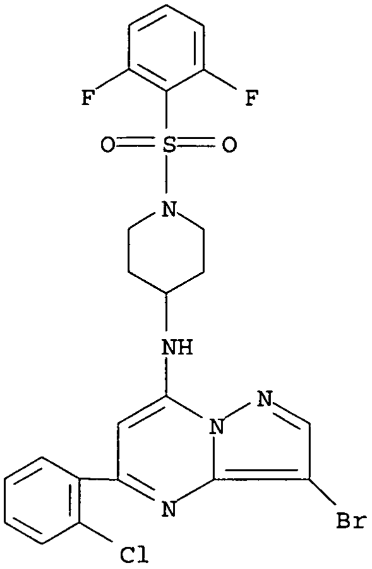
RN 677278-72-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



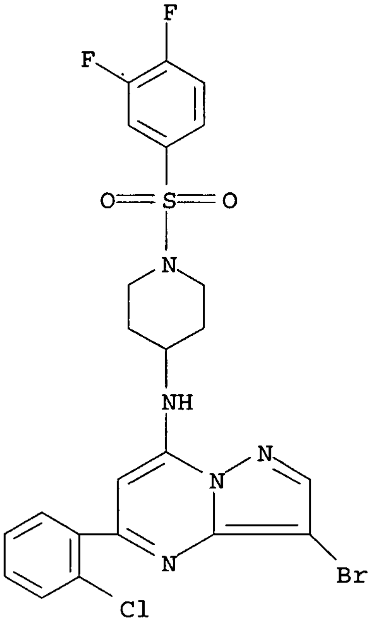
RN 677278-73-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



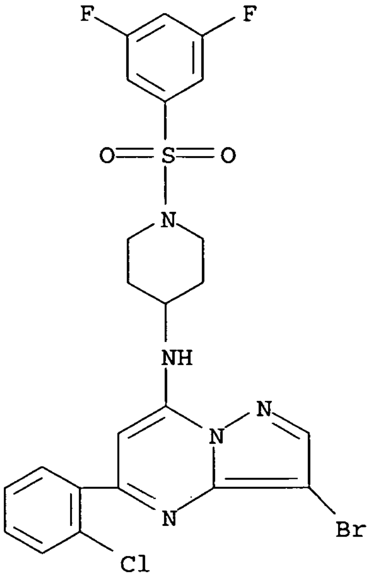
RN 677278-74-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,6-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



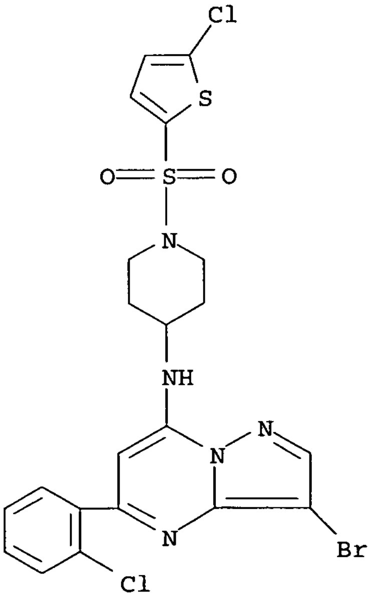
RN 677278-75-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



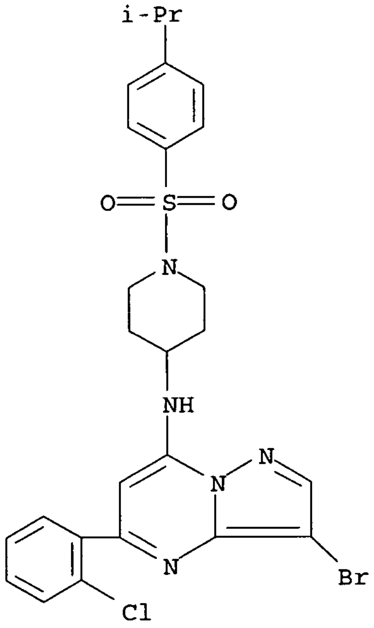
RN 677278-76-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-77-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-chloro-2-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-78-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-79-4 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[(4-chlorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

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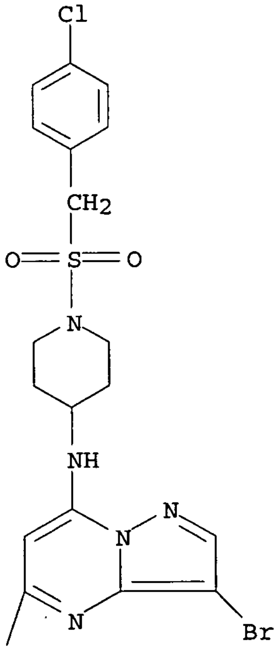

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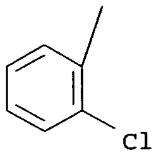
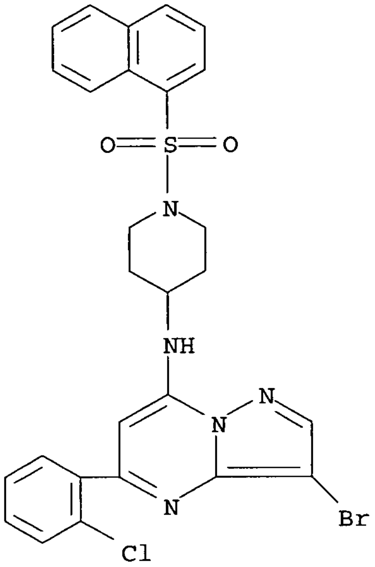
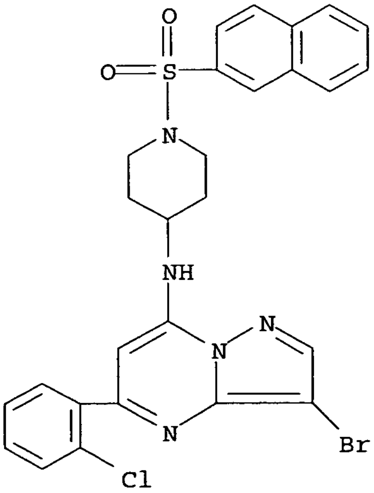


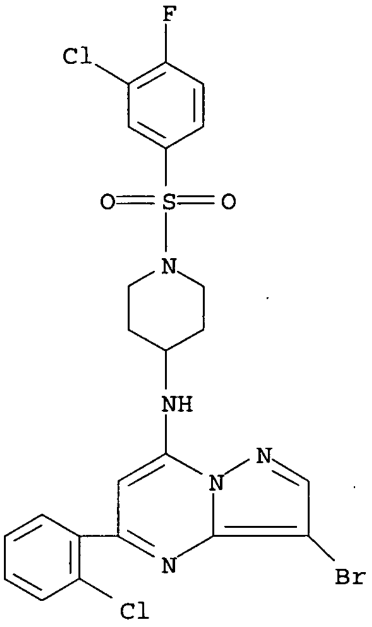
RN 677278-80-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



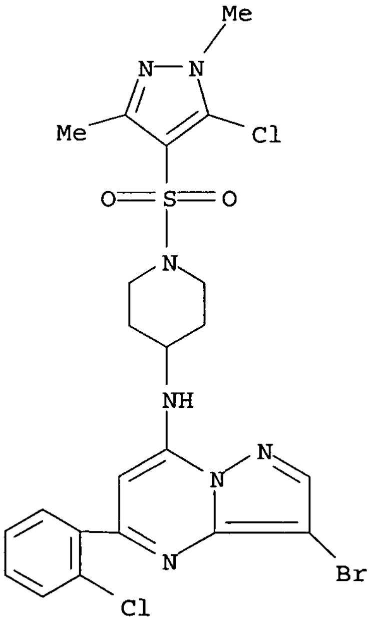
RN 677278-81-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



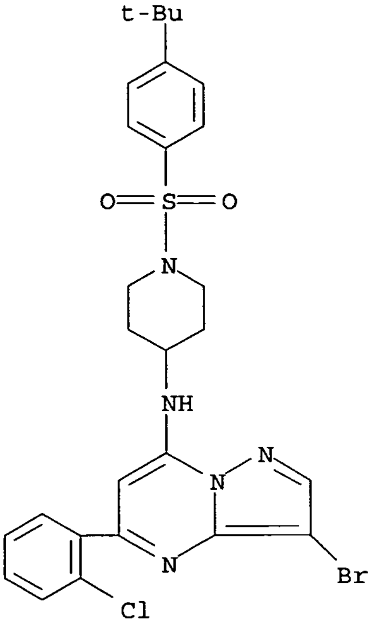
RN 677278-82-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-chloro-4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



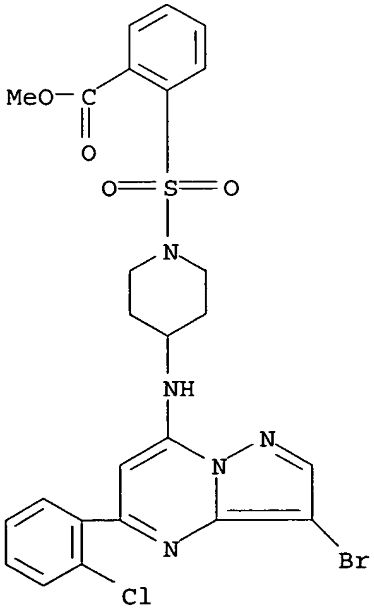
RN 677278-83-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-84-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

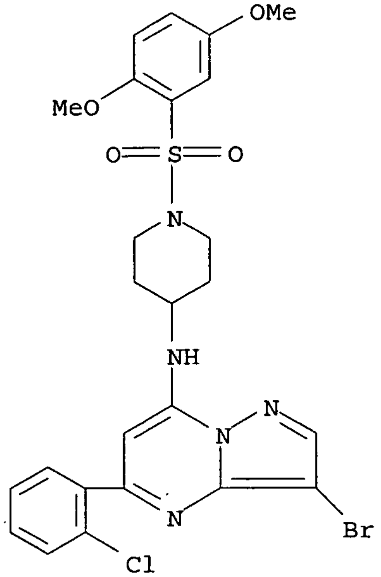


RN 677278-85-2 HCAPLUS
CN Benzoic acid, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

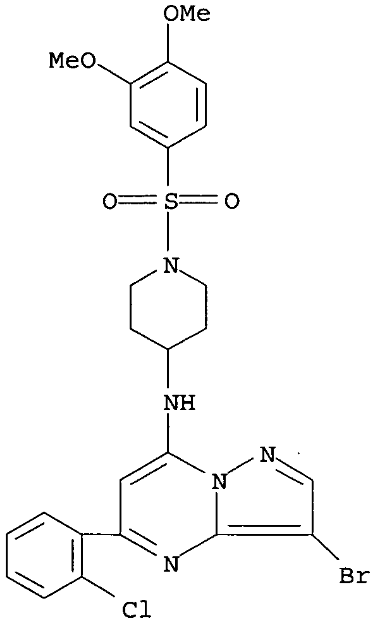


RN 677278-86-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-

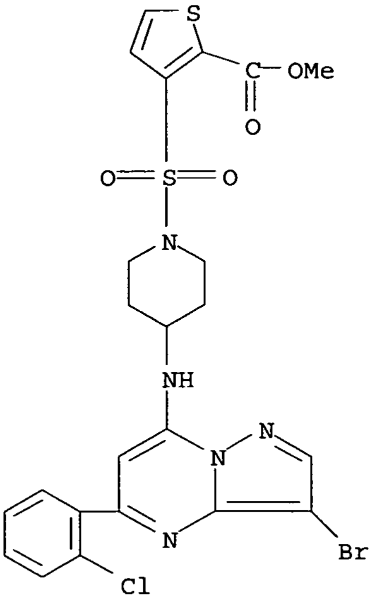
yl]-1-[(2,5-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-87-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-88-5 HCAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



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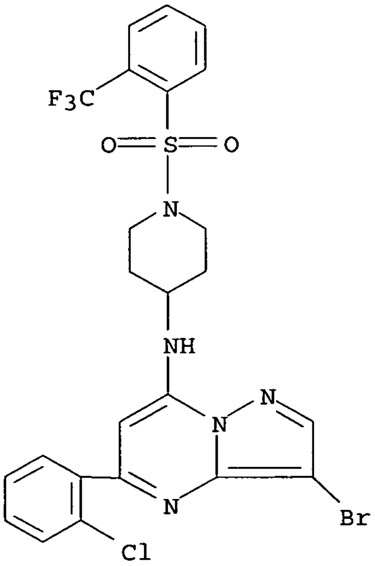
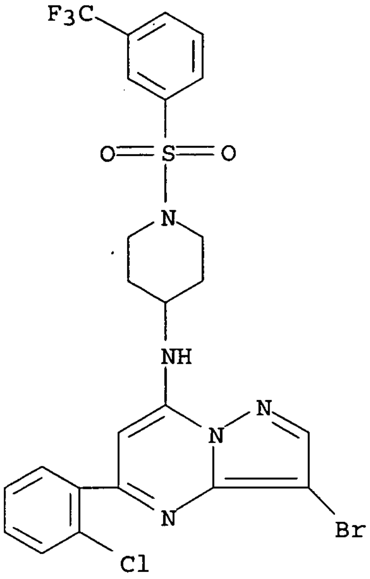
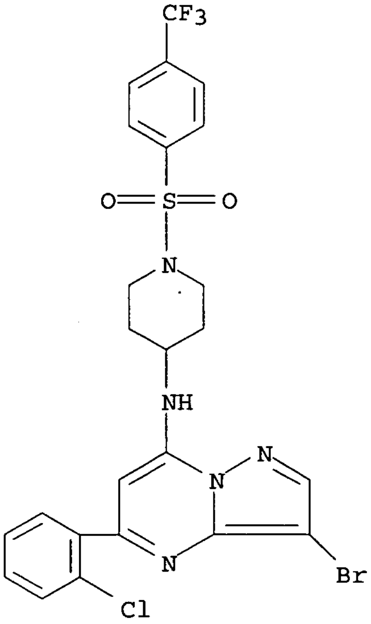
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[2-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



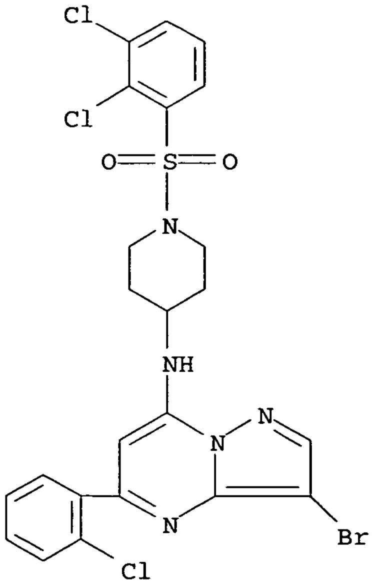
RN 677278-90-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



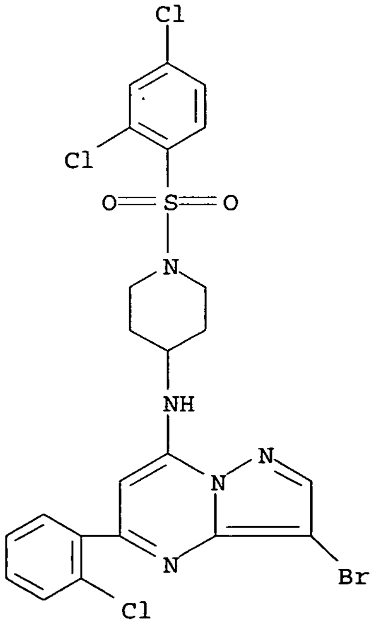
RN 677278-91-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



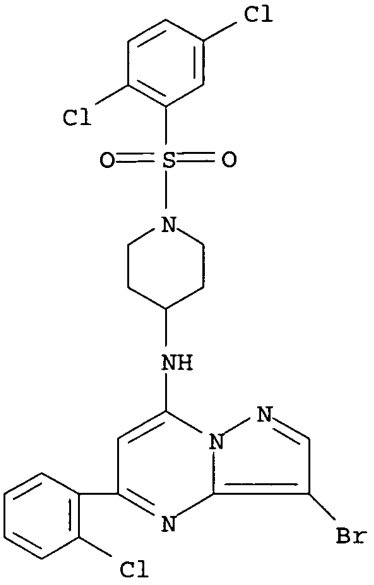
RN 677278-92-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-93-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-94-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-95-4 HCAPLUS
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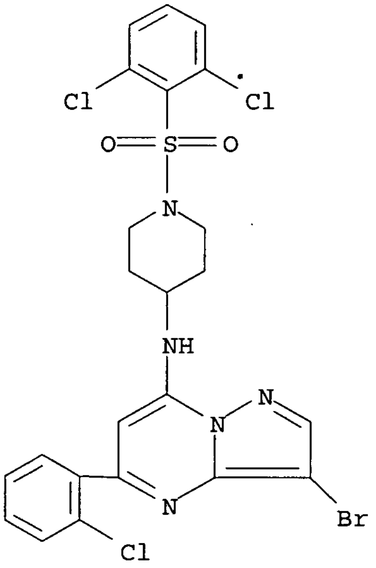
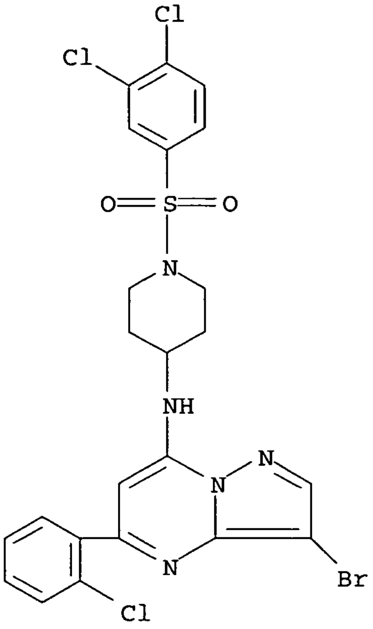
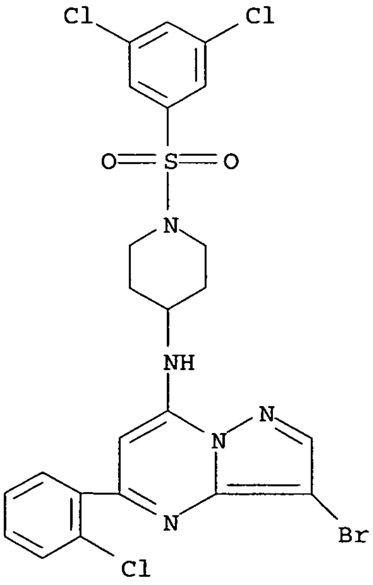


RN 677278-96-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-97-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,5-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677278-98-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(1,1-dimethylpropyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

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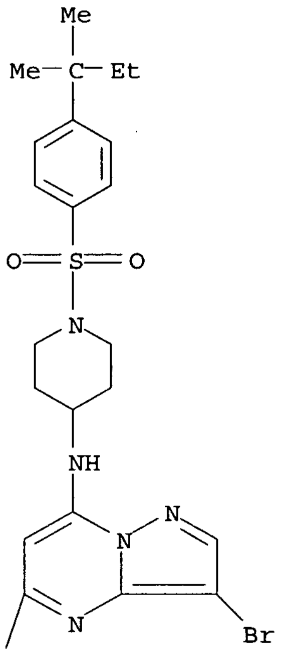

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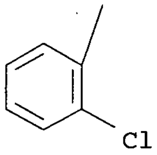
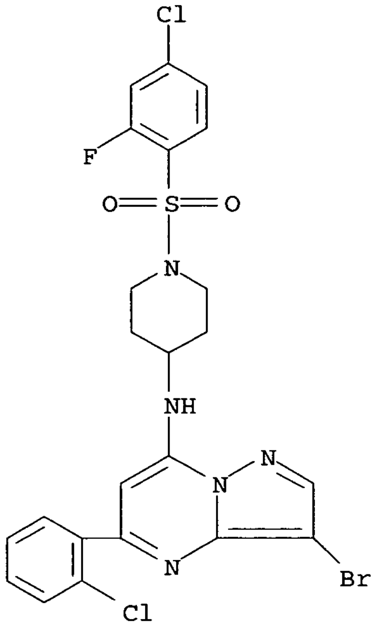
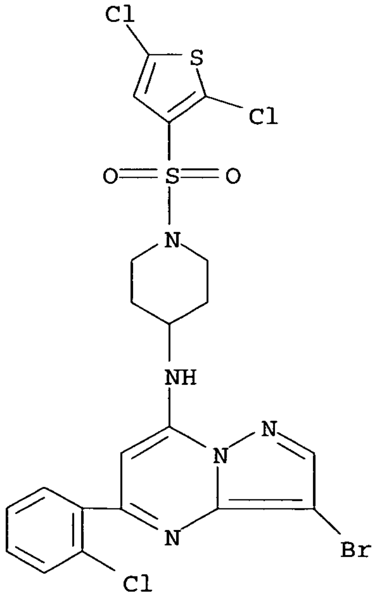


RN 677278-99-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-chloro-2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-00-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,5-dichloro-3-thienyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-01-5 HCAPLUS
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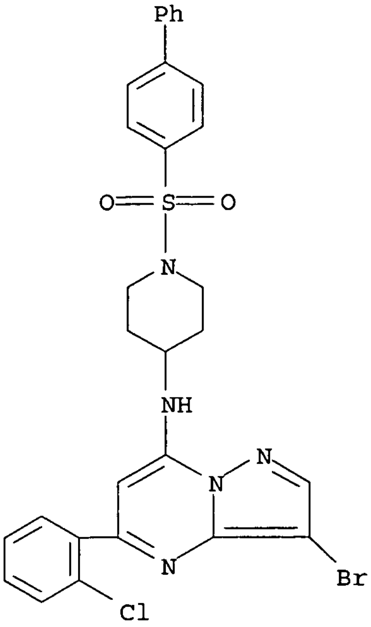

RN 677279-02-6 HCAPLUS
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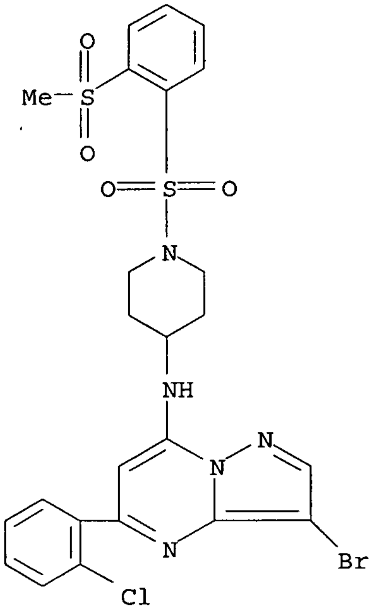

RN 677279-03-7 HCAPLUS
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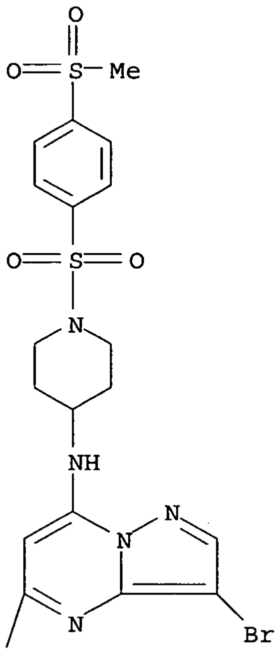

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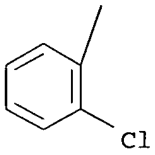
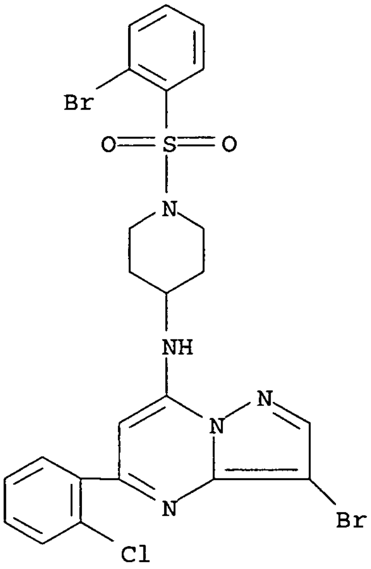
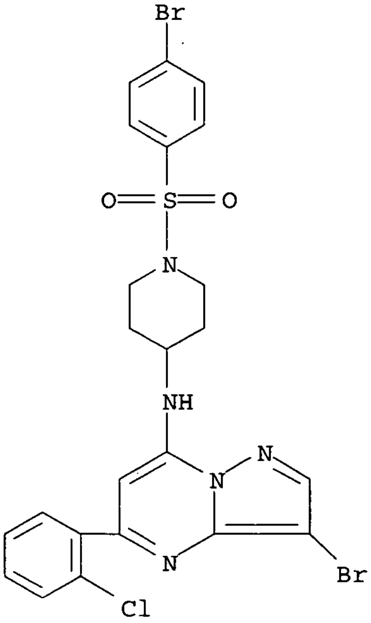


RN 677279-04-8 HCAPLUS

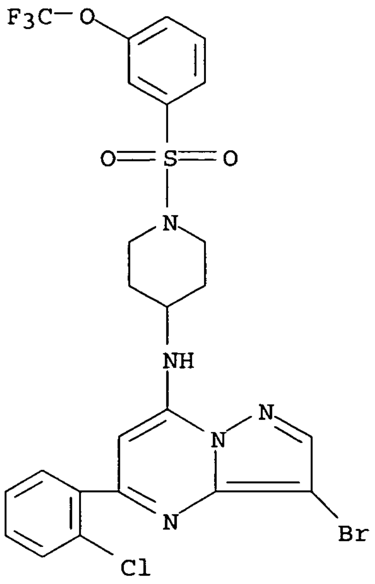
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-bromophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



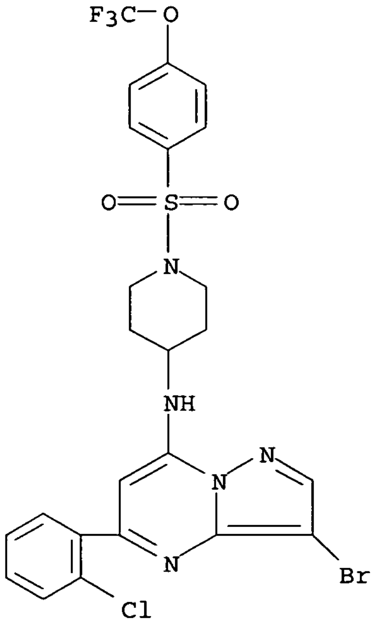
RN 677279-05-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-bromophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-06-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[3-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-07-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 677279-08-2 HCAPLUS
CN Benzenepropanoic acid, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

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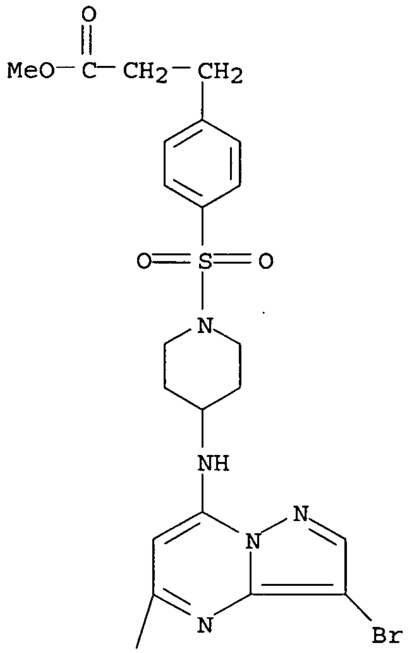

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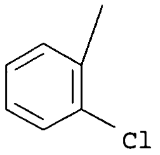
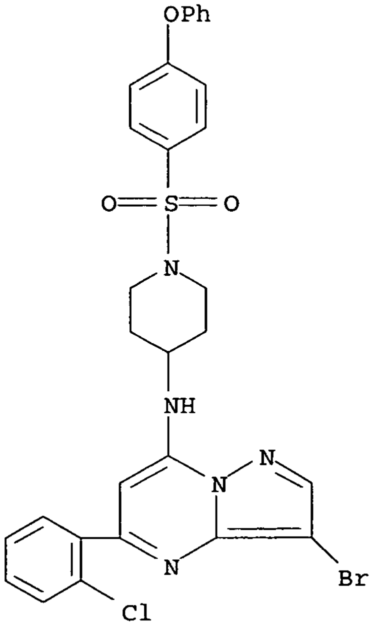


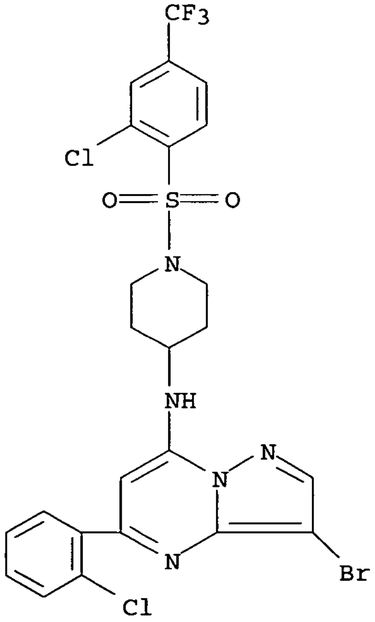
RN 677279-09-3 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(4-phenoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



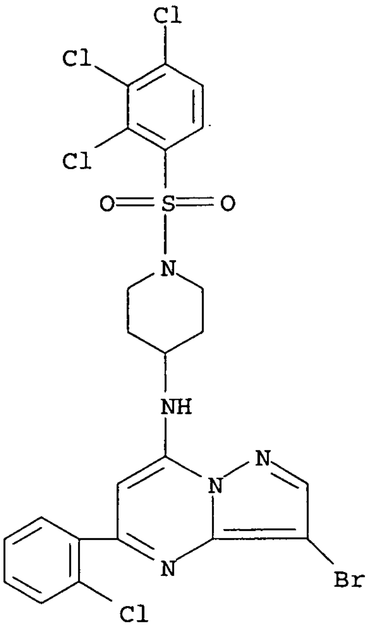
RN 677279-10-6 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[2-chloro-4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

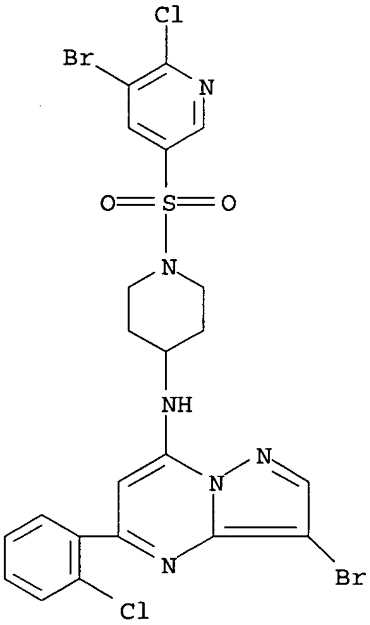


RN 677279-11-7 HCAPLUS

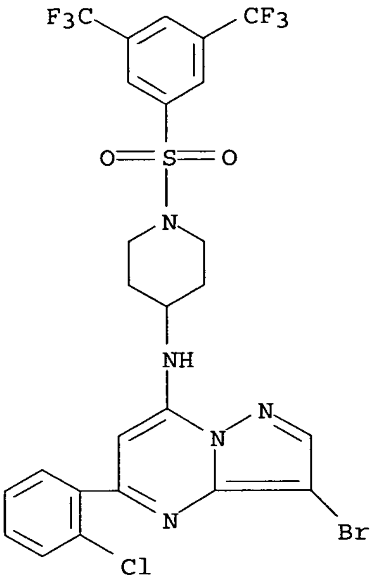
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3,4-trichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



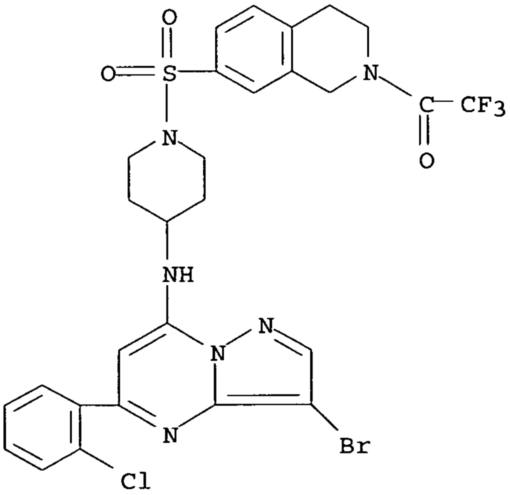
RN 677279-12-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-bromo-6-chloro-3-pyridinyl)sulfonyl]- (9CI) (CA INDEX NAME)



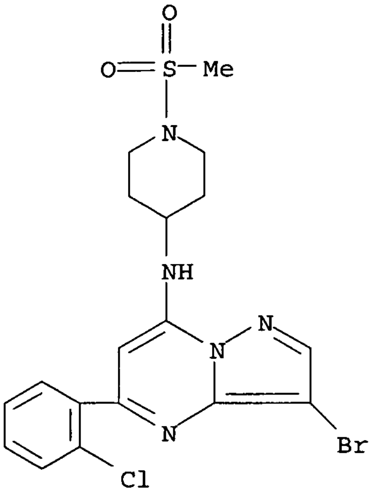
RN 677279-13-9 HCAPLUS
CN 4-Piperidinamine, 1-[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



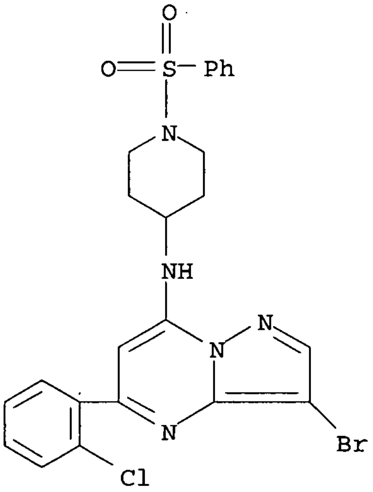
RN 677279-14-0 HCAPLUS
CN Isoquinoline, 7-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]sulfonyl]-1,2,3,4-tetrahydro-2-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



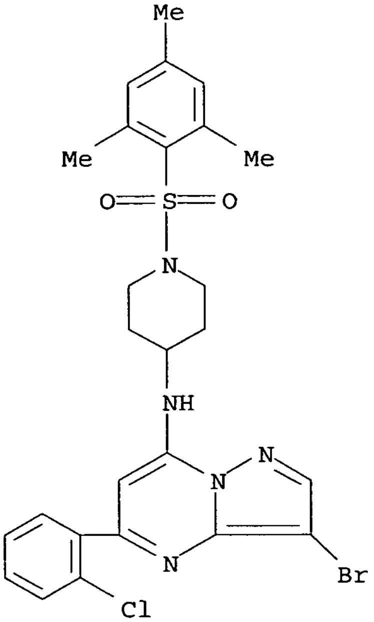
RN 677279-15-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



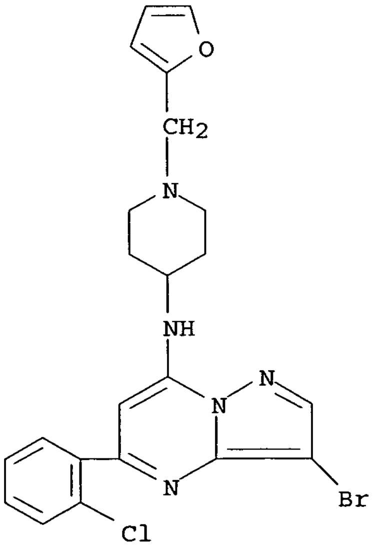
RN 677279-16-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



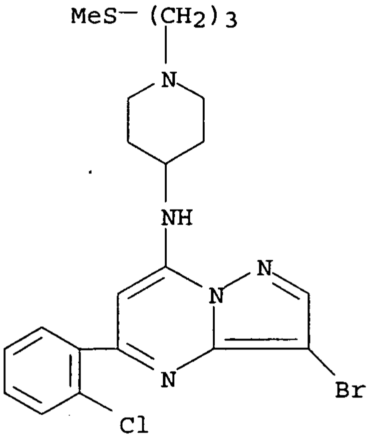
RN 677279-17-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



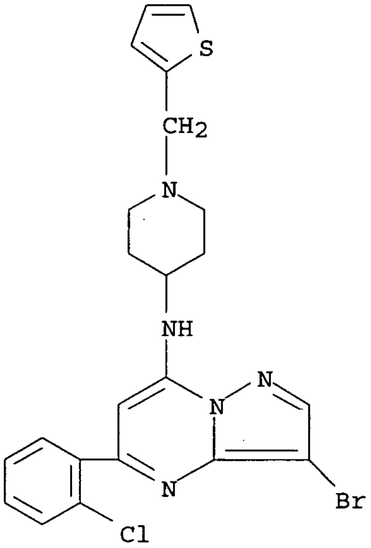
RN 677287-13-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-furanylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



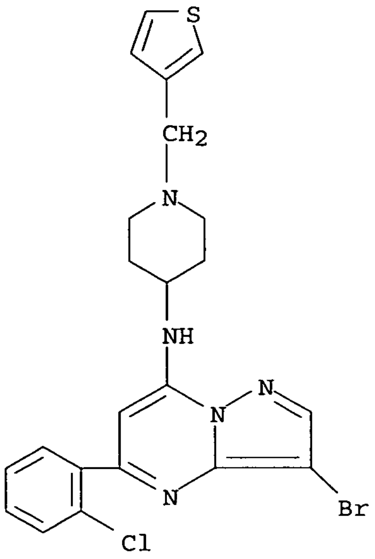
RN 677287-14-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(methylthio)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



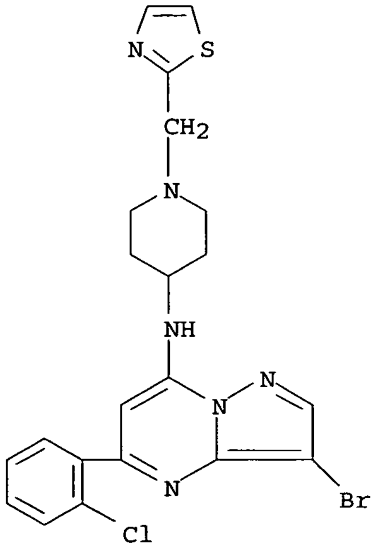
RN 677287-15-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-thienylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



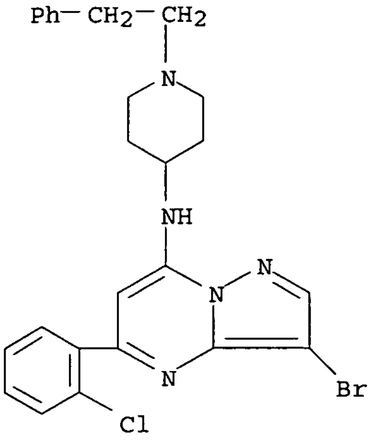
RN 677287-16-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-thienylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



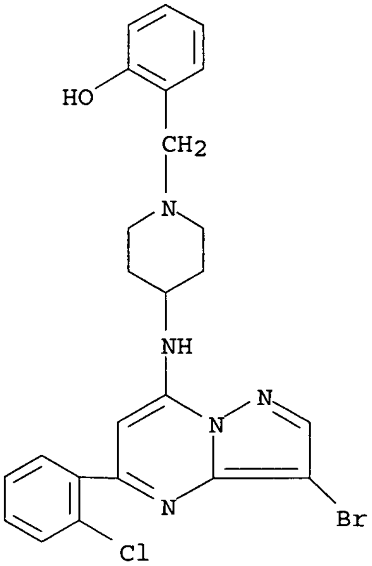
RN 677287-17-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-thiazolylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



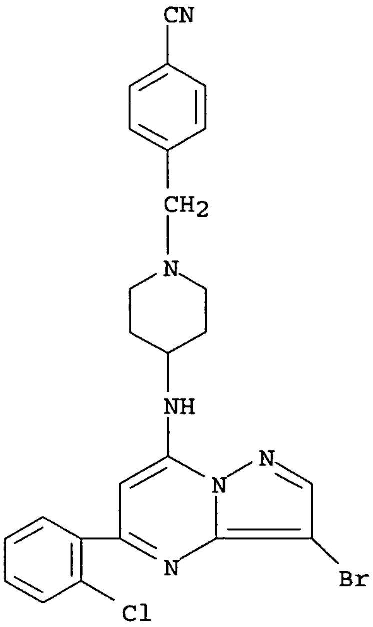
RN 677287-18-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



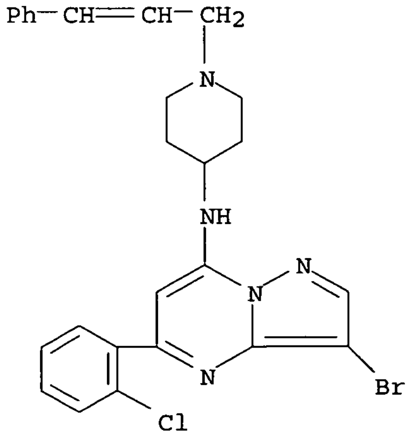
RN 677287-19-3 HCAPLUS
CN Phenol, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



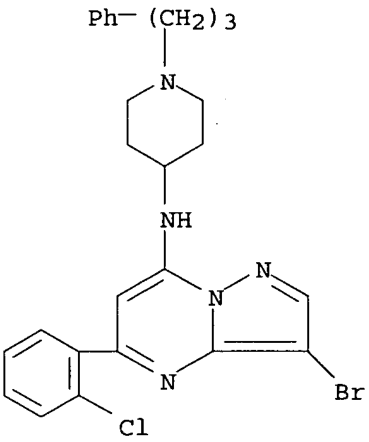
RN 677287-20-6 HCAPLUS
CN Benzonitrile, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 677287-21-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-phenyl-2-propenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

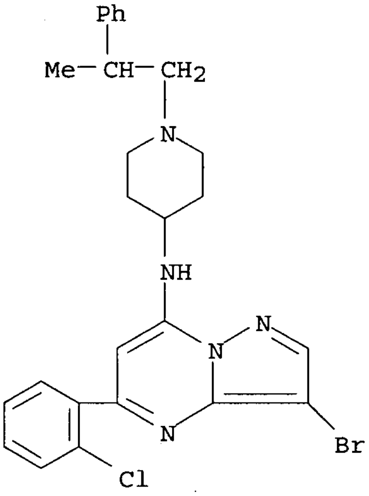


RN 677287-22-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-phenylpropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



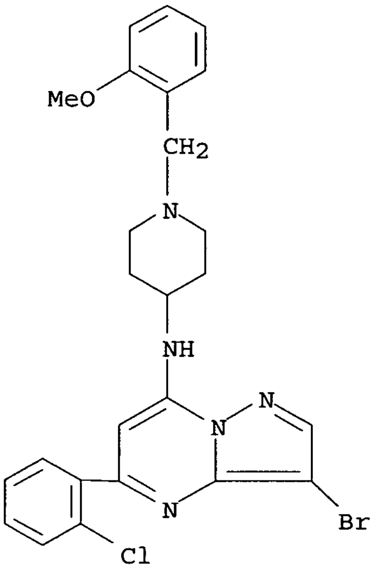
RN 677287-23-9 HCAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-phenylpropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

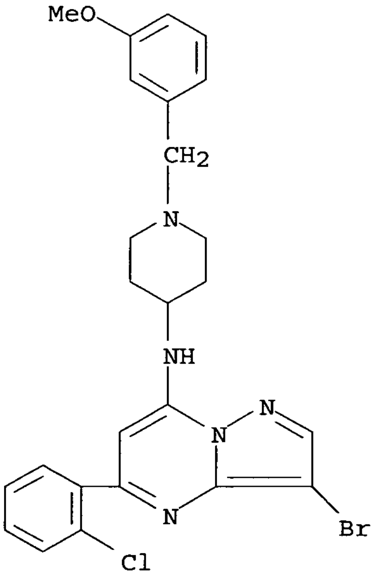


RN 677287-24-0 HCAPLUS

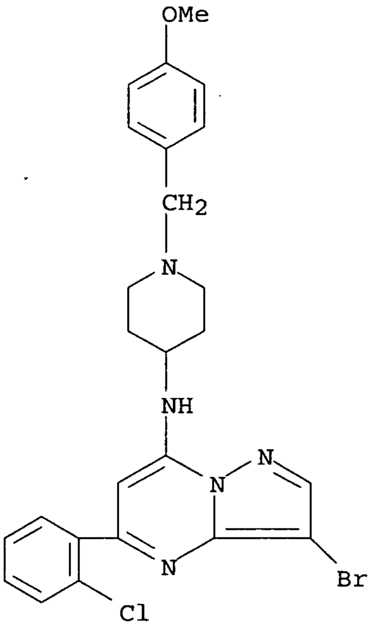
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



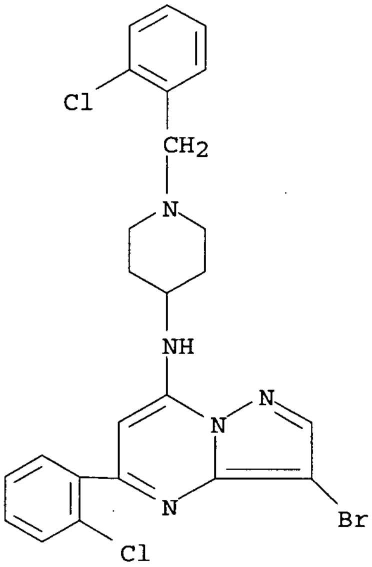
RN 677287-25-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



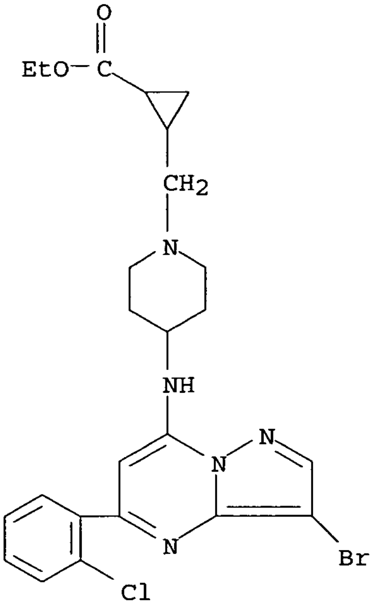
RN 677287-26-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



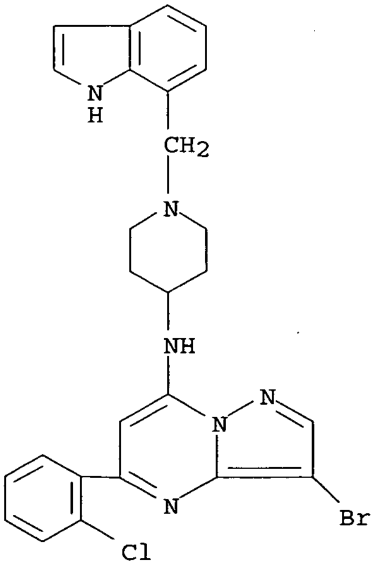
RN 677287-27-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



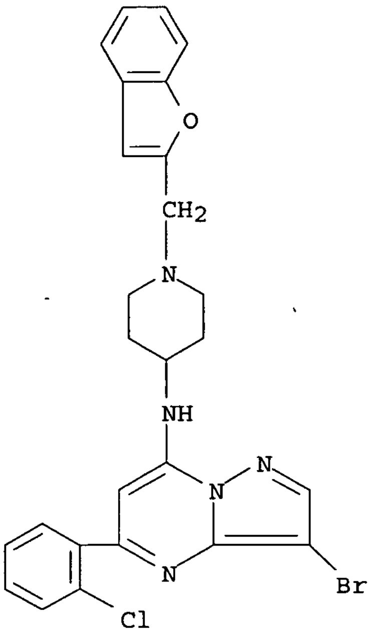
RN 677287-28-4 HCAPLUS
CN Cyclopropanecarboxylic acid, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



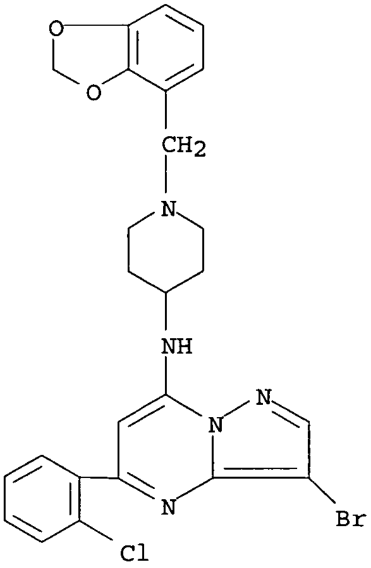
RN 677287-29-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(1H-indol-7-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



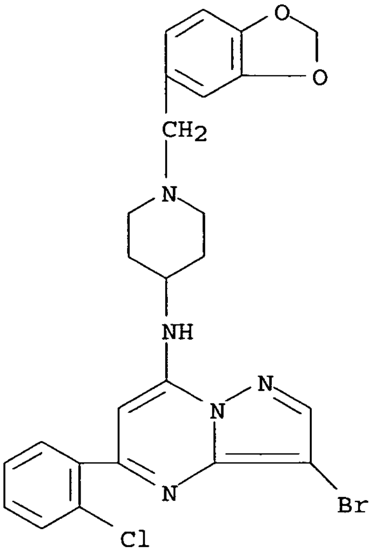
RN 677287-30-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(2-benzofuranylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



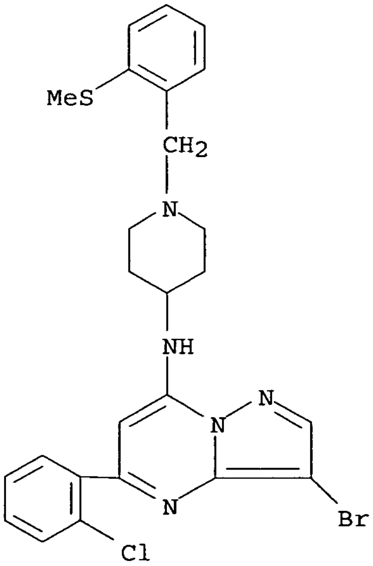
RN 677287-31-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(1,3-benzodioxol-4-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



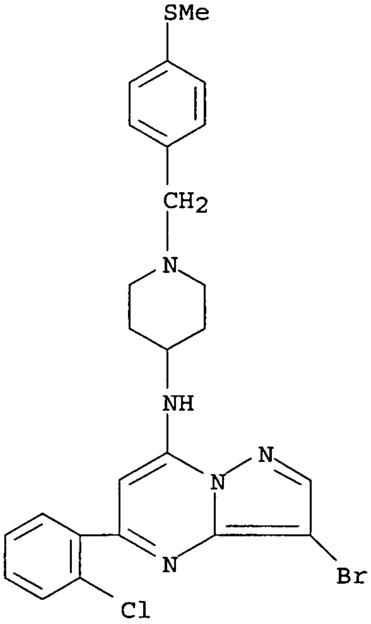
RN 677287-32-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



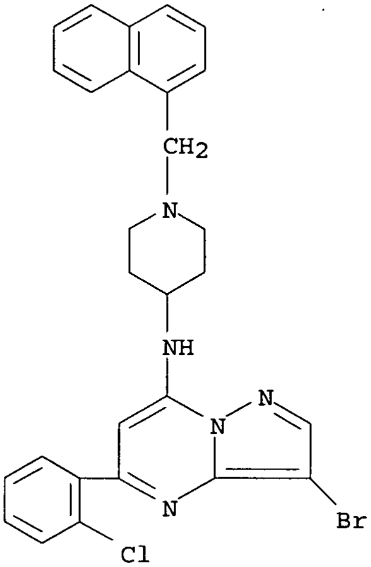
RN 677287-33-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-(methylthio)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



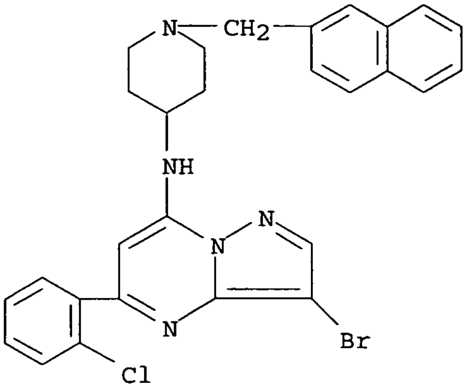
RN 677287-34-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(methylthio)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



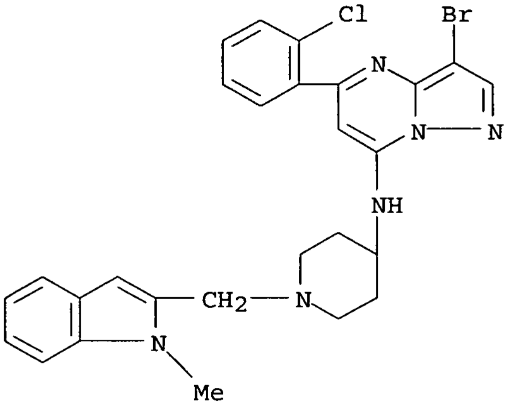
RN 677287-35-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(1-naphthalenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



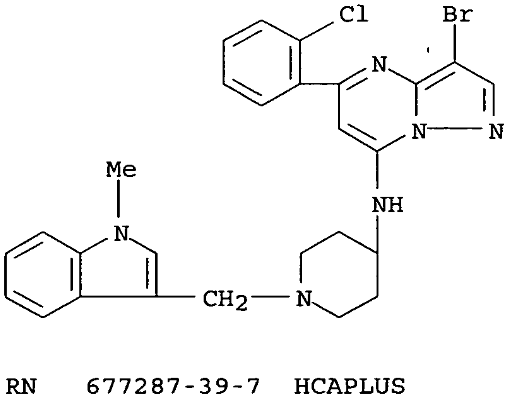
RN 677287-36-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-naphthalenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



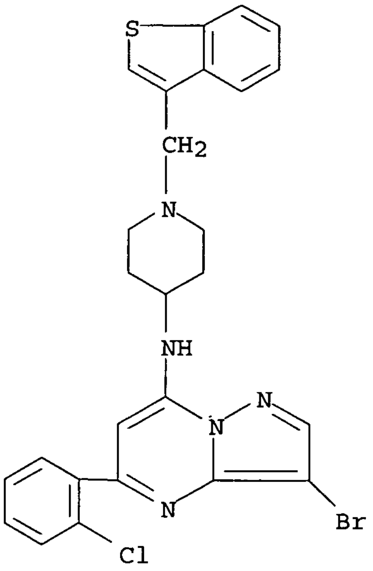
RN 677287-37-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(1-methyl-1H-indol-2-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-38-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-39-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(benzo[b]thien-3-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-40-0 HCAPLUS
CN Benzoic acid, 4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

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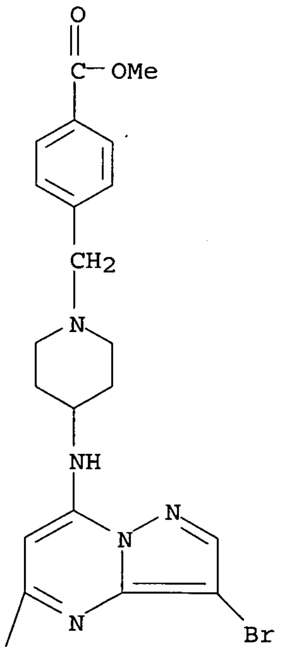

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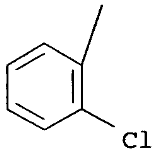
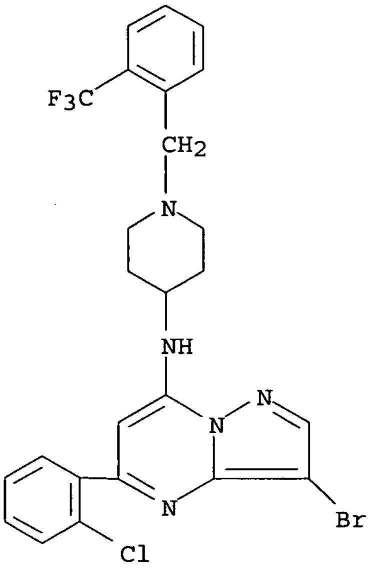
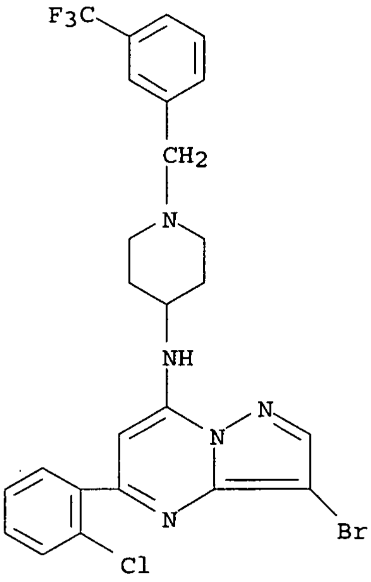


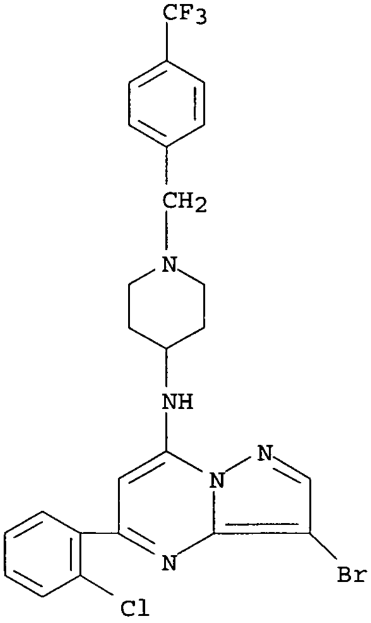
RN 677287-41-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



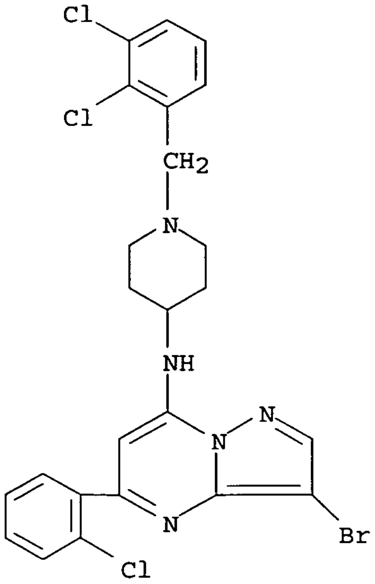
RN 677287-42-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[3-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



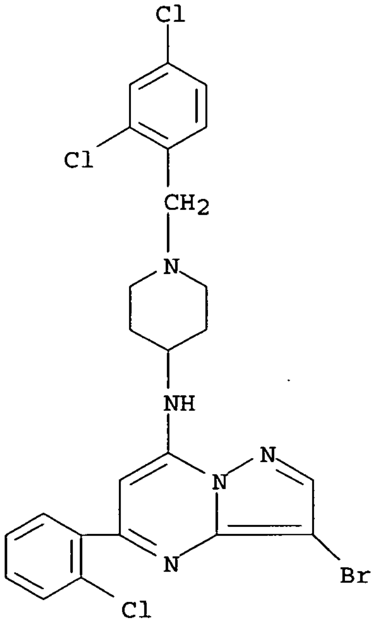
RN 677287-43-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



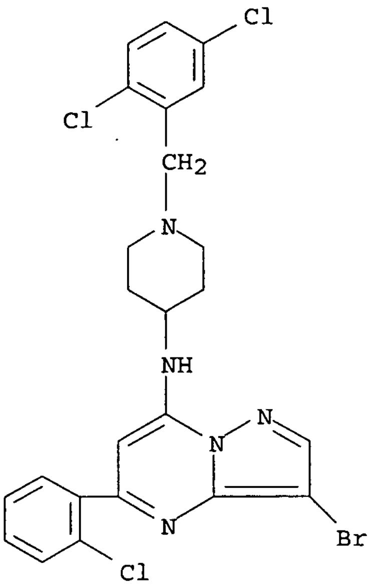
RN 677287-44-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,3-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



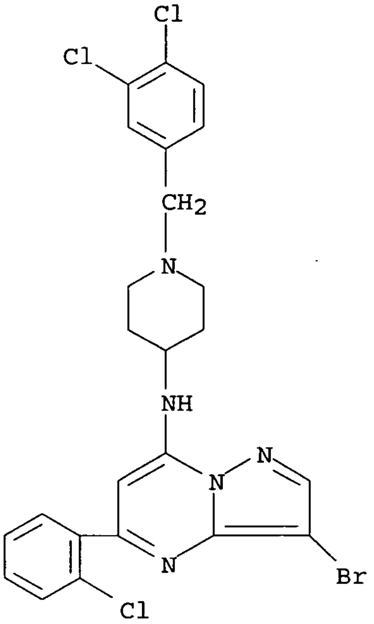
RN 677287-45-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



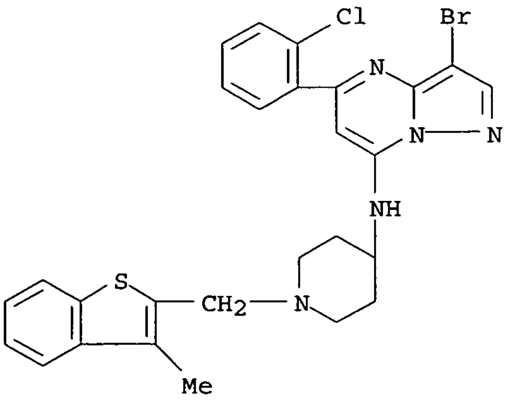
RN 677287-46-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(2,5-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



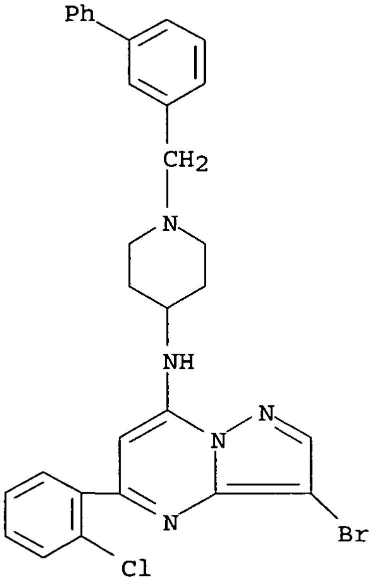
RN 677287-47-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



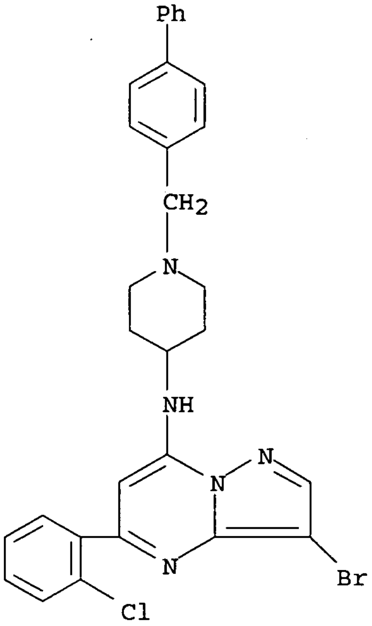
RN 677287-48-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-methylbenzo[b]thien-2-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



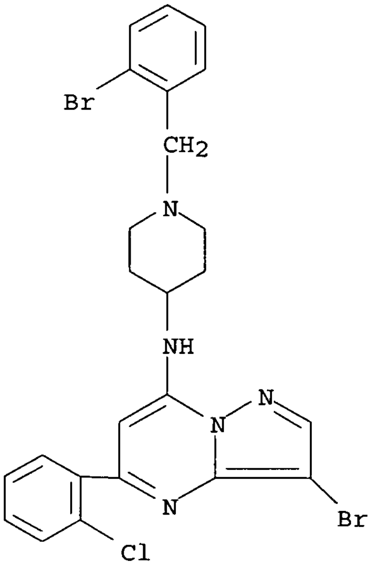
RN 677287-49-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([1,1'-biphenyl]-3-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



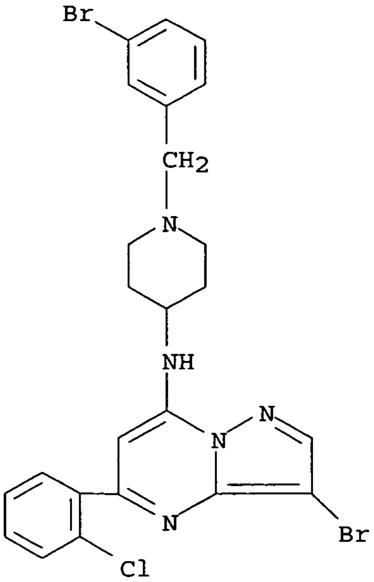
RN 677287-50-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([1,1'-biphenyl]-4-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



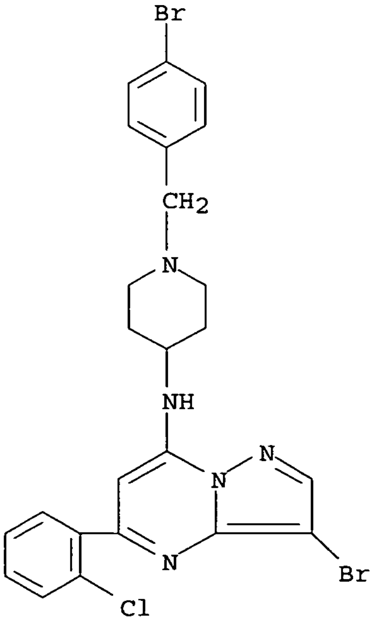
RN 677287-51-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(2-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



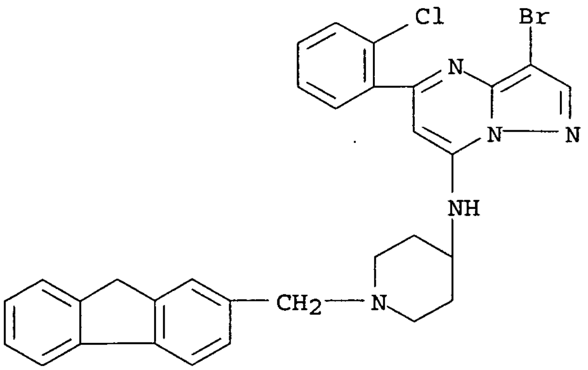
RN 677287-52-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(3-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-53-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-N-[1-[(4-bromophenyl)methyl]-4-piperidinyl]-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 677287-54-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(9H-fluoren-2-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 677287-55-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-([2,2'-bithiophen]-5-ylmethyl)-4-piperidinyl]-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

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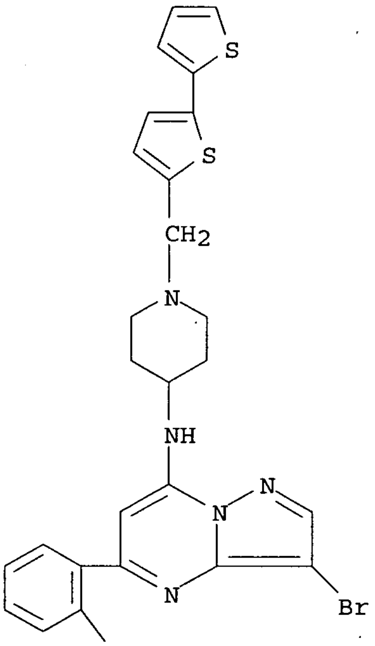

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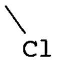
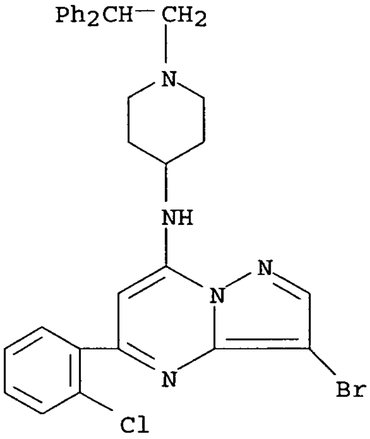
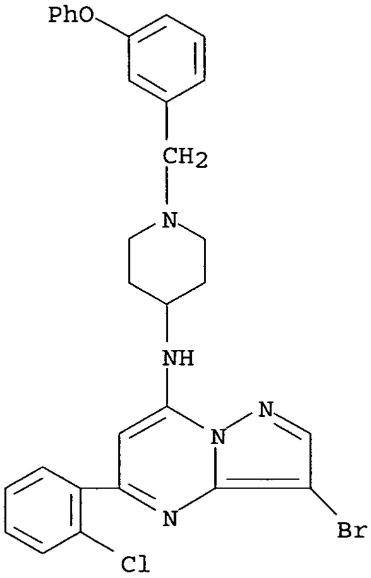


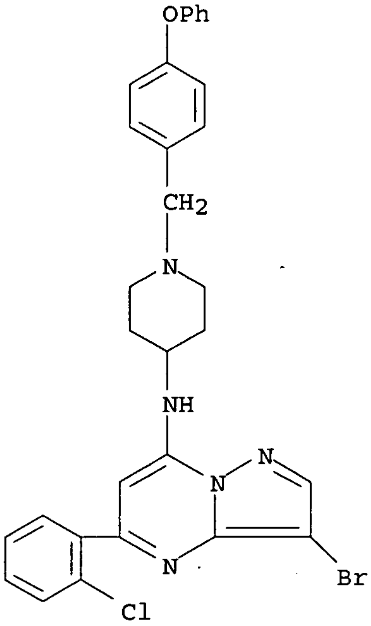
RN 677287-56-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2,2-diphenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



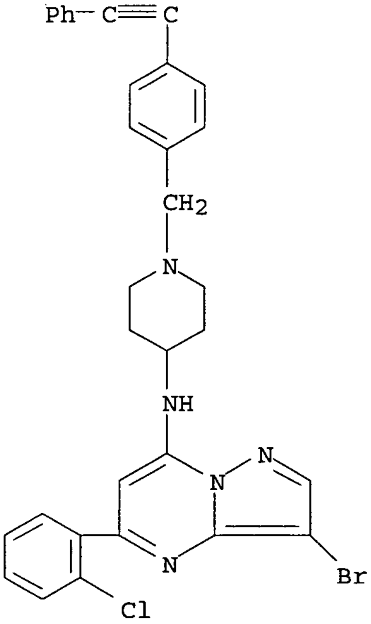
RN 677287-57-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(3-phenoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



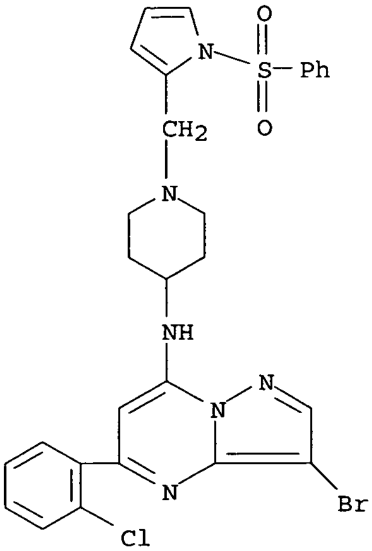
RN 677287-58-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



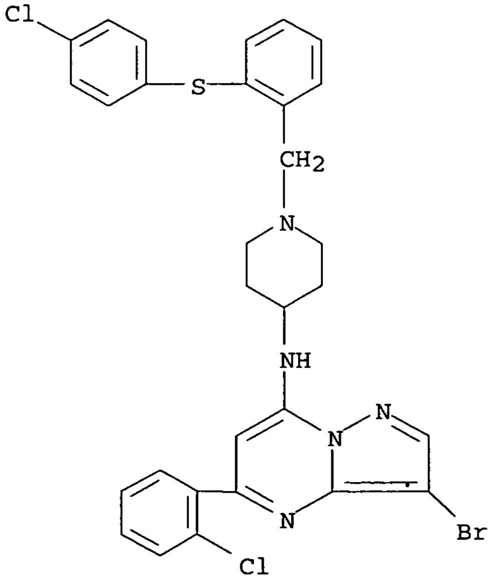
RN 677287-59-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[4-(phenylethynyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



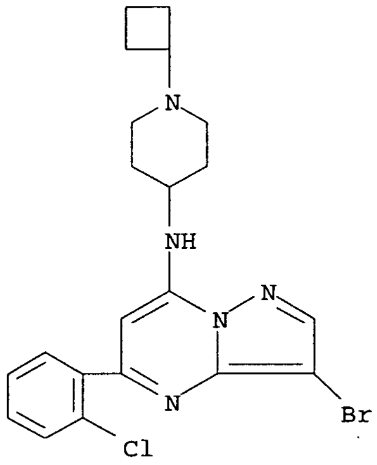
RN 677287-60-4 HCAPLUS
CN 1H-Pyrrole, 2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



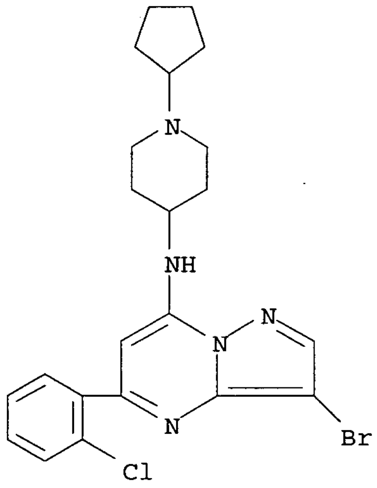
RN 677287-61-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[[2-[(4-chlorophenyl)thio]phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



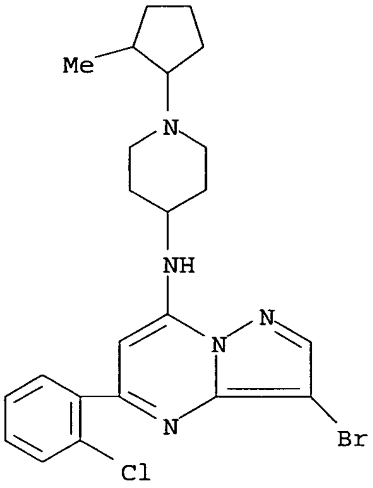
RN 677287-62-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-(1-cyclobutyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



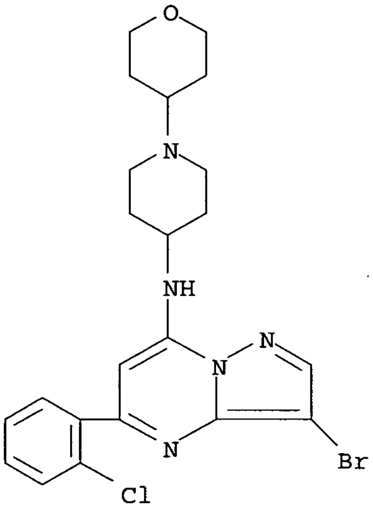
RN 677287-63-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-(1-cyclopentyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



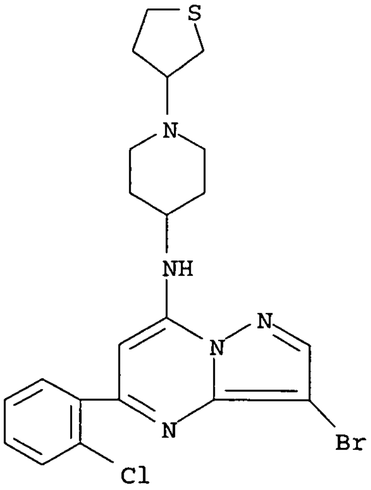
RN 677287-64-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(2-methylcyclopentyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



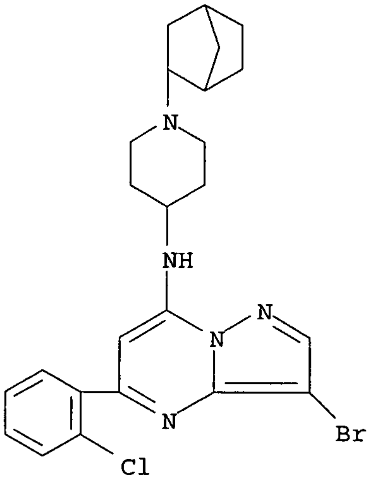
RN 677287-65-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



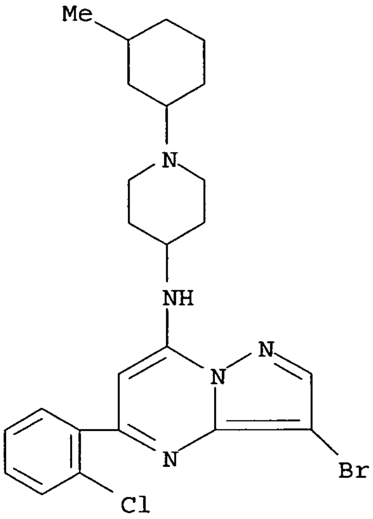
RN 677287-66-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-3-thienyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



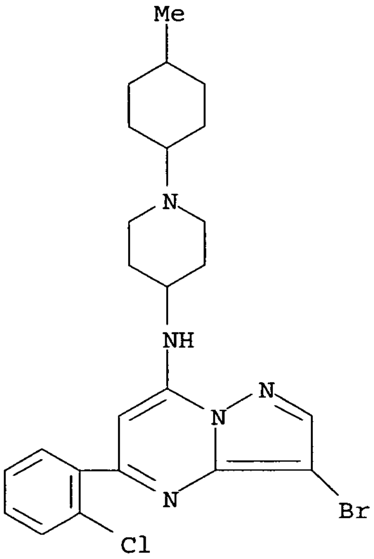
RN 677287-67-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-bicyclo[2.2.1]hept-2-yl-4-piperidinyl)-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



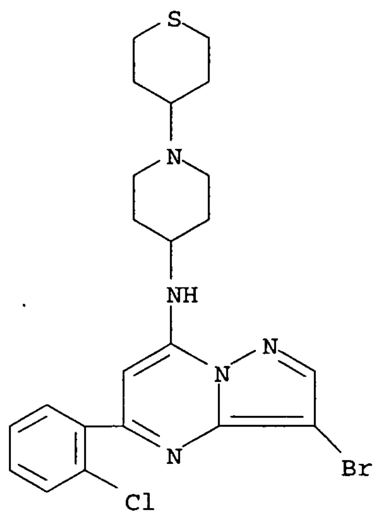
RN 677287-68-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(3-methylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



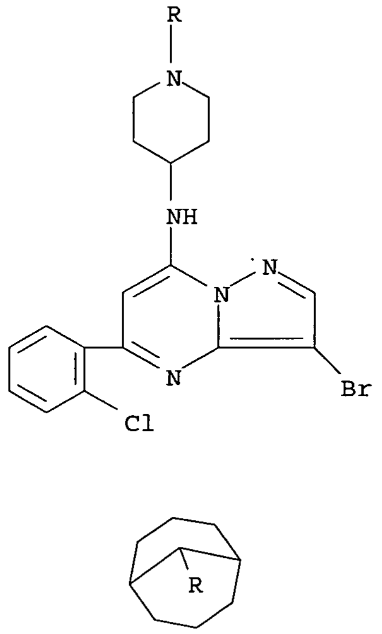
RN 677287-69-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(4-methylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



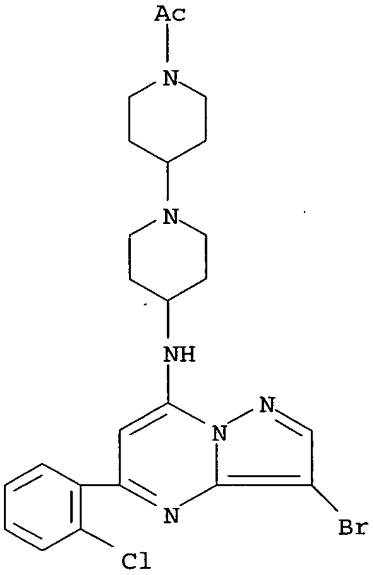
RN 677287-70-6 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



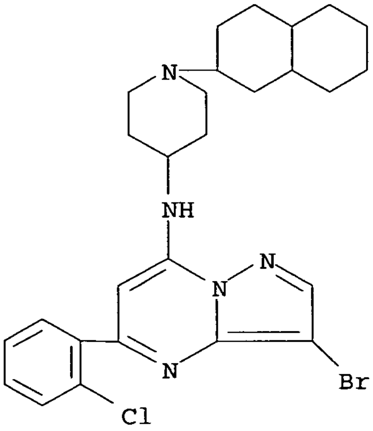
RN 677287-71-7 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-bicyclo[3.3.1]non-9-yl-4-piperidinyl)-3-bromo-5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



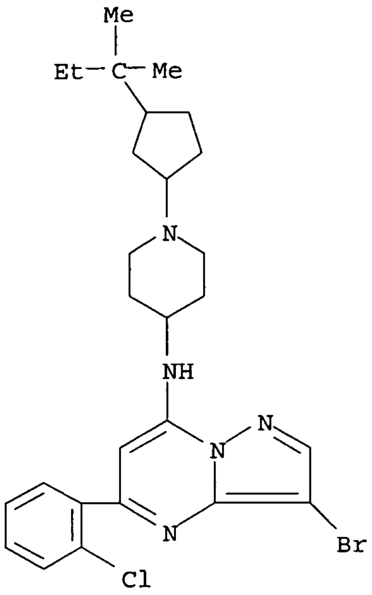
RN 677287-72-8 HCAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-acetyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



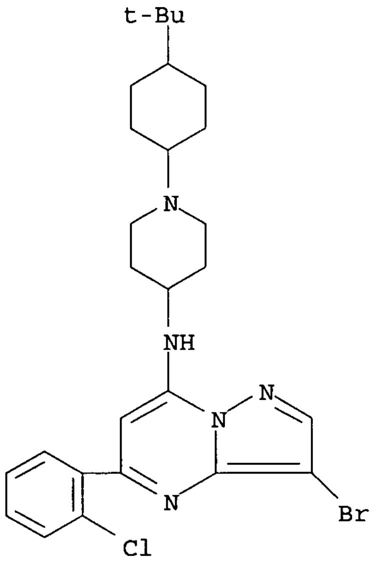
RN 677287-73-9 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(decahydro-2-naphthalenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



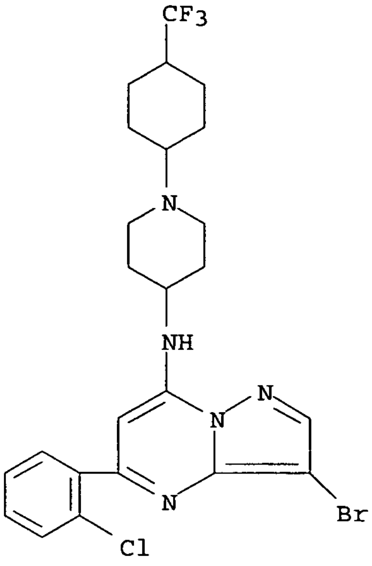
RN 677287-74-0 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(1,1-dimethylpropyl)cyclopentyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



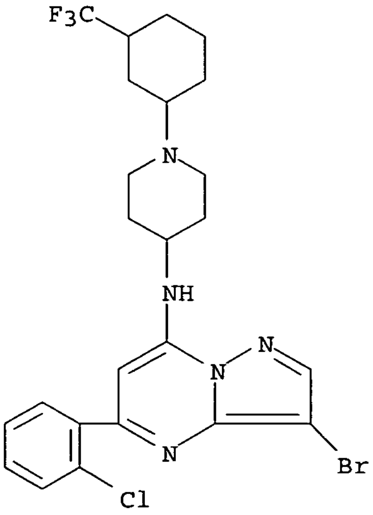
RN 677287-75-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(1,1-dimethylethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



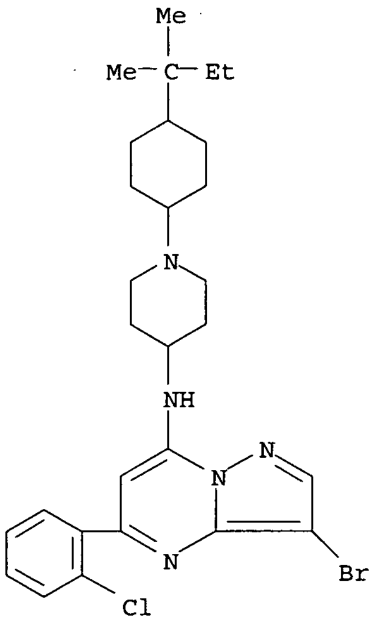
RN 677287-76-2 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(trifluoromethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



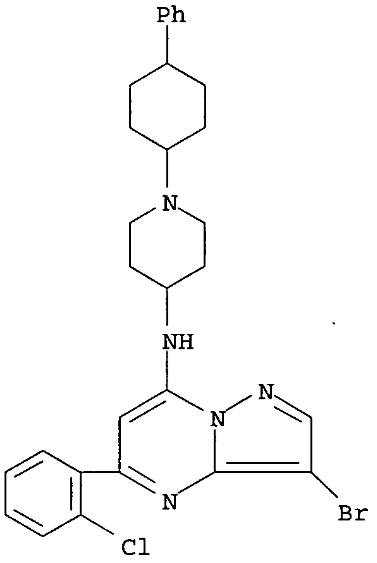
RN 677287-77-3 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[3-(trifluoromethyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



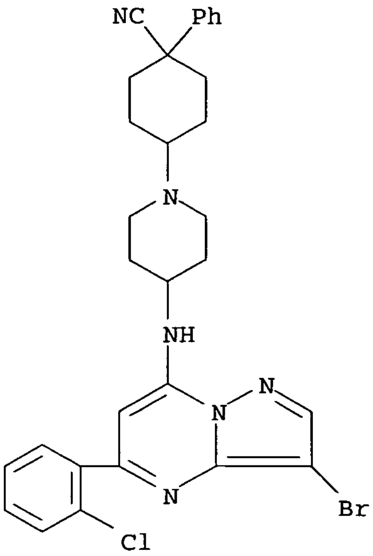
RN 677287-78-4 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-[4-(1,1-dimethylpropyl)cyclohexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



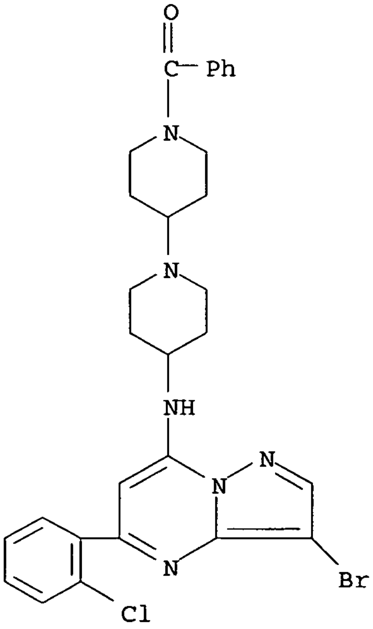
RN 677287-79-5 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-(4-phenylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



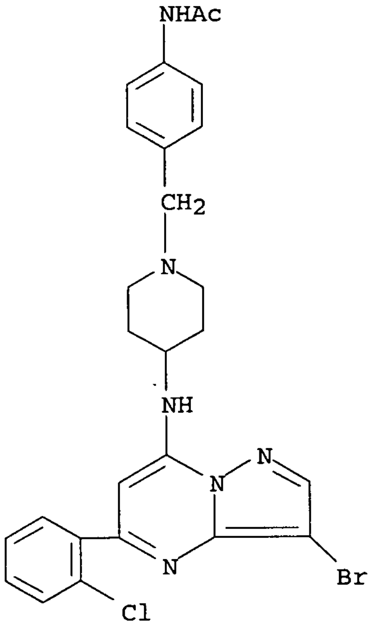
RN 677287-80-8 HCAPLUS
CN Cyclohexanecarbonitrile, 4-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 677287-81-9 HCAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-benzoyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677287-82-0 HCAPLUS
CN Acetamide, N-[4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 677289-22-4 HCAPLUS
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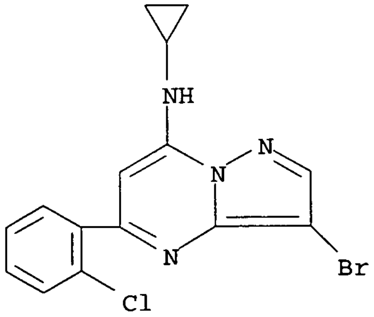

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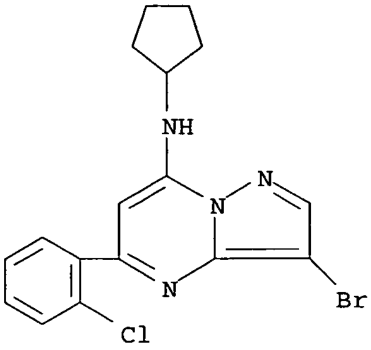

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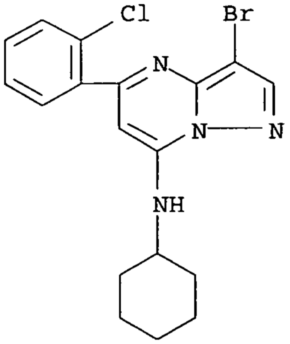
(9CI) (CA INDEX NAME)



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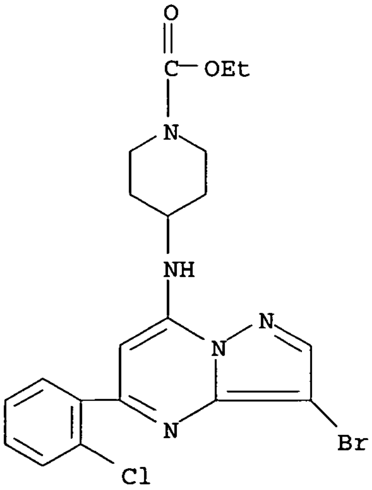
CN 1-Piperidinecarboxylic acid, 4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-
a]pyrimidin-7-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 677289-59-7 HCAPLUS

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CN    Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[1-
      (phenylmethyl)-4-piperidinyl]- (9CI)  (CA INDEX NAME)
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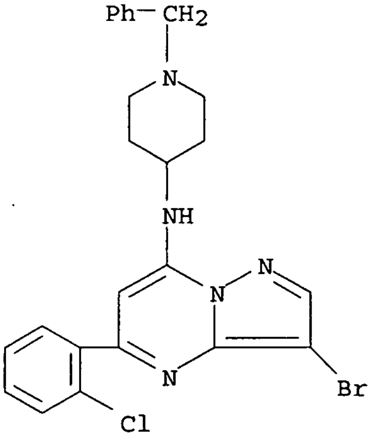

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L46  ANSWER 7 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2004:265847  HCAPLUS
DOCUMENT NUMBER:          140:321370
TITLE:                    Preparation of pyrazolopyrimidines as cyclin-dependent
                          kinase inhibitors
INVENTOR(S):              Guzi, Timothy J.; Paruch, Kamil;
                          Dwyer, Michael P.; Doll, Ronald J.;
                          Girijavallabhan, Viyyoor Moopil; Mallams,
                          Alan; Alvarez, Carmen S.; Keertikar, Kartik
                          M.; Rivera, Jocelyn; Chan, Tin-yau; Madison,
                          Vincent; Fischmann, Thierry O.;
                          Dillard, Lawrence W.; Tran, Vinh D.; He,
                          Zhen Min; James, Ray Anthony;
                          Park, Haengsoon; Paradkar, Vidyadhar M.;
                          Hobbs, Douglas Walsh
PATENT ASSIGNEE(S):       Schering Corporation, USA
SOURCE:                   PCT Int. Appl., 609 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     WO 2004022561        A1    20040318    WO 2003-XA27555        20030903
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             MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG,
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             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
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     CN 1735614           A     20060215    CN 2003-824997         20030903
PRIORITY APPLN. INFO.:                      US 2002-408027P     P  20020904
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US 2002-421959P P 20021029

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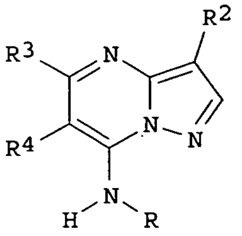

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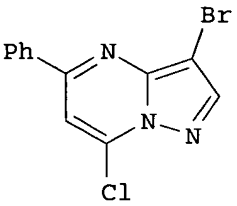

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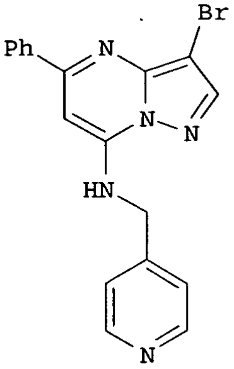

III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part II of I-III series.

IT 677788-68-0P 677788-69-1P 677788-70-4P
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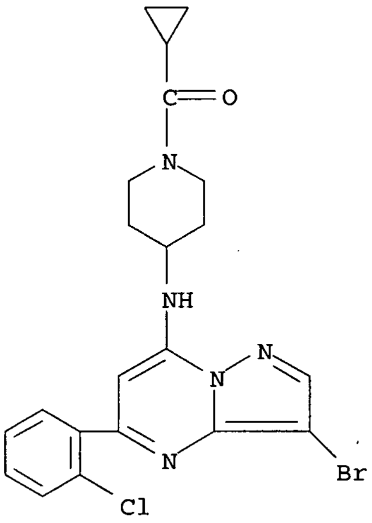
677789-62-7P 677789-63-8P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677788-68-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopropylcarbonyl)- (9CI) (CA INDEX NAME)



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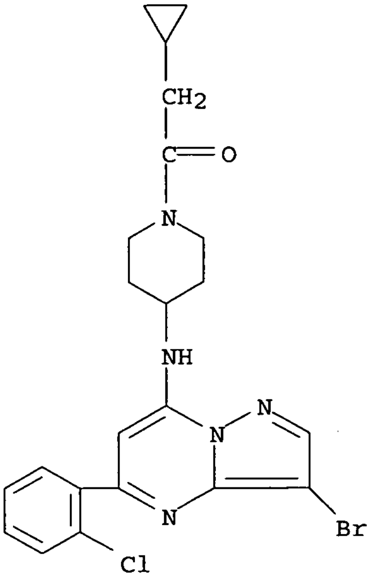

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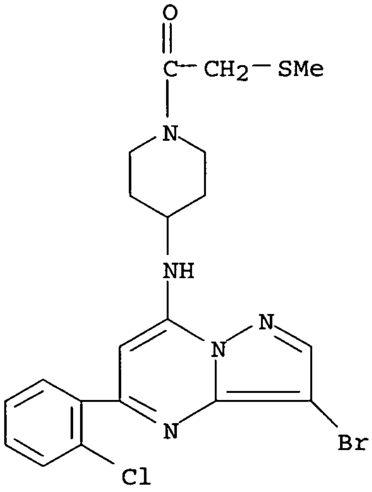

RN 677788-71-5 HCAPLUS
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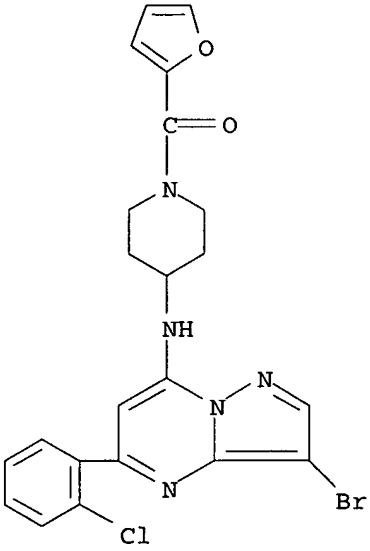

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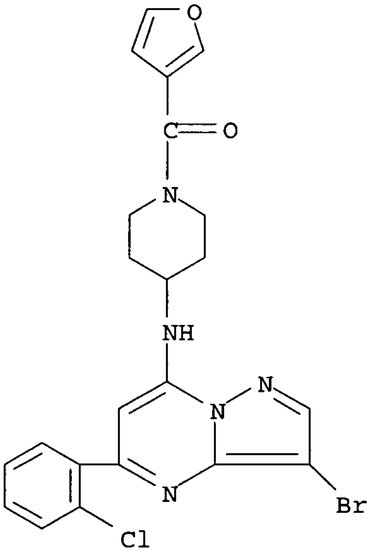

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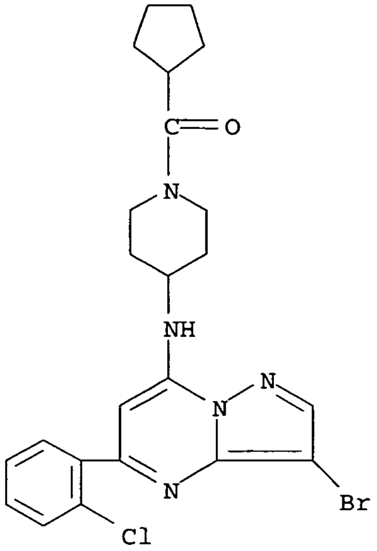

RN 677788-74-8 HCAPLUS
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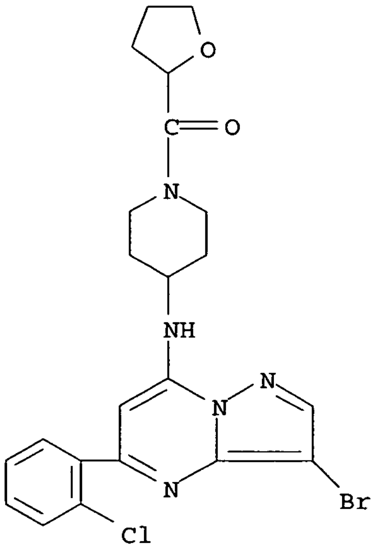

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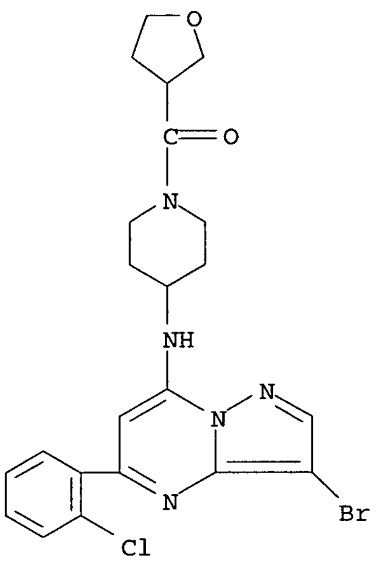

RN 677788-76-0 HCAPLUS
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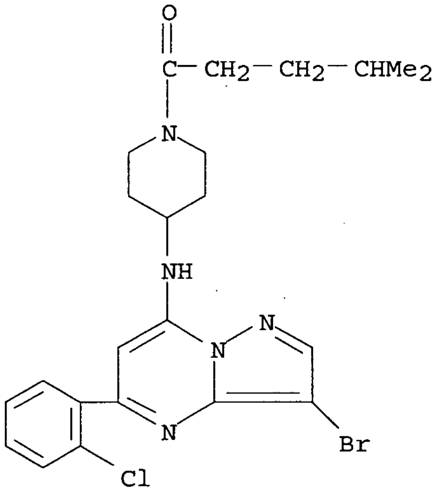

RN 677788-77-1 HCAPLUS
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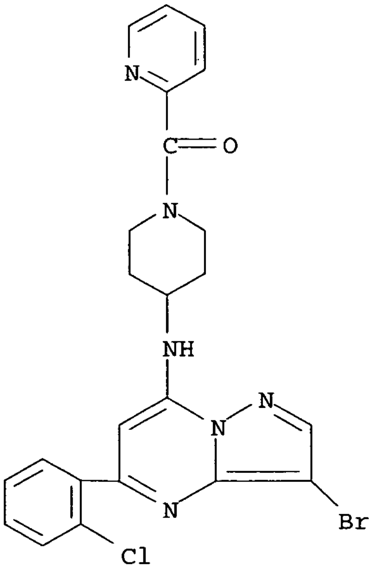

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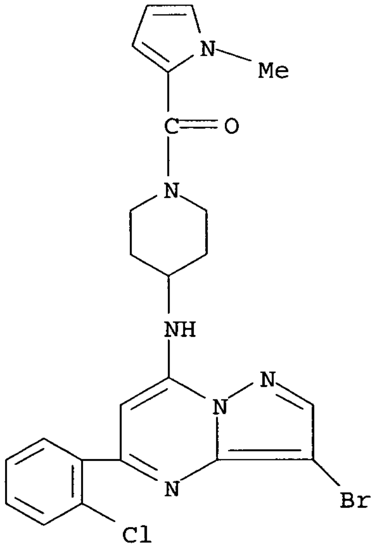
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-methyl-1H-pyrrol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677788-79-3 HCAPLUS
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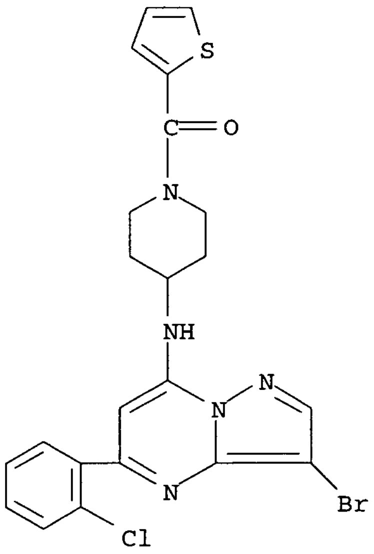

RN 677788-80-6 HCAPLUS

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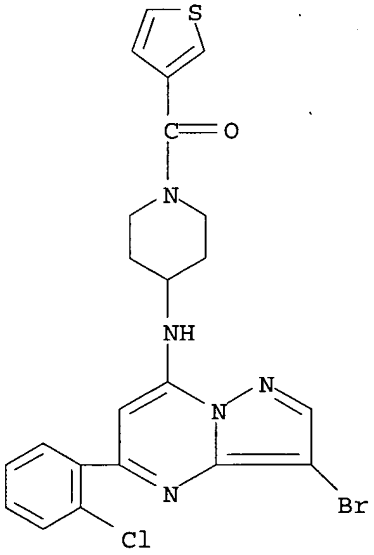
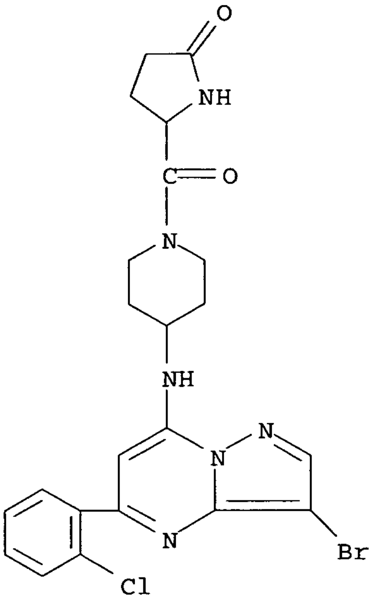


RN 677788-81-7 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-oxo-2-pyrrolidinyl)carbonyl]- (9CI) (CA INDEX NAME)



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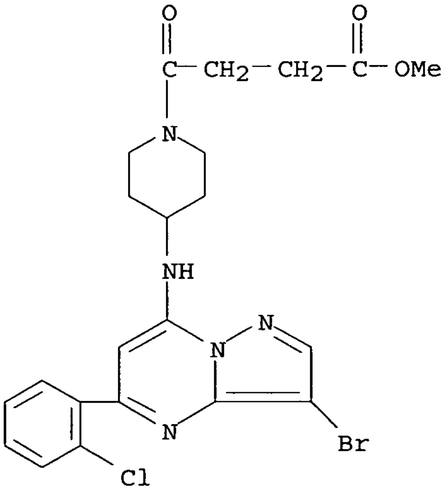
CN 1-Piperidinebutanoic acid, 4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)



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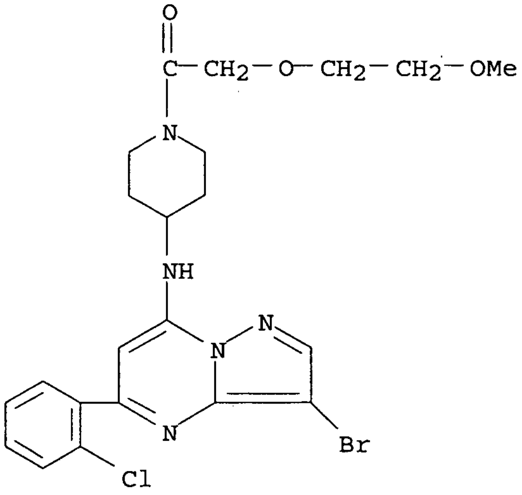
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2-methoxyethoxy)acetyl]- (9CI) (CA INDEX NAME)



RN 677788-84-0 HCAPLUS
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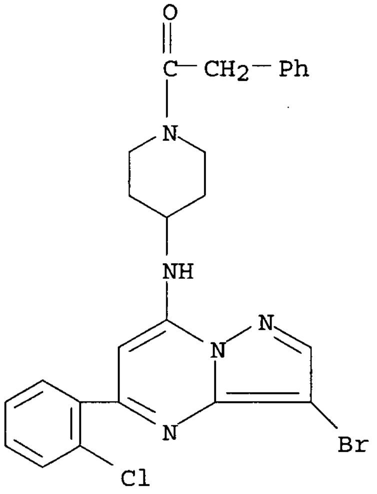

RN 677788-85-1 HCAPLUS
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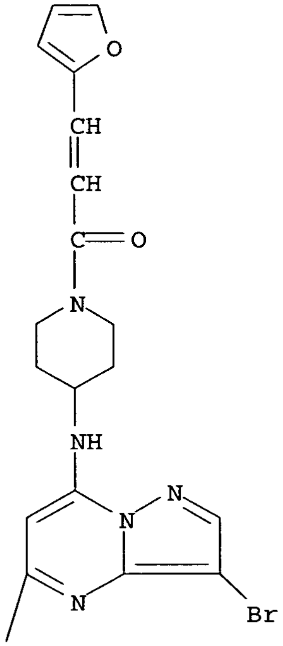
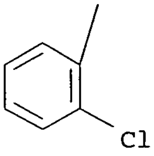
PAGE 1-A



PAGE 2-A



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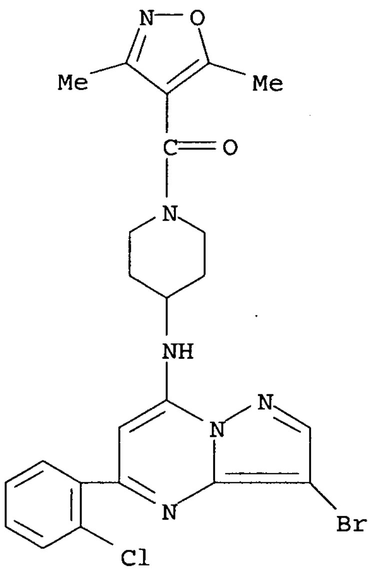

RN 677788-87-3 HCAPLUS
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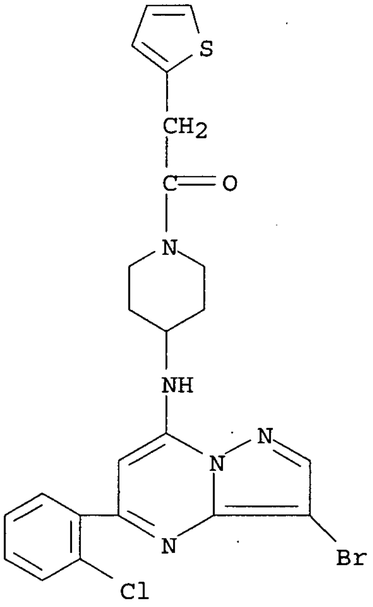

RN 677788-88-4 HCAPLUS
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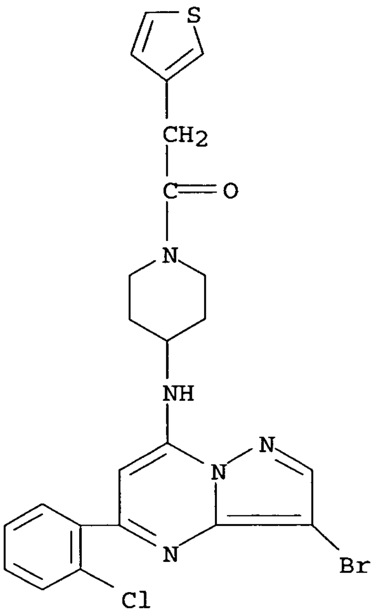

RN 677788-89-5 HCAPLUS
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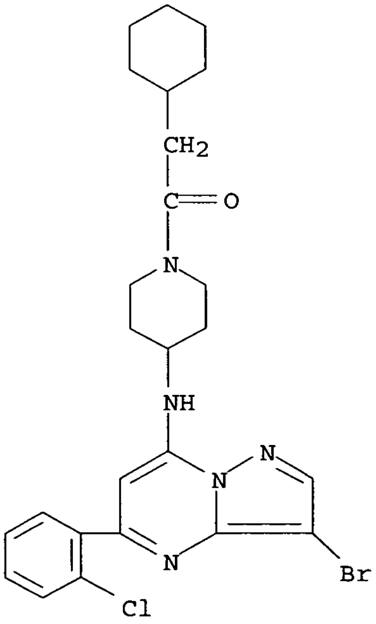

RN 677788-90-8 HCAPLUS
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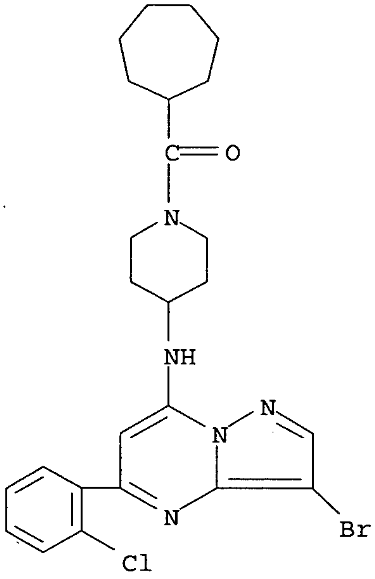

RN 677788-91-9 HCAPLUS
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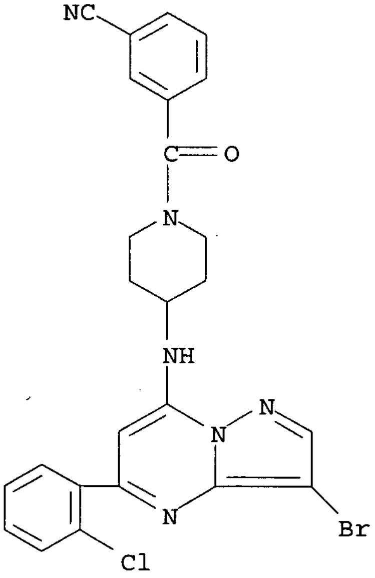

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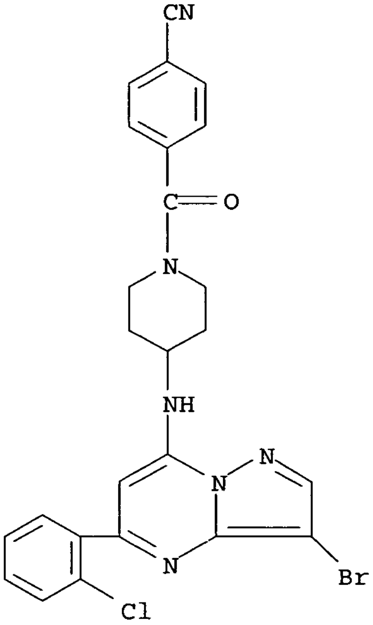

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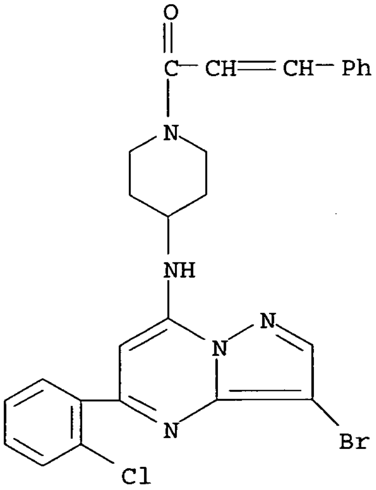
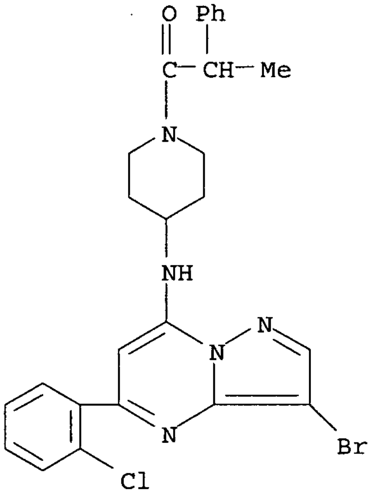
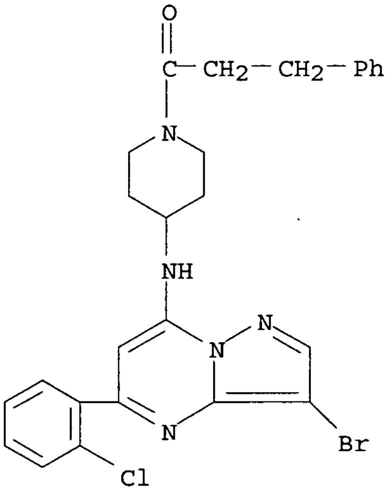


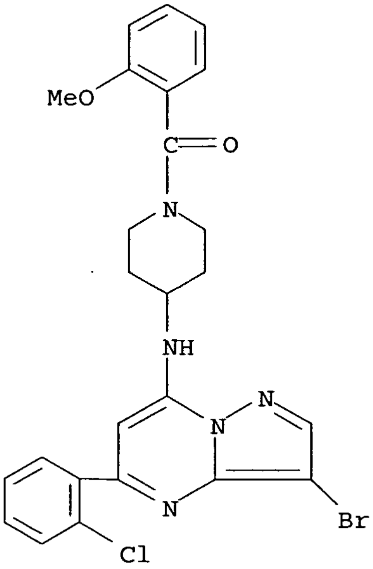
RN 677788-94-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-2-phenylpropyl)- (9CI) (CA INDEX NAME)



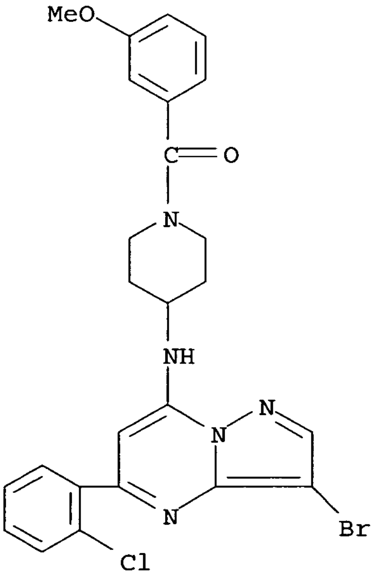
RN 677788-95-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



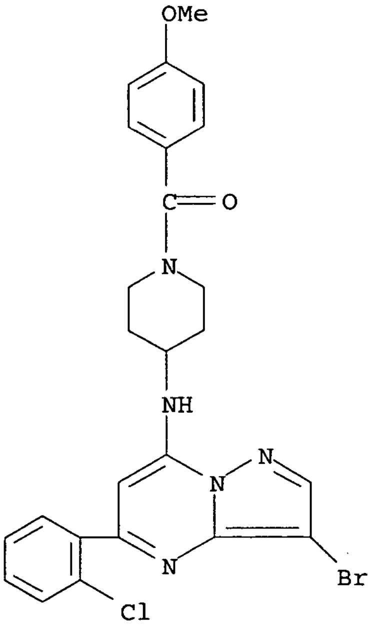
RN 677788-96-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methoxybenzoyl)- (9CI) (CA INDEX NAME)



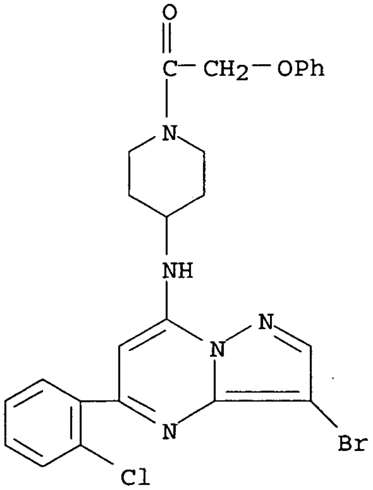
RN 677788-97-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-methoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 677788-98-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 677788-99-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(phenoxyacetyl)- (9CI) (CA INDEX NAME)



RN 677789-00-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(2-thienyl)-2-propenyl]- (9CI) (CA INDEX NAME)

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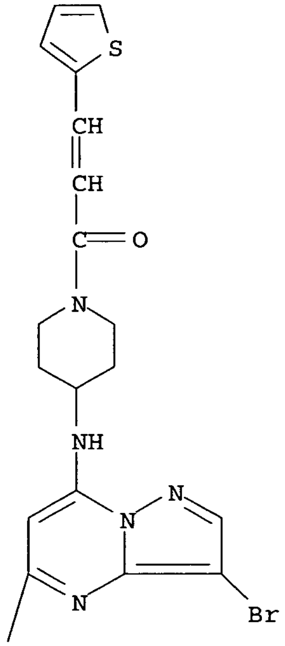

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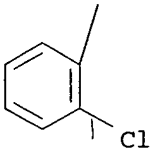

RN 677789-01-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(3-thienyl)-2-propenyl]- (9CI) (CA INDEX NAME)

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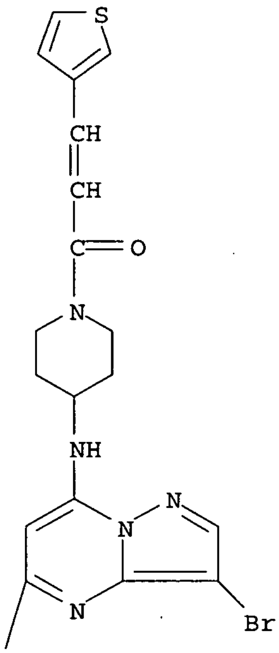

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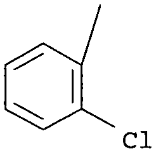
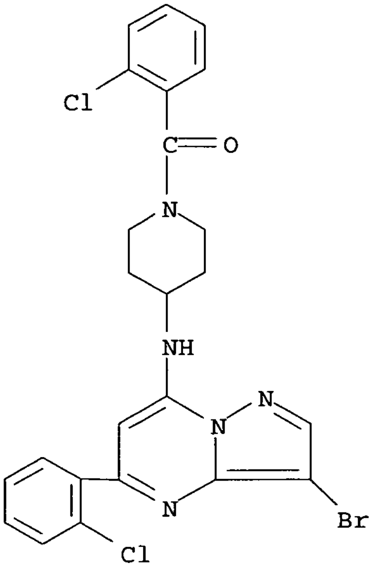
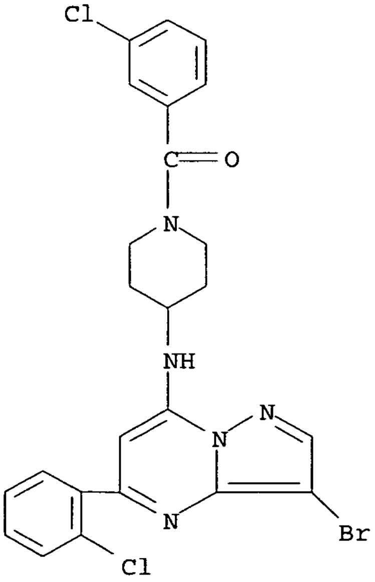


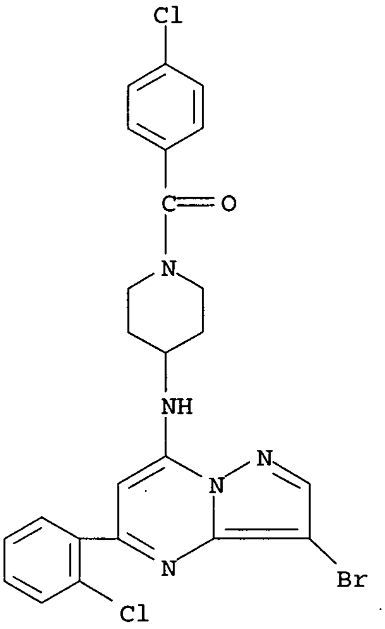
RN 677789-02-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-chlorobenzoyl)- (9CI) (CA INDEX NAME)



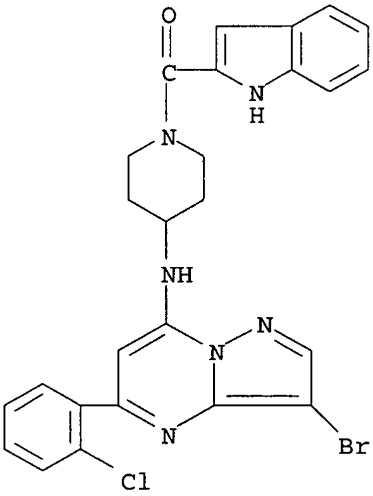
RN 677789-03-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-chlorobenzoyl)- (9CI) (CA INDEX NAME)



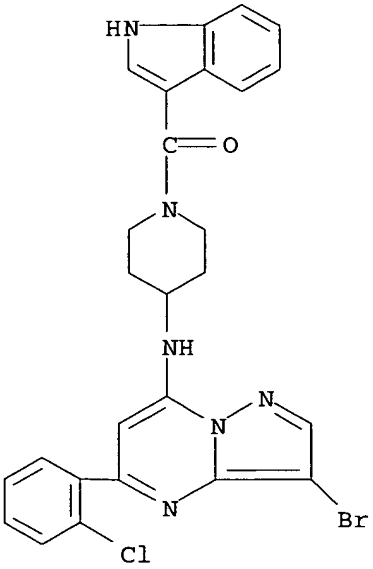
RN 677789-04-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-chlorobenzoyl)- (9CI) (CA INDEX NAME)



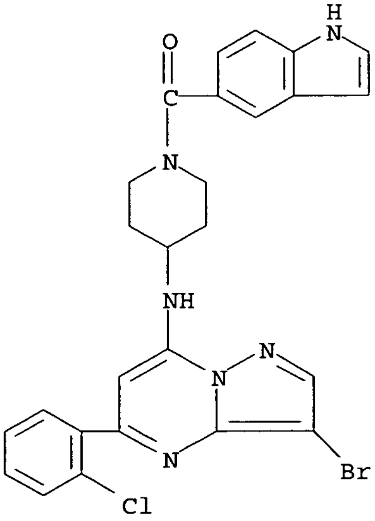
RN 677789-05-8 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-2-ylcarbonyl)- (9CI) (CA INDEX NAME)



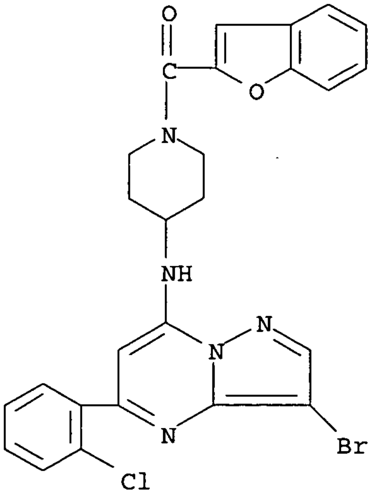
RN 677789-06-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)



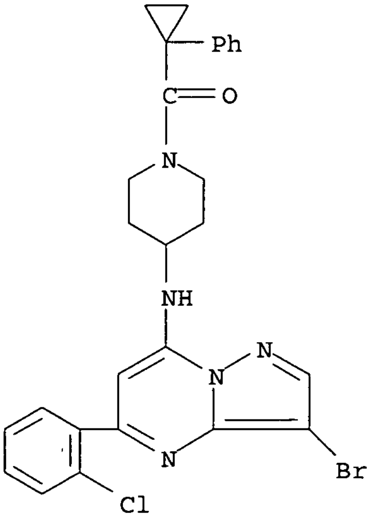
RN 677789-07-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 677789-08-1 HCAPLUS
CN 4-Piperidinamine, 1-(2-benzofuranylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

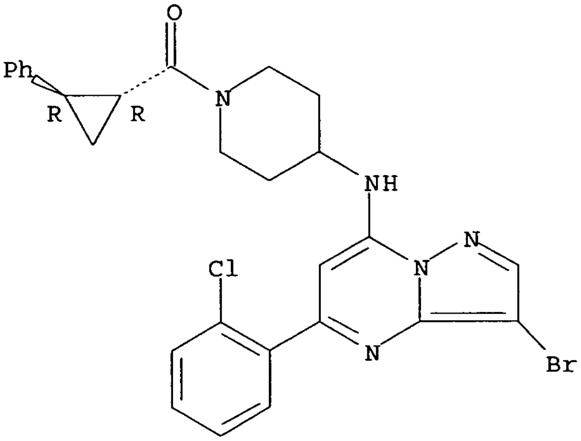


RN 677789-09-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-phenylcyclopropyl)carbonyl]- (9CI) (CA INDEX NAME)

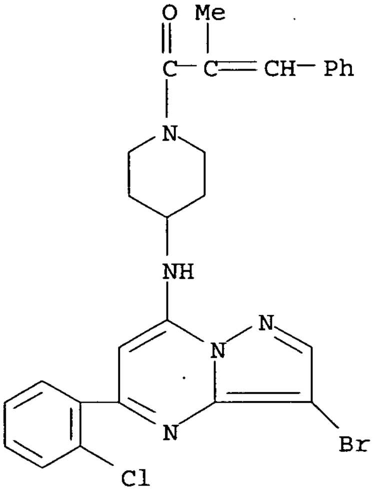


RN 677789-10-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, rel- (9CI) (CA INDEX NAME)

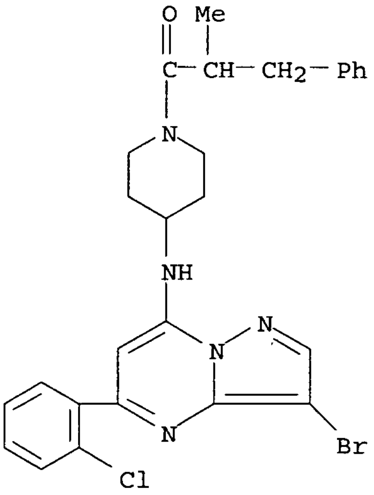
Relative stereochemistry.



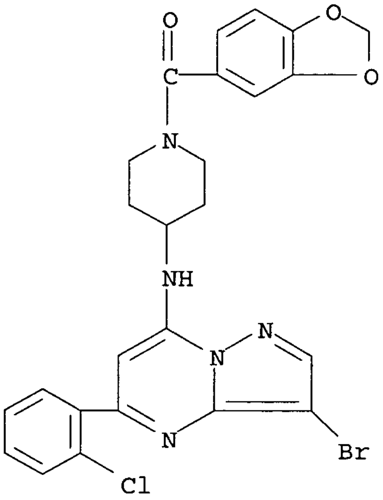
RN 677789-11-6 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methyl-1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



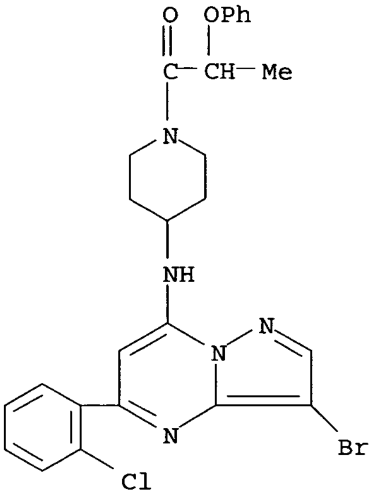
RN 677789-12-7 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-methyl-1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



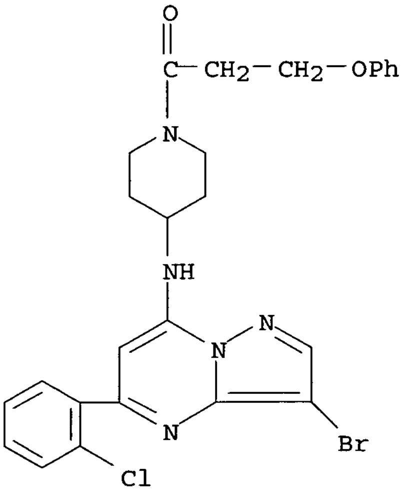
RN 677789-13-8 HCAPLUS
CN 4-Piperidinamine, 1-(1,3-benzodioxol-5-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



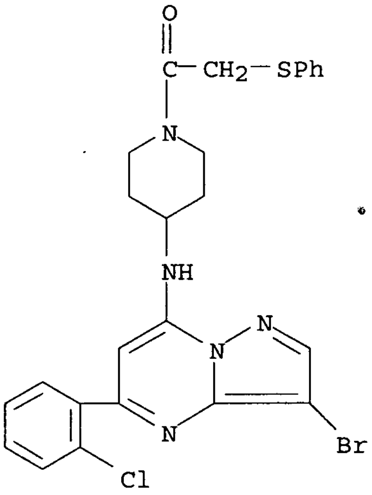
RN 677789-15-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-2-phenoxypropyl)- (9CI) (CA INDEX NAME)



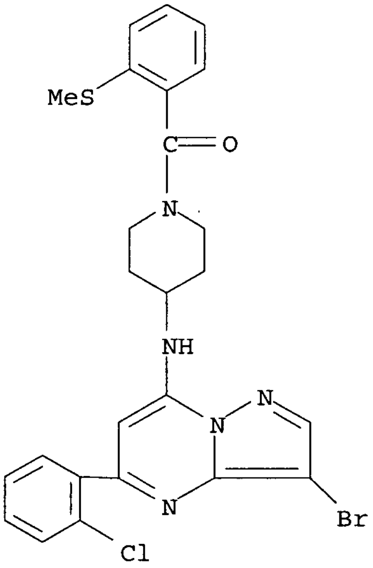
RN 677789-16-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-oxo-3-phenoxypropyl)- (9CI) (CA INDEX NAME)



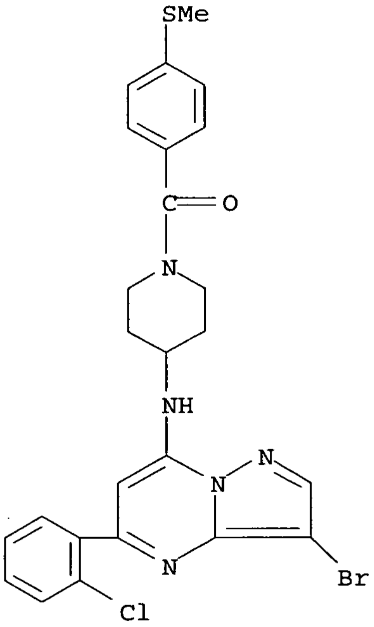
RN 677789-17-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(phenylthio)acetyl]- (9CI) (CA INDEX NAME)



RN 677789-18-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[2-(methylthio)benzoyl]- (9CI) (CA INDEX NAME)

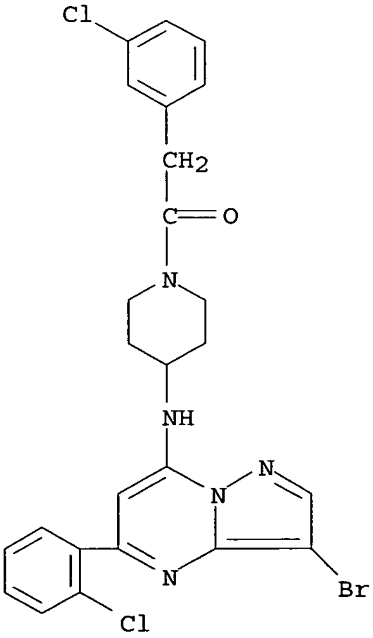


RN 677789-19-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[4-(methylthio)benzoyl]- (9CI) (CA INDEX NAME)



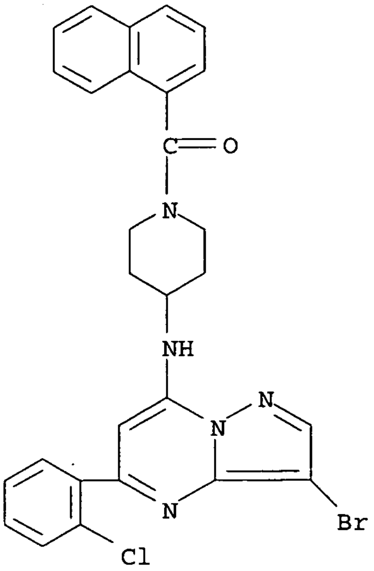
RN 677789-20-7 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3-chlorophenyl)acetyl]- (9CI) (CA INDEX NAME)

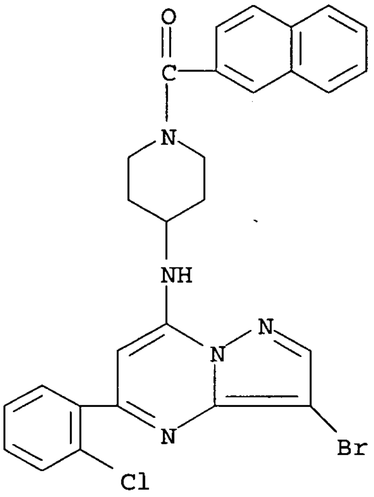


RN 677789-21-8 HCAPLUS

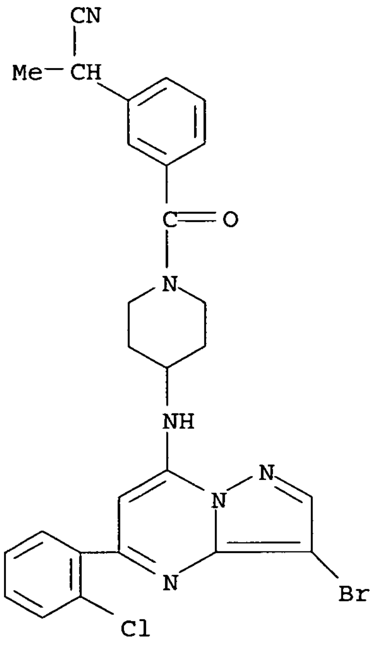
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylcarbonyl)- (9CI) (CA INDEX NAME)



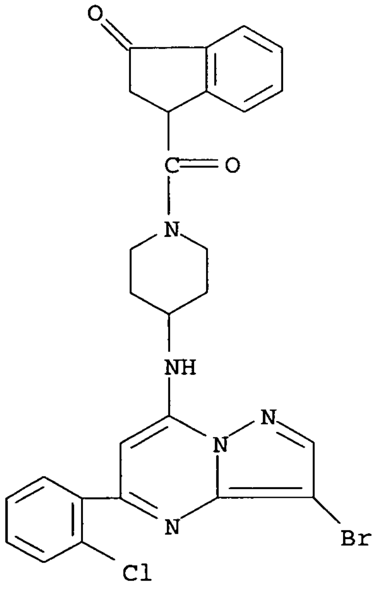
RN 677789-22-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylcarbonyl)- (9CI) (CA INDEX NAME)



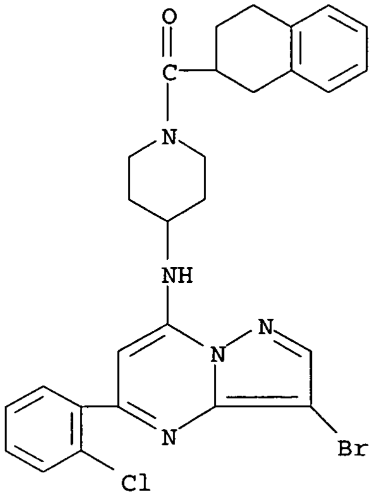
RN 677789-23-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(1-cyanoethyl)benzoyl]- (9CI) (CA INDEX NAME)



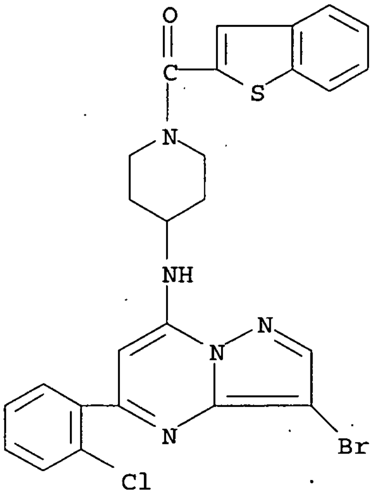
RN 677789-24-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dihydro-3-oxo-1H-inden-1-yl)carbonyl]- (9CI) (CA INDEX NAME)



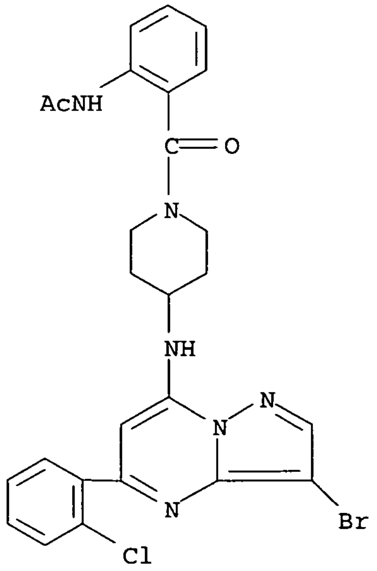
RN 677789-25-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]- (9CI) (CA INDEX NAME)



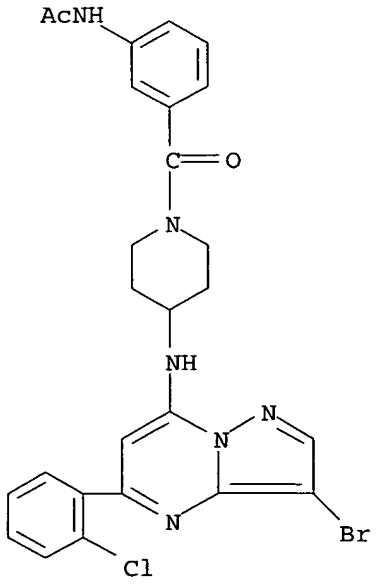
RN 677789-26-3 HCAPLUS
CN 4-Piperidinamine, 1-(benzo[b]thien-2-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



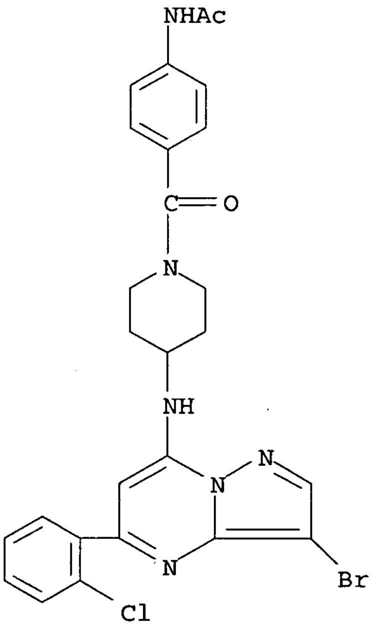
RN 677789-27-4 HCAPLUS
CN Acetamide, N-[2-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



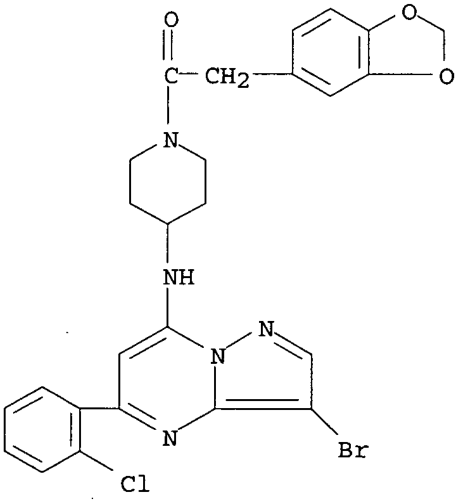
RN 677789-28-5 HCAPLUS
CN Acetamide, N-[3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



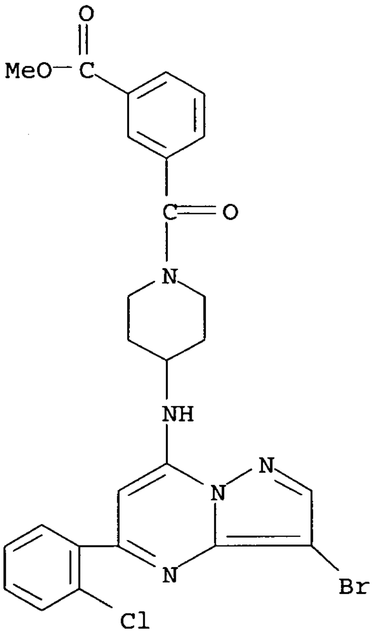
RN 677789-29-6 HCAPLUS
CN Acetamide, N-[4-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



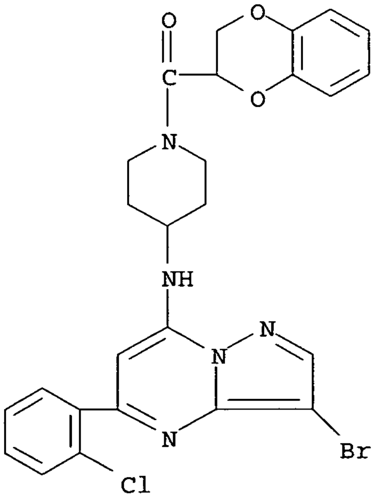
RN 677789-30-9 HCAPLUS
CN 4-Piperidinamine, 1-(1,3-benzodioxol-5-ylacetyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 677789-31-0 HCAPLUS
CN Benzoic acid, 3-[[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 677789-32-1 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 677789-33-2 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(3-chlorophenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

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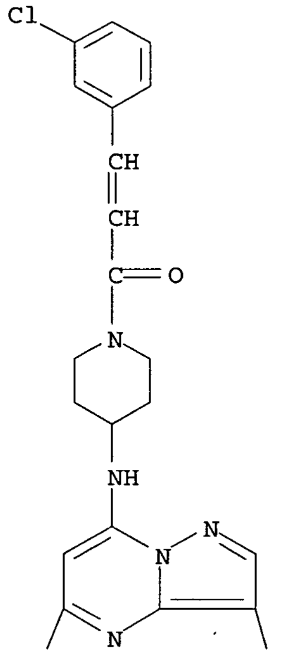

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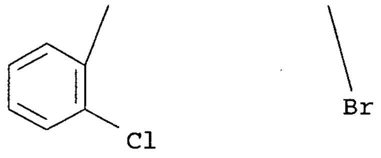
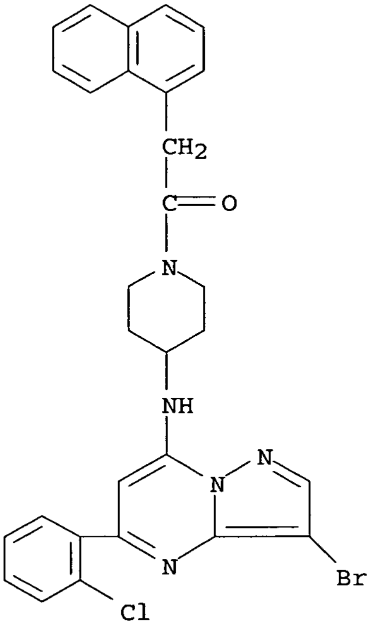
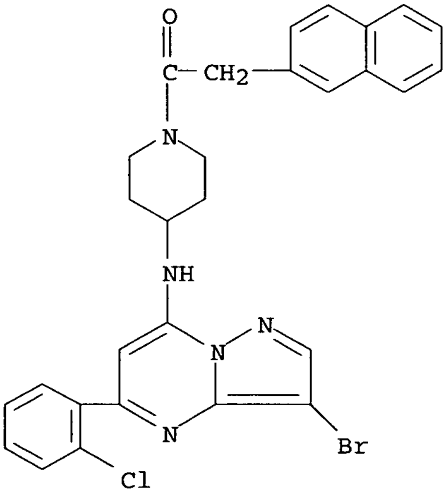


RN 677789-34-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-naphthalenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-35-4 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2-naphthalenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-36-5 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[3-(1H-indol-3-yl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

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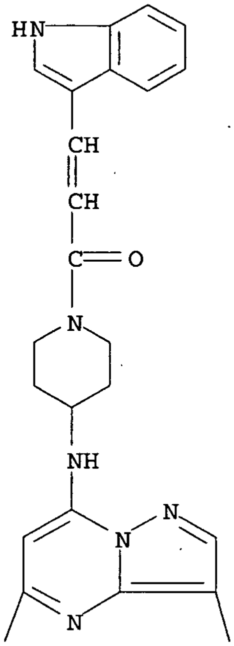

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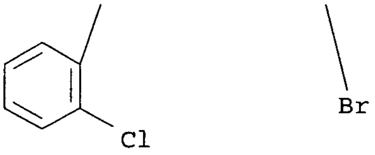

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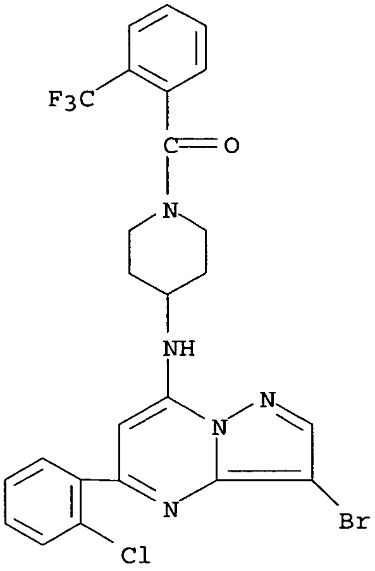

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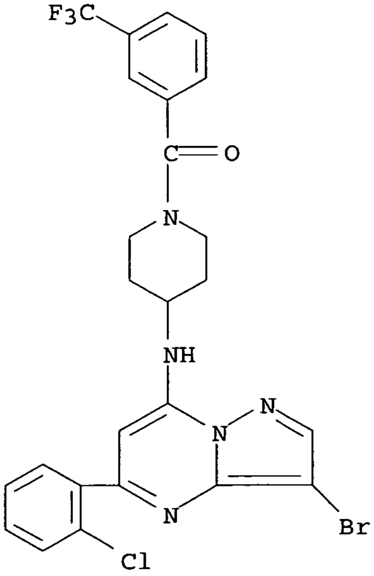

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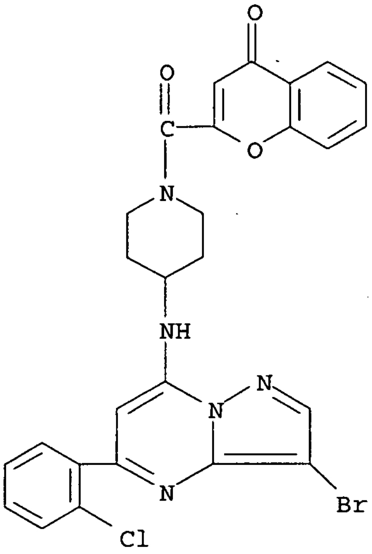

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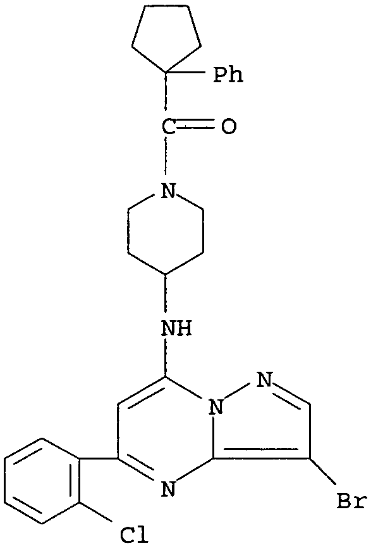

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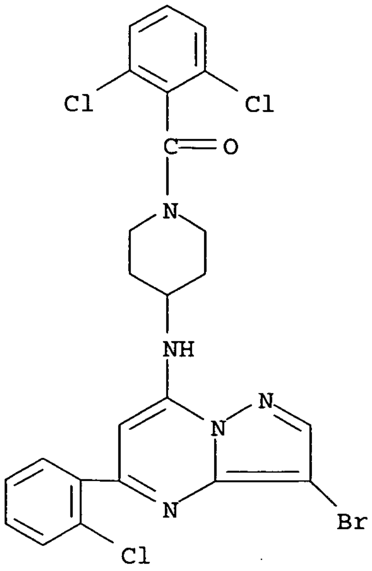
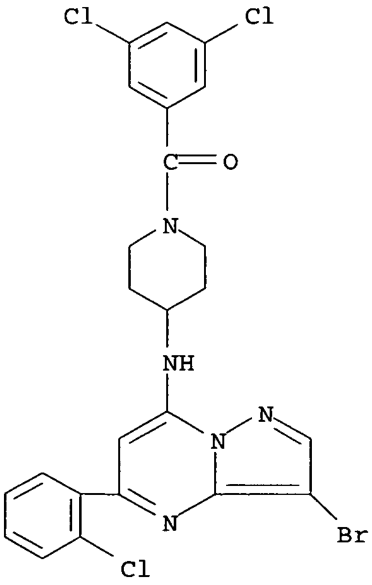


RN 677789-42-3 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3,5-dichlorobenzoyl)- (9CI) (CA INDEX NAME)



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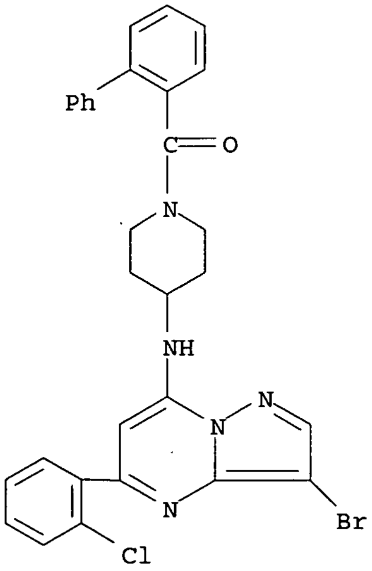
CN 4-Piperidinamine, 1-([1,1'-biphenyl]-2-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



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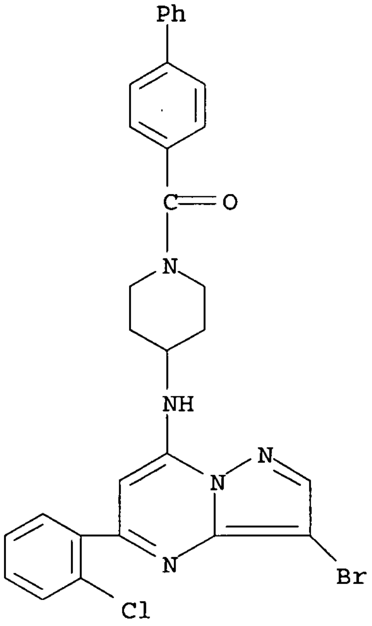
CN 4-Piperidinamine, 1-([1,1'-biphenyl]-4-ylcarbonyl)-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



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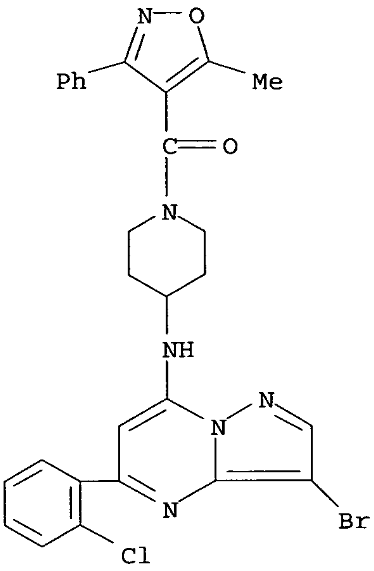
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]- (9CI) (CA INDEX NAME)



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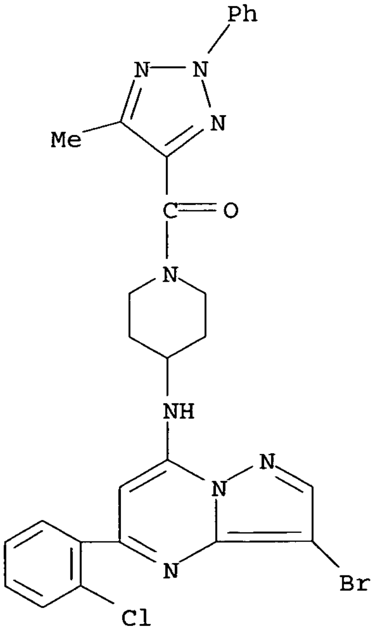
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



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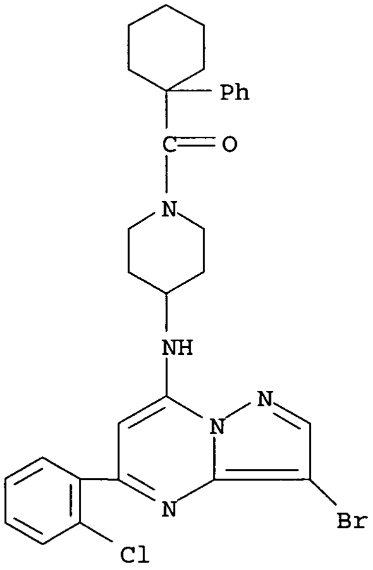
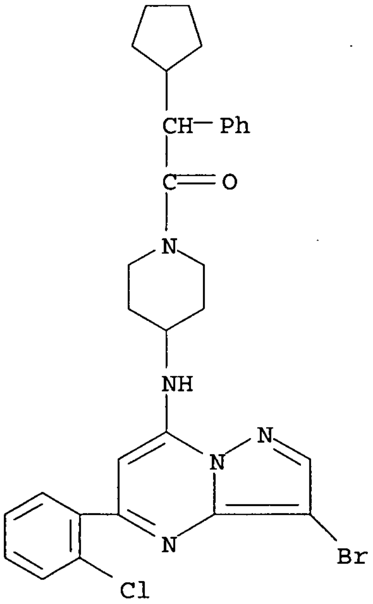
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(1-phenylcyclohexyl)carbonyl]- (9CI) (CA INDEX NAME)

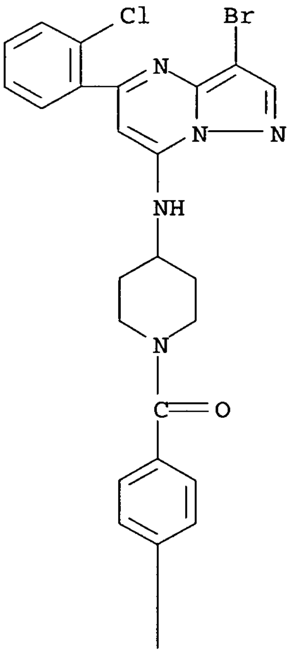


RN 677789-48-9 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(cyclopentylphenylacetyl)- (9CI) (CA INDEX NAME)



RN 677789-49-0 HCAPLUS
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-cyclohexylbenzoyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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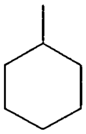

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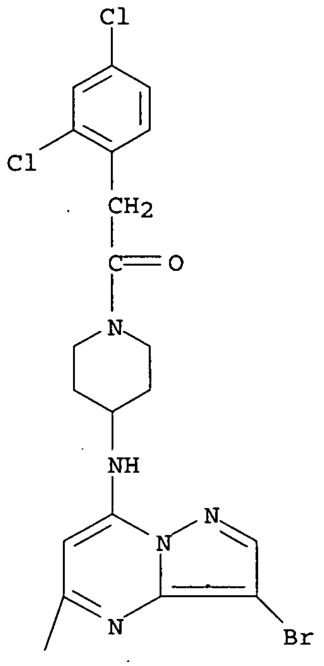
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(2,4-dichlorophenyl)acetyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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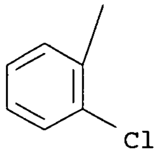

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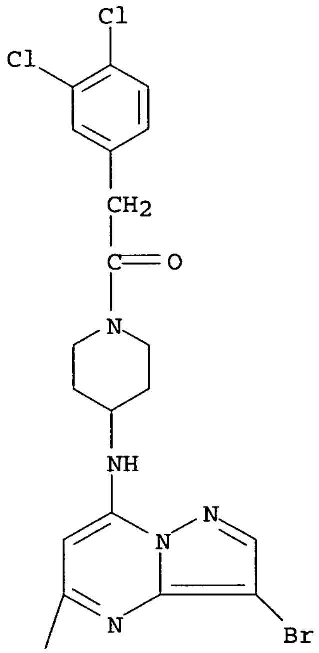
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[(3,4-dichlorophenyl)acetyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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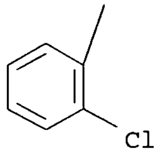

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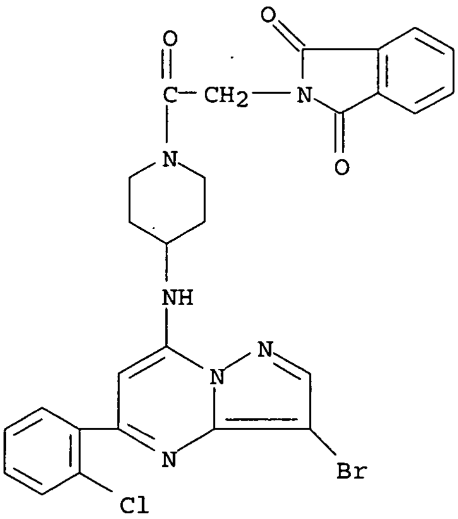

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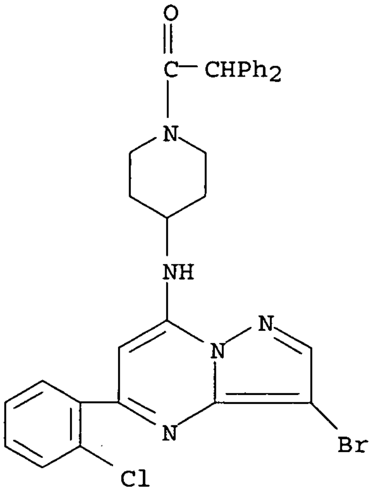

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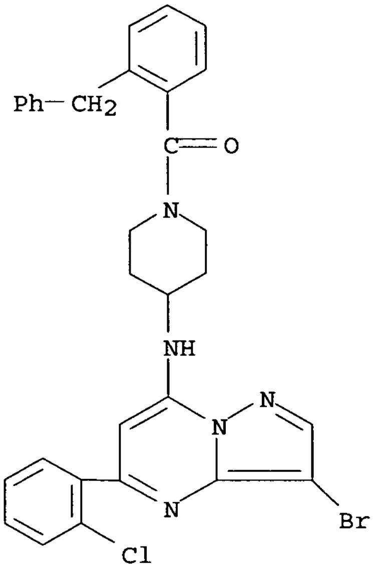

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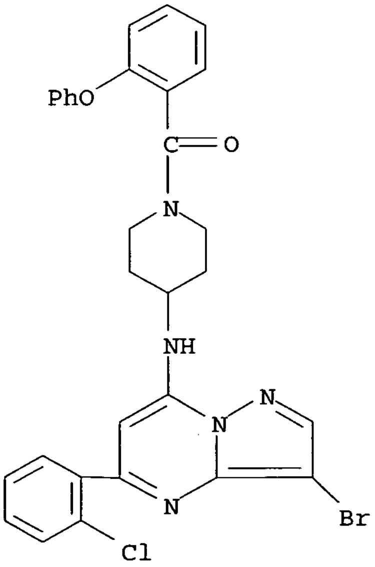

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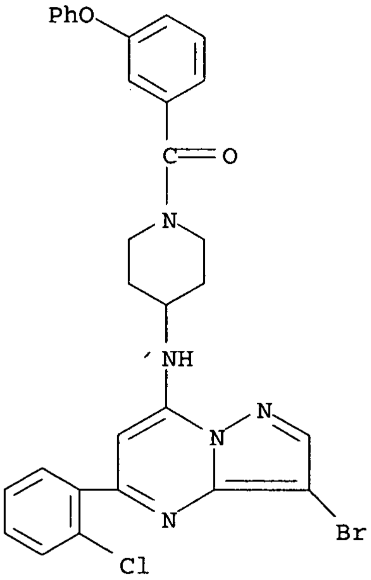
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(3-phenoxybenzoyl)- (9CI) (CA INDEX NAME)



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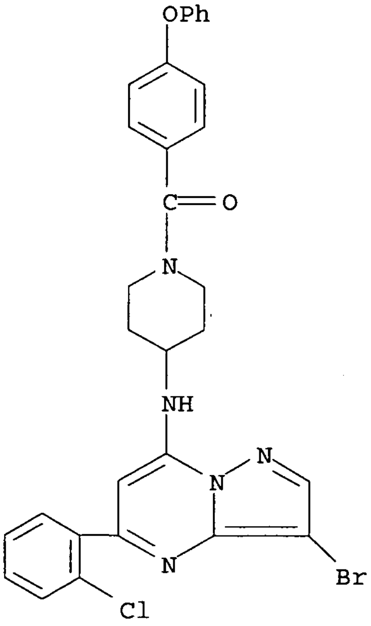
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(4-phenoxybenzoyl)- (9CI) (CA INDEX NAME)



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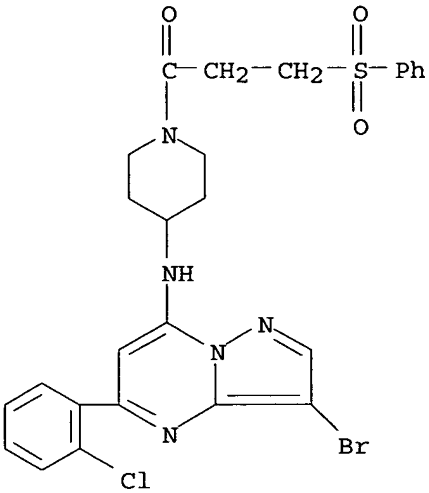
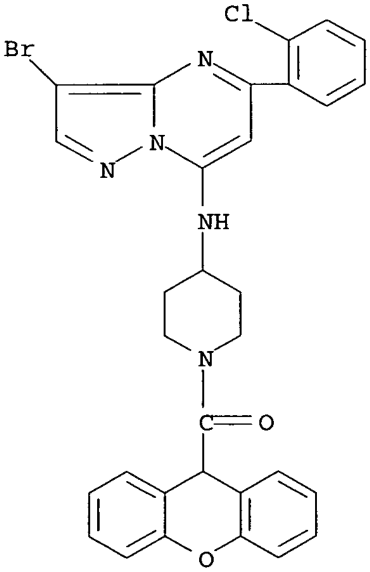
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-[1-oxo-3-(phenylsulfonyl)propyl]- (9CI) (CA INDEX NAME)



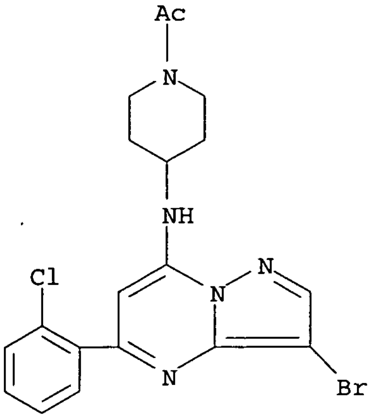
RN 677789-59-2 HCAPLUS

CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(9H-xanthen-9-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 677789-60-5 HCAPLUS

CN 4-Piperidinamine, 1-acetyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



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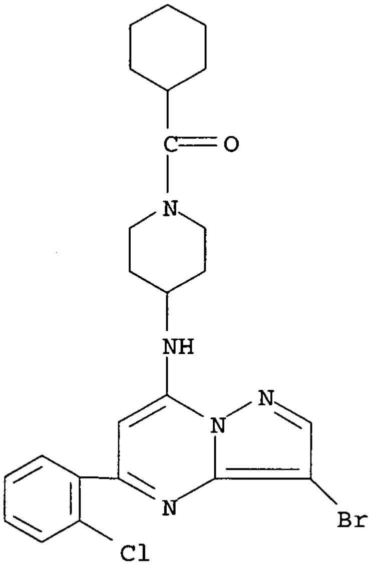

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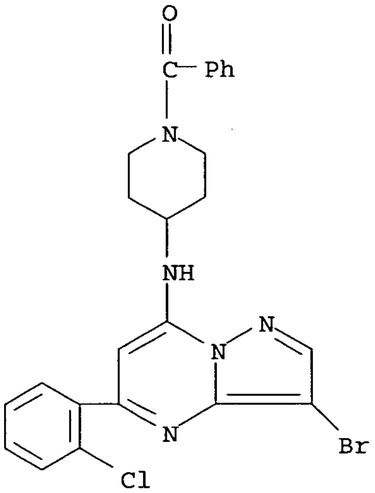
CN 4-Piperidinamine, 1-benzoyl-N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



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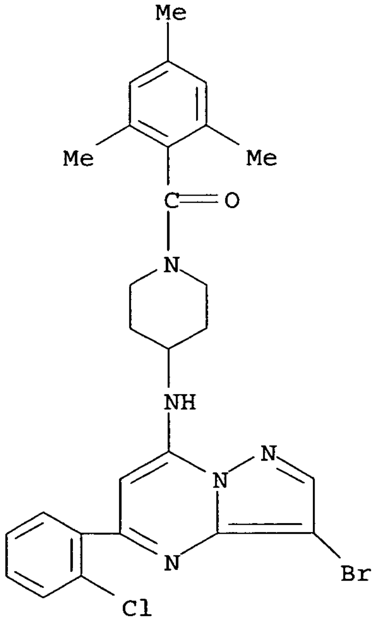
CN 4-Piperidinamine, N-[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(2,4,6-trimethylbenzoyl)- (9CI) (CA INDEX NAME)



L46 ANSWER 8 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2004:220336 HCAPLUS
DOCUMENT NUMBER:	140:270873
TITLE:	Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S):	Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik

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                          M.; Rivera, Jocelyn; Chan, Tin-yau; Madison,
                          Vincent; Fischmann, Thierry O.;
                          Dillard, Lawrence W.; Tran, Vinh D.; He,
                          Zhen Min; James, Ray Anthony;
                          Park, Haengsoon; Paradkar, Vidyadhar M.;
                          Hobbs, Douglas Walsh
PATENT ASSIGNEE(S):       Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE:                   PCT Int. Appl., 609 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:

     PATENT NO.          KIND   DATE         APPLICATION NO.        DATE
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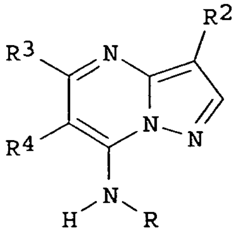

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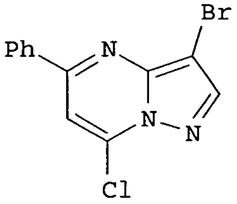

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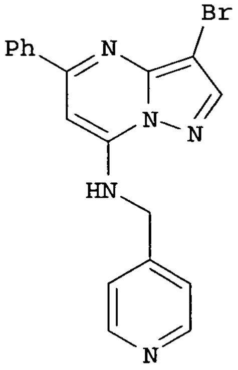


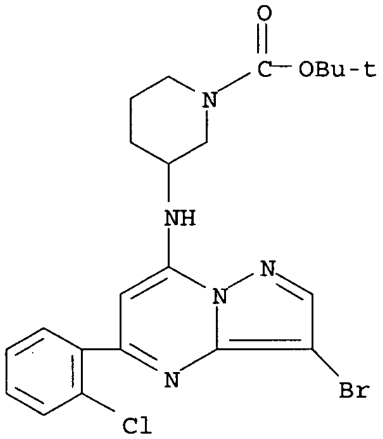
III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part I of I-III series.

IT 672316-53-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672316-53-9 HCAPLUS

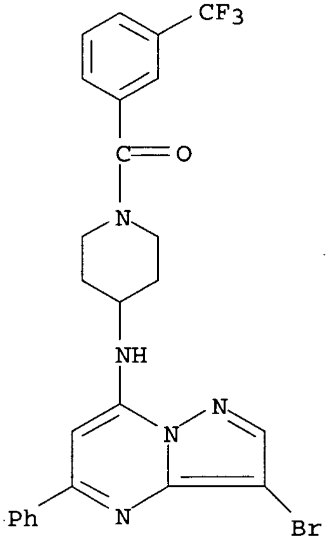
CN 1-Piperidinecarboxylic acid, 3-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 672315-15-0P 672315-39-8P 672316-79-9P
672322-29-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

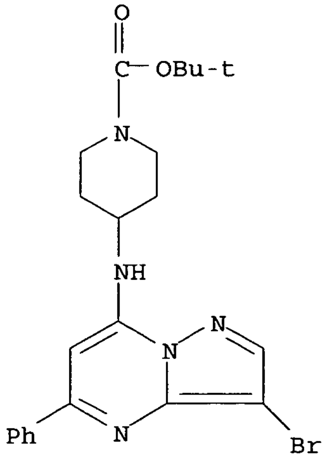
RN 672315-15-0 HCAPLUS

CN 4-Piperidinamine, N-(3-bromo-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)

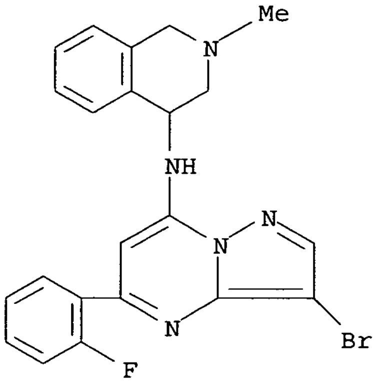


RN 672315-39-8 HCAPLUS

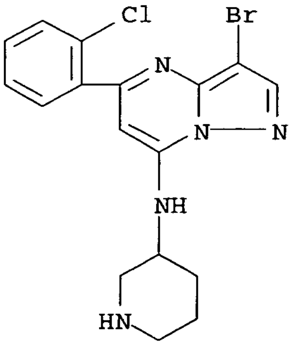
CN 1-Piperidinecarboxylic acid, 4-[(3-bromo-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 672316-79-9 HCAPLUS
CN 4-Isoquinolinamine, N-[3-bromo-5-(2-fluorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1,2,3,4-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

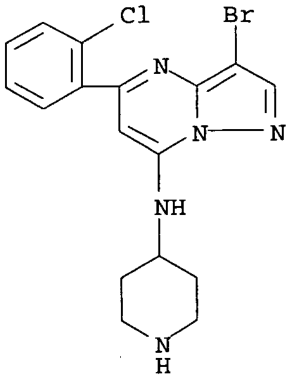


RN 672322-29-1 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-3-piperidinyl- (9CI) (CA INDEX NAME)



IT 672322-42-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)
RN 672322-42-8 HCAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 9 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:951136 HCAPLUS
DOCUMENT NUMBER: 139:357
TITLE: Binding affinities and geometries of various metal ligands in peptide deformylase inhibitors
AUTHOR(S): Madison, V.; Duca, J.; Bennett, F.; Bohanon, S.; Cooper, A.; Chu, M.; Desai, J.; Girijavallabhan, V.; Hare, R.; Hruza, A.; Hendrata, S.; Huang, Y.; Kravec, C.; Malcolm, B.; McCormick, J.; Miesel, L.; Ramanathan, L.; Reichert, P.; Saksena, A.; Wang, J.; Weber, P. C.; Zhu, H.; Fischmann, T.
CORPORATE SOURCE: Chemical Research and Chemotherapy and Molecular Genetics, Departments of Structural Chemistry, Schering Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Biophysical Chemistry (2002), 101-102, 239-247
CODEN: BICIAZ; ISSN: 0301-4622
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Removal of the N-terminal formyl group from newly synthesized proteins by the enzyme peptide deformylase (PDF) is essential for normal growth of bacteria but not higher organisms. Recently, PDF has been explored as a target for novel antibiotics. Screening a collection of natural products for antimicrobial activity identified actinonin and two matlystatin analogs as potent PDF inhibitors. A number of synthetic analogs of these natural products were prepared and their inhibitory potency determined
Previous
work has shown that PDF is an iron metalloproteinase also containing a catalytic glutamic acid residue. Ligation of the ferrous cation is an essential feature of potent inhibitors. The structures of actinonin, a matlystatin analog and a synthetic inhibitor complexed with PDF were determined by crystallog. A quantum mechanics/mol. mechanics (QM/MM) method was used

to reproduce the geometry of known complexes, to predict the protonation state in the active site and to predict the geometry of addnl. complexes. The requirement for protonation of the active site glutamate anion is an important factor in understanding the potency of inhibitors with acidic iron-ligating groups such as hydroxamate and carboxylate. Even though potent inhibitors of PDF have been discovered, their bacteriostatic mechanism of action and the rapid development of resistance in vitro may limit their potential as antibacterial drugs.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 10 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2002:618081 HCAPLUS
TITLE:	Discovery and optimization of a new series of potent, efficacious farnesyl transferase inhibitors
AUTHOR(S):	Rivera, Jocelyn; Jayasuriya, Nilukshi; Rane, Dinanath; Guzi, Timothy; Strickland, Corey; Doll, Ronald; Girijavallabhan, Viyyoor; Patton, Robert; Gray, Kimberly; Liu, Ming; Kirschmeier, Paul; Nomeir, Amin
CORPORATE SOURCE:	Chemical Research, Infectious Diseases and Tumor Biology, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE:	Abstracts of Papers, 224th ACS National Meeting, Boston, MA, United States, August 18-22, 2002 (2002), MEDI-229. American Chemical Society: Washington, D. C. CODEN: 69CZPZ
DOCUMENT TYPE:	Conference; Meeting Abstract
LANGUAGE:	English

AB Farnesyl transferase has emerged as a leading target for the development of novel cancer chemotherapeutics. As part of our research effort to identify a second generation farnesyl transferase inhibitor to follow the clin. candidate SCH 66336, we utilized the crystal structures of several imidazole-containing lead compds. bound to farnesyl transferase to guide us in the discovery of a new series of 3-(1H-imidazol-1-ylmethyl) piperidinyl-substituted benzocycloheptapyridine inhibitors. Optimization of several key regions within this series of compds. led to the identification of orally bioavailable, farnesyl transferase inhibitors with picomolar in vitro and cellular potencies. Lead compds. identified from this class demonstrated efficacy in tumor growth inhibition in mouse xenograft models of up to 99%.

L46 ANSWER 11 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2002:609977 HCAPLUS
DOCUMENT NUMBER:	137:154949
TITLE:	Preparation of N-imidazolylalkyl piperazinecarboxamides as inhibitors of farnesyl protein transferase
INVENTOR(S):	Cooper, Alan B.; Doll, Ronald J.; Ferreira, Johan A.; Ganguly, Ashit; Girijavallabhan, Viyyoor M.; Taveras, Arthur G.; Chao, Jianping; Baldwin, John J.; Huang, Chia-Yu; Li, Ge
PATENT ASSIGNEE(S):	Schering Corporation, USA
SOURCE:	U.S., 74 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

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     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     US 6432959           B1    20020813   US 1999-469465         19991222 <--
PRIORITY APPLN. INFO.:                     US 1998-113405P     P  19981223
OTHER SOURCE(S):         MARPAT 137:154949
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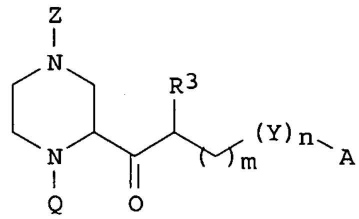
GI



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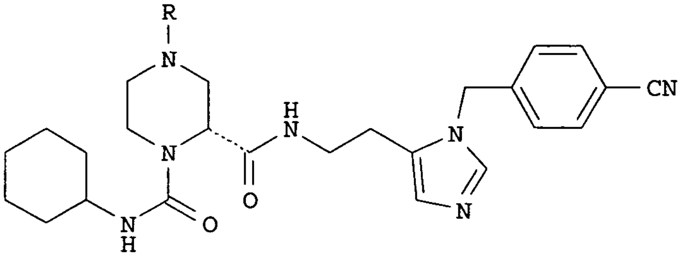

II

AB Title compds. I [wherein A = null, H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heterocycloalkyl(alkyl), CN, or heteroaryl(alkyl); Q = (un)substituted amido, sulfonyl, acyl, or carboxy; Y = (hetero)aryl or (hetero)cycloalkyl; Z = H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heteroaryl(alkyl), heterocycloalkyl(alkyl), cycloalkenyl(alkyl), or (un)substituted amido, sulfonyl, acyl, or carboxy,; R3 = H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heteroaryl(alkyl), or heterocycloalkyl(alkyl); m = 0-3; n = 0 or 1] were prepared as farnesyl protein transferase inhibitors. For example, a solution of II (R = H; preparation given), 1-chloro-1-phenylethane, and TEA in anhydrous p-dioxane was refluxed at 105° for 12 h to give II (R = PhMeCH) in 20% yield. In an in vitro enzyme assay, the latter inhibited Ras-CVLS farnesylation by partially purified rat brain farnesyl protein transferase (FPT) with an IC50 value in the range of 0.18 nM to 21 nM. Thus, I are useful in the treatment of tumors and proliferative diseases in which aberrant Ras activation occurs (no data).

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 12 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:581987 HCAPLUS

DOCUMENT NUMBER: 137:288494

TITLE: Exploring the Role of Bromine at C(10) of (+)-4-[2-[4-(8-Chloro-3,10-dibromo-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11(R)-yl)-1-piperidinyl]-2- oxoethyl]-1-piperidinecarboxamide (Sch-66336): The Discovery of Indolocycloheptapyridine Inhibitors of Farnesyl

```
                         Protein Transferase
AUTHOR(S):               Taveras, Arthur G.; Aki, Cynthia; Chao, Jianping;
                         Doll, Ronald J.; Lalwani, Tarik;
                         Girijavallabhan, Viyyoor; Strickland, Corey
                         L.; Windsor, William T.; Weber, Patricia; Hollinger,
                         Frank; Snow, Mark; Patton, Robert; Kirschmeier, Paul;
                         James, Linda; Liu, Ming; Nomeir, Amin
CORPORATE SOURCE:        Departments of Chemistry and Tumor Biology,
                         Schering-Plough Research Institute, Kenilworth, NJ,
                         07033, USA
SOURCE:                  Journal of Medicinal Chemistry (2002),
                         45(18), 3854-3864
                         CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:               American Chemical Society
DOCUMENT TYPE:           Journal
LANGUAGE:                English
OTHER SOURCE(S):         CASREACT 137:288494
AB   The 10-bromobenzocycloheptapyridyl farnesyl transferase inhibitor (FTI)
     Sch-66336 (1) is currently under clin. evaluation for the treatment of
     human cancers.  During structure-activity relationship development leading
     to 1, 10-bromobenzocycloheptapyridyl FTIs were found to be more potent
     than analogous compds. lacking the 10-Br substituent.  This potency
     enhancement was believed to be due, in part, to an increase in
     conformational rigidity as the 10-bromo substituent could restrict the
     conformation of the appended C(11) piperidyl substituent in an axial
     orientation.  A novel and potent class of FTIs, represented by
     indolocycloheptapyridine Sch-207758 [(+)-10a], have been designed based on
     this principle.  Although structural and thermodn. results suggest that
     entropy plays a crucial role in the increased potency observed with (+)-10a
     through conformational constraints and solvation effects, the results also
     indicate that the indolocycloheptapyridine moiety in (+)-10a provides
     increased hydrophobic interactions with the protein through the addition of
     the indole group.  This report details the x-ray structure and the
     thermodn. and pharmacokinetic profiles of (+)-10a, as well as the
     synthesis of indolocycloheptapyridine FTIs and their potencies in biochem.
     and biol. assays.
REFERENCE COUNT:         36    THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 13 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        2002:570706  HCAPLUS
DOCUMENT NUMBER:         137:125088
TITLE:                   Preparation of benzocycloheptapyridinylpiperidinesulfo
                         namides as inhibitors of farnesyl-protein transferase.
INVENTOR(S):             Njoroge, F. George; Vibulbhan, Bancha; Taveras, Arthur
                         G.; Doll, Ronald J.; Girijavallabhan,
                         Viyyoor M.
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  U.S., 31 pp., Cont. of U.S. Ser. No. 94,683,
                         abandoned.
                         CODEN: USXXAM
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     US 6426352           B1    20020730    US 1999-374390         19990813 <--
PRIORITY APPLN. INFO.:                      US 1997-49958P       P 19970617
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US 1998-94683 B1 19980615

OTHER SOURCE(S): MARPAT 137:125088

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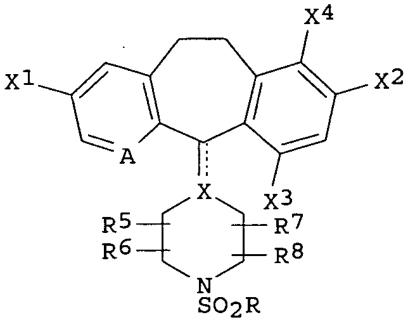

I

AB Title compds. (I; A = N, NO; X = N, C, CH; X1, X2 = Br, iodo, Cl; X3, X4 = Br, iodo, Cl, F, H; R5-R8 = H, alkyl, aryl, carboxamide; R = alkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl; dotted line = optional double bond; with provisos), were prepared Thus, reaction of (+)-4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperidine (preparation given) with MeSO2Cl in PhMe gave 74% (+)-4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-(1-methylsulfonyl)piperidine. The latter inhibited H-ras FPT with IC50 = 0.0070 μM.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 14 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:555351 HCAPLUS

DOCUMENT NUMBER: 137:125178

TITLE: Treatment of malaria with farnesyl protein transferase inhibitors and preparation of tricyclic compounds for said treatment

INVENTOR(S): Windsor, William T.; Weber, Patricia C.; Wang, James J.-S.; Strickland, Corey; Njoroge, F. George; Guzi, Timothy J.; Girijavallabhan, Viyyoor M.; Ferreira, Johan A.; Desai, Jagdish A.; Cooper, Alan B.; Gelb, Michael

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056884	A2	20020725	WO 2002-US1637	20020118 <--
WO 2002056884	A3	20030912		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,

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             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003092705          A1     20030515     US 2002-53335          20020118
     US 6645966             B2     20031111
     US 2004087592          A1     20040506     US 2003-690232         20031021
PRIORITY APPLN. INFO.:                          US 2001-263277P     P  20010122
                                                US 2002-53335       A3 20020118
AB   Disclosed is a method of treating malaria comprising administering an
     effective amount of a farnesyl protein transferase inhibitor to a patient in
     need of such treatment alone or in combination with an addnl. antimalarial
     agent and/or agent for reversing antimalarial resistance.  Also disclosed
     are novel farnesyl protein transferase inhibitors.  Compds. of this
     invention exhibit a P. falciparum ED50 range of between 0.05 μM and 8.6
     μM.

L46  ANSWER 15 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2002:290793  HCAPLUS
DOCUMENT NUMBER:          136:309918
TITLE:                    Tricyclic farnesyl protein transferase inhibitors
INVENTOR(S):              Taveras, Arthur G.; Doll, Ronald J.; Cooper,
                          Alan B.; Ferreira, Johan A.; Guzi, Timothy;
                          Rane, Dinanath F.; Girijavallabhan, Viyyoor M.
                          ; Aki, Cynthia J.; Chao, Jianping; Alvarez,
                          Carmen; Kelly, Joseph M.; Lalwani, Tarik; Desai,
                          Jagdish A.; Wang, James J-s
PATENT ASSIGNEE(S):       Schering Corporation, USA
SOURCE:                   U.S., 215 pp.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.             KIND    DATE        APPLICATION NO.        DATE
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     US 6372747              B1    20020416     US 1999-465553         19991216 <--
     US 2002103207           A1    20020801     US 2001-26999          20011220 <--
     US 6740661              B2    20040525
PRIORITY APPLN. INFO.:                          US 1998-113141P     P  19981218
                                                US 1999-465553      A3 19991216

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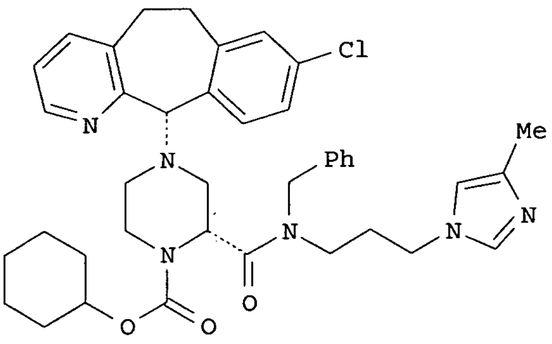

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AB The synthesis and testing of over 300 tricyclic farnesyl protein transferase (FPT) inhibitors was disclosed. For instance, (R)-2-carboxypiperazine•di-(R)-camphorsulfonic acid (preparation given) was neutralized and treated sequentially with BOC-ON, cyclohexyl chloroformate, TFA/CH2Cl2 and finally with the corresponding 8-chlorotricyclic derivative and the diastereomers separated This intermediate was coupled to benzyl 3-(4-methylimidazolyl)propylamine (DMF, EDC, HOBt) to give I. I had FPT IC50 = 0.36 nM. Also disclosed is a method of treating cancer and a method of inhibiting farnesyl protein transferase using the disclosed compds.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 16 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

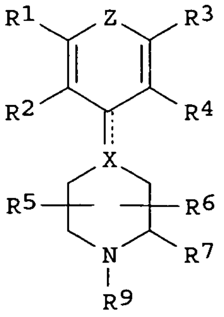
ACCESSION NUMBER:	2002:237357 HCAPLUS
DOCUMENT NUMBER:	136:263174
TITLE:	Preparation of 4-[5,6-dihydro-1H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]piperazine-2-carboxylates and analogs as farnesyl protein transferase inhibitors
INVENTOR(S):	Guzi, Timothy; Rane, Dinanath F.; Mallams, Alan K.; Cooper, Alan B.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Taveras, Arthur G.; Strickland, Corey; Kelly, Joseph M.; Chao, Jianping
PATENT ASSIGNEE(S):	Schering Corporation, USA
SOURCE:	U.S., 239 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

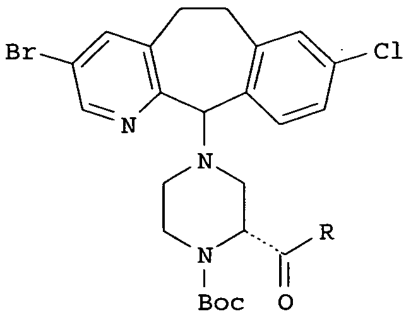
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6362188	B1	20020326	US 1999-465523		19991216 <--
US 2003040520	A1	20030227	US 2001-28251		20011220
US 6800636	B2	20041005			
PRIORITY APPLN. INFO.:			US 1998-112943P	P	19981218
			US 1999-465523	A3	19991216

OTHER SOURCE(S): MARPAT 136:263174

GI



I



II

AB Title compds. [I; R1R2 = (un)substituted CH:CHCH:CH, N:CHCH:CH, CH:CHCH:N, etc.; R3R4 = (un)substituted CH:CHCH:CH; R5 = H or 1-3 of alkyl, aryl, COR10, etc.; R6 = H; R5R6 = O or S; R7 = COR8; R8 = Z1R12; R9 = (esterified) CO2H, (un)substituted CONH2, alkanoyl, etc.; R10 = H, (ar)alkyl, aryl; R12 = (un)substituted imidazolyl or pyridyl; X = N, CH, C; Z = (un)substituted CH:CH or CH2CH2; Z1 = N-attached heterocyclylene; dashed bond = optional bond] were prepared Thus, title compound II (R = H) was amidated by (S)-3-(1-imidazolylmethyl)piperidine (preparation each given) to give II [R = (S)-3-(1-imidazolylmethyl)piperidin-1-yl]. Data for biol. activity of I were given.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 17 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:171884 HCAPLUS
DOCUMENT NUMBER: 136:232320
TITLE: Preparation of tricyclic antitumor compounds being farnesyl protein transferase inhibitors
INVENTOR(S): Njoroge, F. George; Vibulbhan, Bancha; Cooper, Alan B.; Guzi, Timothy; Rane, Dinanath F.; Minor, Keith P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Santhanam, Bama; Pinto, Patrick A.; Zhu, Hugh Y.; Keertikar, Kartik M.; Alvarez, Carmen S.; Baldwin, John J.; Li, Ge; Huang, Chia-yu; James, Ray A.; Bishop, Robert W.; Wang, James; Desai, Jagdish A.
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: PCT Int. Appl., 405 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

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     PATENT NO.          KIND    DATE        APPLICATION NO.         DATE
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     WO 2002018368        A1     20020307    WO 2001-US26792         20010828 <--
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             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2420673            AA     20020307    CA 2001-2420673         20010828 <--
     AU 2001088451         A5     20020313    AU 2001-88451           20010828 <--
     EP 1313725            A1     20030528    EP 2001-968188          20010828
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001013675         A      20030624    BR 2001-13675           20010828
     JP 2004513885         T2     20040513    JP 2002-523486          20010828
     NZ 524246             A      20041126    NZ 2001-524246          20010828
     ZA 2003001545         A      20040622    ZA 2003-1545            20030225
     NO 2003000918         A      20030429    NO 2003-918             20030227
PRIORITY APPLN. INFO.:                        US 2000-229183P      P  20000830
                                              WO 2001-US26792      W  20010828
OTHER SOURCE(S):            MARPAT 136:232320
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB    Title compds. [I; one of a, b, d, e = N, N:O; remaining a, b, d, e = C,
      where each C has an R1 or R2; each a, b, d, e = C, where each C has an R1
      or R2; R1, R2 independently = H, halo, CF3, alkoxy, alkylcarbonyl,
      alkylthio, aryloxy, arylcarbonyl, arylthio, heteroaryloxy,
      heterarylcarbonyl, heterarylthio; R3, R4 independently = H, halo, CF3,
      alkoxy, alkylcarbonyl, alkylthio, aryloxy, arylcarbonyl, arylthio,
      heteroaryloxy, heterarylcarbonyl, heterarylthio; R5, R6, R7, R9
      independently = H, halo, CF3, alkoxy, alkylcarbonyl, alkylthio, aryloxy,
      arylcarbonyl, arylthio, heteroaryloxy, heterarylcarbonyl, heterarylthio;
      R5R6 = O, S; R8 = H, alkoxycarbonyl, aryloxycarbonyl, cycloalkoxycarbonyl,
      heteroaryloxycarbonyl, alkylsulfonyl, arylsulfonyl, cycloalkylsulfonyl,
      heteroarylsulfonyl, HONHCO, NCNHCO, CF3NHCO, R10R11NCO, R12R13R14CO; R10 =
      alkyl; R11 = H, OH, alkyl, aryl cycloalkyl heteroaryl; R12, R13, R14
      independently = H, alkyl, aryl, cycloalkyl, heteroaryl; dotted line =
      single, double bond; X = N, CH; A = CH, CH2, CH], stereoisomers,
      pharmaceutically acceptable salts, solvates, and prodrugs are prepared and
      are useful for inhibiting farnesyl protein transferase.  Also disclosed
      are pharmaceutical compns. comprising title compds. I and their preparation as
      well as methods of using them to treat proliferative diseases such as
      cancer.  Title compds. I and other chemotherapeutic agents, selected from
      signal transduction inhibitors (bcr/abl kinase inhibitor, epidermal growth
      factor receptor inhibitor, her-2/neu receptor inhibitor), antineoplastic
      agents (uracil mustard, cyclo-phosphamide, etc.), microtubule affecting
      agents (Allocolchicine, Maytansine, etc.) are disclosed as pharmaceutical
      compns.  Thus, the title compound II was prepared from 8-chloro-11H-
      benzo[5,6]cyclohepta[1,2-b]pyridin-11-one, tert-Bu 1-
      piperazinecarboxylate, 2-methylimidazole, and p-cyanophenyl isocyanate via
      carbonation and hydrogenation.
REFERENCE COUNT:            5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                                   RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 18 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:           2002:132147  HCAPLUS
DOCUMENT NUMBER:            136:303573
TITLE:                      Inhibiting farnesyl protein transferase with
                            Sch-66336: potentially a selective, noncytotoxic
                            therapy for human cancer
AUTHOR(S):                  Taveras, A. G.; Njoroge, F. G.; Doll, R. J.;
                            Kelly, J.; Remiszewski, S.; Mallams, A. K.;
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                         Alvarez, C. S.; Del Rosario, J.; Rossman, R.
                         R.; Vibulbhan, B.; Pinto, P.; Deskus, J.; Connolly,
                         M.; Wang, J.; Desai, J.; Wolin, R.; Afonso, A.;
                         Cooper, A. B.; Rane, D. F.; Liu, Y.-T.; Aki, C. J.;
                         Chao, J.; Strickland, C.; Weber, P.; Liu, M.; Bryant,
                         M. S.; Nomeir, A. A.; Patton, R.; Wang, L.; James, L.;
                         Carr, D.; Kirschmeier, P.; Bishop, W. R.;
                         Girijavallabhan, V.; Ganguly, A. K.
CORPORATE SOURCE:        Schering-Plough Research Institute, Kenilworth, NJ,
                         07033, USA
SOURCE:                  ACS Symposium Series (2001), 796(Anticancer
                         Agents), 214-230
                         CODEN: ACSMC8; ISSN: 0097-6156
PUBLISHER:               American Chemical Society
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB   The discovery of Farnesyl Protein Transferase (FPT) and its role in signal
     transduction and cellular proliferation has inspired the identification of
     inhibitors of this process as potential cancer therapy.  Although
     thousands of FPT inhibitors (FTIs) have been prepared, only a select few
     have advanced to human clin. trials.  Sch-66336, a potent FTI with
     demonstrated in vivo efficacy in mice, is currently in Phase II clin.
     trials for the treatment of human cancers.  Structure-activity
     relationship studies, which led to the discovery of Sch-66336, are
     described and related to the observed differences in interactions made with
     FPT as determined by x-ray crystal structure anal.  Its potency against a panel
     of tumor cell lines and its efficacy in mouse models as mono or
     combination therapy is reviewed.
REFERENCE COUNT:         39    THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 19 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        2001:798207  HCAPLUS
DOCUMENT NUMBER:         135:344735
TITLE:                   Preparation of macrocyclic NS3-serine protease
                         inhibitors of hepatitis C virus comprising alkyl and
                         aryl alanine p2 moieties
INVENTOR(S):             Venkatraman, Srikanth; Chen, Kevin X.; Arasappan,
                         Ashok; Njoroge, F. George; Girijavallabhan,
                         Viyyoor M.; Chan, Tin-Yau; McKittrick, Brian A.;
                         Prongay, Andrew J.; Madison, Vincent S.
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  PCT Int. Appl., 218 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
     ---------------     ----   --------   --------------------   --------
     WO 2001081325        A2    20011101   WO 2001-US12530        20010417 <--
     WO 2001081325        A3    20020801
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, HR, HU, ID,
             IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG,
             MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
          DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
          BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2406532          AA     20011101    CA 2001-2406532         20010417 <--
     US 2002016294       A1     20020207    US 2001-836636          20010417 <--
     US 6914122          B2     20050705
     BR 2001010104       A      20030107    BR 2001-10104           20010417
     EP 1274724          A2     20030115    EP 2001-927142          20010417
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2003531199       T2     20031021    JP 2001-578418          20010417
     NZ 521456           A      20040730    NZ 2001-521456          20010417
     ZA 2002008014       A      20040212    ZA 2002-8014            20021004
     NO 2002005030       A      20021218    NO 2002-5030            20021018
PRIORITY APPLN. INFO.:                      US 2000-198204P     P   20000419
                                            WO 2001-US12530     W   20010417
OTHER SOURCE(S):         MARPAT 135:344735
GI
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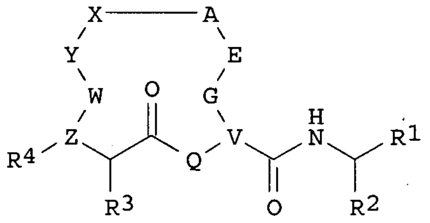

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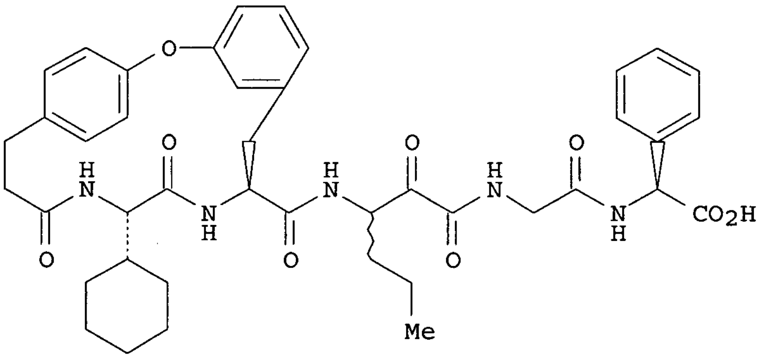

II

AB Macrocyclic compds. I [E, X, Y may be independently present or absent, and if present may be (un)substituted (cyclo)alkyl, aryl, heteroalkyl, heteroaryl, ether, amino, sulfide, sulfone, amide, sulfonamide, urea, carbamate, hydrazide, carbonyl, etc.; R1 = acyl or boryl groups; Z = O, N, or CH; W = null, CO, CS, SO2, C:NR (R = H, alkyl, cycloalkyl, aryl, etc.); Q = (NR)p (p = 0-6), O, S, CH2, CHR, CRR' (R' = any group given for R) or a double bond toward V; A = O, CH2, (CHR)p, (CHRCHR')p, (CRR')p, NR, S, SO2, CO or a bond; G = (CH2)p, (CHR)p, (CRR')p, NR, O, S, SO2, SO2NH, CO or a bond towards E or V; R2, R3, R4 = H, (un)substituted (hetero)alkyl, -aryl or -cycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, etc.], including enantiomers and pharmaceutically acceptable salts, were prepared as hepatitis C virus (HCV) protease inhibitors. Thus, peptide II was prepared by a multistep procedure involving cyclization of intermediate cyclopentadiene-η6-ruthenium-4-chlorophenylpropionic acid-cyclohexylglycine-m-tyrosine-OMe. II showed Ki = 0.001-1.0μM in the HCV protease assay. The invention also discloses pharmaceutical compns. comprising I as well as methods of using them to treat disorders associated with the HCV protease.

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L46  ANSWER 20 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        2001:763001  HCAPLUS
DOCUMENT NUMBER:         135:318715
TITLE:                   Preparation of macrocyclic NS3-serine protease
                         inhibitors of hepatitis C virus comprising n-cyclic p2
                         moieties
INVENTOR(S):             Chen, Kevin X.; Arasappan, Ashok; Venkatraman,
                         Srikanth; Parekh, Tejal N.; Gu, Haining; Njoroge, F.
                         George; Girijavallabhan, Viyyoor M.;
                         Ganguly, Ashit; Saksena, Anil; Jao, Edwin; Yao, Nanhua
                         H.; Prongay, Andrew J.; Madison, Vincent S.;
                         Vibulbhan, Bancha
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  PCT Int. Appl., 402 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2001077113        A2    20011018    WO 2001-US10869        20010403 <--
     WO 2001077113        A3    20020620
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, HR, HU, ID,
             IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG,
             MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2405521           AA    20011018    CA 2001-2405521        20010403 <--
     AU 2001053124        A5    20011023    AU 2001-53124          20010403 <--
     US 2002107181        A1    20020808    US 2001-825399         20010403 <--
     US 6846802           B2    20050125
     EP 1268525           A2    20030102    EP 2001-926601         20010403
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001009861        A     20030610    BR 2001-9861           20010403
     JP 2003530401        T2    20031014    JP 2001-575586         20010403
     NZ 521455            A     20040625    NZ 2001-521455         20010403
     ZA 2002007845        A     20040211    ZA 2002-7845           20020930
     NO 2002004797        A     20021204    NO 2002-4797           20021004
PRIORITY APPLN. INFO.:                      US 2000-194607P     P  20000405
                                            WO 2001-US10869     W  20010403
OTHER SOURCE(S):         MARPAT 135:318715
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X and Y = independently (cyclo)alkyl, heteroalkyl, (aryl)heteroaryl, alkyl(hetero)aryl, substituted ether, sulfide, sulfone, amide, sulfonamide, urea, carbamate, hydrazide, carbonyl, etc.; R1 = CHO, acyl, or (un)substituted carboxy, carbamoyl, boryl, etc.; Z = O, N, or CH, W = null or CO, CS, or SO2; Q = null or CH, N, P, (CH2)p, (CHR)p, (CRR')p, O, NR, S, or SO2; A = O, CH2, (CHR)p, (CHRCHR')p, (CRR')p, NR, S, SO2, or a bond; E = CH, N, CR, or a double bond toward A, L, or G; G = null or (CH2)p, (CHR)p, or (CRR')p; J = null or CH, CR, O, S, or NR; M = null or O, NR, S, SO2, "(CH2)p, (CHR)p, (CHRCHR')p, or (CRR')p; p = 0-6; R, R', R2, R3, and R4 = independently H, (cyclo)alkyl, alkenyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, CHO, CN, NO2, O, N, S, P, etc.] were prepared as hepatitis C virus (HCV) protease inhibitors. For example, II (multi-step preparation given) was cyclized, deesterified, and coupled with III•HCl (preparation given) to give the macrocyclic hydroxyamide intermediate. Oxidation using Des-Martin reagent followed by flash chromatog. afforded two diastereomers IV in 82% combined yield. The (S)-isomer inhibited NS3-serine protease HeLa/Huh7 co-transfected cells with a Ki of 2 μM. The invention also discloses pharmaceutical compns. comprising I as well as methods of using them to treat disorders associated with the HCV protease.

L46 ANSWER 21 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:712312 HCAPLUS
DOCUMENT NUMBER: 136:47845
TITLE: Farnesyl protein transferase inhibition: a novel approach to antitumor therapy. The discovery and development of SCH 66336
AUTHOR(S): Ganguly, A. K.; Doll, R. J.; Girijavallabhan, V. M.
CORPORATE SOURCE: Castle Point on Hudson, Stevens Institute of Technology, Hoboken, NJ, 07030, USA
SOURCE: Current Medicinal Chemistry (2001), 8(12), 1419-1436
CODEN: CMCHE7; ISSN: 0929-8673
PUBLISHER: Bentham Science Publishers
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Farnesyl protein transferase (FPT) inhibition is an interesting and promising approach to non-cytotoxic anticancer therapy. Research in this area has resulted in several orally active compds. that are currently in clin. evaluation. This review focuses on FPT inhibitors in clin. trials and concs. on the benzocycloheptapyridine class, with details on the discovery and development of SCH 66336, currently in Phase II clin. trials.

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 22 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:639823 HCAPLUS
TITLE: Sch-66336 and beyond: Discovering next-generation inhibitors of farnesyl protein transferase
AUTHOR(S): Taveras, Arthur G.; Chao, Jianping; Aki, Cynthia; Cooper, Alan B.; Strickland, Corey; Gray, Kimberly; Patton, Robert; Kirschmeier, Paul; Liu, Ming; Nomeir,

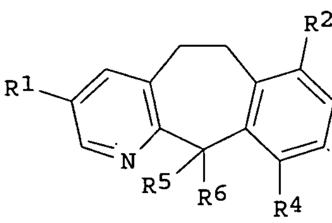
Amin; Doll, Ronald J.; Girijavallabhan, Viyyoor M.
CORPORATE SOURCE: Medicinal Chemistry, Schering-Plough Research Institute, Kenilworth, NJ, 07033-1300, USA
SOURCE: Abstracts of Papers, 222nd ACS National Meeting, Chicago, IL, United States, August 26-30, 2001 (2001), MEDI-004. American Chemical Society: Washington, D. C.
CODEN: 69BUZP
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Inhibition of farnesyl protein transferase (FPT), an enzyme that catalyzes the farnesylation of Ras thereby triggering downstream signaling of cellular growth, has been shown to lead to decreased cellular proliferation in vitro, and to cause tumor regression in vivo. Sch-66336, a potent inhibitor of FPT with demonstrated in vivo efficacy, is currently in a Phase II clin. evaluation as a novel and selective treatment of human cancers. In a discovery program aimed at identifying next-generation trihalobenzocycloheptapyridine FTIs, imidazole-containing analogs were found to inhibit FPT-mediated farnesylation of H-ras at picomolar concns. Initial compds. demonstrated poor pharmacokinetic properties and correspondingly poor efficacy in tumor growth xenograft models. However, further elaboration of these structures led to the discovery of orally bioavailable, efficacious, imidazole-containing benzocycloheptapyridyl FTIs inhibiting the growth of human tumors by 85%.

L46 ANSWER 23 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:392065 HCAPLUS
DOCUMENT NUMBER: 135:5622
TITLE: Preparation of benzocycloheptapyridinols as farnesyl protein transferase inhibitors
INVENTOR(S): Cooper, Alan B.; Doll, Ronald J.; Saksena, Anil K.; Girijavallabhan, Viyyoor M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 6239140	B1	20010529	US 1998-94689		19980615	<--
US 2001039283	A1	20011108	US 2001-825650		20010404	<--
US 2003092726	A1	20030515	US 2002-235027		20020904	
US 6689789	B2	20040210				
US 2004157872	A1	20040812	US 2004-774562		20040209	
PRIORITY APPLN. INFO.:			US 1997-49859P	P	19970617	
			US 1998-94689	A3	19980615	
			US 2001-825650	A1	20010404	
			US 2002-235027	A3	20020904	

OTHER SOURCE(S): MARPAT 135:5622
GI



I

AB Title compds. [I; R1,R3 = halo; 1 of R2,R4 = H and the other = H or halo; R5 = ZSO2R or ZC(:X)(CH2)nR; R = (cyclo)alkyl, (un)substituted amino, (hetero)aryl(alkyl), etc.; R6 = OH; X = O or S; Z = piperidine-4,1-diyl throughout; n = 0-6] were prepared Thus, I (R1 = R4 = Br, R2 = H, R3 = Cl)(II; R5R6 = O) was condensed with 1-methyl-4-piperidinylmagnesium chloride and the N-demethylated product acylated by 1-oxidopyridine-4-acetic acid to give II (R5 = ZCOCH2R, R = 1-oxido-4-pyridinyl, R6 = OH). Data for biol. activity of I were given.

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 24 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:333636 HCAPLUS

DOCUMENT NUMBER: 134:326409

TITLE: Preparation of acetylpiperidinylbenzocycloheptapyridines and analogs as farnesyl protein transferase inhibitors

INVENTOR(S): Doll, Ronald J.; Alvarez, Carmen; Lalwani, Tarik; Liu, Yi-Tsung

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 41 pp., Cont. of U.S. Ser. No. 94,686, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 6228865	B1	20010508	US 1999-447491		19991123	<--
US 2001014681	A1	20010816	US 2001-788947		20010220	<--
US 6440974	B2	20020827				
PRIORITY APPLN. INFO.:			US 1997-49951P	P	19970617	
			US 1998-94686	B1	19980615	
			US 1999-447491	A3	19991123	

OTHER SOURCE(S): MARPAT 134:326409

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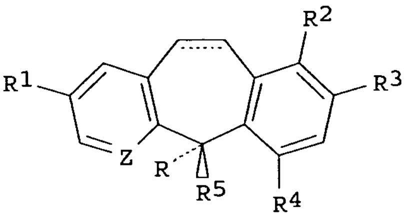


I

AB Title compds. [I; 1 of R,R5 = H and the other = Z1COCH2R6; RR5 = 1-COCH2R6-substituted-4-piperidinylidene; R1,R3 = halo; 1 of R2,R4 = H and the other = halo; R6 = heterocyclyl; Z = N or NO; Z1 = piperidine-4,1-diyl or piperazine-4,1-diyl; dashed line = optional addnl. bond] were prepared Thus, I (R = R2 = H, R1 = R4 = Br, R3 = Cl, dashed line = null)(II; R5 = 4-piperidinyl) was N-acylated by tetrahydropyran-4-acetic acid (preparation each given) to give II [R5 = 1-(4-tetrahydropyranylacetyl)-4-piperidinyl]. Data for biol. activity of I were given.

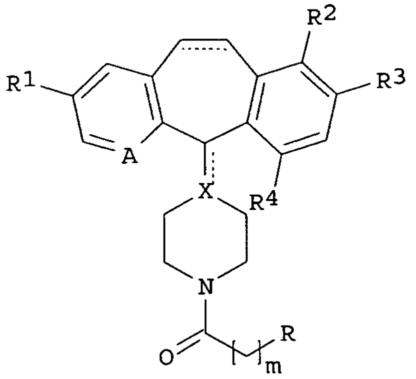
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 25 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:241752 HCAPLUS
DOCUMENT NUMBER: 134:266206
TITLE: Preparation of 11-piperidinylbenzo[5,6]cyclohepta[1,2-b]pyridines and related compounds as inhibitors of farnesyl protein transferase.
INVENTOR(S): Remiszewski, Stacy W.; Doll, Ronald J.; Alvarez, Carmen; Lalwani, Tarik
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 57 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6211193	B1	20010403	US 1998-94720		19980615 <--
US 2001007870	A1	20010712	US 2001-768918		20010124 <--
US 6410541	B2	20020625			
PRIORITY APPLN. INFO.:			US 1997-49953P	P	19970617
			US 1998-94720	A3	19980615

OTHER SOURCE(S): MARPAT 134:266206
GI



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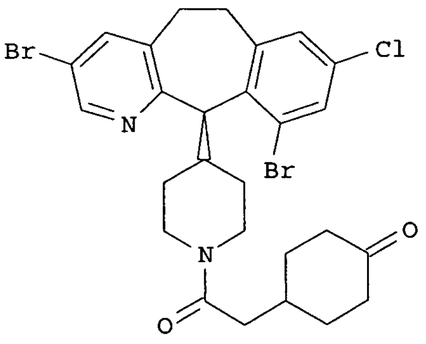

II

AB The title compds. [I; A = N, NO; R1, R3 = halo; R2, R4 = H, halo provided that ≥1 = H; X = C, CH, N; R = substituted cycloalkyl, heterocycloalkyl; dotted lines = optional double bonds; m = 0-2; R = substituted cyclobutyl(idene), cyclopentyl(idene), cyclohexyl(idene), indanyl(idene), azetidinyl, piperidinyl, etc.], were prepared Thus, tested I including title compound (II) inhibited farnesyl protein transferase with IC50's in the range 1.9 nM to 170 nM.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 26 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:531664 HCAPLUS

DOCUMENT NUMBER: 133:129857

TITLE: Method for treating proliferative diseases using a farnesyl protein transferase inhibitor in conjunction with an antineoplastic agent and/or radiation therapy

INVENTOR(S): Bishop, Walter R.; Catino, Joseph J.; Doll, Ronald J.; Ganguly, Ashit; Girijavallabhan, Viyyoor M.; Kirschimeier, Paul; Liu, Ming; Nielsen, Loretta L.; Cutler, David L.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 115 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6096757	A	20000801	US 1998-217335		19981221 <--
US 6333333	B1	20011225	US 2000-503513		20000214 <--
PRIORITY APPLN. INFO.:			US 1997-68423P	P	19971222
			US 1998-98339P	P	19980828
			US 1998-106096P	P	19981029
			US 1998-217335	A1	19981221

AB Methods are provided for treating proliferative diseases, especially cancers, comprising administering (1) a farnesyl protein transferase inhibitor in conjunction with (2) an antineoplastic agent and/or radiation therapy.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L46  ANSWER 27 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2000:457060  HCAPLUS
DOCUMENT NUMBER:          133:89547
TITLE:                    Preparation of N-imidazolylalkyl
                          piperazinecarboxamides as inhibitors of farnesyl
                          protein transferase
INVENTOR(S):              Cooper, Alan B.; Doll, Ronald J.; Ferreira,
                          Johan A.; Ganguly, Ashit; Girijavallabhan,
                          Viyyoor M.; Taveras, Arthur G.; Chao, Jianping;
                          Baldwin, John J.; Huang, Chia-yu; Li, Ge
PATENT ASSIGNEE(S):       Schering Corp., USA; Pharmacopeia, Inc.
SOURCE:                   PCT Int. Appl., 106 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2000039119        A1    20000706    WO 1999-US27958        19991222 <--
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ,
             DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP,
             KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO,
             NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UZ, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2355744           AA    20000706    CA 1999-2355744        19991222 <--
     EP 1140909           A1    20011010    EP 1999-965906         19991222 <--
     EP 1140909           B1    20050706
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002533455        T2    20021008    JP 2000-591030         19991222
     AT 299140            E     20050715    AT 1999-965906         19991222
     ES 2242444           T3    20051101    ES 1999-965906         19991222
PRIORITY APPLN. INFO.:                      US 1998-220314      A  19981223
                                            WO 1999-US27958     W  19991222
OTHER SOURCE(S):          MARPAT 133:89547
GI
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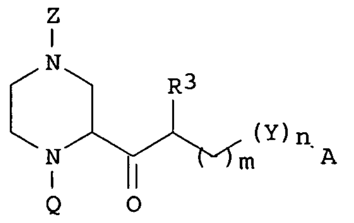

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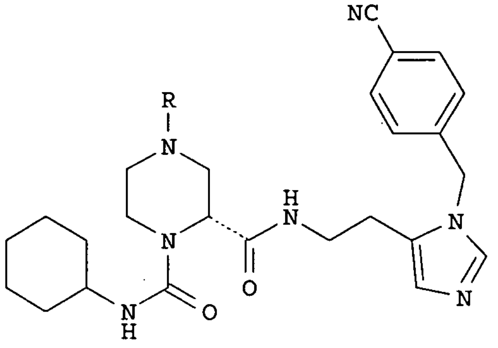

II

AB The invention relates to the preparation of the title compds. (I) [wherein A = blank, H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heterocycloalkyl(alkyl), CN, or heteroaryl(alkyl); Q = (un)substituted amido, sulfonyl, acyl, or carboxy; Y = (hetero)aryl or (hetero)cycloalkyl; Z = H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, or (un)substituted amido, sulfonyl, acyl, or carboxy, heteroaryl(alkyl), heterocycloalkyl(alkyl), or cycloalkenyl(alkyl); R3 = H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heteroaryl(alkyl), or heterocycloalkyl(alkyl); m = 0-3; n = 0 or 1] and their use in pharmaceutical compns. as antitumor and antiproliferative agents. For example, addition of 1-chloro-1-phenylethane and TEA to II (R = H) in anhydrous p-dioxane and refluxing at 105°C for 12 h gave II (R = PhMeCH) in 20% yield. Of the 95 invention compds. tested in an in vitro Ras-CVLS farnesylation assay against partially purified rat brain farnesyl protein transferase (FPT), 55 displayed IC50 of 0.18 nM to 21 nM and 8 displayed IC50 of 0.18 nM to 1.5 nM. I selectively inhibit intracellular processing and phenotypic changes induced by the Ras which is a farnesyl acceptor but not of Ras engineered to be a geranylgeranyl acceptor (no data). By inhibiting farnesyl protein transferase and the farnesylation of the oncogene protein Ras, I block abnormal cell growth in culture induced by transforming Ras. Therefore, I are useful in the treatment of tumors and proliferative diseases in which aberrant Ras activation occurs.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 28 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2000:441786 HCAPLUS
DOCUMENT NUMBER:	133:74012
TITLE:	Tricyclic farnesyl protein transferase inhibitors
INVENTOR(S):	Taveras, Arthur G.; Doll, Ronald J.; Cooper, Alan B.; Ferreira, Johan A.; Guzi, Timothy; Mallams, Alan K.; Rane, Dinanath F.; Girijavallabhan, Viyyoor M.; Afonso, Adriano; Aki, Cynthia J.; Chao, Jianping; Alvarez,

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                         Carmen; Kelly, Joseph M.; Lalwani, Tarik; Desai,
                         Jagdish A.; Wang, James J. S.; Weinstein, Jay
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  PCT Int. Appl., 387 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     WO 2000037459        A1    20000629    WO 1999-US27939        19991216 <--
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ,
             DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP,
             KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO,
             NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UZ, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2354779           AA    20000629    CA 1999-2354779        19991216 <--
     TR 200101755         T2    20010921    TR 2001-200101755      19991216 <--
     BR 9916314           A     20011002    BR 1999-16314          19991216 <--
     EP 1140902           A1    20011010    EP 1999-963980         19991216 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002533336        T2    20021008    JP 2000-589531         19991216
     AU 776558            B2    20040916    AU 2000-20307          19991216
     ZA 2001004233        A     20020822    ZA 2001-4233           20010523 <--
     NO 2001002961        A     20010816    NO 2001-2961           20010615 <--
PRIORITY APPLN. INFO.:                      US 1998-216398      A  19981218
                                            WO 1999-US27939     W  19991216
OTHER SOURCE(S):         MARPAT 133:74012
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB    Title compds. [I; R13 represents an imidazole ring; R14 represents a
      carbamate, urea, amide or sulfonamide group; R8 represents H when the
      alkyl chain between the amide group and the R13 imidazole group is
      substituted, or R8 represents a substituent such as arylalkyl,
      heteroarylalkyl or cycloalkyl; wherein R8 is H, and the alkyl chain
      between the amide group and the R13 imidazole group is unsubstituted; R12
      = H, CH3; R11 = H, CH3, 4-ClC6H4, (CH3)2CH, (CH3)2CHCH2, CH3(CH2)3,
      C6H5CH2, CH3CH2; R11-R12 = (CH2)2; X = N, CH; Y = N, N:O; R1 = H, Br; R2 =
      H, CONH2, OH, C6H5CH2; R = H, OH; R3 = H, C6H5; n = 0-5], pharmaceutically
      acceptable salts, solvate, and stereoisomers are prepared as farnesyl
      protein transferase (FPT) inhibitors which are useful in the manufacture of
      medicament for treating pancreatic tumor, lung cancer, myeloid leukemia
      tumor, thyroid follicular tumor, myelodysplastic tumor, epidermal
      carcinoma tumor, bladder carcinoma tumor, colon tumor, melanoma, breast
      tumor, and prostate tumor.  Thus, the title compound II was prepared and
      tested.  Also disclosed is a method of treating cancer and a method of
      inhibiting farnesyl protein transferase using the disclosed compds.
REFERENCE COUNT:         5     THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L46  ANSWER 29 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2000:441785  HCAPLUS
DOCUMENT NUMBER:          133:74034
TITLE:                    Preparation of 4-[5,6-dihydro-1H-
                          benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]piperazine-2-
                          carboxylates and analogs as farnesyl protein
                          transferase inhibitors
INVENTOR(S):              Guzi, Timothy; Rane, Dinanath F.; Mallams,
                          Alan K.; Cooper, Alan B.; Doll, Ronald J.;
                          Girijavallabhan, Viyyoor M.; Taveras, Arthur
                          G.; Strickland, Corey; Kelly, Joseph M.; Chao,
                          Jianping
PATENT ASSIGNEE(S):       Schering Corporation, USA
SOURCE:                   PCT Int. Appl., 359 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND    DATE        APPLICATION NO.        DATE
     ---------------     ----    --------    --------------------   --------
     WO 2000037458        A1     20000629    WO 1999-US27938        19991216 <--
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ,
             DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP,
             KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO,
             NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UZ, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2354774           AA     20000629    CA 1999-2354774        19991216 <--
     BR 9916328           A      20011002    BR 1999-16328          19991216 <--
     EP 1140904           A1     20011010    EP 1999-967139         19991216 <--
     EP 1140904           B1     20050420
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     TR 200101754         T2     20020521    TR 2001-200101754      19991216 <--
     JP 2002533335        T2     20021008    JP 2000-589530         19991216
     AT 293622            E      20050515    AT 1999-967139         19991216
     ES 2237963           T3     20050801    ES 1999-967139         19991216
     ZA 2001004236        A      20020823    ZA 2001-4236           20010523 <--
     NO 2001002960        A      20010815    NO 2001-2960           20010615 <--
     HK 1036279           A1     20050909    HK 2001-107119         20011011
PRIORITY APPLN. INFO.:                       US 1998-216560      A  19981218
                                             WO 1999-US27938     W  19991216
OTHER SOURCE(S):          MARPAT 133:74034
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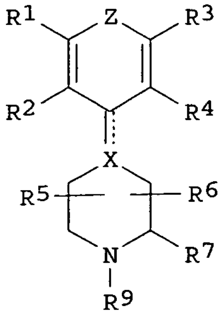

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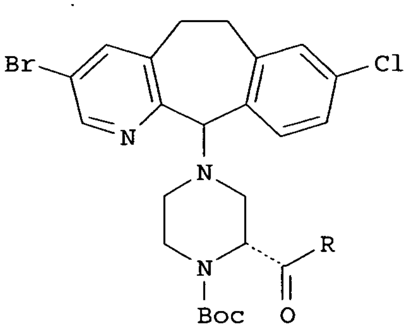

II

AB Title compds. [I; R1R2 = (un)substituted CH:CHCH:CH, -N:CHCH:CH, -CH:CHCH:N, etc.; R3R4 = (un)substituted CH:CHCH:CH; R5 = H or 1-3 of alkyl, aryl, COR10, etc.; R6 = H; R5R6 = O or S; R7 = COR8; R8 = Z1R12; R9 = (esterified) CO2H, (un)substituted CONH2, alkanoyl, etc.; R10 = H, (ar)alkyl, aryl; R12 = (un)substituted imidazolyl or pyridyl; X = N, CH, C; Z = (un)substituted CH:CHCH:CH or -CH2CH2; Z1 = N-attached heterocyclylene; dashed bond = optional bond] were prepared Thus, title compound II (R = H) was amidated by (S)-3-(1-imidazolylmethyl)piperidine (preparation each given) to give II [R = (S)-3-(1-imidazolylmethyl)piperidin-1-yl]. Data for biol. activity of I were given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 30 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:331918 HCAPLUS
TITLE: Synthesis and biological activity of new piperazine-2-carboxyamide-halobenzocycloheptapyridine heterocycles as inhibitors of ras farnesyl protein transferase.
AUTHOR(S): Cooper, Alan B.; Desai, Jagdish A.; Wang, James; Doll, Ronald J.; Girijavallabhan, Viyyoor; Ganguly, Ashit K.; Rane, Dininath; Taveras, Arthur G.; Guzi, Timothy; Mallams, Alan K.; Bishop, W. Robert; Kirschmeier, Paul; Nomeir, Amin; Liu, Ming; Heimark, Larry; Strickland, Corey; Reader, John C.; Baldwin, Jack J.; Afonso, Adriano; Chao, Jianping; Vaccaro, Cynthia J.; Weinstein, Jay; Kelly, Joseph; Lalwani, Tarik; Del Rosario, Jocelyn; Alvarez, Carmen; Keertikar, Kerry; Wong, Jesse; Ferreira, J. Albert
CORPORATE SOURCE: Medicinal Chemistry, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Book of Abstracts, 219th ACS National Meeting, San Francisco, CA, March 26-30, 2000 (2000), MEDI-305. American Chemical Society: Washington, D. C.
CODEN: 69CLAC
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Inhibiting farnesylation of ras oncoproteins by farnesyl protein transferase (FPT) inhibitors is vigorously being pursued as a potential anti-cancer therapy. Numerous compds. have been discussed in the literature which are potent FPT inhibitors. In an effort to improve the potency of the halobenzocycloheptapyridine heterocycles we have prepared novel piperazine-2-carboxamide-halobenzocycloheptapyridine heterocycles.

Introduction of the piperazine carboxyamide functionality leads to compds. with subnanomolar FPT activity and enhanced cellular activity over the compds. without the carboxyamide functionality. Both the synthesis and structure activity relationships of this series of compds. will be discussed.

L46 ANSWER 31 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2000:331905 HCAPLUS
TITLE:	Advancing the frontiers of anticancer therapy: Discovery of the farnesyl protein transferase inhibitor Sch-66336.
AUTHOR(S):	Taveras, Arthur G.; Njoroge, F. George; Kelly, Joseph; Remiszewski, Stacy; Mallams, Alan K.; Doll, Ronald; Cooper, Alan B.; Guzi, Timothy; Afonso, Adriano; Wolin, Ronald; Rane, Dininath; Liu, Y-T.; Wong, Jesse; Vibulbhan, Bancha; Pinto, Patrick; Deskus, Jeffrey; Alvarez, Carmen; Del Rosario, Jocelyn; Connolly, Michael; Wang, James; Desai, Jagdish A.; Rossman, Randall R.; Chao, Jianping; Vaccaro, Cynthia J.; Weinstein, Jay; Lalwani, Tarik; Ferreira, J. Albert; Jayasuriya, Nilukshi; Keertikar, Kerry; Minor, Keith; Reader, John C.; Baldwin, Jack J.; Girijavallabhan, Viyyoor ; Strickland, Corey L.; Hollinger, Frank; Snow, Mark; Kaminski, James; Windsor, William; Weber, Patricia C.; Heimark, Larry; Pramanik, Birendra N.; Bishop, W. Robert; Kirschmeier, Paul; Nomeir, Amin; Liu, Ming; Patton, Robert; Bryant, Matthew; Ganguly, Ashit K.
CORPORATE SOURCE:	Medicinal Chemistry, Schering-Plough Research Institute, Kenilworth, NJ, 07033-1300, USA
SOURCE:	Book of Abstracts, 219th ACS National Meeting, San Francisco, CA, March 26-30, 2000 (2000), MEDI-293. American Chemical Society: Washington, D. C. CODEN: 69CLAC
DOCUMENT TYPE:	Conference; Meeting Abstract
LANGUAGE:	English

AB Chemotherapy remains the primary course of action for the treatment of human cancers. Its effectiveness is dependent on the difference in growth rates between normal and tumorigenic cells. Rapidly growing, healthy cells are equally affected and, consequently, non-specific chemical intervention is generally associated with side effects. Recent advances in cell biochem. have elucidated mechanistic details of the protein-protein interactions involved in cancer development and progression. Such discoveries may lead to selective, non-cytotoxic therapies for the treatment of human cancers. The Ras-mediated signal transduction cascade and its role in cellular proliferation has prompted an explosion of efforts aimed at interfering with some aspect of this mechanism. Farnesyl Protein Transferase (FPT), an enzyme which catalyzes the farnesylation of Ras, is critical for the bioactivation of Ras and subsequent signal transduction. Inhibition of FPT has been shown to lead to decreased cellular proliferation in vitro, and to cause tumor regression in vivo. We have discovered potent trihalobenzocycloheptapyridine inhibitors of FPT with demonstrated in vivo efficacy and have progressed one, Sch-66336, to a Phase II clin. evaluation as a novel and selective treatment of human cancers. Details of the discovery of this mol. from inception to clin. recommendation will be discussed. A comprehensive anal. of structure and its influence on the bioactive conformation as it relates to binding affinity, cellular potency and pharmacokinetic properties will be provided. Incorporating this understanding with an anal. of the x-ray

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     crystal structure of the Sch-66336-r-FPT complex has led to the design of
     a new class of tricyclic FPT inhibitors with FPT inhibitory potencies of <
     50 pM.

L46  ANSWER 32 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2000:142525  HCAPLUS
DOCUMENT NUMBER:          132:180486
TITLE:                    Preparation of benzo[5,6]cyclohepta[1,2-b]pyridines
                          for the inhibition of farnesyl protein transferase
INVENTOR(S):              Njoroge, F. George; Taveras, Arthur G.; Doll,
                          Ronald J.; Lalwani, Tarik; Alvarez,
                          Carmen; Remiszewski, Stacy W.
PATENT ASSIGNEE(S):       Schering Corporation, USA
SOURCE:                   U.S., 73 pp.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     US 6030982           A     20000229    US 1997-927731         19970911 <--
     US 6040305           A     20000321    US 1997-927470         19970911 <--
     US 6228856           B1    20010508    US 1999-417885         19991014 <--
     US 2002019400        A1    20020214    US 2001-797081         20010301 <--
     US 6387905           B2    20020514
PRIORITY APPLN. INFO.:                      US 1996-25249P      P  19960913
                                            US 1997-50009P      P  19970617
                                            US 1996-26114P      P  19960913
                                            US 1997-927731      A3 19970911
                                            US 1999-417885      A3 19991014
OTHER SOURCE(S):          MARPAT 132:180486
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   Title compds. (I) [wherein a, b, c, and d = N or NR9; R1, R3, and R4 =
     halo; R2 = H; R5, R6, R7, and R8 = independently H, CF3, COR10,
     (un)substituted alkyl or aryl, :O, or :S; R9 = :O, Me, or (CH2)nCO2H; R10
     = H, (ar)alkyl, or aryl; R11 = alkyl or aryl; R12 = H, (ar)alkyl,
     heteroarylalkyl; R13 and R14 = independently H, carboxy, sulfamido, acyl,
     (ar)alkyl, cycloalkyl, etc.; X = CH or C; A and B = independently R10,
     halo, OR11, OCO2R11, OC(O)R10, H2, (OR11)2, H and halo, dihalo, H and
     alkyl, (alkyl)2, H and OC(O)R10, H and OR10, H and aryl, :O, :NOR10, or
     O(CH2)pO; W = C(O)CHR12(CH2)rNR13R14; n = 1-3; p = 2-4; r = 0-2] were
     prepared as antitumor agents.  The compds. of the invention inhibit farnesyl
     protein transferase (FPT) and farnesylation of the oncogene protein Ras,
     thereby blocking abnormal cell growth.  Examples include syntheses and
     bioassay data for over 100 title compds.  For instance, the piperidine
     derivative II (preparation given) underwent a sequence of: (1) acylation with
     N-BOC-glycine (85%); (2) N-deprotection with TFA (68%); and (3)
     sulfamidation with MeSO2Cl in the presence of TEA (89%), to give the title
     compound III.  The latter inhibited farnesyl protein transferase in vitro
     with IC50 of 5 nM and inhibited Ras processing in a COS cell-based assay
     with IC50 of 30 nM.
REFERENCE COUNT:          33    THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 33 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:655949 HCAPLUS
DOCUMENT NUMBER: 131:271814
TITLE: Preparation of tricyclic piperidinyl compounds as farnesyl-protein transferase inhibitors
INVENTOR(S): Cooper, Alan B.; Mallams, Alan K.; Girijavallabhan, Viyyoor M.; Doll, Ronald J.; Taveras, Arthur G.; Njoroge, F. George; Baldwin, John J.; Reader, John C.
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Inc.
SOURCE: U.S., 58 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5965570	A	19991012	US 1997-927729		19970911 <--
PRIORITY APPLN. INFO.:			US 1996-26450P	P	19960913

OTHER SOURCE(S): MARPAT 131:271814
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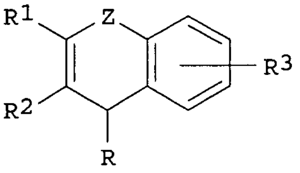

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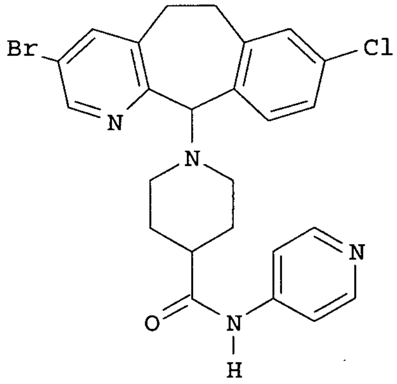
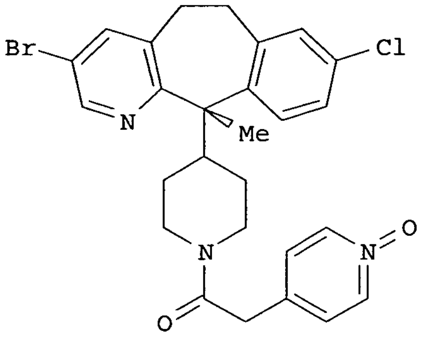


II

AB Title compds. [I; R = Z1(CH2)nZ2R4; R1,R2 = (un)substituted CH:CHCH:CH, -N:CHCH:CH, -CH:NCH:CH, etc.; R3 = H or 1 or 2 of halo, alkoxy, (di)(alkyl)amino, etc.; R4 = (ar)alkyl, (hetero)aryl, alkoxy, 3-pyridylmethylamino, etc.; Z = (un)substituted CH2CH2 or -CH:CH; Z1 = (un)substituted piperidine-1,2-, -1,3-, or -1,4-diyl; Z2(un)substituted CH2, CO, SO, SO2; n = 0-6] were prepared Thus, 3-bromo-8,11-dichloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine was aminated by Et isonipecotate and the product aminated by 4-aminopyridine to give title compound II. Data for biol. activity of I were given.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 34 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:629470 HCAPLUS

DOCUMENT NUMBER: 132:3301
TITLE: Synthesis of C-11 Methyl-Substituted Benzocycloheptapyridine Inhibitors of Farnesyl Protein Transferase
AUTHOR(S): Njoroge, F. George; Vibulbhan, Bancha; Wong, Jesse K.; White, Steven K.; Wong, Shing-Chun; Carruthers, Nicholas I.; Kaminski, James J.; Doll, Ronald J.; Girijavallabhan, V.; Ganguly, Ashit K.
CORPORATE SOURCE: Departments of Chemistry, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Organic Letters (1999), 1(9), 1371-1373
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:3301
GI



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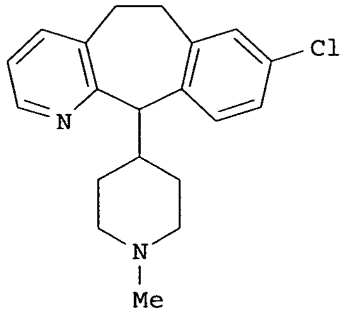


II

AB Synthesis of C-11 methyl-substituted benzocycloheptapyridine tricyclic compds., e.g. I, has been achieved via two different methods. Methylation of C-11 has been effected by treatment of amine II with BuLi followed by MeI quenching. In a similar procedure, introduction of a C-11 substituent with concomitant rearrangement of the exocyclic double bond has been carried out. Potent farnesyl protein transferase inhibitors have been synthesized using the above methodologies.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 35 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:617582 HCAPLUS
TITLE: Tricyclic farnesyl protein transferase inhibitors: Crystallographic and calorimetric studies of structure-activity relationships.
AUTHOR(S): Strickland, Corey L.; Weber, Patricia C.; Windsor, William T.; Wu, Zhen; Le, Hung V.; Albanese, Margaret M.; Alvarez, Carmen S.; Cesarz, David; del Rosario, Joycelyn; Deskus, Jeffrey; Mallams, Alan K.; Njoroge, F. George; Piwinski, John J.; Remiszewski, Stacy; Rossman, Randall R.; Taveras, Arthus G.; Vibulbhan, Bancha; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Ganguly, Ashit K.

CORPORATE SOURCE: Structural Chemistry, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Book of Abstracts, 218th ACS National Meeting, New Orleans, Aug. 22-26 (1999), MEDI-209.
American Chemical Society: Washington, D. C.
CODEN: 67ZJA5
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Crystallog. and thermodn. studies of farnesyl protein transferase (FPT) complexed with novel tricyclic inhibitors provide insights into the observed SAR for this unique class of non-peptidic FPT inhibitors. The crystallog. structures reveal a binding pattern conserved across the mono-, di- and tri- halogen series. In the complexes, the tricycle spans the FPT active site cavity and interacts with both protein atoms and the isoprenoid portion of bound farnesyl diphosphate. An amide carbonyl, common to the tricyclic compds. described here, participates in a water-mediated hydrogen bond to the protein backbone. High resolution crystal structures of inhibitors complexed with FPT are reported. Included are crystallog. data for FPT complexed with SCH 66336, a compound currently undergoing clin. trials as an anti-cancer agent (SCH 66336, 4-[2-[4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]byridin-11-yl)-1-piperidinyl)-2-oxoethyl]-1-piperidinecarboxamide). Thermodn. binding parameters show favorable enthalpies of complex formation and small net entropic contributions as observed for 4-[2-[4-(3,10-dibromo-8-chloro-6,11-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]byridin-11-ylidene)-1-piperidinyl)-2-oxoethyl]-1-(4-pyridyl N-oxide) where DHbind≡-12.5 kcal/mol and TDSbind≡-1.5 kcal/mol.

L46 ANSWER 36 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:617537 HCAPLUS
TITLE: Discovery of indolocycloheptapyridine inhibitors of farnesyl protein transferase by de novo analysis of the X-ray crystal structure of Sch-66336-r-FPT.
AUTHOR(S): Taveras, Arthur G.; Vaccaro, Cynthia J.; Chao, Jianping; Doll, Ronald J.; Girijavallabhan, Viyyoor; Ganguly, Ashit K.; Strickland, Corey; Weber, Patricia; Hollinger, Frank; Snow, Mark; Bishop, W. Robert; Patton, Robert; Kirschmeier, Paul; James, Linda; Liu, Ming; Nomeir, Amin; Heimark, Larry; Puar, Mohinder
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033-1300, USA
SOURCE: Book of Abstracts, 218th ACS National Meeting, New Orleans, Aug. 22-26 (1999), MEDI-165.
American Chemical Society: Washington, D. C.
CODEN: 67ZJA5
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Sch-66336, a member of the benzocycloheptapyridine class of Farnesyl Protein Transferase inhibitors (FTI), is currently in Phase II clin. trials as a potential therapeutic agent for the treatment of human cancer. Structure Activity Relationship studies of benzocycloheptapyridines have demonstrated an improved binding affinity following C(10)-substitution of the tricyclic moiety with bromine. In what way this substituent affected FPT inhibitory potency was not readily apparent from the x-ray structure of the FPT-Sch-66336 complex. We have hypothesized that steric interactions exerted by C(10)-bromine orient the C(11) piperidyl substituent in an axial conformation. We have designed and synthesized a new class of FTI, represented by indolocycloheptapyridine Sch-207758, to test this hypothesis and have found many compds. of this class to be potent FTIs. The x-ray crystal structure of the r-FPT-Sch-207758 complex has been determined and is shown. The synthesis of several indolocycloheptapyridines, their potencies in in vitro biochem. and biol. assays, and the pharmacokinetic evaluation of Sch-207758 are discussed.

L46 ANSWER 37 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

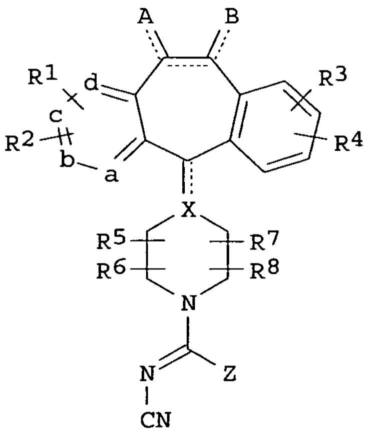
ACCESSION NUMBER:	1999:450895 HCAPLUS
DOCUMENT NUMBER:	131:102199
TITLE:	Preparation of cyanoiminopiperidinylidenebenzocycloheptapyridines and related compounds as inhibitors of farnesyl-protein transferase.
INVENTOR(S):	Cooper, Alan B.; Wang, James J.-S.; Lovey, Raymond G.; Desai, Jagdish A.; Saksena, Anil K.; Girijavallabhan, Viyyoor M.; Doll, Ronald J.
PATENT ASSIGNEE(S):	Schering Corporation, USA
SOURCE:	U.S., 60 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

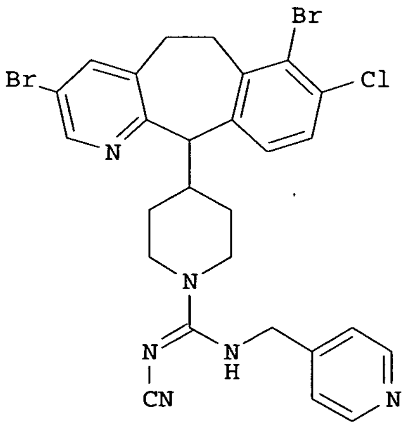
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5925648	A	19990720	US 1997-902372	19970729 <--
PRIORITY APPLN. INFO.:			US 1997-902372	19970729

OTHER SOURCE(S): MARPAT 131:102199

GI



I



II

AB Title compds. [I; ≤1 of a, b, c, d = N, NR9; R9 = O, Me, (CH2)nCO2H; n = 1-3; the remainder of a, b, c, d = CR1, CR2; R1, R2 = H, halo, CF3, OR10, SR10, etc.; R3, R4 = H, R1; R3R4 = atoms to form an (unsatd.) C5-7 ring; R5-R8 = H, CF3, COR10, (substituted) alkyl, aryl, etc.; R10 = H, alkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, aryl, aralkyl, amino; X = N, CH, C; A, B = NO2, R10, halo, R11, OCO2R11, CO2R10, H2, (OR11)2, etc.; R11 = alkyl, aryl; Z = alkyl, aryl, aralkyl, heteroalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, etc.; dotted lines = optional double bonds], were prepared Thus, title compound (II)

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     inhibited farnesyl-protein transferase with IC50 = 0.0017 µM.

L46  ANSWER 38 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1999:425747  HCAPLUS
DOCUMENT NUMBER:          131:54018
TITLE:                    Combination of benzocycloheptapyridine compound
                          farnesyl protein transferase inhibitors and
                          antineoplastic drugs for treating proliferative
                          diseases
INVENTOR(S):              Bishop, Walter R.; Catino, Joseph J.; Doll,
                          Ronald J.; Ganguly, Ashit; Girijavallabhan,
                          Viyyoor; Kirschmeier, Paul; Liu, Ming; Nielsen,
                          Loretta L.; Cutler, David L.
PATENT ASSIGNEE(S):       Schering Corporation, USA
SOURCE:                   PCT Int. Appl., 220 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     WO 9932114           A1    19990701   WO 1998-US26224        19981221 <--
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK,
             EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ,
             LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO,
             RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     ZA 9811734           A     19990621   ZA 1998-11734          19981221 <--
     CA 2315693           AA    19990701   CA 1998-2315693        19981221 <--
     AU 9919072           A1    19990712   AU 1999-19072          19981221 <--
     AU 756762            B2    20030123
     BR 9814419           A     20001010   BR 1998-14419          19981221 <--
     EP 1041985           A1    20001011   EP 1998-963829         19981221 <--
     EP 1041985           B1    20060215
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             LT, LV, FI, RO
     JP 2001526224        T2    20011218   JP 2000-525105         19981221 <--
     CN 1129431           B     20031203   CN 1998-813742         19981221
     TW 581763            B     20040401   TW 1998-87121355       19981221
     NZ 504928            A     20041224   NZ 1998-504928         19981221
     AT 317697            E     20060315   AT 1998-963829         19981221
     ES 2255196           T3    20060616   ES 1998-963829         19981221
     NO 2000003229        A     20000822   NO 2000-3229           20000621 <--
PRIORITY APPLN. INFO.:                     US 1997-996027      A  19971222
                                           US 1998-143529      A  19980828
                                           US 1998-181969      A  19981029
                                           WO 1998-US26224     W  19981221
OTHER SOURCE(S):          MARPAT 131:54018
AB   Methods are provided for treating proliferative diseases, especially cancers,
     comprising administering a farnesyl protein transferase inhibitor in
     conjunction with an antineoplastic agent and/or radiation therapy.
REFERENCE COUNT:          12    THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 39 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1999:390835  HCAPLUS
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DOCUMENT NUMBER: 131:179299
TITLE: Identification of Pharmacokinetically Stable 3,10-Dibromo-8-chlorobenzocycloheptapyridine Farnesyl Protein Transferase Inhibitors with Potent Enzyme and Cellular Activities
AUTHOR(S): Taveras, Arthur G.; Deskus, Jeff; Chao, Jianping; Vaccaro, Cynthia J.; Njoroge, F. George; Vibulbhan, Bancha; Pinto, Pat; Remiszewski, Stacy; Rosario, Jocelyn del; Doll, Ronald J.; Alvarez, Carmen; Lalwani, Tarik; Mallams, Alan K.; Rossman, Randall R.; Afonso, Adriano; Girijavallabhan, Viyyoor M.; Ganguly, Ashit K.; Pramanik, Birendra; Heimark, Larry; Bishop, W. Robert; Wang, Lynn; Kirschmeier, Paul; James, Linda; Carr, Donna; Patton, Robert; Bryant, Mathew S.; Nomeir, Amin A.; Liu, Ming
CORPORATE SOURCE: Anti-infectives and Tumor Biology Research, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(14), 2651-2661
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:179299

AB Farnesyl protein transferase (FPT) is a promising target for the development of cancer chemotherapeutics because it is responsible for the farnesylation of oncogenic p21 Ras proteins which are found in nearly 30% of all human cancers and necessary for cellular development and growth. The recent discovery and progression to phase II clin. trials of trihalobenzocycloheptapyridine Sch-66336 as a potent inhibitor of FPT with oral, in vivo efficacy in mice have spawned extensive structure-activity relation studies (SAR) of this class of compds. Of the many trihalobenzocycloheptapyridine analogs prepared, the authors have identified several which inhibit FPT and cellular proliferation at single-digit nanomolar concns. and which have good pharmacokinetic properties in mice.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 40 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:383510 HCAPLUS
DOCUMENT NUMBER: 131:157697
TITLE: Atropisomeric trihalobenzocycloheptapyridine analogues provide stereoselective FPT inhibitors with antitumor activity
AUTHOR(S): Njoroge, F. George; Vibulbhan, Bancha; Bishop, W. Robert; Kirschmeier, Paul; Bryant, Mathew S.; Nomeir, Amin A.; Liu, Ming; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Ganguly, Ashit K.
CORPORATE SOURCE: Departments of Chemistry and Tumor Biology, Schering-Plough Research Institute, NJ, 07033, USA
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(5), 861-867
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Introduction of bromine at the 10-position of 3-bromo-8-chlorobenzocycloheptapyridine analogs results in formation of racemic atropisomeric compds. that are easily separable at room temperature on a ChiralPak AD column providing pure atropisomers. Evaluation of the FPT (farnesyl protein transferase) activity of these atropisomers revealed that dextrorotatory compds. were more potent in the farnesyl protein transferase enzyme and cellular assay than their levorotatory isomers. The dextrorotatory were found to inhibit farnesyl protein transferase processing in COS cells at low micro molar range. They were also found to have excellent cellular antitumor activity. Evaluation of the dextrorotatory isomers in DLD-tumor model in nude mice revealed that they were efficacious, inhibiting tumor growth by 55 and 63% at 50 mpk, resp.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 41 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:337641 HCAPLUS

DOCUMENT NUMBER: 131:124925

TITLE: Tricyclic Farnesyl Protein Transferase Inhibitors: Crystallographic and Calorimetric Studies of Structure-Activity Relationships

AUTHOR(S): Strickland, Corey L.; Weber, Patricia C.; Windsor, William T.; Wu, Zhen; Le, Hung V.; Albanese, Margaret M.; Alvarez, Carmen S.; Cesarz, David; del Rosario, Joycelyn; Deskus, Jeffrey; Mallams, Alan K.; Njoroge, F. George; Piwinski, John J.; Remiszewski, Stacy; Rossman, Randall R.; Taveras, Arthur G.; Vibulbhan, Bancha; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Ganguly, Ashit K.

CORPORATE SOURCE: Departments of Structural Chemistry and Chemical Research, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA

SOURCE: Journal of Medicinal Chemistry (1999), 42(12), 2125-2135
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Crystallog. and thermodn. studies of farnesyl protein transferase (FPT) complexed with novel tricyclic inhibitors provide insights into the observed SAR for this unique class of nonpeptidic FPT inhibitors. The crystallog. structures reveal a binding pattern conserved across the mono-, di-, and trihalogen series. In the complexes, the tricycle spans the FPT active site cavity and interacts with both protein atoms and the isoprenoid portion of bound farnesyl diphosphate. An amide carbonyl, common to the tricyclic compds. described here, participates in a water-mediated hydrogen bond to the protein backbone. Ten high-resolution crystal structures of inhibitors complexed with FPT are reported. Included are crystallog. data for FPT complexed with SCH 66336, a compound currently undergoing clin. trials as an anticancer agent (SCH 66336, 4-[2-[4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-piperidinyl]-2-oxoethyl]-1-piperidinecarboxamide). Thermodn. binding parameters show favorable enthalpies of complex formation and small net entropic contributions as observed for 4-[2-[4-(3,10-dibromo-8-chloro-6,11-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]-2-oxoethyl]pyridine N-oxide where ΔH°bind = -12.5 kcal/mol and $T\Delta S^\circ$bind = -1.5 kcal/mol.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 42 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:191800 HCAPLUS

DOCUMENT NUMBER: 130:217809

TITLE: Effects of SCH 59228, an orally bioavailable farnesyl protein transferase inhibitor, on the growth of oncogene-transformed fibroblasts and a human colon carcinoma xenograft in nude mice

AUTHOR(S): Liu, Ming; Bryant, Mattew S.; Chen, Jianping; Lee, Suining; Yaremko, Bohdan; Li, Zujun; Dell, Janet; Lipari, Phil; Malkowski, Michael; Prioli, Nicholas; Rossman, Randall A.; Korfmacher, Walter A.; Nomeir, Amin A.; Lin, C.-C.; Mallams, Alan K.; Doll, Ronald J.; Catino, Joseph J.; Girijavallabhan, Viyyoor M.; Kirschmeier, Paul; Bishop, W. Robert

CORPORATE SOURCE: Dep. Biological Research-Oncology, Schering-Plough Research Inst., Kenilworth, NJ, 07033, USA

SOURCE: Cancer Chemotherapy and Pharmacology (1999), 43(1), 50-58
CODEN: CCPHDZ; ISSN: 0344-5704

PUBLISHER: Springer-Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The in-vivo efficacy of SCH 59228 was evaluated using a panel of tumor models grown in nude mice. These included several rodent fibroblast lines expressing mutationally activated (val12) forms of the Ha-Ras oncogene. In some cases, these proteins contain their native C-terminal sequence (CVLS) which directs farnesylation. In one model, the C-terminal sequence was altered to CVLL, making the expressed protein a substrate for a distinct prenyl transferase, geranylgeranyl protein transferase-I. When dosed orally at 10 and 50 mg/kg (4 times a day, 7 days a week) SCH 59228 significantly inhibited tumor growth of cells expressing farnesylated Ha-Ras in a dose-dependent manner; >90% growth inhibition was observed at the 50 mg/kg dose. Tumor growth of cells expressing the geranylgeranylated form of Ha-Ras was less potently inhibited. Growth of tumors derived from a rodent fibroblast line expressing activated Ki-Ras containing its native C-terminal sequence (CVIM), which preferentially directs farnesylation, was also inhibited by SCH 59228. Inhibition in the Ki-Ras model was less than that observed in the Ha-Ras model. In contrast, tumors derived from cells transformed with the mos oncogene were not significantly inhibited even at the highest dose level. SCH 59228 also significantly and dose-dependently inhibited the growth of human colon adenocarcinoma DLD-1 xenografts (which express activated Ki-rash). This is the 1st report of oral antitumor activity with an farnesyl transferase inhibitor. The results are discussed in light of recent observations on alternative prenylation of some Ras isoforms.

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 43 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:53389 HCAPLUS

DOCUMENT NUMBER: 130:139358

TITLE: Preparation and formulation of tricyclic compounds useful for inhibition of farnesyl protein transferase

INVENTOR(S): Taveras, Arthur G.; Mallams, Alan K.; Afonso, Adriano; Remiszewski, Stacy W.; Njoroge, F. George; Doll, Ronald; Lalwani, Tarik; Alvarez, Carmen

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 71 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

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LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     US 5861395           A     19990119    US 1997-927469         19970911 <--
PRIORITY APPLN. INFO.:                      US 1997-927469         19970911
OTHER SOURCE(S):         MARPAT 130:139358
GI



* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   The title compds., e.g., I [W = cyano, etc.; R1 = H, halo, etc.; R3, R4 =
     H, halo, CF3, etc.; or R3R4 = saturated or unsatd. C5 - C7 fused ring to the
     benzene ring; X represents N, CH, or C, which C may contain an optional
     double bond (represented by the dotted line); dotted line represents an
     optional double bond; when such a double bond is present between the two C
     atoms bearing A and B, A and B independently represent R10, halo, etc.;
     when no such double is present, A and B each independently represent H2,
     (OR11)2, H and halo, dihalo, etc.; R10 = H, alkyl, etc.; R11 = alkyl, aryl
     ] are prepared  The title compound II in vitro showed IC50 of 0.1 μM
     against farnesyl protein transferase.
REFERENCE COUNT:         28    THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 44 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1999:9848  HCAPLUS
DOCUMENT NUMBER:         130:81428
TITLE:                   Benzo(5,6)cyclohepta(1,2-b)pyridine derivatives useful
                         for inhibition of farnesyl protein transferase
INVENTOR(S):             Doll, Ronald J.; Alvarez, Carmen;
                         Lalwani, Tarik; Liu, Yi-tsung
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  PCT Int. Appl., 96 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 9857968           A1    19981223    WO 1998-US11409        19980615 <--
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             ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN,
             MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ,
             VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
     CA 2293368           AA    19981223    CA 1998-2293368        19980615 <--
     AU 9882532           A1    19990104    AU 1998-82532          19980615 <--
     EP 1019398           A1    20000719    EP 1998-932714         19980615 <--
     EP 1019398           B1    20040915
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             LT, LV, FI, RO
     NZ 501612            A      20011130    NZ 1998-501612          19980615 <--
     JP 2002504142        T2     20020205    JP 1999-504484          19980615 <--
     AT 276250            E      20041015    AT 1998-932714          19980615
     ES 2224413           T3     20050301    ES 1998-932714          19980615
     MX 9912093           A      20000430    MX 1999-12093           19991217 <--
PRIORITY APPLN. INFO.:                       US 1997-877498       A  19970617
                                             WO 1998-US11409      W  19980615
OTHER SOURCE(S):          MARPAT 130:81428
GI
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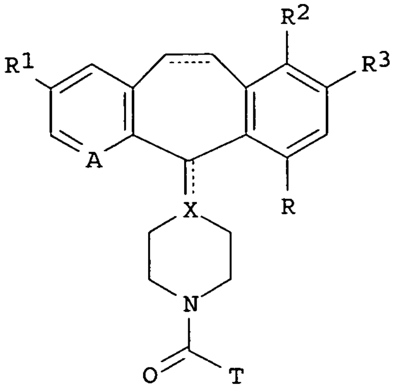

I

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AB   Title compds. [I; A = N, NO; X = N, C (when optional bond is present), CH
     (when optional bond is absent); R, R2 are selected from H and halo,
     provided that at least one is H; R1 and R3 are the same or different halo
     atoms; dotted line represents an optional bond; T represents a five or six
     membered heterocycloalkyl ring having one or two heteroatoms selected from
     S or O] are prepared as farnesyl protein transferase and methods for
     treating tumor cells are disclosed.
REFERENCE COUNT:          4     THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 45 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1999:9841  HCAPLUS
DOCUMENT NUMBER:          130:81426
TITLE:                    Benzpyrido cycloheptane compounds useful for
                          inhibition of farnesyl protein transferase
INVENTOR(S):              Cooper, Alan B.; Doll, Ronald J.;
                          Girijavallabhan, Viyyoor M.; Ganguly, Ashit;
                          Reader, John C.; Baldwin, John J.; Huang, Chia-yu
PATENT ASSIGNEE(S):       Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE:                   PCT Int. Appl., 101 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 9857960            A1    19981223    WO 1998-US11495         19980615 <--
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             ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN,
             MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ,
            VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, ML, MR, NE, SN, TD, TG
     CA 2293714           AA     19981223    CA 1998-2293714          19980615 <--
     AU 9880580           A1     19990104    AU 1998-80580            19980615 <--
     AU 753658            B2     20021024
     ZA 9805203           A      19990105    ZA 1998-5203             19980615 <--
     EP 989983            A1     20000405    EP 1998-928886           19980615 <--
     EP 989983            B1     20040811
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
            LT, LV, FI, RO
     JP 2002504144        T2     20020205    JP 1999-504490           19980615 <--
     TW 587935            B      20040521    TW 1998-87109501         19980615
     AT 273299            E      20040815    AT 1998-928886           19980615
     ES 2224408           T3     20050301    ES 1998-928886           19980615
     MX 9912059           A      20000831    MX 1999-12059            19991217 <--
PRIORITY APPLN. INFO.:                       US 1997-877741        A  19970617
                                             WO 1998-US11495       W  19980615
OTHER SOURCE(S):          CASREACT 130:81426; MARPAT 130:81426
GI
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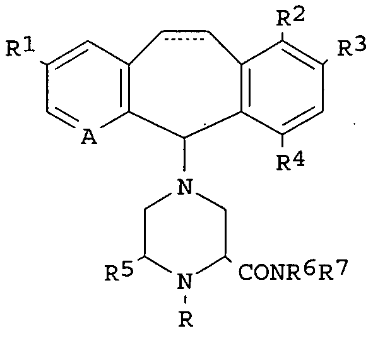

I

AB Title compds. [I; A = N, NO; R1, R2, R3, R4 are the same or different, and are selected from the group consisting of H, halo, alkyl, alkoxy, with the proviso that at least one, but not more than two are H; the dotted line represents an optional double bond; R is selected from H, SO2H, alkylsulfonyl, aralkylsulfonyl, alkylcarbonyl, aminosulfonyl, etc.; R5 is H, =O; R6, R7 are independently selected from H, alkyl, alkyloxyalkyl, aryl, heteroaryl, etc.] are prepared and title compds. and a pharmaceutically acceptable salt or solvate thereof as farnesyl protein transferase inhibitors. Also disclosed was a method of inhibiting farnesyl protein transferase function and therefore inhibiting the abnormal growth of cells in mammal such as pancreatic, lung, myeloid leukemia, thyroid follicular, myelodysplastic, epidermal carcinoma, bladder carcinoma, or colon tumor cells.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 46 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:9837 HCAPLUS
DOCUMENT NUMBER: 130:81410
TITLE: Preparation of 11-piperidinylbenzo[5,6]cyclohepta[1,2-b]pyridines and related compounds as inhibitors of farnesyl protein transferase.

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INVENTOR(S):              Remiszewski, Stacy W.; Doll, Ronald J.;
                          Alvarez, Carmen
PATENT ASSIGNEE(S):       Schering Corporation, USA
SOURCE:                   PCT Int. Appl., 116 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

    PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
    ---------------     ----   --------    --------------------   --------
    WO 9857955           A1    19981223    WO 1998-US11494        19980615 <--
        W:  AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, GW, HU,
            ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN,
            MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ,
            VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, ML, MR, NE, SN, TD, TG
    CA 2294351           AA    19981223    CA 1998-2294351        19980615 <--
    AU 9878151           A1    19990104    AU 1998-78151          19980615 <--
    EP 993459            A1    20000419    EP 1998-926276         19980615 <--
    EP 993459            B1    20021106
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
            LT, LV, FI, RO
    JP 2002504143        T2    20020205    JP 1999-504489         19980615 <--
    AT 227281            E     20021115    AT 1998-926276         19980615
    ES 2182324           T3    20030301    ES 1998-926276         19980615
    MX 9912090           A     20000430    MX 1999-12090          19991217 <--
    HK 1024686           A1    20030321    HK 2000-102386         20000420
PRIORITY APPLN. INFO.:                     US 1997-877739      A  19970617
                                           WO 1998-US11494     W  19980615
OTHER SOURCE(S):          MARPAT 130:81410
GI
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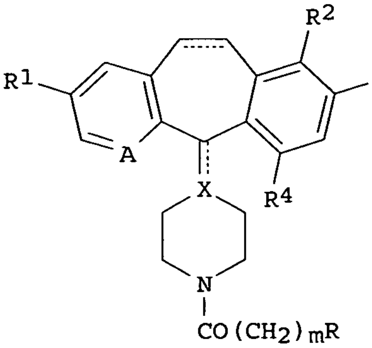

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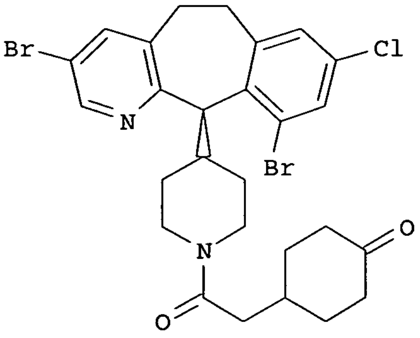

II

AB Title compds. (I; A = N, NO; R1, R3 = halo; R2, R4 = H, halo provided that ≥1 = H; X = C, CH, N; R = substituted cycloalkyl, heterocycloalkyl; dotted lines = optional double bonds; m = 0-2; R = substituted cyclobutyl(idene), cyclopentyl(idene), cyclohexyl(idene), indanyl(idene), azetidinyl, piperidinyl, etc.), were prepared Thus, tested I including

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     title compound (II) inhibited farnesyl protein transferase with IC50's in
     the range 1.9 nM to >160 nM.
REFERENCE COUNT:         8     THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 47 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1999:9831  HCAPLUS
DOCUMENT NUMBER:         130:81407
TITLE:                   Novel tricyclic [benzocycloheptapyridine] sulfonamide
                         inhibitors of farnesyl-protein transferase
INVENTOR(S):             Njoroge, F. George; Vibulbhan, Bancha; Taveras, Arthur
                         G.; Doll, Ronald J.; Girijavallabhan,
                         Viyyoor M.
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  PCT Int. Appl., 57 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE         APPLICATION NO.         DATE
     ---------------     ----   --------     --------------------    --------
     WO 9857949           A1    19981223     WO 1998-US11508          19980615 <--
         W:  AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, GW, HU,
             ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN,
             MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ,
             VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
     ZA 9805218           A     19981215     ZA 1998-5218             19980615 <--
     CA 2293358           AA    19981223     CA 1998-2293358          19980615 <--
     AU 9882536           A1    19990104     AU 1998-82536            19980615 <--
     EP 989980            A1    20000405     EP 1998-932718           19980615 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             LT, LV, FI, RO
     NZ 501619            A     20020201     NZ 1998-501619           19980615 <--
     JP 2002507192        T2    20020305     JP 1998-547521           19980615 <--
     MX 9912084           A     20000430     MX 1999-12084            19991217 <--
PRIORITY APPLN. INFO.:                       US 1997-877050        A  19970617
                                             WO 1998-US11508       W  19980615
OTHER SOURCE(S):         MARPAT 130:81407
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   Novel compds. I [A = N or N(O); X = C, CH or N; X1, X2 = Cl, Br, iodo; X3,
     X4 = H, Br, iodo, Cl, F, provided that only one is H; R5-R8 = H, alkyl,
     aryl, (un)substituted carbamoyl; or R5R6 and/or R7R8 = O or S; R alkyl,
     aryl, aralkyl, heteroaryl, cycloalkyl, (un)substituted NH2], which are
     inhibitors of the enzyme farnesyl protein transferase (FPT), are
     disclosed.  Pharmaceutical compns. containing I, methods of inhibiting Ras
     function with them, and methods for inhibiting abnormal cell growth (i.e.,
     treating tumor cells) with them are also disclosed.  Fourteen examples
     were prepared  For instance, the starting material II [X3 = H] was converted
     to II [X3 = Cl] in 4 steps, and the latter was converted in multiple
     steps, ending with the sulfonylation of an N-unsubstituted piperidine
     derivative with MeSO2Cl in the presence of K2CO3, to give invention compound
     III.  The latter inhibited Ras-CVLS farnesylation by rat brain FPT in
     vitro with IC50 of 0.0080 µM.
REFERENCE COUNT:         6     THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 48 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1999:9829  HCAPLUS
DOCUMENT NUMBER:         130:81425
TITLE:                   Tricyclic keto amide derivatives useful as farnesyl
                         protein transferase inhibitors
INVENTOR(S):             Doll, Ronald J.; Lalwani, Tarik;
                         Alvarez, Carmen
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  PCT Int. Appl., 45 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     WO 9857947           A1    19981223   WO 1998-US11504        19980615 <--
         W:  AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, GW, HU,
             ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN,
             MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ,
             VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
     US 5925639           A     19990720   US 1997-877677         19970617 <--
     CA 2293672           AA    19981223   CA 1998-2293672        19980615 <--
     CA 2293672           C     20040406
     AU 9880585           A1    19990104   AU 1998-80585          19980615 <--
     EP 989978            A1    20000405   EP 1998-928891         19980615 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             LT, LV, FI, RO
     JP 2000514841        T2    20001107   JP 1999-504499         19980615 <--
     JP 3269636           B2    20020325
     MX 9912088           A     20000430   MX 1999-12088          19991217 <--
PRIORITY APPLN. INFO.:                     US 1997-877677      A  19970617
                                           WO 1998-US11504     W  19980615
OTHER SOURCE(S):         MARPAT 130:81425
GI
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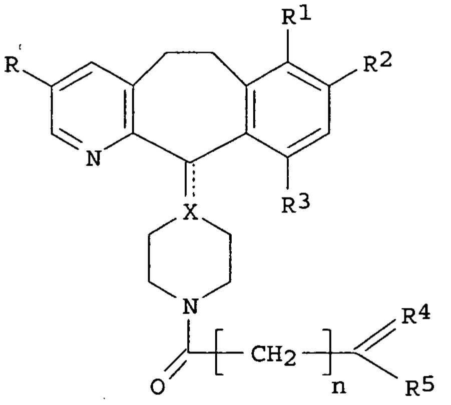

I

AB Provided are 5,6-dihydro-5H-benzo[5,6]cyclohepta[1,2b]pyridine keto amides I [R, R2 = halo; R1, R3 = H, halo, provided that at least one of R1 and R3 is H; X = N, CH or C, when the double bond is present at the C-11 position; R4 is :O, -NHOH, -N:NHR6, -N:NHSO2R6, -N:NHCOR6, -N:NHCONH2, -N:NHCOCONH2, (H, OH), (H, -OR6), (H, -OCOR6), (H, OSO2R6) or -E-(CH2)n1-G-, wherein n1 is 1-5, and E and G are O, S or N, and are joined to the same C to form a cyclic structure; R5 is H, lower alkyl, or optionally substituted aryl, heteroaryl, aralkyl, heteroaralkyl or heterocycloalkyl-alkyl; R6 is lower alkyl or optionally substituted aryl, heteroaryl, aralkyl, heteroaralkyl or heterocycloalkyl-alkyl, and n is 0-5], or an N-oxide, or a pharmaceutically acceptable salt or solvate. Compds. I are useful for inhibiting Ras function and therefore inhibiting or treating the abnormal growth of cells as farnesyl protein transferase inhibitors. Compds. I are useful in the preparation of medicaments for treating tumor cells expressing an activated ras oncogene, or tumor cells wherein the Ras protein is activated as a result of oncogenic mutation in genes other than the Ras gene. Pharmaceutical compns. containing I are claimed (2 examples).

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 49 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:9826 HCAPLUS
DOCUMENT NUMBER: 130:66393
TITLE: Preparation of benzo[5,6]cyclohepta[1,2b]pyridines as inhibitors of farnesyl protein transferase.
INVENTOR(S): Cooper, Alan B.; Doll, Ronald J.; Saksena, Anil K.; Girijavallabhan, Viyyoor M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9857944	A1	19981223	WO 1998-US11497	19980615	<--

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN,

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            MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ,
            VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, ML, MR, NE, SN, TD, TG
     CA 2293373              AA     19981223    CA 1998-2293373          19980615 <--
     AU 9878152              A1     19990104    AU 1998-78152            19980615 <--
     AU 754066               B2     20021107
     EP 993454               A1     20000419    EP 1998-926277           19980615 <--
     EP 993454               B1     20030903
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
            LT, LV, FI, RO
     NZ 501614               A      20011026    NZ 1998-501614           19980615 <--
     JP 2002504146           T2     20020205    JP 1999-504492           19980615 <--
     AT 248831               E      20030915    AT 1998-926277           19980615
     ES 2205501              T3     20040501    ES 1998-926277           19980615
     MX 9912061              A      20000430    MX 1999-12061            19991217 <--
     HK 1028239              A1     20040416    HK 2000-106505           20001012
PRIORITY APPLN. INFO.:                          US 1997-877457       A   19970617
                                                WO 1998-US11497      W   19980615
OTHER SOURCE(S):         MARPAT 130:66393
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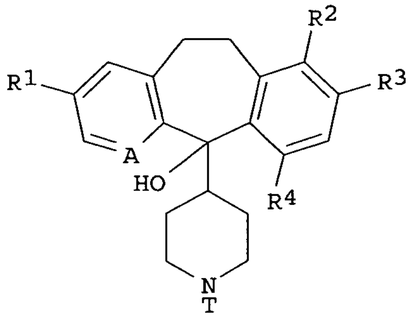

I

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AB   Title compds. [I; A = N, NO; R1, R3 = halo; R2, R4 = H, halo, provided
     that ≥1 of R2, R4 = H; T = SO2R, C(Z)(CH2)nR; Z = O, S; n = 0-6; R
     = alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl,
     heterocycloalkyl, N(R5)2; R5 = H, alkyl, aryl, heteroaryl, cycloalkyl],
     were prepared as anticancer agents (no data).  Thus, I (R1, R4 = Br; R2 = H;
     R3 = Cl; A = N; T = H) in DMF was treated with 4-pyridylacetic acid
     N-oxide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride,
     1-hydroxybenzotriazole, and N-methylmorpholine and the mixture was stirred
     24 h to give I (R1, R4 = Br; R2 = H; R3 = Cl; A = N; T = 4-pyridylacetyl
     N-oxide).
REFERENCE COUNT:         5     THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 50 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1998:728126  HCAPLUS
DOCUMENT NUMBER:         130:104933
TITLE:                   Antitumor activity of SCH 66336, an orally
                         bioavailable tricyclic inhibitor of farnesyl protein
                         transferase, in human tumor xenograft models and
                         wap-ras transgenic mice
AUTHOR(S):               Liu, Ming; Bryant, Matthew S.; Chen, Jianping; Lee,
                         Suining; Yaremko, Bohdan; Lipari, Phil; Malkowski,
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Michael; Ferrari, Eric; Nielsen, Loretta; Prioli, Nicholas; Dell, Janet; Sinha, Dineshwar; Syed, Jameel; Korfmacher, Walter A.; Nomeir, Amin A.; Lin, C-C.; Wang, Lynn; Taveras, Arthur G.; Doll, Ronald J.; Njoroge, F. George; Mallams, Alan K.; Remiszewski, Stacy; Catino, Joseph J.; Girijavallabhan, Viyyoor M.; Kirschmeier, Paul; Bishop, W. Robert

CORPORATE SOURCE: Departments of Biological Research-Oncology, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA

SOURCE: Cancer Research (1998), 58(21), 4947-4956
CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: AACR Subscription Office

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We have been developing a series of nonpeptidic, small mol. farnesyl protein transferase inhibitors that share a common tricyclic nucleus and compete with peptide/protein substrates for binding to farnesyl protein transferase. Here, we report on pharmacol. and in vivo studies with SCH 66336, a lead compound in this structural class. SCH 66336 potently inhibits Ha-Ras processing in whole cells and blocks the transformed growth properties of fibroblasts and human tumor cell lines expressing activated Ki-Ras proteins. The anchorage-independent growth of many human tumor lines that lack an activated ras oncogene is also blocked by treatment with SCH 66336. In mouse, rat, and monkey systems, SCH 66336 has excellent oral bioavailability and pharmacokinetic properties. In the nude mouse, SCH 66336 demonstrated potent oral activity in a wide array of human tumor xenograft models including tumors of colon, lung, pancreas, prostate, and urinary bladder origin. Enhanced in vivo efficacy was observed when SCH 66336 was combined with various cytotoxic agents (cyclophosphamide, 5-fluorouracil, and vincristine). In a Ha-Ras transgenic mouse model, prophylactic treatment with SCH 66336 delayed tumor onset, reduced the average number of tumors/mouse, and reduced the average tumor weight/animal. In a therapeutic mode in which gavage treatment was initiated after the transgenic mice had developed palpable tumors, significant tumor regression was induced by SCH 66336 in a dose-dependent fashion. This was associated with increased apoptosis and decreased DNA synthesis in tumors of animals treated with SCH 66336. Enhanced efficacy was also observed in this model when SCH 66336 was combined with cyclophosphamide. SCH 66336 is presently being evaluated in Phase I clin. trials.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 51 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:694230 HCAPLUS

DOCUMENT NUMBER: 130:75719

TITLE: (+)-4-[2-[4-(8-Chloro-3,10-dibromo-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]- pyridin-11(R)-yl)-1-piperidinyl]-2-oxo-ethyl]-1-piperidinecarboxamide (SCH-66336): A Very Potent Farnesyl Protein Transferase Inhibitor as a Novel Antitumor Agent

AUTHOR(S): Njoroge, F. George; Taveras, Arthur G.; Kelly, Joseph; Remiszewski, Stacy; Mallams, Alan K.; Wolin, Ronald; Afonso, Adriano; Cooper, Alan B.; Rane, Dinananth F.; Liu, Yi-Tsung; Wong, Jesse; Vibulbhan, Bancha; Pinto, Patrick; Deskus, Jeffrey; Alvarez, Carmen S. ; Del Rosario, Joycelyn; Connolly, Michael; Wang,

James; Desai, Jagdish; Rossman, Randall R.; Bishop, W. Robert; Patton, Robert; Wang, Lynn; Kirschmeier, Paul; Bryant, Mathew S.; Nomeir, Amin A.; Lin, C.-C.; Liu, Ming; McPhail, Andrew T.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Ganguly, Ashit K.
CORPORATE SOURCE: Departments of Chemistry and Tumor Biology, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(24), 4890-4902
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We have previously shown that appropriate modification of the benzocycloheptapyridine tricyclic ring system can provide potent farnesyl protein transferase (FPT) inhibitors with good cellular activity. Our labs. have also established that incorporation of either pyridinylacetyl N-oxide or 4-N-carboxamidopiperidinylacetyl moieties results in pharmacokinetically stable inhibitors that are orally efficacious in nude mice. We now demonstrate that further elaboration of the tricyclic ring system by introducing a bromine atom at the 7- or the 10-position of the 3-bromo-8-chlorotricyclic ring system provides compds. that have superior potency and selectivity in FPT inhibition. These compds. have good serum levels and half-lives when given orally to rodents and primates. In vitro and in vivo evaluation of a panel of these inhibitors has led to identification of SCH 66336 as a highly potent (IC50 = 1.9 nM) antitumor agent that is currently undergoing human clin. trials.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 52 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:673160 HCAPLUS
DOCUMENT NUMBER: 130:60711
TITLE: Interaction of a novel GDP exchange inhibitor with the Ras protein
AUTHOR(S): Ganguly, Ashit K.; Wang, Yu-Sen; Pramanik, Birendra N.; Doll, Ronald J.; Snow, Mark E.; Taveras, Arthur G.; Remiszewski, Stacy; Cesarz, David; del Rosario, J.; Vibulbhan, Bancha; Brown, Joan E.; Kirschmeier, Paul; Huang, Eric C.; Heimark, Larry; Tsarbopoulos, Anthony; Girijavallabhan, Viyyoor M.; Aust, Robert M.; Brown, Edward L.; DeLisle, Dorothy M.; Fuhrman, Shella A.; Hendrickson, Thomas F.; Kissinger, Charles R.; Love, Robert A.; Sisson, Wess A.; Villafranca, J. Ernest; Webber, Steve E.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Biochemistry (1998), 37(45), 15631-15637
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Mutated, tumorigenic Ras is present in a variety of human tumors. Compds. that inhibit tumorigenic Ras function may be useful in the treatment of Ras-related tumors. The interaction of a novel GDP exchange inhibitor (SCH-54292) with the Ras-GDP protein was studied by NMR spectroscopy. The binding of the inhibitor to the Ras protein was enhanced at low Mg2+ concns., which enabled the preparation of a stable complex for NMR study. To understand the enhanced inhibitor binding and the increased GDP dissociation

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     rates of the Ras protein, the conformational changes of the Ras protein at
     low Mg2+ concns. was investigated using two-dimensional 1H-15N HSQC expts.
     The Ras protein existed in two conformations in slow exchange on the NMR
     time scale under such conditions.  The conformational changes mainly
     occurred in the GDP binding pocket, in the switch I and the switch II
     regions, and were reversible.  The Ras protein resumed its regular
     conformation after an excess amount of Mg2+ was added.  A model of the
     inhibitor in complex with the Ras-GDP protein was derived from intra- and
     intermol. NOE distance constraints, and revealed that the inhibitor bound
     to the critical switch II region of the Ras protein.
REFERENCE COUNT:         33    THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 53 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1998:529789  HCAPLUS
TITLE:                   Synthesis and biological activity of novel
                         n-cyanoguanidine-halobenzocycloheptapyridine
                         heterocycles as inhibitors of ras farnesyl protein
                         transferase.
AUTHOR(S):               Cooper, A. B.; Wang, J.; Desai, J. A.; Lovey, R. G.;
                         Doll, R. J.; Saksena, A. K.;
                         Girijavallabhan, V.; Ganguly, A. K.; Bishop,
                         W. R.; Kirschmeier, P.
CORPORATE SOURCE:        Schering-Plough Research Institute, Kenilworth, NJ,
                         07033, USA
SOURCE:                  Book of Abstracts, 216th ACS National Meeting, Boston,
                         August 23-27 (1998), MEDI-304.  American
                         Chemical Society: Washington, D. C.
                         CODEN: 66KYA2
DOCUMENT TYPE:           Conference; Meeting Abstract
LANGUAGE:                English
AB   Inhibiting farnesylation of ras oncoproteins by farnesyl protein
     transferase (FPT) inhibitors is being pursued as a potential anti-cancer
     therapy.  Numerous compds. have been discussed in the literature which are
     potent fpt inhibitors but do not have good cell or in-vivo activity.  We
     have developed novel N-cyanoguanidine-halobenzocycloheptapyridine
     heterocycles that are potent FPT inhibitors both in vitro and at the
     cellular level.  In most cases substitution of the N-cyanoguanidine group
     for the amide functionality on the mol. resulted in enhanced FPT activity.
     Both the synthesis and structure activity relationships of this series of
     compds. will be discussed.

L46  ANSWER 54 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1998:490637  HCAPLUS
DOCUMENT NUMBER:         129:136181
TITLE:                   Preparation of benzocycloheptpyridines for inhibition
                         of farnesyl protein transferase
INVENTOR(S):             Njoroge, F. George; Taveras, Arthur G.; Doll,
                         Ronald J.; Lalwani, Tarik; Alvarez,
                         Carmen; Remiszewski, Stacy W.
PATENT ASSIGNEE(S):      Schering Corp., USA
SOURCE:                  PCT Int. Appl., 167 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  2
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.         DATE
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     WO 9830558          A2    19980716   WO 1997-US24295       19970911 <--
     WO 9830558          A3    19981008
         W:  AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, ID,
             IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX,
             NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN,
             YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
     AU 9872432          A1    19980803   AU 1998-72432         19970911 <--
     BR 9712035          A     19990824   BR 1997-12035         19970911 <--
     EP 942906           A2    19990922   EP 1997-955043        19970911 <--
     EP 942906           B1    20041103
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             LT, LV, FI, RO
     CN 1248253          A     20000322   CN 1997-199595        19970911 <--
     NZ 334342           A     20000728   NZ 1997-334342        19970911 <--
     JP 2002515052       T2    20020521   JP 1998-524961        19970911 <--
     CA 2264511          C     20030805   CA 1997-2264511       19970911 <--
     CA 2264511          AA    19980716
     AT 281450           E     20041115   AT 1997-955043        19970911
     ES 2232888          T3    20050601   ES 1997-955043        19970911
     NO 9901231          A     19990514   NO 1999-1231          19990312 <--
PRIORITY APPLN. INFO.:                    US 1996-710225     A  19960913
                                          US 1997-877453     A  19970617
                                          WO 1997-US24295    W  19970911
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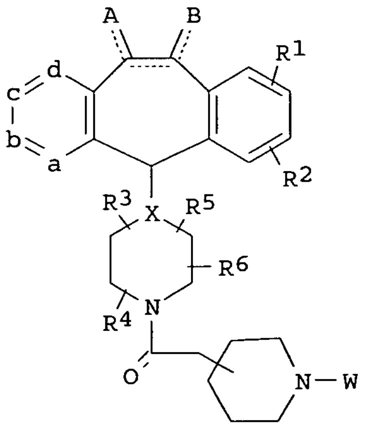

I

AB Title compds. [I; A = H, halo, alkoxy, O=, etc.; B = H, halo, alkoxy, O=, etc.; a, b, c, d represents N, CH3N, N(CH2)nCO2H, CH, CCF3, CCN, etc.; n = 1-3; R1 = H; R2 = H; R1-R2 = C5-C7 fused ring; R3, R4, R5, R6 each independently represents H, CF3, alkyl, alkylthio, NO2, etc.; X = N, CH, C; W = aminoalkylcarbonyl, alkylcarbonyl, etc.; the dotted line represents an optional double bond],their pharmaceutically acceptable salts, solvates, stereoisomers are prepared as inhibitors of farnesyl protein transferase in tumor cells deliveres in the form of capsules or tables (no data). The title compound I (A = H2; B = H2; a = N; b = CH; d = CH; c = CBr; X = CH; R3 = H; R4 = H; R5 = H; R6 = H; W = COCONHCH2COOH; carbonylmethyl bonded to 4-piperidinyl) was prepared as (R)-isomer.

L46 ANSWER 55 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1998:269549 HCAPLUS
DOCUMENT NUMBER:	128:265838
TITLE:	Potent, Selective, and Orally Bioavailable Tricyclic Pyridyl Acetamide N-Oxide Inhibitors of Farnesyl Protein Transferase with Enhanced in Vivo Antitumor Activity
AUTHOR(S):	Njoroge, F. George; Vibulbhan, Bancha; Pinto, Patrick; Bishop, W. Robert; Bryant, Mathew S.; Nomeir, Amin A.; Lin, C.-C.; Liu, Ming; Doll, Ronald J.; Girijavallabhan, V.; Ganguly, Ashit K.
CORPORATE SOURCE:	Departments of Chemistry and Tumor Biology, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE:	Journal of Medicinal Chemistry (1998), 41(10), 1561-1567 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

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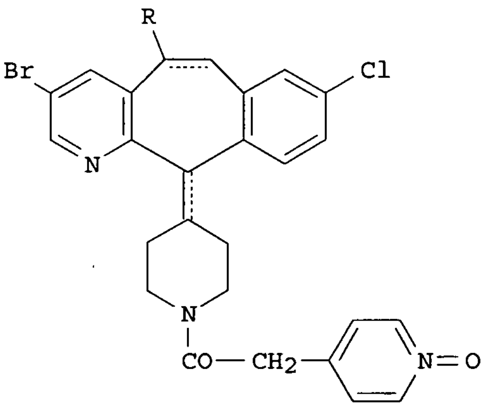

I

AB Compound I (R = H, double bond between piperidine and the tricyclic ring system) (II) was reported as a potent farnesyl protein transferase (FPT) inhibitor that exhibited reasonable pharmacokinetic stability and showed moderate in vivo activity against a variety of tumor cell lines. The analogous C-11 single bond compound, pyridylacetamide I (R = H) (III), is more potent than II in FPT inhibition. Further modification of the ethano bridge of the tricyclic ring system by conversion into a double bond with concomitant introduction of a single bond at C-11 piperidine resulted in I (R = H, double bond at the ethano bridge of the tricyclic ring system) (IV) that had superior FPT activity and pharmacokinetic stability. Compound I (R = Br, double bond at the ethano bridge of the tricyclic ring system) (V), a 5-bromo-substituted analog of IV, showed improved FPT activity, had good cellular activity, and demonstrated a remarkably improved pharmacokinetic profile with AUC of 84.9 and t1/2 of 82 min. Compound V inhibited the growth of solid tumor in DLD-1 model by 70% at 50 mpk and 52% at 10 mpk.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS

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                         RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 56 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1998:180871  HCAPLUS
DOCUMENT NUMBER:         128:230256
TITLE:                   Preparation of benzocycloheptapyridines as farnesyl
                         protein transferase inhibitors
INVENTOR(S):             Cooler, Alan B.; Mallans, Alan K.;
                         Girijavallabhan, Viyyoor M.; Doll, Ronald
                         J.; Taveras, Arthur G.; Njoroge, F. George;
                         Baldwin, John J.; Reader, John C.
PATENT ASSIGNEE(S):      Schering Corp., USA
SOURCE:                  PCT Int. Appl., 106 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     WO 9811098           A1    19980319   WO 1997-US15903        19970911 <--
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             NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN,
             YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
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             GN, ML, MR, NE, SN, TD, TG
     CA 2265763           AA    19980319   CA 1997-2265763        19970911 <--
     AU 9743376           A1    19980402   AU 1997-43376          19970911 <--
     EP 931078            A1    19990728   EP 1997-941477         19970911 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             LT, LV, FI, RO
     BR 9712826           A     19991116   BR 1997-12826          19970911 <--
     CN 1237170           A     19991201   CN 1997-199599         19970911 <--
     JP 2001500507        T2    20010116   JP 1998-513762         19970911 <--
     NO 9901233           A     19990512   NO 1999-1233           19990312 <--
     KR 2000036104        A     20000626   KR 1999-702127         19990312 <--
PRIORITY APPLN. INFO.:                     US 1996-713703      A  19960913
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OTHER SOURCE(S):         MARPAT 128:230256
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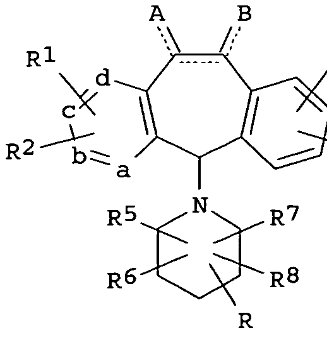

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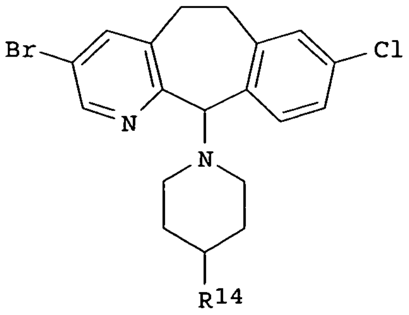

II

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AB   Title compds. [I; 1 of a,b,c,d = N or NR9 and the others = CR1 or CR2; A,B
     = halo, R10, OR11, H2, H and halo, H and alkyl, etc.; R1-R4 = H, halo,
     alkoxy, (di)alkylamino, etc.; R3R4 = atoms to complete a ring; R5-R8 = H,
     (alkoxy)alkyl, alkanoyl, aryl, etc.; R9 = oxido, Me, (CH2)nCO2H; R10 = H,
     (ar)alkyl, aryl; R11 = alkyl or aryl; n = 1-3; R = (CH2)mTZ; T = CO, SO,
     SO2, CR30R31; CR30,R31 = H, alkyl, (hetero)aryl(alkyl), etc.; Z = H,
     alkyl, (hetero)aryl(alkyl), (1-substituted) 4-piperidinylalkylamino,
     3-pyridylmethylamino, etc.; m = 0-6] were prepared  Thus, title compound II
     (R14 = CO2H)(preparation given) was amidated with 4-aminopyridine to give II
     (R14 = 4-pyridylaminocarbonyl).  Data for biol. activity of I were given.
REFERENCE COUNT:          5     THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 57 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1998:180864  HCAPLUS
DOCUMENT NUMBER:          128:230251
TITLE:                    Preparation of benzocycloheptapyridines as farnesyl
                          protein transferase inhibitors
INVENTOR(S):              Taveras, Arthur G.; Mallams, Alan K.; Afonso, Adriano;
                          Remiszewski, Stacy W.; Njoroge, F. George; Doll,
                          Ronald J.; Lalwani, Tarik; Alvarez,
                          Carmen
PATENT ASSIGNEE(S):       Schering Corp., USA
SOURCE:                   PCT Int. Appl., 147 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 9811091           A2    19980319    WO 1997-US19976        19970911 <--
     WO 9811091           A3    19980611
         W:  AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, ID,
             IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX,
             NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN,
             YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
     CA 2266014           AA    19980319    CA 1997-2266014        19970911 <--
     AU 9851966           A1    19980402    AU 1998-51966          19970911 <--
     EP 934303            A2    19990811    EP 1997-946875         19970911 <--
     EP 934303            B1    20041229
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             LT, LV, FI, RO
     CN 1237164           A     19991201    CN 1997-199597         19970911 <--
     BR 9712980           A     20000418    BR 1997-12980          19970911 <--
     NZ 334454            A     20000825    NZ 1997-334454         19970911 <--
     JP 2001500515        T2    20010116    JP 1998-514032         19970911 <--
     AT 286044            E     20050115    AT 1997-946875         19970911
     ES 2234036           T3    20050616    ES 1997-946875         19970911
     NO 9901235           A     19990510    NO 1999-1235           19990312 <--
     KR 2000036110        A     20000626    KR 1999-702133         19990312 <--
PRIORITY APPLN. INFO.:                      US 1996-713297      A  19960913
                                            US 1997-877453      A  19970617
                                            WO 1997-US19976     W  19970911
OTHER SOURCE(S):          MARPAT 128:230251
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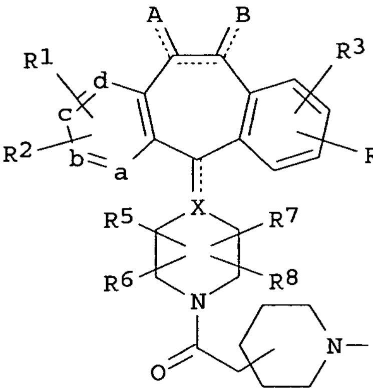

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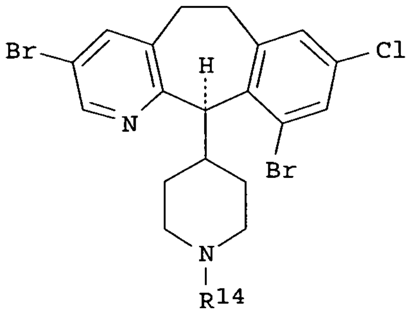

II

AB Title compds. [I; 1 of a,b,c,d = N or NR9 and the others = CR1 or CR2; A,B = halo, R10, OR11, H2, H and halo, H and alkyl, etc.; R1-R4 = H, halo, alkoxy, (di)alkylamino, etc.; R3R4 = atoms to complete a ring; R5-R8 = H, (alkoxy)alkyl, alkanoyl, aryl, etc.; R9 = oxido, Me, (CH2)nCO2H; R10 = H, (ar)alkyl, aryl; R11 = alkyl or aryl; X = N, C, CH; n = 1-3; R = cyano, COR12, C(:NR13)OR14, C(:NR13)NR10R16, etc.; R12 = H, alkyl, heterocyclyl, etc.; R13 = H, cyano, alkylsulfonyl, alkanoyl, (un)substituted SO2NH2, etc.; R14 = aryl; R16 = (cyclo)alkyl, (hetero)aryl(alkyl), heterocyclylalkyl] were prepared Thus, title compound II (R14 = H) was N-acylated with PhOCN to give II (R14 = 1-phenoxycarbonimidoylpiperidine-4-acetyl). Data for biol. activity of I were given.

L46 ANSWER 58 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:141134 HCAPLUS
TITLE: Orally active, trihalobenzocycloheptapyridine farnesyl protein transferase inhibitor antitumor agents.
AUTHOR(S): Njoroge, F. G.; Taveras, A.; Kelly, J.; Remiszewski, S.; Mallams, A. K.; Doll, R. J.; Girijavallabhan, V.; Afonso, A.; Wolin, R.; Alvarez, C.; Cesarz, D.; Connolly, M.; Cooper, A. B.; delRosario, J.; Desai, J. A.; Deskus, J.; Dritz, J.; Hollinger, F. P.; Kaminski, J. J.; Liu, Y.-T.; Pinto, P.; Rane, D.; Rossman, R. R.; Saksena, A. K.; Snow, M. E.; Vibulbhan, B.; Wang, J.; Ganguly, A. K.; Bishop, W. R.; Kirschmeier, P.; Carr, D.; James, L.; Patton, R.; Bryant, M. S.; Nomeir, A. A.; Liu, M.; Wang, S.; Nardo, C.; Kumari, P.; Chen, K.-J.; Watkins, R.; Korfmacher, W. A.; Lin, C.-C.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Book of Abstracts, 215th ACS National Meeting, Dallas, March 29-April 2 (1998), MEDI-102. American Chemical Society: Washington, D. C.
CODEN: 65QTAA
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Farnesylation of ras oncoproteins by farnesyl protein transferase (FPT) is necessary for rasmediated signal transduction. FPT inhibition as potential anti-cancer therapy has been the topic of intense study over the last five years. Many compds. discussed in the literature are potent FPT inhibitors but lack the in-cell potencies or have poor oral pharmacokinetics. We have developed novel trihalobenzocycloheptapyridine heterocycles that are potent, selective inhibitors of FPT and are antitumor agents in mice when given orally. These compds. have good serum levels and half-lives when given orally to rodents and primates. Structural modifications in this series led to the discovery of SCH 66336, a highly potent (FPT IC50 of 1.9 nM), orally active antitumor agent which is undergoing early clin. trials. A detailed structure activity relationship will be disclosed for the first time.

L46 ANSWER 59 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1998:119756 HCAPLUS
DOCUMENT NUMBER:	128:238971
TITLE:	Inhibitors of Farnesyl Protein Transferase. 4-Amido, 4-Carbamoyl, and 4-Carboxamido Derivatives of 1-(8-Chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine and 1-(3-Bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine
AUTHOR(S):	Mallams, Alan K.; Rossman, Randall R.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Ganguly, Ashit K.; Petrin, Joanne; Wang, Lynn; Patton, Robert; Bishop, W. Robert; Carr, Donna M.; Kirschmeier, Paul; Catino, Joseph J.; Bryant, Matthew S.; Chen, Kwang-Jong; Korfmacher, Walter A.; Nardo, Cymbelene; Wang, Shiyong; Nomeir, Amin A.; Lin, Chin-Chung; Li, Zujun; Chen, Jianping; Lee, Suining; Dell, Janet; Lipari, Philip; Malkowski, Michael; Yaremko, Bodan; King, Ivan; Liu, Ming; et al.
CORPORATE SOURCE:	Antiinfectives and Tumor Biology Research, Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA
SOURCE:	Journal of Medicinal Chemistry (1998), 41(6), 877-893 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

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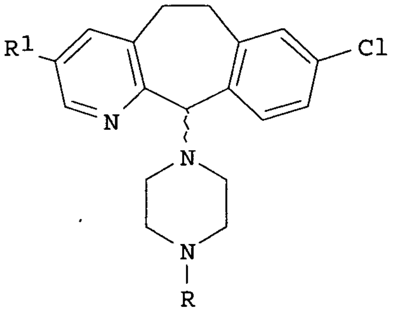

I

AB The synthesis of 4-amido, 4-carbamoyl and 4-carboxamido derivs. of 1-(8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-

yl)piperazine (I; R = R1 = H) to explore the SAR of of this series of FPT inhibitors is described. I (R = 4-pyridylacetyl; R1 = H) and I (R = 3-pyridylacetyl; R1 = H) were both orally active but were rapidly metabolized in vivo. Identification of the principal metabolites led to the synthesis of a variety of new compds. that would be less readily metabolized, the most interesting of which were the 3- and 4-pyridylacetyl N-oxides. Novel replacements for the pyridylacetyl moiety were sought, and this resulted in the discovery of the N-methyl- and N-carboxamido-4-piperidinylacetyl derivs. All of these derivs. exhibited greatly improved pharmacokinetics. The synthesis of the corresponding 3-bromo analogs resulted in the discovery of (±)-I (R = 4-pyridylacetyl N-oxide; R1 = Br) [(±)-II] and (11S)-II and the (±)-N-carboxamido-4-piperidinylacetyl derivative, all of which exhibited potent FPT inhibition in vitro. All three showed excellent oral bioavailability in vivo in nude mice and cynomolgus monkeys and exhibited excellent antitumor efficacy against a series of tumor cell lines when dosed orally in nude mice.

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REFERENCE COUNT:         48    THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 60 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:        1998:102861  HCAPLUS
DOCUMENT NUMBER:         128:167353
TITLE:                   Novel tricyclic N-cyanoimines useful as inhibitors of
                         farnesyl-protein transferase
INVENTOR(S):             Cooper, Alan B.; Wang, James J-S.; Lovey, Raymond G.;
                         Desai, Jagdish A.; Saksena, Anil K.;
                         Girijavallabhan, Viyyoor M.; Doll, Ronald
                         J.
PATENT ASSIGNEE(S):      Schering Corporation, USA
SOURCE:                  PCT Int. Appl., 80 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.       DATE
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     WO 9804545           A1    19980205   WO 1997-US12923       19970729 <--
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             NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU,
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     ZA 9706754           A     19980202   ZA 1997-6754          19970729 <--
     AU 9737370           A1    19980220   AU 1997-37370         19970729 <--
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     EP 915869            B1    20030514
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     CN 1226246           A     19990818   CN 1997-196817        19970729 <--
     CN 1117745           B     20030813
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     CA 2261724           AA    19980205
     AT 240313            E     20030515   AT 1997-934269        19970729
     ES 2194207           T3    20031116   ES 1997-934269        19970729
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PRIORITY APPLN. INFO.:                     US 1996-690522      A   19960731
                                           WO 1997-US12923     W   19970729
OTHER SOURCE(S):          MARPAT 128:167353
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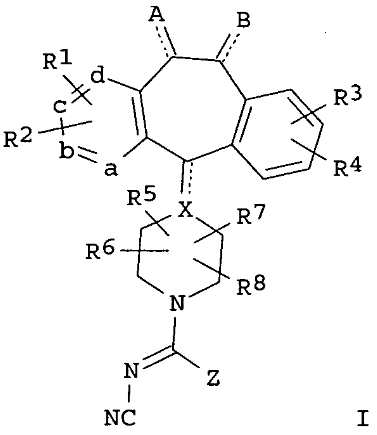

I

AB Novel tricyclic N-cyanoimine compds. I [one of a, b, c, d = N, NR9 where R9 = O, Me, (CH2)nCO2H (n = 1-3) and the remaining a, b, c, d groups = CR1, CR2, or each of a, b, c, d = CR1, CR2 and R1, R2 = H, halo, CF3, SCN, benzotriazol-1-yloxy, etc.; R3, R4 = H, R1, R2; R3R4 = C5-C7 fused ring; R5, R6, R7, R8 = H, CF3, alkyl, aryl, etc.; X = N, CH, C; A, B = NO2, halo, etc. or A and B represent H2, dihalo, (alkyl)2, etc.; Z = alkyl, aryl, heteroalkyl, etc.], inhibitors of the enzyme farnesyl-protein transferase, were prepared Also disclosed is a method of inhibiting Ras function and therefore inhibiting the abnormal growth of cells. As an example of the preparative method, Me 4-(3-bromo-8-chloro-5,6-dihydro-11H-benzo[5.6]cyclohepta[1,2-b]pyridin-11-ylidene)-B-cyano-1-piperidinecarboximidothioate was reacted with Et 4-amino-1-piperidinecarboxylate to give 34% Et 4-[[[4-(3-bromo-8-chloro-5,6-dihydro-11H-benzo[5.6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl](cyanoimino)methyl]amino]-1-piperidinecarboxylate.

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REFERENCE COUNT:          4      THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                                 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L46  ANSWER 61 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1998:45366  HCAPLUS
DOCUMENT NUMBER:          128:114858
TITLE:                    Highly Regioselective Nitration Reactions Provide a
                          Versatile Method of Functionalizing
                          Benzocycloheptapyridine Tricyclic Ring Systems:
                          Application toward Preparation of Nanomolar Inhibitors
                          of Farnesyl Protein Transferase
AUTHOR(S):                Njoroge, F. George; Vibulbhan, Bancha; Pinto, Patrick;
                          Chan, Tze-Ming; Osterman, Rebecca; Remiszewski, Stacy;
                          Del Rosario, Jocelyn; Doll, Ronald;
                          Girijavallabhan, V.; Ganguly, Ashit K.
CORPORATE SOURCE:         Departments of Chemistry, Schering-Plough Research
                          Institute, Kenilworth, NJ, 07033, USA
SOURCE:                   Journal of Organic Chemistry (1998), 63(3),
                          445-451
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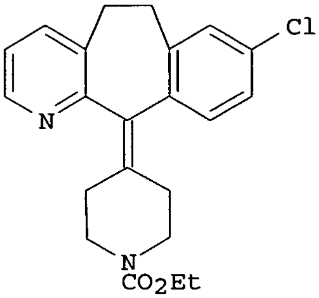
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI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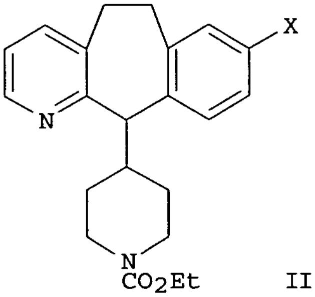
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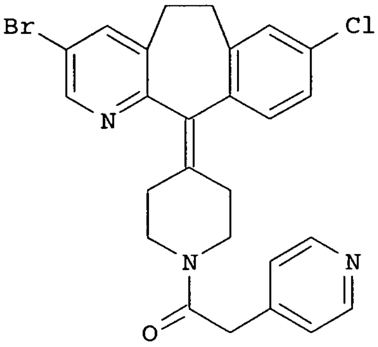
III

AB Whereas classical nitration of benzocycloheptapyridines such as I and II (X = H, Cl) using KNO3-H2SO4 at low temps. gave nitrated products mainly at the 9-position, use of tetrabutylammonium nitrate-trifluoroacetic anhydride resulted in exclusive nitration of the 3-position. These 3-nitro tricyclic derivs. have been valuable intermediates for the preparation of very potent farnesyl protein transferase inhibitors such as III.

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 62 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:35409 HCAPLUS
DOCUMENT NUMBER: 128:200542
TITLE: Structure-activity relationship of 3-substituted N-(pyridinylacetyl)-4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)piperidine inhibitors of farnesyl-protein transferase: design and synthesis of in vivo active antitumor compounds
AUTHOR(S): Njoroge, F. George; Vibulbhan, Bancha; Rane, Dinananth F.; Bishop, W. Robert; Petrin, Joanne; Patton, Robert; Bryant, Mathew S.; Chen, K. -J.; Nomeir, Amin A.; Lin, C. -C.; Liu, Ming; King, Ivan; Chen, Jianping; Lee, Suining; Yaremko, Bohdan; Dell, Janet; Lipari, Philip; Malkowski, Michael; Li, Zujun; Catino, Joseph;

Doll, Ronald J.; Girijavallabhan, V.
; Ganguly, Ashit K.

CORPORATE SOURCE: Dep. Chem. & Tumor Biology, Schering-Plough Research Inst., Kenilworth, NJ, 07033, USA

SOURCE: Journal of Medicinal Chemistry (1997), 40(26), 4290-4301
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Novel tricyclic Ras farnesyl-protein transferase (FPT) inhibitors are described. A comprehensive structure-activity relationship (SAR) study of compds. arising from substitution at the 3-position of the tricyclic pyridine ring system has been explored. In the case of halogens, the chloro, bromo, and iodo analogs 19, 22, and 28 were found to be equipotent. However, the fluoro analog 17 was an order of magnitude less active. Whereas a small alkyl substituent such as a Me group resulted in a very potent FPT inhibitor (SCH 56580), introduction of bulky substituents such as tert-Bu, or a Ph group, resulted in inactive FPT inhibitors. Polar groups at the 3-position such as amino, alkylamino, and hydroxyl were less active. Whereas compound SCH 44342 did not show appreciable in vivo antitumor activity, the 3-bromo-substituted pyridyl N-oxide amide analog was a potent FPT inhibitor that reduced tumor growth by 81% when administered q.i.d. at 50 mpk and 52% at 10 mpk. These compds. are nonpeptidic and do not contain sulfhydryl groups. They selectively inhibit FPT and not geranylgeranyl-protein transferase-1 (GGPT-1). They also inhibit H-Ras processing in COS monkey kidney cells and soft agar growth of Ras-transformed cells.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 63 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:489952 HCAPLUS

TITLE: Inhibitors of farnesyl protein transferase. Part 2. 4-Amido, 4-carbamoyl and 4-carboxamido derivatives of 1-(3-bromo-8-chloro-6, 11-dihydro-5H-benzo[5,6] cyclohepta[1,2-b]pyridin-11-yl)piperazine.

AUTHOR(S): Mallams, A. K.; Rossman, R. R.; Doll, R. J.; Girijavallabhan, V.; Ganguly, A. K.; Petrin, J.; Wang, L.; Patton, R.; Bishop, W. R.; et al.

CORPORATE SOURCE: Antiinfectives and Tumor Biology Research, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA

SOURCE: Book of Abstracts, 214th ACS National Meeting, Las Vegas, NV, September 7-11 (1997), MEDI-194. American Chemical Society: Washington, D. C.
CODEN: 64RNAO

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB The identification of key sites of metabolism in Sch 54419 together with the discovery of the potent 3-bromotricyclic series led to the synthesis of a series of selective, potent, orally active ras FPT inhibitors having good duration of action in animals. The synthesis of a series of 4-amido, 4-carbamoyl and 4-carboxamido derivs. of 1-(3-bromo-8-chloro-6, 11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine will be described, in which various potential metabolic sites were blocked. These modifications include the preparation of pyridylacetyl N-oxides, 5,6-ene derivs. and amides having the α-methylene substituted. Of particular interest were the 4-pyridylacetyl N-oxide analogs Sch 59228 and 61129 as well as the N-carboxamidopiperidinylacetyl analog Sch 60677. The in vitro and in vivo activity of these novel antitumor agents will be described.

L46 ANSWER 64 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:406099 HCAPLUS
DOCUMENT NUMBER: 127:146603
TITLE: Detection and structural characterization of Ras oncoprotein-inhibitors complexes by electrospray mass spectrometry
AUTHOR(S): Ganguly, A. K.; Pramanik, B. N.; Huang, E. C.; Liberles, S.; Heimark, L.; Liu, Y. H.; Tsarbopoulos, A.; Doll, R. J.; Taveras, A. G.; Remiszewski, S.; Snow, M.E.; Wang, Y. S.; Vibulbhan, B.; Cesarz, D.; Brown, J. E.; del Rosario, J.; James, L.; Kirschmeier, P.; Girijavallabhan, V.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Bioorganic & Medicinal Chemistry (1997), 5(5), 817-820
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB MS based methodol. employing electrospray ionization (ESI) is described for the detection of ternary complexes in which SCH 54292 or SCH 54341 and GDP are noncovalently bound to oncogenic rats protein. The observed mol. wts. of 19,816 and 19,570 Da confirmed the presence of noncovalent complexes of ras-GDP-SCH 54292 and ras-GDP-SCH 54341, resp. The authors have also performed selective chemical modification of lysine residues of the ras protein complex followed by enzymic digestion and online LC-ESI MS peptide mapping to determine protein-drug binding topog. There was a good correlation between nucleotide exchange inhibition as determined by the enzyme assay and evidence of complex formation as determined by MS.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 65 OF 67 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:162031 HCAPLUS
TITLE: Inhibitors of farnesyl protein transferase. Part 1. 4-amido, 4-carbamoyl and 4-carboxamido derivatives of 1-(8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b] pyridin-11-yl)piperazine.
AUTHOR(S): Rossman, R. R.; Mallams, A. K.; Doll, R. J.; Girijavallabhan, V.; Ganguly, A. K.; Petrin, J.; Wang, L.; Patton, R.; Bishop, W. R.; et al.
CORPORATE SOURCE: Antiinfectives and Tumor Biology Research, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Book of Abstracts, 213th ACS National Meeting, San Francisco, April 13-17 (1997), MEDI-199.
American Chemical Society: Washington, D. C.
CODEN: 64AOAA
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB The discovery of Sch 44342, a member of a new class of selective, non-peptidic, non-sulfhydryl inhibitors of ras protein farnesylation in these labs., led to the synthesis of a series of novel 4-amido, 4-carbamoyl and 4-carboxamido derivs. of 1-(8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl) piperazine. The synthesis and SAR of these new piperazine derivs. will be described. This led to the

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     selection of two amido derivs., namely the 3- and 4-pyridylacetyl analogs
     (Sch 54419 and 54429 resp.) for evaluation as lead structures.  Both were
     orally active, but were found to be rapidly metabolized in vivo.  This
     resulted in the synthesis of a variety of new compds. that would not be
     readily metabolized, such as the N-oxides (Sch 57665 and 59413) and the
     4-N-Me and 4-N-carboxamidopiperidylacetyl derivs. (Sch 58006 and 59836
     resp.).  The in vitro and in vivo activity of these novel, orally active,
     antitumor agents will be described.

L46  ANSWER 66 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1997:123450  HCAPLUS
DOCUMENT NUMBER:          126:233092
TITLE:                     Discovery of novel nonpeptide tricyclic inhibitors of
                           ras farnesyl protein transferase
AUTHOR(S):                 Njoroge, F. George; Doll, Ronald J.;
                           Vibulbhan, Bancha; Alvarez, Carmen S.;
                           Bishop, W. Robert; Petrin, Joanne; Kirschmeier, Paul;
                           Carruthers, Nicholas I.; Wong, Jesse K.; et al.
CORPORATE SOURCE:          Departments of Chemistry and Tumor Biology,
                           Schering-Plough Research Institute, Kenilworth, NJ,
                           07033, USA
SOURCE:                    Bioorganic & Medicinal Chemistry (1997),
                           5(1), 101-113
                           CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER:                 Elsevier
DOCUMENT TYPE:             Journal
LANGUAGE:                  English
AB   A comprehensive structure-activity relationship (SAR) study of novel
     tricyclic amides has been undertaken.  The discovery of compds. that are
     potent FPT inhibitors in the nanomolar range has been achieved.  These
     compds. are nonpeptidic and do not contain sulfhydryl groups.  They
     selectively inhibit farnesyl protein transferase (FPT) and not
     geranylgeranyl protein transferase-1 (GGPT-1).  They also inhibit H-Ras
     processing in Cos monkey kidney cells.
REFERENCE COUNT:           33     THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
                                  RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46  ANSWER 67 OF 67  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1997:49341  HCAPLUS
DOCUMENT NUMBER:          126:171459
TITLE:                     Novel tricyclic aminoacetyl and sulfonamide inhibitors
                           of Ras farnesyl protein transferase
AUTHOR(S):                 Njoroge, F. George; Vibulbhan, Bancha; Alvarez,
                           Carmen S.; Bishop, W. Robert; Petrin, Joanne;
                           Doll, Ronald J.; Girijavallabhan, V.
                           ; Ganguly, Ashit K.
CORPORATE SOURCE:          Departments Chemistry Tumor Biology, Schering-Plough
                           Research Institute, Kenilworth, NJ, 07033, USA
SOURCE:                    Bioorganic & Medicinal Chemistry Letters (1996
                           ), 6(24), 2977-2982
                           CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:                 Elsevier
DOCUMENT TYPE:             Journal
LANGUAGE:                  English
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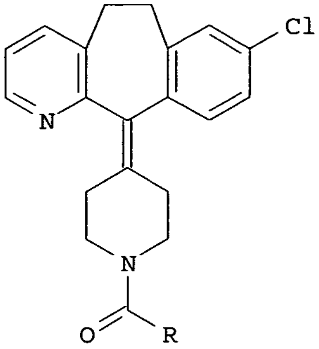

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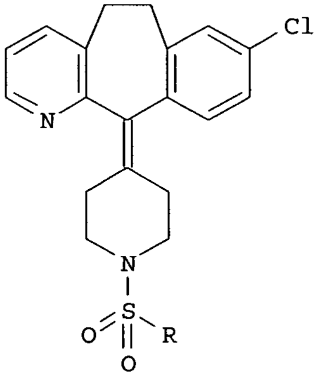

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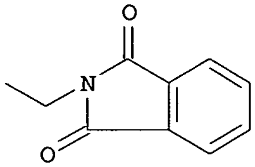

AB Novel tricyclic FPT inhibitors I (R = CH2NH2, Ph, Q, etc.) and II (R = Me, Bu, 4-O2NC6H4, CH2Ph, etc.) with submicromolar FPT activity are described. Greatly enhanced FPT activity is realized with phthaloyl derivatized amino compound I (R = Q), which showed FPT inhibitory activity of IC50 = 0.66 μM. Sulfonamides II (R = CH:CH2, CH2Ph) were also found to be potent FPT inhibitors. SAR resulting from a variety of tricyclic amino acids and sulfonamide derivs. is discussed.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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